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CAS IP Finder, powered by STN, will be unavailable on Saturday, June 06, 2026 from 17:00 hours (5:00 PM) to 01:00 hour (1:00 AM) Sunday (U.S. Eastern Time).

FILE 'HOME' ENTERED AT 08:37:58 ON 19 MAY 2026

=> Fil REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	0.46

FILE 'REGISTRY' ENTERED AT 08:38:27 ON 19 MAY 2026
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2026 HIGHEST RN 3126621-50-6
DICTIONARY FILE UPDATES: 18 MAY 2026 HIGHEST RN 3126621-50-6

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<http://www.cas.org/legal/infopolicy>

TSCA Inventory information is current through May 2024.

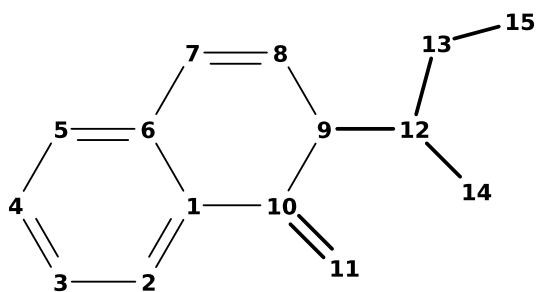
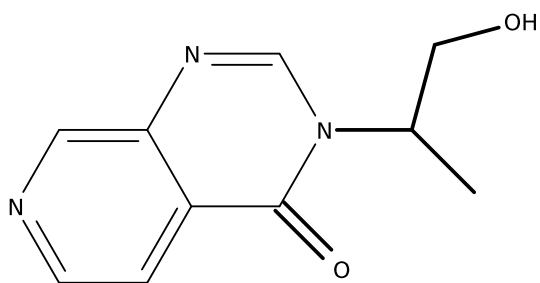
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/training/stn/database-specific>

InChi Keys (/INKY) and InChI Codes (/INCH) are now available in REGISTRY. See NEWS for details.

=>
Uploading structure file: 2026_0085_Structure



Node Attributes

Ring Nodes : 1 2 3 4 5 6 7 8 9 10

Chain Nodes : 11 12 13 14 15

Bond Attributes

Ring Bonds : 1-2 2-3 3-4 4-5 5-6 6-1 6-7 7-8 8-9 9-10 10-1

Chain Bonds : 9-12 10-11 12-13 12-14 13-15

Exact Bonds : 12-13 12-14

Normalized Bonds : 1-2 2-3 3-4 4-5 5-6 6-1

Exact/Normalized Bonds : 6-7 7-8 8-9 9-10 9-12 10-1 10-11 13-15

Markush Attributes

Match Level (ATOM) : 1 2 3 4 5 6 7 8 9 10

Match Level (CLASS) : 11 12 13 14 15

Element Count Level (LIMITED) : 1 2 3 4 5 6 7 8 9 10 11 12 13 14

L1 STRUCTURE UPLOADED

=> s l1 sss full

FULL SEARCH INITIATED 09:39:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10,504 TO ITERATE

100.0% PROCESSED 10,504 ITERATIONS 248
ANSWERS
SEARCH TIME: 00.00.01

L2 248 SEA SSS FUL L1

=> d l2 245-248

L2 ANSWER 245 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN

RN 949031-78-1 REGISTRY

ED Entered STN: 02 Oct 2007

CN Pyrido[3,4-d]pyrimidine-3(4H)-acetamide,
α-(cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-6-methoxy-4-oxo- (CA
INDEX NAME)

OTHER CA INDEX NAMES:

CN α-(Cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-6-methoxy-4-oxopyrido[3,4-d]p
yrimidine-3(4H)-acetamide

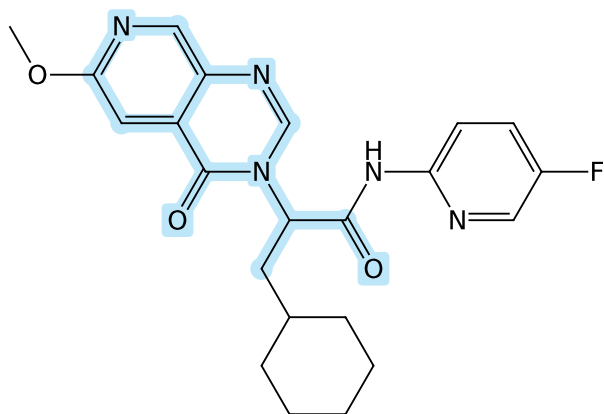
MF C22 H24 F N5 O3

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-3-2-4-6-14)21(29)27-19-8-7-15(23)11-24-19/h7-8,10-14,18H,2-6,9H2,1H3,(H,24,27,2
9)

INKY OJXJNNPCVXRCDR-UHFFFAOYSA-N

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 246 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN

RN 949031-77-0 REGISTRY

ED Entered STN: 02 Oct 2007

CN Pyrido[3,4-d]pyrimidine-3(2H)-acetamide,
α-(cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-1,4-dihydro-2,4-dioxo-
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN α -(Cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-1,4-dihydro-2,4-dioxypyrido[3,4-d]pyrimidine-3(2H)-acetamide

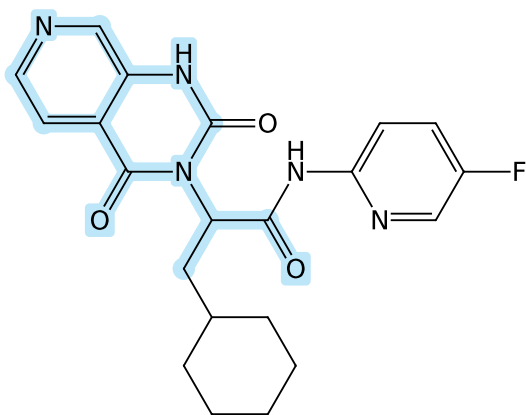
MF C21 H22 F N5 O3

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INKY DNWLVNGGPKZDW-UHFFFAOYSA-N

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 247 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN

RN 949031-76-9 REGISTRY

ED Entered STN: 02 Oct 2007

CN Pyrido[3,4-d]pyrimidine-3(4H)-acetamide,
 α -(cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-4-oxo- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN α -(Cyclohexylmethyl)-N-(5-fluoro-2-pyridinyl)-4-oxopyrido[3,4-d]pyrimidine-3(4H)-acetamide

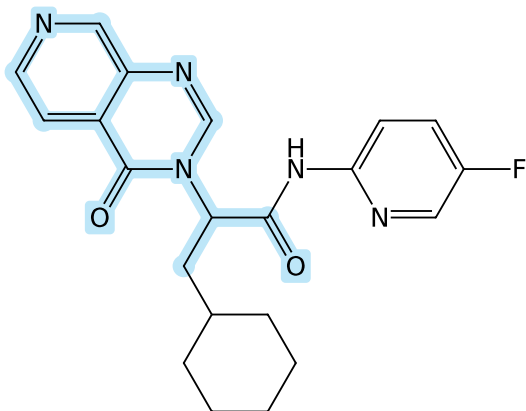
MF C21 H22 F N5 O2

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INKY VPAQVCHXCRQZAE-UHFFFAOYSA-N

SR CA

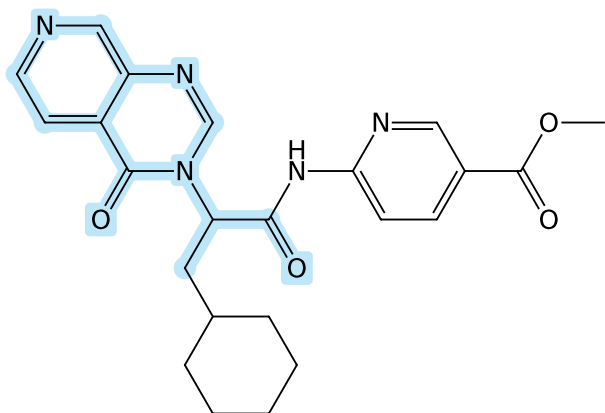
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 248 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 949031-75-8 REGISTRY
ED Entered STN: 02 Oct 2007
CN 3-Pyridinecarboxylic acid,
6-[[3-cyclohexyl-1-oxo-2-(4-oxopyrido[3,4-d]pyrimidin-3(4H)-yl)propyl]amino]-,
methyl ester (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Methyl 6-[[3-cyclohexyl-1-oxo-2-(4-oxopyrido[3,4-d]pyrimidin-3(4H)-yl)propyl]amino]-3-pyridinecarboxylate
MF C23 H25 N5 O4
INCH InChI=1S/C23H25N5O4/c1-32-23(31)16-7-8-20(25-12-16)27-21(29)19(11-15-5-3-2-4-6-15)28-14-26-18-13-24-10-9-17(18)22(28)30/h7-10,12-15,19H,2-6,11H2,1H3,(H,25,27,29)
INKY IOLPIZYSLGMMOR-UHFFFAOYSA-N
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL

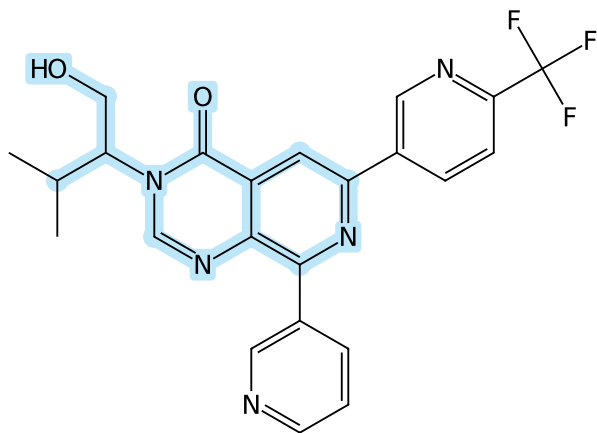


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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 12 100-105

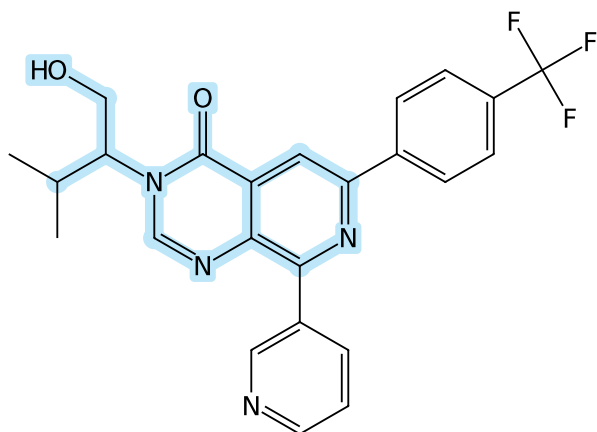
L2 ANSWER 100 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 2727952-81-8 REGISTRY
ED Entered STN: 09 Nov 2021
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 3-[1-(hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)-6-[6-(trifluoromethyl)-3-pyridinyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-[1-(Hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)-6-[6-(trifluoromethyl)-3-pyridinyl]pyrido[3,4-d]pyrimidin-4(3H)-one
MF C23 H20 F3 N5 O2
INCH InChI=1S/C23H20F3N5O2/c1-13(2)18(11-32)31-12-29-21-16(22(31)33)8-17(30-20(21)15-4-3-7-27-9-15)14-5-6-19(28-10-14)23(24,25)26/h3-10,12-13,18,32H,11H2,1-2H3
INKY HPHRPZMMSJPPQ-UHFFFAOYSA-N
SR CA
LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 101 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 2727952-80-7 REGISTRY
ED Entered STN: 09 Nov 2021
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 3-[1-(hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)-6-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-[1-(Hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)-6-[4-(trifluoromethyl)phenyl]pyrido[3,4-d]pyrimidin-4(3H)-one
MF C24 H21 F3 N4 O2
INCH InChI=1S/C24H21F3N4O2/c1-14(2)20(12-32)31-13-29-22-18(23(31)33)10-19(30-21(22)16-4-3-9-28-11-16)15-5-7-17(8-6-15)24(25,26)27/h3-11,13-14,20,32H,12H2,1-2H3
INKY JOWYVCVUQGNKAZ-UHFFFAOYSA-N
SR CA
LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 102 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 2727952-79-4 REGISTRY
ED Entered STN: 09 Nov 2021
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 6-(4-chlorophenyl)-3-[1-(hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)- (CA

INDEX NAME)

OTHER CA INDEX NAMES:

CN 6-(4-Chlorophenyl)-3-[1-(hydroxymethyl)-2-methylpropyl]-8-(3-pyridinyl)pyrido[3,4-d]pyrimidin-4(3H)-one

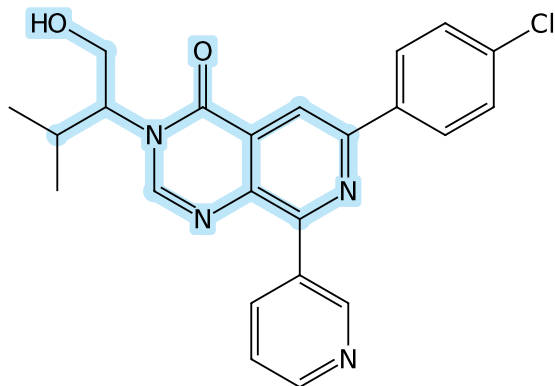
MF C23 H21 Cl N4 O2

INCH InChI=1S/C23H21ClN4O2/c1-14(2)20(12-29)28-13-26-22-18(23(28)30)10-19(15-5-7-17(24)8-6-15)27-21(22)16-4-3-9-25-11-16/h3-11,13-14,20,29H,12H2,1-2H3

INKY OBJUBBZDMCNDTJ-UHFFFAOYSA-N

SR CA

LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 103 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN

RN 2727952-38-5 REGISTRY

ED Entered STN: 09 Nov 2021

CN Pyrido[3,4-d]pyrimidin-4(3H)-one,
3-(2-hydroxy-1-methylethyl)-6,8-bis[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 3-(2-Hydroxy-1-methylethyl)-6,8-bis[4-(trifluoromethyl)phenyl]pyrido[3,4-d]pyrimidin-4(3H)-one

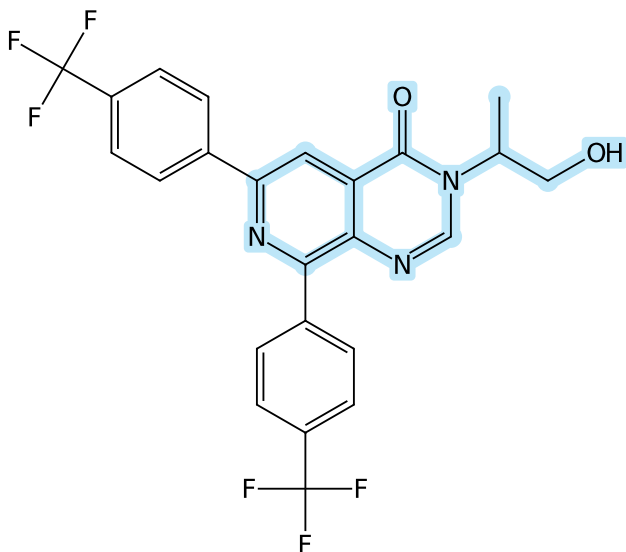
MF C24 H17 F6 N3 O2

INCH InChI=1S/C24H17F6N3O2/c1-13(11-34)33-12-31-21-18(22(33)35)10-19(14-2-6-16(7-3-14)23(25,26)27)32-20(21)15-4-8-17(9-5-15)24(28,29)30/h2-10,12-13,34H,11H2,1H3

INKY YQIBKFSLAWDXOJ-UHFFFAOYSA-N

SR CA

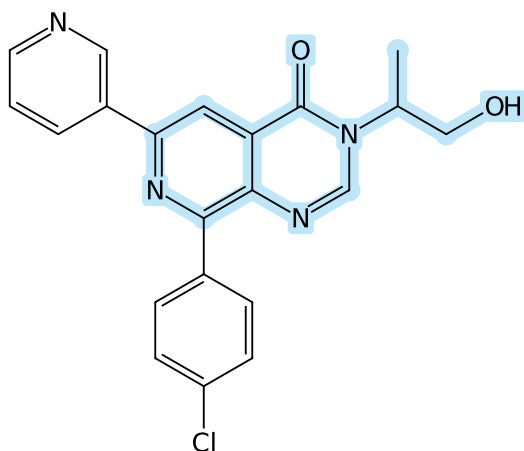
LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

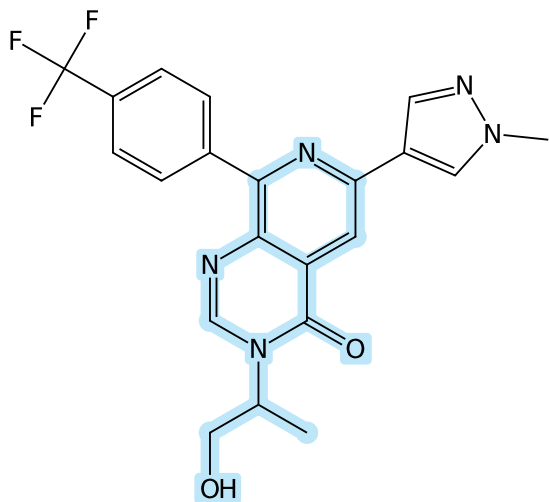
L2 ANSWER 104 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 2727952-37-4 REGISTRY
ED Entered STN: 09 Nov 2021
CN Pyrido[3,4-d]pyrimidin-4(3H)-one,
8-(4-chlorophenyl)-3-(2-hydroxy-1-methylethyl)-6-(3-pyridinyl)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 8-(4-Chlorophenyl)-3-(2-hydroxy-1-methylethyl)-6-(3-pyridinyl)pyrido[3,4-d]
pyrimidin-4(3H)-one
MF C21 H17 Cl N4 O2
INCH InChI=1S/C21H17ClN4O2/c1-13(11-27)26-12-24-20-17(21(26)28)9-18(15-3-2-8-23-
10-15)25-19(20)14-4-6-16(22)7-5-14/h2-10,12-13,27H,11H2,1H3
INKY VVKUEXWIFNDAMY-UHFFFAOYSA-N
SR CA
LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 105 OF 248 REGISTRY COPYRIGHT 2026 ACS on STN
RN 2727952-36-3 REGISTRY
ED Entered STN: 09 Nov 2021
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 3-(2-hydroxy-1-methylethyl)-6-(1-methyl-1H-pyrazol-4-yl)-8-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 3-(2-Hydroxy-1-methylethyl)-6-(1-methyl-1H-pyrazol-4-yl)-8-[4-(trifluoromethyl)phenyl]pyrido[3,4-d]pyrimidin-4(3H)-one
MF C21 H18 F3 N5 O2
INCH InChI=1S/C21H18F3N5O2/c1-12(10-30)29-11-25-19-16(20(29)31)7-17(14-8-26-28(2)9-14)27-18(19)13-3-5-15(6-4-13)21(22,23)24/h3-9,11-12,30H,10H2,1-2H3
INKY MQNODNNGTPMOMN-UHFFFAOYSA-N
SR CA
LC STN Files: CA, CAPLUS, CASBIOACTIVI, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> Fil CAPLUS

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1296.58	1297.04

FILE 'CAPLUS' ENTERED AT 08:40:56 ON 19 MAY 2026
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FILE COVERS 1907 - 19 May 2026 VOL 194 ISS 11
FILE LAST UPDATED: 18 May 2026 (20260518/ED)

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<http://www.cas.org/legal/infopolicy>

Coverage of Taiwanese patents has resumed. We expect to complete coverage through February 2026 in May 2026, and to be current with coverage in June 2026.

=> s l1 sss full

** REG1stRY INITIATED **
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:41:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10,504 TO ITERATE

100.0% PROCESSED 10,504 ITERATIONS 248
ANSWERS
SEARCH TIME: 00.00.01

L3 248 SEA SSS FUL L1

L4 9 L3

=> d l4 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)
AN 2025:2400033 CAPLUS [Full-text](#)
DN 192:281658
TI Quinazoline dione compounds and uses thereof
IN Hawryluk, Natalie Anne; Schlachter, Stephen Thomas; Koch, Kevin; Luzzio, Michael Joseph; Duvall, Michael Mark; Russell, Alan James; Evanchik, Marc Justin; Wong, Christina Elizabeth
PA Edgewise Therapeutics, Inc., USA
UO EDGEWISE THERAPEUTICS INC
UOS EDGEWISE THERAPEUTICS INC
SO PCT Int. Appl., 516pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2025207679	A1	20251002	English	PDF PDF+ Interactive

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2025207679	A1	20251002	WO 2025-US21405	20250325

PRAI US 2024-63570142 P 20240326
US 2024-63702603 P 20241002

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2025207679	A1	Alive	20251009

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
WO 2025207679 A1	WO 2025-US21405	Register Global Dossier

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)
AN 2024:2228410 CAPLUS [Full-text](#)
DN 188:246313
TI Preparation of pyrido[3,4-d]pyrimidinone and pyrimidine compounds as aryl hydrocarbon receptor antagonists and uses thereof
IN Gu, Jiamin; Zhang, Lei; Wu, Chengzhe; Du, Xianchao; Du, Meng; Chen, Jinshan
PA AlliantThera (Suzhou) Biopharmaceutical Co., Ltd., Peop. Rep. China; AlliantThera Boston Inc.
UO ALLIANTHERA SUZHOU BIOPHARMACEUTICAL LTD; ALLIANTHERA BOSTON INC
UOS ALLIANTHERA SUZHOU BIOPHARMACEUTICAL LTD; ALLIANTHERA BOSTON INC
SO PCT Int. Appl., 82pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2024215962	A1	20241017	English	PDF PDF+ Interactive
CN 121002007	A	20251121	Chinese	PDF

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2024215962	A1	20241017	WO 2024-US24177	20240412
CN 121002007	A	20251121	CN 2024-80027585	20240412
EP 4695234	A1	20260218	EP 2024-725996	20240412
JP 2026515766	T	20260519	JP 2025-559681	20240412

PRAI WO 2023-CN87979	A	20230413
WO 2024-US24177	W	20240412

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024215962	A1	Alive	20241024
CN 121002007	A	Alive	20251127
EP 4695234	A1	Alive	20260219

OS MARPAT 188:246313
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

PUBLICATIONS	APPLICATIONS	REGISTER
CN 121002007 A	CN 2024-80027585	Register Global Dossier
EP 4695234 A1	EP 2024-725996	Register Federated Reg.
JP 2026515766 T	JP 2025-559681	Register Global Dossier
WO 2024215962 A1	WO 2024-US24177	Register Global Dossier

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)
AN 2023:576827 CAPLUS [Full-text](#)
DN 182:175730
TI Preparation of 2-aryl or
heteroaryl-3-oxo-4-carboxamide-6-cyclic-dihydropyrazines as aryl hydrocarbon
modulators and their use in treatment
of diseases and disorders
IN Chen, Jinshan; Chopra, Rajiv; Gu, Jiamin
PA Alliantthera (Suzhou) Biopharmaceutical Co., Ltd., Peop. Rep. China;
AllianThera Boston Inc.
UO ALLIANTHERA BOSTON; YUANQI BOSTON; ALLIANTHERA SUZHOU BIOPHARMACEUTICAL;
YUANQI SUZHOU BIOPHARMACEUTICAL
UOS ALLIANTHERA BOSTON; YUANQI BOSTON; ALLIANTHERA SUZHOU BIOPHARMACEUTICAL;
YUANQI SUZHOU BIOPHARMACEUTICAL
SO PCT Int. Appl., 88pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2023043753	A1	20230323	English	PDF PDF+ Interactive
AU 2022345008	A1	20240404	English	PDF
CN 118234710	A	20240621	Chinese	PDF
JP 2024535019	T	20240926	Japanese	PDF
US 20240351988	A1	20241024	English	PDF

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2023043753	A1	20230323	WO 2022-US43403	20220914
AU 2022345008	A1	20240404	AU 2022-345008	20220914
CN 118234710	A	20240621	CN 2022-80062006	20220914
EP 4402130	A1	20240724	EP 2022-785862	20220914
JP 2024535019	T	20240926	JP 2024-516427	20220914

PRAI US 20240351988 A1 20241024 US 2024-18685378 20240221
WO 2021-CN118095 A 20210914
US 2021-63281989 P 20211122
WO 2022-CN79169 A 20220304
WO 2022-US43403 W 20220914

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2023043753	A1	Alive	20230330
AU 2022345008	A1	Alive	20240411
CN 118234710	A	Alive	20240704
EP 4402130	A1	Alive	20240725
JP 2024535019	T	Alive	20241010
US 20240351988	A1	Alive	20241031

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 182:175730; MARPAT 182:175730

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2022345008 A1	AU 2022-345008	Register
CN 118234710 A	CN 2022-80062006	Register Global Dossier
EP 4402130 A1	EP 2022-785862	Register Federated Req.
JP 2024535019 T	JP 2024-516427	Register Global Dossier
US 20240351988 A1	US 2024-18685378	Register Global Dossier
WO 2023043753 A1	WO 2022-US43403	Register Global Dossier

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2022:1538976 CAPLUS [Full-text](#)

DN 179:156021

TI Preparation of nitrogen containing fused heterocyclic compounds as AhR inhibitor for treatment and/or prevention of diseases mediated by AhR activity

IN Liu, Bin

PA Shandong Xuanzhu Pharma Co., Ltd., Peop. Rep. China

UO SHANDONG XIANBAMBUSA MEDICINE SCIENCE AND TECH

UOS SHANDONG XIANBAMBUSA MEDICINE SCIENCE AND TECH

SO Faming Zhuanli Shenqing, 34pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
CN 114644627	A	20220621	Chinese	PDF PDF+ Interactive
CN 114644627	B	20240611	Chinese	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 114644627	A	20220621	CN 2021-11525866	20211214
CN 114644627	B	20240611		

PRAI CN 2020-11505472 A 20201218

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
CN 114644627	A	Alive	20220630
CN 114644627	B	Alive	20220630

OS CASREACT 179:156021

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 114644627 A	CN 2021-11525866	Register Global Dossier
CN 114644627 B	CN 2021-11525866	Register Global Dossier

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2022:1039174 CAPLUS [Full-text](#)

DN 178:255182

TI Biomarkers related to immune checkpoint inhibitor therapy of cancer and
methods for treatment with aryl hydrocarbon receptor antagonists
IN Doud, Devin Forest Reed; Barone, Francesca; Umbarger, Mark
PA Senda Biosciences, Inc., USA
UO CYNDA BIOTECH; FLAGSHIP PIONEERING INC
UOS CYNDA BIOTECH; Flagship Pioneering
SO PCT Int. Appl., 140pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2022081649	A1	20220421	English	PDF PDF+ Interactive
CN 116745622	A	20230912	Chinese	PDF
JP 2023546058	T	20231101	Japanese	PDF
US 20230381182	A1	20231130	English	PDF
JP 2024177222	A	20241219	Japanese	PDF
JP 2025036701	A	20250314	Japanese	PDF

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2022081649	A1	20220421	WO 2021-US54698	20211013
EP 4228649	A1	20230823	EP 2021-805736	20211013
CN 116745622	A	20230912	CN 2021-80070242	20211013
JP 2023546058	T	20231101	JP 2023-522425	20211013
US 20230381182	A1	20231130	US 2023-18248123	20230406
JP 2024177222	A	20241219	JP 2024-168844	20240927
JP 2025036701	A	20250314	JP 2024-233054	20241227

PRAI	US 2020-63091192	P	20201013
	US 2020-63107309	P	20201029
	US 2019-62939377	P	20191122
	US 2020-63050416	P	20200710
	JP 2022-529544	A3	20201120
	JP 2023-522425	A3	20211013
	WO 2021-US54698	W	20211013

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022081649	A1	Dead	20250619
EP 4228649	A1	Alive	20230824
CN 116745622	A	Alive	20230921
JP 2023546058	T	Alive	20231109
US 20230381182	A1	Alive	20231207
JP 2024177222	A	Alive	20250102
JP 2025036701	A	Alive	20250327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 178:255182
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 116745622 A	CN 2021-80070242	Register Global Dossier
EP 4228649 A1	EP 2021-805736	Register Federated Req.
JP 2023546058 T	JP 2023-522425	Register Global Dossier
JP 2024177222 A	JP 2024-168844	Register Global Dossier
JP 2025036701 A	JP 2024-233054	Register Global Dossier
US 20230381182 A1	US 2023-18248123	Register Global Dossier
WO 2022081649 A1	WO 2021-US54698	Register Global Dossier

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)
AN 2021:2271337 CAPLUS [Full-text](#)
DN 176:417615
TI Preparation of pyridopyrimidinone derivatives and their use as aryl
hydrocarbon receptor modulators
IN Song, Minso; Park, Ga Young; Kang, Jihee; Lee, Eunhye; Park, Yoojin; Choi,
Yujeong; Kim, Soong-Hyun; Ko, Eun Bi; Bae, Seri; Park, Jung-Sang; Cha,

Daewon; Lee, Wonhyung; Joo, Min Sung; Yoon, Taeyoung; Doh, Hyounmie; Sung,
Hyun Jung; Lee, Bo Ryeong; Kim, Yoonjung
PA Dong-A ST Co., Ltd., S. Korea
UO DONG-A ST CO., LTD.
UOS Dong-A ST
SO PCT Int. Appl., 129pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2021210970	A1	20211021	English	PDF PDF+ Interactive
CA 3178129	A1	20211021	English	PDF
AU 2021257373	A1	20221020	English	PDF
AU 2021257373	B2	20240321	English	PDF
BR 112022020965	A2	20221206	Portuguese	PDF
CN 115443276	A	20221206	Chinese	PDF
CN 115443276	B	20250418	Chinese	PDF
KR 2023005188	A	20230109	Korean	PDF
JP 7639020	B2	20250304	Japanese	PDF
RU 2818954	C1	20240507	Russian	PDF
US 20230147257	A1	20230511	English	PDF
IN 202247056762	A	20221014	English	PDF

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021210970	A1	20211021	WO 2021-KR4904	20210419
CA 3178129	A1	20211021	CA 2021-3178129	20210419
AU 2021257373	A1	20221020	AU 2021-257373	20210419
AU 2021257373	B2	20240321		
BR 112022020965	A2	20221206	BR 2022-112022020965	20210419
CN 115443276	A	20221206	CN 2021-80028538	20210419
CN 115443276	B	20250418		
KR 2023005188	A	20230109	KR 2022-7037505	20210419
EP 4136088	A1	20230222	EP 2021-789177	20210419
JP 2023522045	T	20230526	JP 2022-562929	20210419
JP 7639020	B2	20250304		
RU 2818954	C1	20240507	RU 2022-125554	20210419
US 20230147257	A1	20230511	US 2022-17906745	20220919
IN 202247056762	A	20221014	IN 2022-47056762	20221003
IN 560686	B	20250221		
PRAI US 2020-63011351	P	20200417		
WO 2021-KR4904	W	20210419		

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021210970	A1	Dead	20241219
CA 3178129	A1	Alive	20221124
AU 2021257373	A1	Alive	20221027
AU 2021257373	B2	Alive	20240328
BR 112022020965	A2	Alive	20221215
CN 115443276	A	Alive	20221215
CN 115443276	B	Alive	20221215
KR 2023005188	A	Alive	20251230
EP 4136088	A1	Alive	20230223
JP 2023522045	T	Alive	20230608
JP 7639020	B2	Alive	20230608
US 20230147257	A1	Alive	20230518
IN 202247056762	A	Alive	20250929
IN 560686	B	Alive	20250929

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 176:417615; MARPAT 176:417615

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
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AU 2021257373 A1	AU 2021-257373	Register
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AU 2021257373 B2	AU 2021-257373	Register
BR 112022020965 A2	BR 2022-112022020965	Register
CA 3178129 A1	CA 2021-3178129	Register
CN 115443276 A	CN 2021-80028538	Register Global Dossier
CN 115443276 B	CN 2021-80028538	Register Global Dossier
EP 4136088 A1	EP 2021-789177	Register Federated Reg.
IN 202247056762 A	IN 2022-47056762	Register
IN 560686 B	IN 2022-47056762	Register
JP 2023522045 T	JP 2022-562929	Register Global Dossier
JP 7639020 B2	JP 2022-562929	Register Global Dossier
KR 2023005188 A	KR 2022-7037505	Register Global Dossier
RU 2818954 C1	RU 2022-125554	Register
US 20230147257 A1	US 2022-17906745	Register Global Dossier
WO 2021210970 A1	WO 2021-KR4904	Register Global Dossier

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2021:1159510 CAPLUS [Full-text](#)

DN 175:81566

TI Pyridopyrimidinone derivatives as AHR antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Bartolozzi, Alessandra; Proudfoot, John Robert; Briggs, Timothy; Mancuso, John; Bonepally, Karunakar Reddy; Bureau, Patrick; Guo, Tianlin; Bos, Maxence; Blois, Anna; Lanter, Bernard; Taylor, Steven John; Buckbinder, Leonard; Barone, Francesca

PA Senda Biosciences, Inc., USA

UO FLAGSHIP PIONEERING INC; CYNDA BIOTECH

UOS Flagship Pioneering; CYNDA BIOTECH

SO PCT Int. Appl., 419pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2021102288	A1	20210527	English	PDF PDF+ Interactive
CA 3162236	A1	20210527	English	PDF
AU 2020386967	A1	20220707	English	PDF
BR 112022009805	A2	20220816	Portuguese	PDF
KR 2022119537	A	20220829	Korean	PDF
KR 2953954	B1	20260417	Korean	PDF
CN 115397512	A	20221125	Chinese	PDF
CN 115397512	B	20241217	Chinese	PDF
JP 2023502476	T	20230124	Japanese	PDF
JP 7665615	B2	20250421	Japanese	PDF
KR 2026057216	A	20260427	Korean	PDF
US 20230295152	A1	20230921	English	PDF
CO 2022008606	A2	20220920	Spanish	PDF
IN 202217035630	A	20221104	English	PDF
JP 2024177222	A	20241219	Japanese	PDF
JP 2025036701	A	20250314	Japanese	PDF
IN 202618010985	A	20260220	English	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021102288	A1	20210527	WO 2020-US61548	20201120
CA 3162236	A1	20210527	CA 2020-3162236	20201120
AU 2020386967	A1	20220707	AU 2020-386967	20201120
SG 11202205252	A	20220715	SG 2022-11202205252	20201120
BR 112022009805	A2	20220816	BR 2022-112022009805	20201120
KR 2022119537	A	20220829	KR 2022-7021077	20201120
KR 2953954	B1	20260417		
EP 4061484	A1	20220928	EP 2020-825321	20201120
CN 115397512	A	20221125	CN 2020-80096897	20201120
CN 115397512	B	20241217		
JP 2023502476	T	20230124	JP 2022-529544	20201120
JP 7665615	B2	20250421		
KR 2026057216	A	20260427	KR 2026-7011368	20201120
US 20230295152	A1	20230921	US 2022-17756243	20220519
CL 2022001337	A1	20230505	CL 2022-1337	20220520
CO 2022008606	A2	20220920	CO 2022-8606	20220617

	IN	202217035630	A	20221104	IN	2022-17035630	20220621
	JP	2024177222	A	20241219	JP	2024-168844	20240927
	JP	2025036701	A	20250314	JP	2024-233054	20241227
	IN	202618010985	A	20260220	IN	2026-18010985	20260202
PRAI	US	2019-62939377	P	20191122			
	US	2020-63050416	P	20200710			
	US	2020-63091192	P	20201013			
	US	2020-63107309	P	20201029			
	JP	2022-529544	A3	20201120			
	KR	2022-7021077	A3	20201120			
	WO	2020-US61548	W	20201120			
	JP	2023-522425	A3	20211013			
	IN	2022-17035630	A3	20220621			

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021102288	A1	Dead	20240725
CA 3162236	A1	Alive	20220630
AU 2020386967	A1	Alive	20220714
BR 112022009805	A2	Alive	20221006
KR 2022119537	A	Alive	20251120
KR 2953954	B1	Alive	20251120
EP 4061484	A1	Alive	20220929
CN 115397512	A	Alive	20221201
CN 115397512	B	Alive	20221201
JP 2023502476	T	Alive	20230216
JP 7665615	B2	Alive	20230216
KR 2026057216	A	Alive	20260506
US 20230295152	A1	Alive	20231005
CL 2022001337	A1	Alive	20230615
CO 2022008606	A2	Alive	20220929
IN 202217035630	A	Alive	20250929
JP 2024177222	A	Alive	20250102
JP 2025036701	A	Alive	20250327
IN 202618010985	A	Alive	20260317

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 175:81566; MARPAT 175:81566

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2020386967 A1	AU 2020-386967	Register
BR 112022009805 A2	BR 2022-112022009805	Register
CA 3162236 A1	CA 2020-3162236	Register
CL 2022001337 A1	CL 2022-1337	Register
CN 115397512 A	CN 2020-80096897	Register Global Dossier
CN 115397512 B	CN 2020-80096897	Register Global Dossier
CO 2022008606 A2	CO 2022-8606	Register
EP 4061484 A1	EP 2020-825321	Register Federated Req.
IN 202217035630 A	IN 2022-17035630	Register
IN 202618010985 A	IN 2026-18010985	Register
JP 2023502476 T	JP 2022-529544	Register Global Dossier
JP 7665615 B2	JP 2022-529544	Register Global Dossier
JP 2024177222 A	JP 2024-168844	Register Global Dossier
JP 2025036701 A	JP 2024-233054	Register Global Dossier
KR 2022119537 A	KR 2022-7021077	Register Global Dossier
KR 2953954 B1	KR 2022-7021077	Register Global Dossier
KR 2026057216 A	KR 2026-7011368	Register Global Dossier
SG 11202205252 A	SG 2022-11202205252	Register
US 20230295152 A1	US 2022-17756243	Register Global Dossier
WO 2021102288 A1	WO 2020-US61548	Register Global Dossier

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2016:1739850 CAPLUS [Full-text](#)

DN 165:522702

TI Preparation of 2,4-dioxo-1,2-dihydropyrido[3,4-d]pyrimidine derivatives
useful in parasitic protozoal infections

IN Coteron Lopez, Jose-Miguel; Fernandez Velando, Esther Pilar;

PA Fernandez-Molina, Jorge; Leon-Diaz, Maria Luisa; Wilson, David Matthew
UO Glaxosmithkline Intellectual Property Development Limited, UK
UOS GlaxoSmithKline
SO PCT Int. Appl., 25pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2016169906	A1	20161027	English	PDF PDF+ Interactive
US 20160311821	A1	20161027	English	PDF
US 9624219	B2	20170418	English	PDF
AR 104315	A1	20170712	Spanish	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2016169906	A1	20161027	WO 2016-EP58602	20160419
US 20160311821	A1	20161027	US 2016-15132274	20160419
US 9624219	B2	20170418		
AR 104315	A1	20170712	AR 2016-101068	20160419
VE 2016000174	A1	20170830	VE 2016-174	20160420
PRAI EP 2015-382200	A	20150421		

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2016169906	A1	Dead	20201202
US 20160311821	A1	Dead	20211125
US 9624219	B2	Dead	20211125
AR 104315	A1	Dead	20251021

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 165:522702; CASFORMULTNS 2016:1739850

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AR 104315 A1	AR 2016-101068	Register
US 20160311821 A1	US 2016-15132274	Register Global Dossier
US 9624219 B2	US 2016-15132274	Register Global Dossier
WO 2016169906 A1	WO 2016-EP58602	Register Global Dossier

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#)

AN 2007:1029712 CAPLUS [Full-text](#)

DN 147:365778

TI Preparation of 1-pyrimidinyl or 3-quinazolinyl alkanamides as glucokinase activators

IN Cheruvallath, Zacharia; Feng, Jun; Guntupalli, Prasuna; Gwaltney, Stephen L.; Kaldor, Stephen W.; Miura, Joanne; Sabat, Mark; Tang, Mingnam; Wolgast, Beverly

PA Takeda San Diego, Inc., USA

UO TAKEDA PHARMACEUTICAL COMPANY LIMITED

UOS Takeda Pharma

SO PCT Int. Appl., 337 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2007104034	A2	20070913	English	PDF
US 8034822	B2	20111011	English	PDF
JP 5302012	B2	20131002	Japanese	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007104034	A2	20070913	WO 2007-US63626	20070308
WO 2007104034	A3	20080228		
US 20070213349	A1	20070913	US 2007-683955	20070308
US 8034822	B2	20111011		
EP 2001875	A2	20081217	EP 2007-758201	20070308
JP 2009531309	T	20090903	JP 2008-558542	20070308
JP 5302012	B2	20131002		
PRAI US 2006-60780339	P	20060308		
WO 2007-US63626	W	20070308		

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2007104034	A2	Dead	20201202
WO 2007104034	A3	Dead	20201202
US 20070213349	A1	Dead	20201121
US 8034822	B2	Dead	20201121
EP 2001875	A2	Dead	20201203
JP 2009531309	T	Dead	20201120
JP 5302012	B2	Dead	20201121

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 147:365778; MARPAT 147:365778

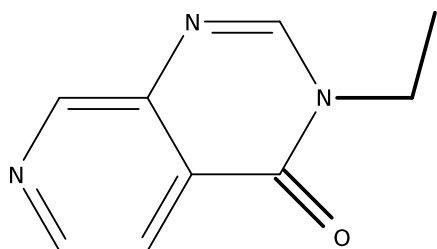
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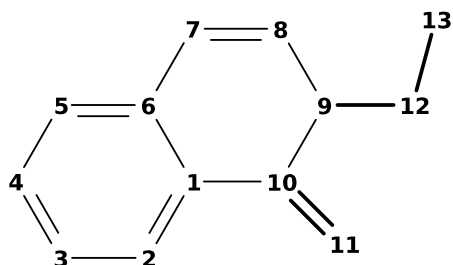
LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
EP 2001875 A2	EP 2007-758201	Register Federated Reg.
JP 2009531309 T	JP 2008-558542	Register Global Dossier
JP 5302012 B2	JP 2008-558542	Register Global Dossier
US 20070213349 A1	US 2007-683955	Register Global Dossier
US 8034822 B2	US 2007-683955	Register Global Dossier
WO 2007104034 A2	WO 2007-US63626	Register Global Dossier
WO 2007104034 A3	WO 2007-US63626	Register Global Dossier

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Node Attributes

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Chain Nodes : 11 12 13

Bond Attributes

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Chain Bonds : 9-12 10-11 12-13

Exact Bonds : 12-13

Normalized Bonds : 1-2 2-3 3-4 4-5 5-6 6-1

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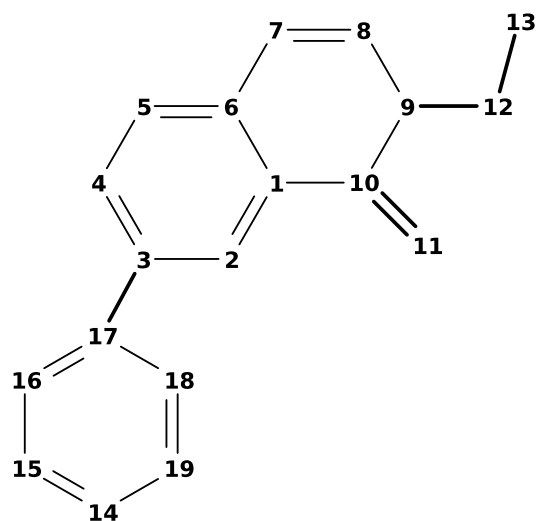
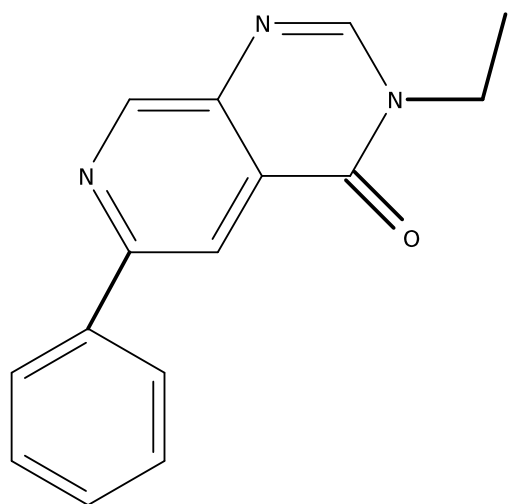
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Element Count Level (LIMITED) : 1 2 3 4 5 6 7 8 9 10 11 12 13

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Node Attributes

Ring Nodes : 1 2 3 4 5 6 7 8 9 10 14 15 16 17 18 19

Chain Nodes : 11 12 13

Bond Attributes

Ring Bonds : 1-2 2-3 3-4 4-5 5-6 6-1 6-7 7-8 8-9 9-10 10-1 14-15
15-16 16-17 17-18 18-19 19-14

Chain Bonds : 3-17 9-12 10-11 12-13

Exact Bonds : 3-17 12-13

Normalized Bonds : 1-2 2-3 3-4 4-5 5-6 6-1 14-15 15-16 16-17 17-18
18-19 19-14

Exact/Normalized Bonds : 6-7 7-8 8-9 9-10 9-12 10-1 10-11

Markush Attributes

Match Level (ATOM) : 1 2 3 4 5 6 7 8 9 10 14 15 16 17 18 19

Match Level (CLASS) : 11 12 13
Element Count Level (LIMITED) : 1 2 3 4 5 6 7 8 9 10 11 12 13 14
15 16 17 18 19

L6 STRUCTURE UPLOADED

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** REG1stRY INITIATED **
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:45:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27,843 TO ITERATE

100.0% PROCESSED 27,843 ITERATIONS 182
ANSWERS
SEARCH TIME: 00.00.01

L7 182 SEA SSS FUL L6

L8 8 L7

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L8 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)
AN 2024:2228410 CAPLUS [Full-text](#)
DN 188:246313
TI Preparation of pyrido[3,4-d]pyrimidinone and pyrimidine compounds as aryl
hydrocarbon receptor antagonists and uses thereof
IN Gu, Jiamin; Zhang, Lei; Wu, Chengzhe; Du, Xianchao; Du, Meng; Chen,
Jinshan
PA AllianThera (Suzhou) Biopharmaceutical Co., Ltd., Peop. Rep. China;
AllianThera Boston Inc.
UO ALLIANTHERA SUZHOU BIOPHARMACEUTICAL LTD; ALLIANTHERA BOSTON INC
UOS ALLIANTHERA SUZHOU BIOPHARMACEUTICAL LTD; ALLIANTHERA BOSTON INC
SO PCT Int. Appl., 82pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PPPI

	PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
	-----	----	-----	-----	-----
PI	WO 2024215962	A1	20241017	English	PDF PDF+ Interactive
	CN 121002007	A	20251121	Chinese	PDF
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PRAI	WO 2024215962	A1	20241017	WO 2024-US24177	20240412
	CN 121002007	A	20251121	CN 2024-80027585	20240412
	EP 4695234	A1	20260218	EP 2024-725996	20240412
	JP 2026515766	T	20260519	JP 2025-559681	20240412
	WO 2023-CN87979	A	20230413		
	WO 2024-US24177	W	20240412		

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2024215962	A1	Alive	20241024
CN 121002007	A	Alive	20251127
EP 4695234	A1	Alive	20260219

OS MARPAT 188:246313

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 121002007 A	CN 2024-80027585	Register Global Dossier
EP 4695234 A1	EP 2024-725996	Register Federated Reg.
JP 2026515766 T	JP 2025-559681	Register Global Dossier
WO 2024215962 A1	WO 2024-US24177	Register Global Dossier

L8 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2022:1538976 CAPLUS [Full-text](#)

DN 179:156021

TI Preparation of nitrogen containing fused heterocyclic compounds as AhR inhibitor for treatment and/or prevention of diseases mediated by AhR activity

IN Liu, Bin

PA Shandong Xuanzhu Pharma Co., Ltd., Peop. Rep. China

UO SHANDONG XIANBAMBUSA MEDICINE SCIENCE AND TECH

UOS SHANDONG XIANBAMBUSA MEDICINE SCIENCE AND TECH

SO Faming Zhuanli Shenqing, 34pp.

CODEN: CNXXEV

DT Patent

LA Chinese

FAN.CNT 1

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
CN 114644627	A	20220621	Chinese	PDF PDF+ Interactive
CN 114644627	B	20240611	Chinese	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 114644627	A	20220621	CN 2021-11525866	20211214
CN 114644627	B	20240611		
PRAI CN 2020-11505472	A	20201218		

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
CN 114644627	A	Alive	20220630
CN 114644627	B	Alive	20220630

OS CASREACT 179:156021

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 114644627 A	CN 2021-11525866	Register Global Dossier
CN 114644627 B	CN 2021-11525866	Register Global Dossier

L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2022:1039174 CAPLUS [Full-text](#)

DN 178:255182

TI Biomarkers related to immune checkpoint inhibitor therapy of cancer and methods for treatment with aryl hydrocarbon receptor antagonists

IN Doud, Devin Forest Reed; Barone, Francesca; Umbarger, Mark

PA Senda Biosciences, Inc., USA

UO CYNDA BIOTECH; FLAGSHIP PIONEERING INC

UOS CYNDA BIOTECH; Flagship Pioneering

SO PCT Int. Appl., 140pp.

CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 2
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2022081649	A1	20220421	English	PDF PDF+ Interactive
CN 116745622	A	20230912	Chinese	PDF
JP 2023546058	T	20231101	Japanese	PDF
US 20230381182	A1	20231130	English	PDF
JP 2024177222	A	20241219	Japanese	PDF
JP 2025036701	A	20250314	Japanese	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2022081649	A1	20220421	WO 2021-US54698	20211013
EP 4228649	A1	20230823	EP 2021-805736	20211013
CN 116745622	A	20230912	CN 2021-80070242	20211013
JP 2023546058	T	20231101	JP 2023-522425	20211013
US 20230381182	A1	20231130	US 2023-18248123	20230406
JP 2024177222	A	20241219	JP 2024-168844	20240927
JP 2025036701	A	20250314	JP 2024-233054	20241227

PRAI

US 2020-63091192	P	20201013
US 2020-63107309	P	20201029
US 2019-62939377	P	20191122
US 2020-63050416	P	20200710
JP 2022-529544	A3	20201120
JP 2023-522425	A3	20211013
WO 2021-US54698	W	20211013

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2022081649	A1	Dead	20250619
EP 4228649	A1	Alive	20230824
CN 116745622	A	Alive	20230921
JP 2023546058	T	Alive	20231109
US 20230381182	A1	Alive	20231207
JP 2024177222	A	Alive	20250102
JP 2025036701	A	Alive	20250327

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 178:255182

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
CN 116745622 A	CN 2021-80070242	Register Global Dossier
EP 4228649 A1	EP 2021-805736	Register Federated Req.
JP 2023546058 T	JP 2023-522425	Register Global Dossier
JP 2024177222 A	JP 2024-168844	Register Global Dossier
JP 2025036701 A	JP 2024-233054	Register Global Dossier
US 20230381182 A1	US 2023-18248123	Register Global Dossier
WO 2022081649 A1	WO 2021-US54698	Register Global Dossier

L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2021:2271337 CAPLUS [Full-text](#)

DN 176:417615

TI Preparation of pyridopyrimidinone derivatives and their use as aryl hydrocarbon receptor modulators

IN Song, Minso; Park, Ga Young; Kang, Jihee; Lee, Eunhye; Park, Yoojin; Choi, Yujeong; Kim, Soong-Hyun; Ko, Eun Bi; Bae, Seri; Park, Jung-Sang; Cha, Daewon; Lee, Wonhyung; Joo, Min Sung; Yoon, Taeyoung; Doh, Hyounmie; Sung, Hyun Jung; Lee, Bo Ryeong; Kim, Yoonjung

PA Dong-A ST Co., Ltd., S. Korea

UO DONG-A ST CO., LTD.

UOS Dong-A ST

SO PCT Int. Appl., 129pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2021210970	A1	20211021	English	PDF PDF+ Interactive
CA 3178129	A1	20211021	English	PDF
AU 2021257373	A1	20221020	English	PDF
AU 2021257373	B2	20240321	English	PDF
BR 112022020965	A2	20221206	Portuguese	PDF
CN 115443276	A	20221206	Chinese	PDF
CN 115443276	B	20250418	Chinese	PDF
KR 2023005188	A	20230109	Korean	PDF
JP 7639020	B2	20250304	Japanese	PDF
RU 2818954	C1	20240507	Russian	PDF
US 20230147257	A1	20230511	English	PDF
IN 202247056762	A	20221014	English	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021210970	A1	20211021	WO 2021-KR4904	20210419
CA 3178129	A1	20211021	CA 2021-3178129	20210419
AU 2021257373	A1	20221020	AU 2021-257373	20210419
AU 2021257373	B2	20240321		
BR 112022020965	A2	20221206	BR 2022-112022020965	20210419
CN 115443276	A	20221206	CN 2021-80028538	20210419
CN 115443276	B	20250418		
KR 2023005188	A	20230109	KR 2022-7037505	20210419
EP 4136088	A1	20230222	EP 2021-789177	20210419
JP 2023522045	T	20230526	JP 2022-562929	20210419
JP 7639020	B2	20250304		
RU 2818954	C1	20240507	RU 2022-125554	20210419
US 20230147257	A1	20230511	US 2022-17906745	20220919
IN 202247056762	A	20221014	IN 2022-47056762	20221003
IN 560686	B	20250221		
PRAI US 2020-63011351	P	20200417		
WO 2021-KR4904	W	20210419		

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021210970	A1	Dead	20241219
CA 3178129	A1	Alive	20221124
AU 2021257373	A1	Alive	20221027
AU 2021257373	B2	Alive	20240328
BR 112022020965	A2	Alive	20221215
CN 115443276	A	Alive	20221215
CN 115443276	B	Alive	20221215
KR 2023005188	A	Alive	20251230
EP 4136088	A1	Alive	20230223
JP 2023522045	T	Alive	20230608
JP 7639020	B2	Alive	20230608
US 20230147257	A1	Alive	20230518
IN 202247056762	A	Alive	20250929
IN 560686	B	Alive	20250929

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 176:417615; MARPAT 176:417615

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2021257373 A1	AU 2021-257373	Register
AU 2021257373 B2	AU 2021-257373	Register
BR 112022020965 A2	BR 2022-112022020965	Register
CA 3178129 A1	CA 2021-3178129	Register
CN 115443276 A	CN 2021-80028538	Register Global Dossier
CN 115443276 B	CN 2021-80028538	Register Global Dossier
EP 4136088 A1	EP 2021-789177	Register Federated Reg.
IN 202247056762 A	IN 2022-47056762	Register
IN 560686 B	IN 2022-47056762	Register
JP 2023522045 T	JP 2022-562929	Register Global Dossier

JP 7639020 B2	JP 2022-562929	Register	Global Dossier
KR 2023005188 A	KR 2022-7037505	Register	Global Dossier
RU 2818954 C1	RU 2022-125554	Register	
US 20230147257 A1	US 2022-17906745	Register	Global Dossier
WO 2021210970 A1	WO 2021-KR4904	Register	Global Dossier

L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN
[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)

AN 2021:1159510 CAPLUS [Full-text](#)

DN 175:81566

TI Pyridopyrimidinone derivatives as AHR antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

IN Bartolozzi, Alessandra; Proudfoot, John Robert; Briggs, Timothy; Mancuso, John; Bonepally, Karunakar Reddy; Bureau, Patrick; Guo, Tianlin; Bos, Maxence; Blois, Anna; Lanter, Bernard; Taylor, Steven John; Buckbinder, Leonard; Barone, Francesca

PA Senda Biosciences, Inc., USA

UO FLAGSHIP PIONEERING INC; CYNDA BIOTECH

UOS Flagship Pioneering; CYNDA BIOTECH

SO PCT Int. Appl., 419pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2021102288	A1	20210527	English	PDF PDF+ Interactive
CA 3162236	A1	20210527	English	PDF
AU 2020386967	A1	20220707	English	PDF
BR 112022009805	A2	20220816	Portuguese	PDF
KR 2022119537	A	20220829	Korean	PDF
KR 2953954	B1	20260417	Korean	PDF
CN 115397512	A	20221125	Chinese	PDF
CN 115397512	B	20241217	Chinese	PDF
JP 2023502476	T	20230124	Japanese	PDF
JP 7665615	B2	20250421	Japanese	PDF
KR 2026057216	A	20260427	Korean	PDF
US 20230295152	A1	20230921	English	PDF
CO 2022008606	A2	20220920	Spanish	PDF
IN 202217035630	A	20221104	English	PDF
JP 2024177222	A	20241219	Japanese	PDF
JP 2025036701	A	20250314	Japanese	PDF
IN 202618010985	A	20260220	English	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021102288	A1	20210527	WO 2020-US61548	20201120
CA 3162236	A1	20210527	CA 2020-3162236	20201120
AU 2020386967	A1	20220707	AU 2020-386967	20201120
SG 11202205252	A	20220715	SG 2022-11202205252	20201120
BR 112022009805	A2	20220816	BR 2022-112022009805	20201120
KR 2022119537	A	20220829	KR 2022-7021077	20201120
KR 2953954	B1	20260417		
EP 4061484	A1	20220928	EP 2020-825321	20201120
CN 115397512	A	20221125	CN 2020-80096897	20201120
CN 115397512	B	20241217		
JP 2023502476	T	20230124	JP 2022-529544	20201120
JP 7665615	B2	20250421		
KR 2026057216	A	20260427	KR 2026-7011368	20201120
US 20230295152	A1	20230921	US 2022-17756243	20220519
CL 2022001337	A1	20230505	CL 2022-1337	20220520
CO 2022008606	A2	20220920	CO 2022-8606	20220617
IN 202217035630	A	20221104	IN 2022-17035630	20220621
JP 2024177222	A	20241219	JP 2024-168844	20240927
JP 2025036701	A	20250314	JP 2024-233054	20241227
IN 202618010985	A	20260220	IN 2026-18010985	20260202

PRAI

US 2019-62939377	P	20191122
US 2020-63050416	P	20200710
US 2020-63091192	P	20201013
US 2020-63107309	P	20201029
JP 2022-529544	A3	20201120

KR 2022-7021077	A3	20201120
WO 2020-US61548	W	20201120
JP 2023-522425	A3	20211013
IN 2022-17035630	A3	20220621

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021102288	A1	Dead	20240725
CA 3162236	A1	Alive	20220630
AU 2020386967	A1	Alive	20220714
BR 112022009805	A2	Alive	20221006
KR 2022119537	A	Alive	20251120
KR 2953954	B1	Alive	20251120
EP 4061484	A1	Alive	20220929
CN 115397512	A	Alive	20221201
CN 115397512	B	Alive	20221201
JP 2023502476	T	Alive	20230216
JP 7665615	B2	Alive	20230216
KR 2026057216	A	Alive	20260506
US 20230295152	A1	Alive	20231005
CL 2022001337	A1	Alive	20230615
CO 2022008606	A2	Alive	20220929
IN 202217035630	A	Alive	20250929
JP 2024177222	A	Alive	20250102
JP 2025036701	A	Alive	20250327
IN 202618010985	A	Alive	20260317

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 175:81566; MARPAT 175:81566

OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AU 2020386967 A1	AU 2020-386967	Register
BR 112022009805 A2	BR 2022-112022009805	Register
CA 3162236 A1	CA 2020-3162236	Register
CL 2022001337 A1	CL 2022-1337	Register
CN 115397512 A	CN 2020-80096897	Register Global Dossier
CN 115397512 B	CN 2020-80096897	Register Global Dossier
CO 2022008606 A2	CO 2022-8606	Register
EP 4061484 A1	EP 2020-825321	Register Federated Req.
IN 202217035630 A	IN 2022-17035630	Register
IN 202618010985 A	IN 2026-18010985	Register
JP 2023502476 T	JP 2022-529544	Register Global Dossier
JP 7665615 B2	JP 2022-529544	Register Global Dossier
JP 2024177222 A	JP 2024-168844	Register Global Dossier
JP 2025036701 A	JP 2024-233054	Register Global Dossier
KR 2022119537 A	KR 2022-7021077	Register Global Dossier
KR 2953954 B1	KR 2022-7021077	Register Global Dossier
KR 2026057216 A	KR 2026-7011368	Register Global Dossier
SG 11202205252 A	SG 2022-11202205252	Register
US 20230295152 A1	US 2022-17756243	Register Global Dossier
WO 2021102288 A1	WO 2020-US61548	Register Global Dossier

L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#) | [PatentPak PDF+](#) | [PatentPak Interactive](#)AN 2021:38336 CAPLUS [Full-text](#)

DN 174:310357

TI Preparation of oxodihydropyridopyrimidine derivatives for use as eIF4E inhibitors

IN Sperry, Samuel; Xiang, Alan X.; Ernst, Justin T.; Reich, Siegfried H.; Sprengeler, Paul A.; Shaghafi, Mike; Michels, Theo; Nilewski, Christian; Tran, Chinh Viet; Packard, Garrick Kenneth; Grubbs, Alan; Urkalan, Kaveri; Mukaiyama, Takasuke

PA Effector Therapeutics, Inc., USA

UO EFFECTOR THERAPEUTICS INC; EFFECT THERAPY STOCK LTD COMPANY

UOS EFFECTOR THERAPEUTICS INC; EFFECT THERAPY STOCK LTD COMPANY

SO PCT Int. Appl., 536pp.

CODEN: PIXXD2

DT Patent

LA English
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
WO 2021003157	A1	20210107	English	PDF PDF+ Interactive
CA 3085255	A1	20210102	English	PDF
CA 3188446	A1	20210107	English	PDF
TW I748541	B	20211201	Chinese	PDF
AU 2020299362	A1	20220224	English	PDF
AU 2020299362	B2	20260226	English	PDF
BR 112021026682	A2	20220315	Portuguese	PDF
US 11286268	B1	20220329	English	PDF
CN 114269756	A	20220401	Chinese	PDF
CN 114269756	B	20240514	Chinese	PDF
KR 2022061945	A	20220513	Korean	PDF
JP 2022539256	T	20220907	Japanese	PDF
JP 7595055	B2	20241205	Japanese	PDF
AR 119339	A1	20211209	Spanish	PDF
IN 202217004546	A	20220401	English	PDF
US 20230108594	A1	20230406	English	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2021003157	A1	20210107	WO 2020-US40299	20200630
CA 3085255	A1	20210102	CA 2020-3085255	20200630
CA 3188446	A1	20210107	CA 2020-3188446	20200630
TW I748541	B	20211201	TW 2020-122116	20200630
AU 2020299362	A1	20220224	AU 2020-299362	20200630
AU 2020299362	B2	20260226		
BR 112021026682	A2	20220315	BR 2021-112021026682	20200630
US 11286268	B1	20220329	US 2020-16916820	20200630
CN 114269756	A	20220401	CN 2020-80059936	20200630
CN 114269756	B	20240514		
EP 3994139	A1	20220511	EP 2020-740483	20200630
KR 2022061945	A	20220513	KR 2022-7002902	20200630
JP 2022539256	T	20220907	JP 2022-500099	20200630
JP 7595055	B2	20241205		
PY 2020031844	A	20210419	PY 2020-31844	20200702
AR 119339	A1	20211209	AR 2020-101877	20200702
BO 13044	A	20220216	BO 2020-94	20200702
VE 2020000106	A1	20220217	VE 2020-106	20200702
VE 2020000106	A	20220217		
CL 2021003573	A1	20220812	CL 2021-3573	20211230
IN 202217004546	A	20220401	IN 2022-17004546	20220127
IN 552265	B	20241018		
US 20230108594	A1	20230406	US 2022-17587185	20220128
US 2019-62869662	P	20190702		
US 2020-16916820	A1	20200630		
WO 2020-US40299	W	20200630		

PRAI

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
WO 2021003157	A1	Dead	20240229
CA 3085255	A1	Dead	20260414
CA 3188446	A1	Alive	20230223
TW I748541	B	Alive	20220407
AU 2020299362	A1	Alive	20220324
AU 2020299362	B2	Alive	20220324
BR 112021026682	A2	Alive	20220414
US 11286268	B1	Alive	20220414
CN 114269756	A	Alive	20220407
CN 114269756	B	Alive	20220407
EP 3994139	A1	Alive	20220512
KR 2022061945	A	Dead	20260205
JP 2022539256	T	Alive	20220922
JP 7595055	B2	Alive	20220922
PY 2020031844	A	Alive	20260319
AR 119339	A1	Dead	20251002
CL 2021003573	A1	Alive	20220915
IN 202217004546	A	Alive	20250929
IN 552265	B	Alive	20250929

US 20230108594 A1 Dead 20251225
OS MARPAT 174:310357
OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
AR 119339 A1	AR 2020-101877	Register
AU 2020299362 A1	AU 2020-299362	Register
AU 2020299362 B2	AU 2020-299362	Register
BR 112021026682 A2	BR 2021-112021026682	Register
CA 3085255 A1	CA 2020-3085255	Register
CA 3188446 A1	CA 2020-3188446	Register
CL 2021003573 A1	CL 2021-3573	Register
CN 114269756 A	CN 2020-80059936	Register Global Dossier
CN 114269756 B	CN 2020-80059936	Register Global Dossier
EP 3994139 A1	EP 2020-740483	Register Federated Reg.
IN 202217004546 A	IN 2022-17004546	Register
IN 552265 B	IN 2022-17004546	Register
JP 2022539256 T	JP 2022-500099	Register Global Dossier
JP 7595055 B2	JP 2022-500099	Register Global Dossier
KR 2022061945 A	KR 2022-7002902	Register Global Dossier
TW I748541 B	TW 2020-122116	Register
US 11286268 B1	US 2020-16916820	Register Global Dossier
US 20230108594 A1	US 2022-17587185	Register Global Dossier
WO 2021003157 A1	WO 2020-US40299	Register Global Dossier

L8 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#)

AN 2010:995775 CAPLUS [Full-text](#)
DN 153:278087
TI Novel use of pyridopyrimidin-4-one derivatives having V1b receptor
antagonistic effects
IN Kuwada, Takeshi; Nozawa, Dai; Ishizaka, Tomoko; Yoshinaga, Mitsukane;
Yoshikawa, Kensei
PA Taisho Pharmaceutical Co., Ltd., Japan
UO TAISHO PHARMACEUTICAL HOLDINGS CO LTD
UOS Taisho Pharmaceutical
SO Jpn. Kokai Tokkyo Koho, 202 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PPPI

PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
JP 2010173974	A	20100812	Japanese	PDF

PI

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2010173974	A	20100812	JP 2009-18891	20090130

PRAI JP 2009-18891 20090130

PSPI

PATENT NO.	KIND	STATUS	STATUS DATE
JP 2010173974	A	Alive	20201121

OS CASREACT 153:278087; MARPAT 153:278087
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
JP 2010173974 A	JP 2009-18891	Register Global Dossier

L8 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2026 ACS on STN

[PatentPak PDF](#)

AN 2009:139429 CAPLUS [Full-text](#)
DN 150:214409
TI Preparation of pyridopyrimidin-4-one derivatives as arginine vasopressin
1b receptor antagonists for treatment of depression, anxiety, Alzheimer's

disease, etc.
IN Kuwada, Takeshi; Nozawa, Dai; Ishizaka, Tomoko; Yoshinaga, Mitsukane;
Yoshikawa, Kensei
PA Taisho Pharmaceutical Co., Ltd., Japan
UO TAISHO PHARMACEUTICAL HOLDINGS CO LTD
UOS Taisho Pharmaceutical
SO PCT Int. Appl., 301pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1
PPPI

	PATENT NO.	KIND	DATE	LANGUAGE	PatentPak
	WO 2009017236	A1	20090205	Japanese	PDF

PI	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	WO 2009017236	A1	20090205	WO 2008-JP63916	20080801
	JP 2010229035	A	20101014	JP 2008-51182	20080229
PRAI	JP 2007-201246	A	20070801		
	JP 2008-51182	A	20080229		

PSPI	PATENT NO.	KIND	STATUS	STATUS DATE
	WO 2009017236	A1	Dead	20201201
	JP 2010229035	A	Alive	20201121

OS CASREACT 150:214409; MARPAT 150:214409
OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LINK INFORMATION

PUBLICATIONS	APPLICATIONS	REGISTER
JP 2010229035 A	JP 2008-51182	Register Global Dossier
WO 2009017236 A1	WO 2008-JP63916	Register Global Dossier

=>



<SIMILARITY SEARCH (all results): WO2021/102288>

Patent families (FamPat) - 22869 results

Non-patent literature (NPL)

Select							
#	☐			Pub. No.	Title	Earliest Prio. Date	Current Assignee
1				US20230295152	Pyridopyrimidinone derivatives as ahr antagonists	2019-11-22	SAIL BIOMEDICINES 100 %
2				US20230381182	Biomarkers Related to Immune Checkpoint Inhibitor Therapy and Methods of Using the Same	2020-10-13	SAIL BIOMEDICINES 90 %
3				EP1670787	Cytokine inhibitors	2003-09-11	CATALANO & CATALANO 85 %
4				EP3508204	Quinazolin-8-yl derivatives for use in methods of treating melanoma, non-small cell lung cancer, thyroid cancer, ovarian cancer, or colon cancer	2013-08-23	NEUPHARMA 85 %
5				US8912184	Therapeutic and diagnostic methods	2010-03-01	SUNFLOWER RESEARCH 84 %
6				EP2322523	Process for the preparation of Pyridopyrimidinone Inhibitors of PI3Kalpha	2005-10-07	EXELIXIS 84 %
7				EP1537084	Substituted 2-alkylamine nicotinic amide derivatives and use there of	2001-01-12	AMGEN 84 %
8				US10450318	CXCR4 receptor antagonists	2015-12-15	BRISTOL MYERS SQUIBB 84 %
9				US8129395	4,5-disubstituted-2-aryl pyrimidines	2004-05-08	NOVARTIS INTERNATIONAL PHARMACEUTICAL 84 %
10				EP3092226	Indazole compounds as irak4 inhibitors	2014-01-10	AURIGENE DISCOVERY TECHNOLOGIES 84 %
11				US11142527	Dihydropyrimidine compounds and uses thereof in medicine	2017-06-26	SUNSHINE LAKE PHARMA 84 %
12				EP1397348	Factor xa inhibitor	1999-06-14	ELI LILLY 84 %
13				US8188113	Dihydropyridopyrimidinyl, dihydronaphthydinyl and related compounds useful as kinase inhibitors for the treatment of proliferative diseases	2006-09-14	DECIPHERA PHARMACEUTICALS 84 %
14				US20230147257	Pyridopyrimidinone derivatives and their use as aryl hydrocarbon receptor modulators	2020-04-17	DONG A 84 %
15				EP3853225	N-(5-(3-(1-((thiazol-2-yl)amino)-1-oxopropan-2-yl)phenyl)pyridin-2-yl)acrylamide derivatives as cdk7 inhibitors for the treatment of cancer	2018-09-17	YUNGJIN PHARM 84 %
16				EP3642202	Dihydro-pyrrolo-pyridine derivatives	2017-06-22	PFIZER 83 %
17				US8580968	Benzothiazole and benzoxazole derivatives and methods of use	2007-12-20	ABBVIE 83 %
18				EP2758051	Cyanomethylpyrazole carboxamides as janus kinase inhibitors	2011-09-22	INTERVET INC PHARMARON BEIJING 83 %
19				EP2357182	Inhibitors of tyrosine kinases	2002-07-05	NOVARTIS NOVARTIS PHARMA 83 %
20				EP3555095	Inhibitors of influenza virus replication and uses thereof	2016-12-15	SUNSHINE LAKE PHARMA 83 %
21				EP2771337	3-(pyrimidin-4-yl)-oxazolidin-2-ones as inhibitors of mutant idh	2011-09-27	NOVARTIS 83 %
22				EP3740468	Substituted aminopyrimidine compounds and methods of use	2018-01-20	SUNSHINE LAKE PHARMA 83 %
23				EP1212327	Pyrazolopyrimidines as therapeutic agents	1999-09-17	ABBVIE 83 %
24				EP3805233	(r) and (s) enantiomers of n-(5-(3-hydroxypyrrolidin-1-yl)-2-morpholinooxazolo[4,5-b]pyridin-6-yl)-2-(2-methylpyridin-4-yl)oxazole-carboxamide as irak4 inhibitors for the treatment of cancer	2014-01-13	AURIGENE ONCOLOGY 83 %
25				US20230113037	[1,2,4]triazolo[1,5-c]quinazolin-5-amines	2019-08-12	DKFZ DEUTSCHES KREBSFORSCHUNGSZEN 83 %



<SIMILARITY SEARCH (all results): WO2021/102288>

Patent families (FamPat) - 22869 results

Non-patent literature (NPL)

Select						
#			Pub. No.	Title	Earliest Prio. Date	Current Assignee
26			EP3265456	Fluorinated lysyl oxidase-like 2 inhibitors and uses thereof	2015-03-06	PHARMAKEA 83 %
27			EP4480477	Pharmaceutical composition for treating cancer, comprising anticancer agent and novel compound having inhibitory activity with respect to prostaglandin e2 receptors	2022-02-15	KANAPH THERAPEUTICS 83 % KOREA RESEARCH INSTITUTE CHEMICAL TECHNOLOGY
28			EP3609883	Fluorine-substituted indazole compounds and uses thereof	2017-04-11	SUNSHINE LAKE PHARMA 83 %
29			EP3166945	Novel triazolopyrimidinone or triazolopyridinone derivatives, and use thereof	2014-07-11	ST PHARM 83 %
30			EP3704108	Irak4 inhibitor in combination with a bcl-2 inhibitor for use in treating cancer	2017-10-31	CURIS 83 %
31			US12304907	Compounds and methods of use	2020-07-31	TANGO THERAPEUTICS 83 %
32			EP2719698	Methods for preparing aurora kinase inhibitors	2004-05-14	MILLENNIUM PHARMACEUTICALS 83 %
33			EP3901147	Acylamino bridged heterocyclic compound, and composition and application thereof	2019-01-25	BEIJING SCITECH MQ PHARMACEUTICALS 82 %
34			US7332497	Pyrazolopyrimidines as therapeutic agents	2001-03-21	INFINEON TECHNOLOGIES 82 %
35			EP3848035	1,4-disubstituted pyridazine compounds for treating huntington's disease	2015-12-10	PTC THERAPEUTICS 82 %
36			US20080064729	Phenethylamide derivatives with kinase inhibitory activity	2006-09-07	MILLENNIUM PHARMACEUTICALS 82 %
37			US20230150970	Aminopyrimidine derivatives and their use as aryl hydrocarbon receptor modulators	2020-03-27	DONG A 82 %
38			EP3115361	Heterocyclic compounds, and preparation method and use thereof	2014-03-07	SHANGHAI INSTITUTE OF MATERIA MEDICA - CHINESE ACADEMY OF SCIENCES 82 % SUZHOU VIGONVITA LIFE SCIENCES TOPHARMAN SHANDONG
39			EP3350165	Farnesoid x receptor agonists and uses thereof	2015-09-16	ELI LILLY 82 %
40			EP2592073	Human protein tyrosine phosphatase inhibitors and their use	2006-06-27	EYEPOINT PHARMACEUTICALS 82 %
41			US8889673	Triazolopyridine JAK inhibitor compounds and methods	2008-06-20	GENENTECH 82 %
42			EP3704107	Multicyclic compounds as farnesoid x receptor modulators	2017-11-01	BRISTOL MYERS SQUIBB 82 %
43			US8476294	1H-imidazo[4,5-c]quinolinone derivatives	2009-06-04	NOVARTIS 82 %
44			EP3303326	Heterocyclic estrogen receptor modulators and uses thereof	2015-05-26	HOFFMANN LA ROCHE 82 %
45			EP3762364	Pyrrolidineamide derivatives and uses thereof	2018-03-08	SUNSHINE LAKE PHARMA 82 %
46			EP3204382	Heteroaryl compounds as btk inhibitors and uses thereof	2014-10-06	MERCK 82 %
47			US9586952	Polycyclic estrogen receptor modulators and uses thereof	2013-03-14	SERAGON PHARMACEUTICALS 82 %
48			US11673894	Imidazopyrimidines and triazolopyrimidines as A2A / A2B inhibitors	2018-02-27	INCYTE 82 %



PatBase Export

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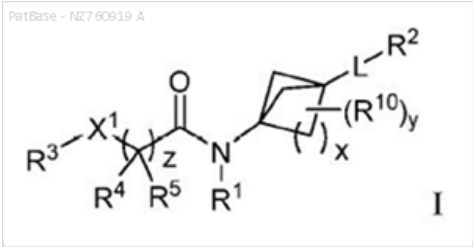
Records: 41 (20-60 of 131)
Export type: Family Export

1. Family 73175551 (US2021147435 AA)

[View in PatBase](#)

Title
[EN] COMPOUNDS, COMPOSITIONS AND METHODS

Abstract
[EN] The present disclosure relates generally to eukaryotic initiation factor 2B modulators, or a pharmaceutically acceptable salt, stereoisomer, mixture of stereoisomers or prodrug thereof, and methods of making and using thereof.



International Class: A61K31/41; A61K31/4192; A61K31/4196; A61K31/42; A61P25/00; A61P25/16; A61P25/28; C07D231/12; C07D233/36; C07D233/42; C07D233/64; C07D249/04; C07D249/06; C07D249/08; C07D257/04; C07D261/04; C07D261/08; C07D263/32; C07D263/38; C07D263/56; C07D271/06; C07D271/10; C07D291/04; C07D401/12; C07D403/04; C07D403/12; C07D413/04; C07D413/06; C07D413/12; C07D417/04; C07D417/12; C07D471/04; C07D487/04; C07D491/048; C07C211/38; C07C217/52; C07C233/05; A61K31/4164; A61K31/4245; A61K31/428; A61K31/437; A61K31/4439; A61K31/454; A61K31/4709; A61K31/4725; A61K31/498; A61K31/502; A61K31/517; A61K31/519; A61K31/5377; C07D271/107; A61K31/423; C07D231/10; C07D233/06; C07D233/56; C07D263/04; C07D405/08; C07D491/044; C07D233/61; C07D263/22; C07F7/18; C07C235/14; C07C235/22; C07C271/24; C07D207/27; C07D411/04; C07C; A61K31/415; A61K31/421; A61K31/165; A61K31/27; A61K31/4015; A61K31/4178; A61K31/422; A61K31/5025; A61K31/506; A61P21/00; A61P25/02; A61P25/14; A61P25/18; A61P3/10; A61P43/00; C07C237/04; C07D207/26; C07D207/38; C07D263/20; C07D271/113; A61K31/395; A61K31/417; A61P31/00; A61P7/06; C07D413/08; A61K31/407; A61K31/4166; A61K31/438; A61K31/497; C07D257/06; C07D263/14; C07D263/58

Cooperative Patent Class: A61K31/415; A61K31/4164; A61K31/421; A61K31/4245; A61P25/00; A61P25/16; A61P25/28; C07B2200/07; C07C235/14; C07C235/22; C07C2602/38; C07C271/24; C07D207/27; C07D207/38; C07D231/12; C07D233/36; C07D233/42; C07D233/61; C07D233/64; C07D249/04; C07D249/06; C07D249/08; C07D257/04; C07D261/04; C07D261/08; C07D263/20; C07D263/22; C07D263/32; C07D263/38; C07D263/56; C07D271/06; C07D271/10; C07D285/12; C07D291/04; C07D401/12; C07D403/04; C07D403/12; C07D411/04; C07D413/04; C07D413/06; C07D413/10; C07D413/12; C07D417/04; C07D417/12; C07D471/04; C07D487/04; C07D491/048; C07F7/1804; A61K31/41; A61K31/428; A61K31/437; A61K31/454; A61K31/498; A61K31/502; A61K31/517; A61K31/519

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR112469 AA	20191030	AR2018P102270	20180809
AU2018313850 AA	20200206	AU20180313850	20180808
AU2018313850 BB	20221222	AU20180313850	20180808
AU2023201775 AA	20230420	AU20230201775	20230322
AU2025200658 AA	20250220	AU20250200658	20250131
BR112020001591 A2	20200721	BR202011001591	20180808
BR112020001591 B1	20240806	BR202011001591	20180808
BR122022024908 A0	20230124	BR202212024908	20180808
BR122022024908 B1	20241001	BR202212024908	20180808
CA3071024 AA	20190214	CA20183071024	20180808
CL2020000299 A1	20200724	CL20200000299	20200203
CN111094233 A	20200501	CN201880055607	20180808
CN111094233 B	20240315	CN201880055607	20180808
CN118239937 A	20240625	CN202410183135	20180808
CN118239938 A	20240625	CN202410187269	20180808
CO20200001220 A2	20200218	CO20200001220	20200203
CR202000054 A	20200321	CR20200000054	20180808
EA202090138 A1	20200701	EA20200090138	20180808
EP3665156 A1	20200617	EP20180844666	20180808
EP3665156 A4	20210428	EP20180844666	20180808
HK40029587 A1	20210219	HK20206019138	20201028
HK40029587 B	20241018	HK20206019138	20201028

Patent Number	Publication Date	Application Number	Application Date
HK40031770 A1	20210312	HK20206022182	20201216
ID2020PID03348 A	20201023	ID2020P0001561	20180808
IL272089 A1	20230501	IL20180272089	20180808
IL272089 AA	20200331	IL20180272089	20180808
IL272089 B1	20230501	IL20200272089	20200116
IL272089 B2	20230901	IL20180272089	20180808
IL301709 A1	20250301	IL20180301709	20180808
IL301709 AA	20230501	IL20180301709	20180808
IL301709 B1	20250301	IL20230301709	20230327
IL301709 B2	20250701	IL20180301709	20180808
IN202017003466 A	20200228	IN202017003466	20200127
IN525446 B	20240322	IN202017003466	20200127
JP2020530446 T2	20201022	JP20200505383T	20180808
JP2023052166 A2	20230411	JP20220211691	20180808
JP2025031810 A2	20250307	JP20240223976	20241219
KR102757890 B1	20250123	KR20207005952	20180808
KR20200037830 A	20200409	KR20207005952	20180808
KR20250017299 A	20250204	KR20257001611	20180808
MA49858 A	20210428	MA20180049858	20180808
MX2020001352 A1	20200320	MX20200001352	20180808
MX2023003989 A1	20230424	MX20230003989	20200131
MX402135 B	20230426	MX20200001352	20180808
MY201438 A	20240222	MY20200000561	20180808
NZ760919 A	20240223	NZ20180760919	20180808
NZ760919 B	20240524	NZ20180760919	20180808
PE20200834 A1	20200813	PE20200000174	20180808
PH2020550028 A	20210208	PH20200550028	20180808
PH2020550028 B	20240524	PH20200550028	20180808
SA520411222 B1	20231211	SA20200411222	20200202
SG11202000532S A1	20200227	SG20201100532	20180808
TH2001000623 A	20230724	TH20201000623	20180808
TW201920115 A	20190601	TW20180127864	20180809
US11236100 BB	20220201	US20200637718	20180808
US11851440 BB	20231226	US20210531039	20211119
US2021147435 AA	20210520	US20200637718	20180808
US2022411433 AA	20221229	US20210531039	20211119
US2025388595 AA	20251225	US20250014044	20250108
VN10047595 B	20250625	VN20200000676	20180808
VN112803 A	20250725	VN20240003175	20180808
VN71398 A	20200727	VN20200000676	20180808
WO19032743 A1	20190214	WO2018US45868	20180808

Priority Numbers: US20170543307P [20170809]; US20170553728P [20170901]; US20170608504P [20171220]; WO2018US45868 [20180808]; AU20230201775 [20230322]; AU20180313850 [20180808]; AU20250200658 [20250131]; BR202011001591 [20180808]; US20170543307P [20170808]; CN201880055607 [20180808]; US 20170553728P [20170901]; JP20200505383T [20180808]; JP20200505383 [20180808]; JP20220211691 [20221228]; JP20220211691 [20180808]; JP20240223976 [20241219]; KR20207005952

[20180808]; MX20200001352 [20200131]; US20180637718 [20180808]; US20210531039 [20211119]; US20200637718 [20200207]; US20240750337 [20240621]; US20250014044 [20250108]; US20230504101 [20231107]

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Assignees: DENALI THERAPEUTICS INC

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Inventors: REMARCHUCK TRAVIS; HALE CHRISTOPHER; DE VICENTE FIDALGO JAVIER; SWEENEY ZACHARY K; OSIPOV MAKSIM; LEXA KATRINA W; FOX BRIAN; FENG JIANWEN A; ESTRADA ANTHONY A; CRAIG II ROBERT A; REMARCHUK TRAVIS; HALE CHRISTOPHER R H; ROBERT A II CRAIG; ANTHONY A ESTRADA; JIANWEN A FENG; BRIAN FOX; CHIRSTOPHER R H HALE; KATRINA W LEXA; MAKSIM OSIPOV; TRAVIS REMARCHUCK; ZACHARY K SWEENEY; JAVIER DE VICENTE FIDALGO; CRAIG ROBERT A II; FOX BRIAN M; CRAIG ROBERT A; CHRISTOPHER R H HALE; TRAVIS REMARCHUK; SWEENEY ZACHARY KEVIN; ROBERT A CRAIG II; ANTONHY A ESTRADA; I I CRAIG ROBERT A

Non Std Inventors: BRIAN M FOX; HALE CHRISTOPHER RH; CRAIG ROBERT A II R A; ESTRADA ANTHONY A A A; FENG JIANWEN A J A; FOX BRIAN B; HALE CHRISTOPHER R H C R H; LEXA KATRINA W K W; OSIPOV MAKSIM M; REMARCHUK TRAVIS T; SWEENEY ZACHARY K Z K; DE VICENTE FIDALGO JAVIER J; CRAIG; ESTRADA; FENG; HALE; LEXA; SWEENEY; ROBERT A I I CRAIG; DE VICENTE FID ALGO JAVIER

Agent(s): FPA PATENT ATTORNEYS PTY LTD; DANNEMANN SIEMSEN BIGLER AND IPANEMA MOREIRA; SMART AND BIGGAR LLP; SMART AND BIGGAR LP; SILVA Y CIA; WISE DANIEL JOSEPH; CARPMAELS AND RANSFORD LLP; SIT FUNG KWONG AND SHUM; RISTI WULANSARI SH; REINHOLD COHN AND PARTNERS; ALONSO CAMARGO SORDO; SERGIO LUIS OLIVARES LOBATO; DANIEL SANCHEZ Y BEJAR; SHEPPARD MULLIN RICHTER AND HAMPTON LLP; SHEPPARD MULLIN RICHTER AND HAMPTON LLP; CONG TY TNH TAM NHIN VA LIEN DANH; VISION AND ASSOCIATES CO LTD; VISION AND ASSOCIATES COLTD; TANNER LORNA L ET AL; SHEPPARD MULLIN RICHTER AND HTON LLP

Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

2. Family 72370823 (US2022002286 AA)

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Title
[EN] THERAPEUTIC COMPOUNDS

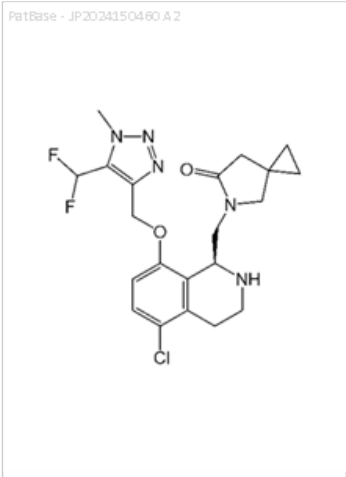
Abstract
[EN] The present invention relates to compounds that are Nrf2 activators. The compounds have the structural formula I defined herein. The present invention also relates to processes for the preparation of these compounds, to pharmaceutical compositions comprising them, and to their use in the treatment of diseases or disorders associated with Nrf2 activation.

International Class: A61K31/4725; C07D403/14; C07D413/14; C07D417/14; C07D471/04; A61P1/00; A61P1/04; A61P1/16; A61P11/00; A61P11/06; A61P13/12; A61P17/00; A61P17/06; A61P21/00; A61P25/00; A61P25/14; A61P25/16; A61P25/28; A61P29/00; A61P3/04; A61P3/10; A61P35/00; A61P37/00; A61P5/50; A61P7/06; A61P9/00; A61P9/04; A61P9/10; A61P9/12; C07D401/14; C07D405/14; C07C233/69; C07C233/78; C07C275/40; C07D213/63; C07D235/30; A61K31/472; A61K31/501; A61K31/506; A61P11/16; A61P19/02; A61P21/02; A61P27/02; A61P3/00; A61P3/06; A61P31/12; A61P37/08; A61P43/00; A61P7/00; A61P9/06; A61K31/5355; A61K31/5383; C07D407/14; C07D487/04; C07D498/04; A61K31/00; C07D403/00; C07D413/00; C07D417/00; C07D471/00

Cooperative Patent Class: A61K31/4725; A61P1/00; A61P1/04; A61P1/16; A61P11/00; A61P11/06; A61P13/12; A61P17/00; A61P17/06; A61P21/00; A61P25/00; A61P25/14; A61P25/16; A61P25/28; A61P29/00; A61P3/04; A61P3/10; A61P35/00; A61P37/00; A61P5/50; A61P7/06; A61P9/00; A61P9/04; A61P9/10; A61P9/12; C07D401/14; C07D403/14; C07D405/14; C07D413/14; C07D417/14; C07D471/04; C07D487/04; C07D498/04

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2019364717 AA	20210603	AU20190364717	20191022
AU2019364717 BB	20230720	AU20190364717	20191022
BR112021007513 A2	20210727	BR202111007513	20191022
CA3114859 AA	20200430	CA20193114859	20191022
CN112996785 A	20210618	CN201980071533	20191022
CN112996785 B	20241206	CN201980071533	20191022
CN119569706 A	20250307	CN202411748927	20191022
DE602019035219 D1	20230907	DE201960035219T	20191022
DE602019070146 D1	20250528	DE201960070146T	20191022
DK3870578 T3	20231113	DK20190795615T	20191022
EA202191103 A1	20210907	EA20210091103	20191022
EP3870578 A1	20210901	EP20190795615	20191022
EP3870578 B1	20230816	EP20190795615	20191022
EP4252755 A2	20231004	EP20230191389	20191022
EP4252755 A3	20231108	EP20230191389	20191022
EP4252755 B1	20250514	EP20230191389	20191022
ES2963613 T3	20240401	ES20190795615T	20191022
ES3038206 T3	20251010	ES20230191389T	20191022
FI3870578 T3	20231103	FI20190795615T	20191022
GB201817193 A0	20181205	GB20180017193	20181022
GB201907674 A0	20190717	GB20190007674	20190530
HK40056262 A1	20220325	HK20216043966	20211208
HK40056262 B	20250801	HK20216043966	20211208
HK40101413 A1	20240517	HK20244089536	20240402
HK40101413 B	20251219	HK20244089536	20240402
HR20231306 T1	20240202	HR20230001306	20191022



Patent Number	Publication Date	Application Number	Application Date
HU064111 T2	20240228	HU20190795615T	20191022
ID2021PID07288 A	20210830	ID2021P0003623	20191022
IL282330 A1	20240901	IL20190282330	20191022
IL282330 AA	20210531	IL20190282330	20191022
IL282330 B1	20240901	IL20210282330	20210414
IL282330 B2	20250101	IL20190282330	20191022
IN202117020336 A	20211022	IN202117020336	20210504
IN565803 B	20250502	IN202117020336	20210504
JP2022514437 T2	20220210	JP20210546488T	20191022
JP2024150460 A2	20241023	JP20240102702	20240626
JP7561742 B2	20241004	JP20210546488T	20191022
JP7719250 B2	20250805	JP20240102702	20191022
KR102825045 B1	20250624	KR20217015269	20191022
KR20210080474 A	20210630	KR20217015269	20191022
LT3870578 T	20231211	LT2019GB053012T	20191022
MK13929 T1	20250228	MK20230000541T	20231107
MX2021004566 A1	20210608	MX20210004566	20191022
MX409726 B	20240117	MX20210004566	20191022
MY207469 A	20250227	MY20210002145	20191022
NZ775813 A	20210528	NZ20190775813	20191022
PH2021550872 A	20211206	PH20210550872	20210419
PL3870578 T3	20240304	PL20190795615T	20191022
PT3870578 T	20231115	PT20190795615T	20191022
RS64836 B1	20231229	RS20230001069	20191022
SG11202103253Y A1	20210528	SG20211103253	20191022
SI3870578 T1	20240229	SI20190030662T	20191022
SMT202300392 T1	20240110	SM20230000392T	20191022
TR2023015084 T4	20231221	TR20230015084T	20191022
TR2025010721 T4	20250821	TR20250010721T	20191022
US12098148 BB	20240924	US20210287942	20191022
US2022002286 AA	20220106	US20210287942	20191022
US2025084075 AA	20250313	US20240800647	20240812
WO20084300 A1	20200430	WO2019GB53012	20191022

Priority Numbers: GB20180017193 [20181022]; GB20190007674 [20190530]; WO2019GB53012 [20191022]; CN201980071533 [20191022]; EP20190795615 [20191022]; EP20230191389 [20191022]; JP20210546488T [20191022]; JP20210546488 [20191022]; JP20240102702 [20240626]; US20210287942 [20210422]; US20240800647 [20240812]

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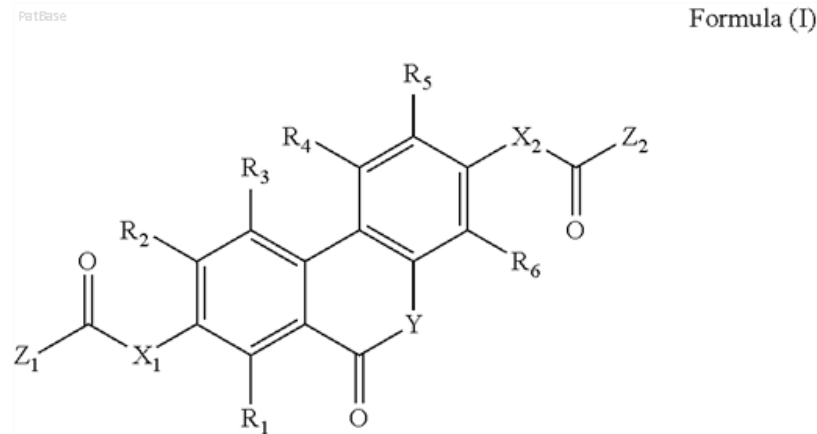
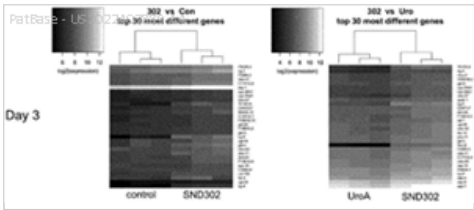
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3. Family 76962230 (US2022402889 AA)

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Title
[EN] NOVEL HETEROCYCLIC COMPOUNDS

Abstract
[EN] The present invention concerns compounds of Formula (1), pharmaceutically acceptable salts, solvates or prodrugs thereof, pharmaceutical compositions comprising the same, and the use of the same in treating or preventing a disease.



International Class: A61K31/352; A61P3/00; C07D311/80; A61K31/366; C07D221/06; C07D335/04

Cooperative Patent Class: A61P3/00; C07D221/06; C07D311/80; C07D335/04

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2020371203 AA	20220526	AU20200371203	20201021
AU2020371203 BB	20251113	AU20200371203	20201021
CA3158385 AA	20210429	CA20203158385	20201021
EP4048660 A1	20220831	EP20200796759	20201021
GB201915191 A0	20191204	GB20190015191	20191021
HK40079682 A1	20230421	HK20236068777	20230221
NZ787937 A	20220527	NZ20200787937	20201021
US12264142 BB	20250401	US20220755103	20201021
US2022402889 AA	20221222	US20220755103	20201021
WO21078811 A1	20210429	WO2020EP79653	20201021

Priority Numbers: GB20190015191 [20191021]; WO2020EP79653 [20201021]

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Agent(s): MINTER ELLISON; OYEN WIGGS GREEN AND MUTALA LLP; RUSSELL TIM; VENNER SHIPLEY LLP; LETTERS AND THOO LTD; MINTER ELLISON SYD PATENTS; HUESCHEN AND SAGE; HUESCHEN AND SAGE; RUSSELL TIM ET AL; VENNER SHIPLEY LLP

Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG;

CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; WS; ZA; ZM; ZW

4. Family 70645634 (US2019337915 AA)

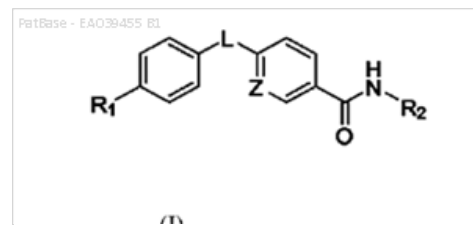
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Title

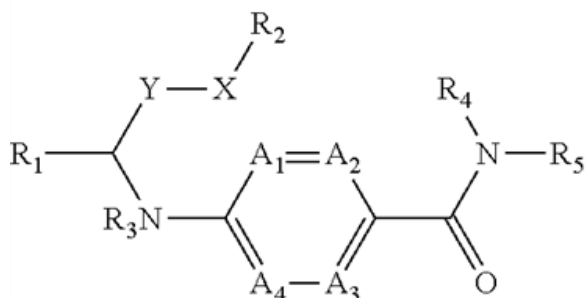
[EN] AMIDE COMPOUNDS AND USE THEREOF

Abstract

[EN] Disclosed are compounds of formula (I) below and pharmaceutically acceptable salts thereof: (I), in which each of variables R₁, R₂, R₃, R₄, R₅, A₁, A₂, A₃, A₄, X and Y is defined herein. Also disclosed are methods for reducing the glycemic level and treating glucagon-associated disorders with a compound of formula (I) or a salt thereof and a pharmaceutical composition containing same.



PatBase



(I)

International Class: A61K31/165; A61K31/41; A61K31/4245; C07D271/00; C07D271/02; C07D271/10; A61K31/4439; C07D271/107; C07D413/04; A61P3/10; C07D263/54; C07D277/62; C07D413/12; C07D417/12; C07D; A61K31/428; C07D263/56; C07D271/06; C07D277/64; A61K31/423; A61P43/00; C07D417/04; C07D263/57; C07D277/66; A61K31/00; A61K31/195; A61K31/343; A61K31/44; A61K31/4427; A61K31/497; C07C237/36; C07D213/63; C07D213/81; C07D257/04; C07D271/113; C07D307/78; C07D405/12; C07D405/14; C07C233/92; C07D209/08; C07D213/90; C07D237/14; C07D239/34; C07D271/07; C07D307/79; C07D401/12; C07D213/56; C07D231/18; C07D233/92; C07D307/81; C07D333/60; C07D401/04; C07D403/04; C07D405/04; C07D471/04; C07D491/04; A61K31/16; A61K31/395; C07C49/20; C07D257/02

Cooperative Patent Class: A61K31/4245; A61K31/428; A61P3/10; A61P43/00; C07C233/92; C07D209/08; C07D213/56; C07D213/90; C07D231/18; C07D237/14; C07D239/34; C07D257/04; C07D263/56; C07D263/57; C07D271/06; C07D271/07; C07D271/10; C07D271/107; C07D271/113; C07D277/64; C07D277/66; C07D307/79; C07D307/81; C07D333/60; C07D401/04; C07D401/12; C07D403/04; C07D405/04; C07D405/12; C07D405/14; C07D413/04; C07D413/12; C07D417/04; C07D417/12; C07D471/04; C07D491/04; A61K31/165; C07C49/20

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2018212473 AA	20190718	AU20180212473	20180122
AU2018212473 BB	20200611	AU20180212473	20180122
BR112019015191 A2	20200324	BR201911015191	20180122
BR112019015191 B1	20251104	BR201911015191	20180122
CA3048911 AA	20190627	CA20183048911	20180122
CA3048911 C	20211109	CA20183048911	20180122
CN110234318 A	20190913	CN201880006999	20180122
DE602018029536 D1	20220127	DE201860029536T	20180122
DK3573611 T3	20220411	DK20180745107T	20180122
EA039455 B1	20220128	EA20190091570	20180122
EA201991570 A1	20191230	EA20190091570	20180122
EP3573611 A1	20191204	EP20180745107	20180122
EP3573611 A4	20200909	EP20180745107	20180122
EP3573611 B1	20220112	EP20180745107	20180122
ES2907746 T3	20220426	ES20180745107T	20180122

Patent Number	Publication Date	Application Number	Application Date
FI3573611 T3	20220315	FI20180745107T	20180122
HK40007074 A1	20200529	HK20190130543	20191008
HR20220232 T1	20220513	HR20220000232	20180122
HU058153 T2	20220728	HU20180745107T	20180122
ID201907660 A	20191025	ID2019P0005843	20180122
ID79231 B	20211004	ID2019P0005843	20180122
IL267937 A0	20190926	IL20180267937	20180122
IL267937 A1	20220901	IL20180267937	20180122
IN201917026332 A	20190823	IN201917026332	20190701
IN381769 B	20211119	IN201917026332	20190701
JP2020506226 T2	20200227	JP20190560050T	20180122
JP6937524 B2	20210922	JP20190560050T	20180122
KR102378883 B1	20220325	KR20197021531	20180122
KR20190099048 A	20190823	KR20197021531	20180122
LT3573611 T	20220325	LT20180014621T	20180122
MX2019008728 A1	20190918	MX20190008728	20190723
MX390507 B	20250320	MX20190008728	20190723
NZ755328 A	20210625	NZ20180755328	20180122
NZ755328 B	20210928	NZ20180755328	20180122
PH2019501566 A	20200309	PH20190501566	20180122
PH2019501566 B	20240214	PH20190501566	20180122
PL3573611 T3	20220613	PL20180745107T	20180122
PT3573611 T	20220315	PT20180745107T	20180122
RS63068 B1	20220429	RS20220000300	20180122
SA519402283 B1	20221225	SA20190402283	20190723
SG11201905965Q A1	20190827	SG20191105965	20180122
SI3573611 T1	20220531	SI20180030629T	20180122
TH1901004472 A	20231016	TH20191004472	20180122
TR2022003884 T4	20220421	TR20220003884T	20180122
TW201838967 A	20181101	TW20180102334	20180123
TW201838977 A	20181101	TW20180102333	20180123
TWI676621 B	20191111	TW20180102333	20180123
TWI753995 B	20220201	TW20180102334	20180123
US10882837 BB	20210105	US20180475900	20180122
US11384060 BB	20220712	US20190477072	20180122
US2019337915 AA	20191107	US20190477072	20180122
US2019345118 AA	20191114	US20180475900	20180122
VN10035174 B	20230425	VN20190004035	20180122
VN67293 A	20191225	VN20190004035	20190724
WO18140338 A1	20180802	WO2018US14621	20180122
WO18140339 A1	20180802	WO2018US14632	20180122
ZA201904383 A	20201223	ZA20190004383	20190703

Priority Numbers: US20170449620P [20170124]; WO2018US14621 [20180122]; EP20180745107 [20180122]; US20180475900 [20180122]; WO2018US14632 [20180122]; US20180477072 [20180122]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

5. Family 75512115 (US2020369655 AA)

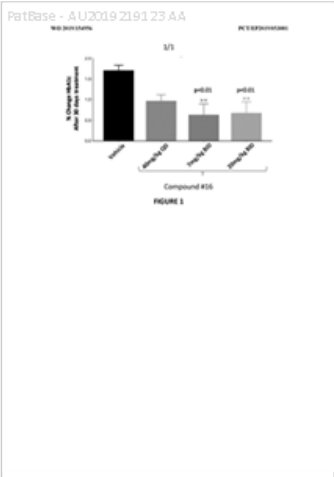
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Title
[EN] NON-FUSED THIOPHENE DERIVATIVES AND THEIR USES

Abstract
[EN] The present invention relates to a new class of non-fused thiophene derivatives and their uses for treating diseases such as infection, cancer, metabolic diseases, cardiovascular diseases, iron storage disorders and inflammatory disorders.

International Class: A61K31/381; A61P3/00; A61P31/04; A61P31/12; A61P35/00; C07D233/38; A61K31/397; A61K31/4025; A61K31/427; A61K31/4436; A61K31/537; A61K31/5377; A61P25/28; A61P29/00; A61P31/00; A61P9/00; C07D333/38; C07D409/12; C07D413/12; C07D417/12; C07D498/08; A61K31/506; A61K31/538; A61K31/351; A61P3/08; C07D333/04; C07D409/04

Cooperative Patent Class: A61K31/381; A61K31/397; A61K31/506; A61K31/5377; A61K31/538; A61P25/28; A61P29/00; A61P3/00; A61P3/08; A61P31/04; A61P31/12; A61P35/00; A61P9/00; C07D233/38; C07D333/04; C07D333/38; C07D409/04; C07D409/12; C07D413/12; C07D417/12



Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2019219123 AA	20200806	AU20190219123	20190208
AU2019219123 BB	20221208	AU20190219123	20190208
BR112020015743 A2	20201215	BR202011015743	20190208
CA3087830 AA	20190815	CA20193087830	20190208
CN111936471 A	20201113	CN201980012287	20190208
CN111936471 B	20241029	CN201980012287	20190208
CO20200009106 A2	20201030	CO20200009106	20200724
EA202091897 A1	20201027	EA20200091897	20190208
EP3749649 A1	20201216	EP20190703332	20190208
EP3749650 A1	20201216	EP20190703333	20190208
HK40040264 A1	20210730	HK20216029933	20210426
ID2021PID01332 A	20210303	ID2020P0005686	20190208
IL275893 A1	20240401	IL20190275893	20190208
IL275893 AA	20200831	IL20190275893	20190208
IL275893 B1	20240401	IL20200275893	20200706
IL275893 B2	20240801	IL20190275893	20190208
IN202017033294 A	20200918	IN202017033294	20200804
JP2021514385 T2	20210610	JP20200565534T	20190208
JP7399110 B2	20231215	JP20200565534T	20190208
KR102871188 B1	20251015	KR20247027773	20190208
KR20200141026 A	20201217	KR20207023770	20190208
KR20240131460 A	20240830	KR20247027773	20190208
MX2020007917 A1	20201028	MX20200007917	20190208
MX408988 B	20231213	MX20200007917	20190208
PH2020551175 A	20210607	PH20200551175	20200803
SG11202006723S A1	20200828	SG20201106723	20190208
US11767316 BB	20230926	US20200967744	20190208
US11840527 BB	20231212	US20200967753	20190208
US2020369655 AA	20201126	US20200967753	20190208

Patent Number	Publication Date	Application Number	Application Date
US2021040059 AA	20210211	US20200967744	20190208
VN74779 A	20201225	VN20200004488	20190208
WO19154953 A1	20190815	WO2019EP53077	20190208
WO19154956 A1	20190815	WO2019EP53081	20190208

Priority Numbers: EP20180305134 [20180208]; WO2019EP53081 [20190208]; WO2019EP53077 [20190208]; KR20207023770 [20190208]

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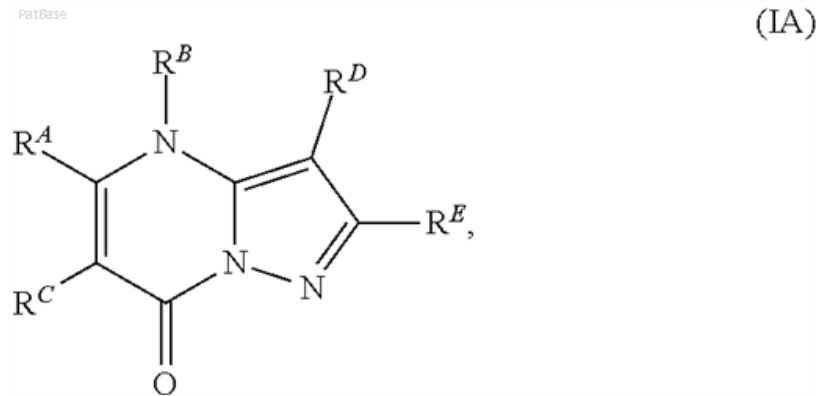
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6. Family 68804885 (US2018079753 AA)

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Title
[EN] INHIBITORS OF CELLULAR METABOLIC PROCESSES

Abstract
[EN] The present disclosure provides MAT2A inhibitor compounds that are useful as therapeutic agents for treating malignancies, and wherein the compounds conform to general formula (IA):



wherein R^A, R^B, R^C, R^D, and R^E are defined herein.

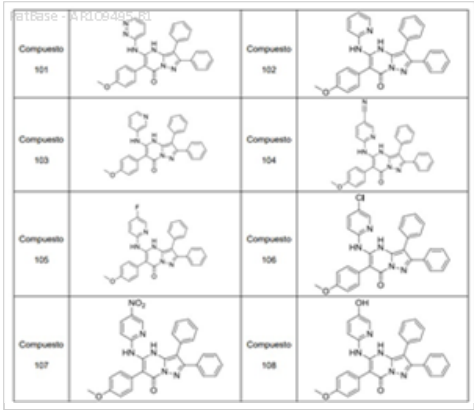
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Cooperative Patent Class: A61P35/00; C07D487/04; C07D519/00; A61K31/519; A61P35/02; A61P43/00; C07F9/141; C07F9/6561

US Class: 1/1; 514/80

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR109495 AA	20181212	AR2017P102433	20170831
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AU2017319500 AA	20190411	AU20170319500	20170830
AU2017319500 BB	20200827	AU20170319500	20170830
AU2017319500 CA	20221020	AU20170319500	20170830
AU2020277158 AA	20201224	AU20200277158	20201125
BR112019003914 A2	20190528	BR201911003914	20170830
BR112019003914 B1	20250610	BR201911003914	20170830
CA3034705 AA	20190221	CA20173034705	20170830
CA3034705 C	20210803	CA20173034705	20170830
CL2019000511 A1	20190517	CL20190000511	20190226
CL65776 B	20221027	CL20190000511	20190226
CN109890822 A	20190614	CN201780066270	20170830
CN109890822 B	20220830	CN201780066270	20170830
CO20190002237 A2	20190731	CO20190002237	20190311
CR20190157 A	20190813	CR20190000157	20170830
EA201990556 A1	20190930	EA20190090556	20170830
EP3507290 A1	20190710	EP20170764997	20170830



Patent Number	Publication Date	Application Number	Application Date
HK40011712 A1	20200717	HK20206001192	20200109
ID201907560 A	20191018	ID2019PID02432	20170830
ID82550 B	20220816	ID2019PID02432	20170830
IL265115 A0	20190430	IL20170265115	20170830
IL265115 A1	20220701	IL20170265115	20170830
IN201917010533 A	20190621	IN201917010533	20190318
IN470208 B	20231124	IN201917010533	20190318
JP2019529529 T2	20191017	JP20190531597T	20170830
JP2021073269 A2	20210513	JP20210014131	20170830
JP6832430 B2	20210224	JP20190531597T	20170830
KR102411150 B1	20220621	KR20197009218	20170830
KR20190046921 A	20190507	KR20197009218	20170830
MA46092 A	20210421	MA20170046092	20170830
MX2019002303 A1	20190715	MX20190002303	20190226
MX390277 B	20250320	MX20190002303	20190226
NI201900019 A	20190429	NI20190000019	20190226
NZ751515 A	20210226	NZ20170751515	20170830
NZ751515 B	20210527	NZ20170751515	20170830
PE20190761 A1	20190605	PE20190000437	20170830
PH2019500368 A	20191021	PH20190500368	20190221
SG11201901747V A1	20190328	SG20191101747	20170830
TH1901001065 A	20230529	TH20191001065	20170830
TW201811797 A	20180401	TW20170129811	20170831
TWI762505 B	20220501	TW20170129811	20170831
UA125852 C2	20220622	UA20190002825	20170830
US10329298 BB	20190625	US20170691408	20170830
US10800782 BB	20201013	US20180219735	20181213
US11325914 BA	20220510	US20200000411	20200824
US2018079753 AA	20180322	US20170691408	20170830
US2019233424 AA	20190801	US20180219735	20181213
USRE49934 E	20240423	US20220689209	20220308
VN10039117 B	20240325	VN20190001593	20170830
VN65594 A	20190925	VN20190001593	20190329
WO18039972 A1	20180308	WO2016CN097524	20160831
WO18045071 A1	20180308	WO2017US49439	20170830

Priority Numbers: WO2016CN097524 [20160831]; US20170548738P [20170822]; WO2016CN97524 [20160831]; WO2017US49439 [20170830]; AU20200277158 [20201125]; AU20170319500 [20170830]; JP20190531597T [20170830]; JP20210014131 [20210201]; US20180548738P [20180622]; US20170691408 [20170830]; US20180219735 [20181213]; US20200000411 [20200824]; US20220689209 [20220308]

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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

7. Family 56728546 (US2014171414 AA)

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Title
[EN] NOVEL COMPOUNDS

Abstract
[EN] Compounds of formula (I) defined herein exhibit human neutrophil elastase inhibitory properties and are useful for treating diseases and conditions in which HNE is implicated.

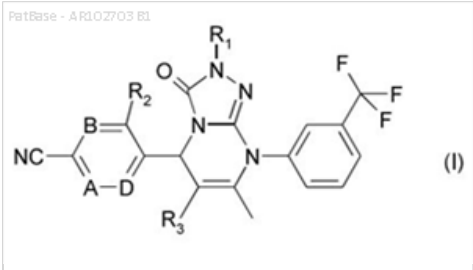
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Cooperative Patent Class: A61K9/0053; A61K9/007; A61P1/00; A61P1/04; A61P11/00; A61P11/02; A61P11/06; A61P17/00; A61P17/06; A61P29/00; A61P37/00; A61P37/08; A61P43/00; C07C309/04; C07C309/08; C07C309/20; C07C309/29; C07C47/19; C07C53/06; C07D453/02; C07D487/04; C07D487/08; C07D519/00; A61K31/519; A61K31/553

US Class: 1/1; 514/211.15; 514/233.2; 514/249; 514/252.16; 514/259.1; 540/544; 544/108; 544/263

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR102703 AA	20170322	AR2013P104772	20131216
AR102703 B1	20230317	AR2013P104772	20131216
AU2013363783 AA	20150702	AU20130363783	20131216
AU2013363783 BB	20180208	AU20130363783	20131216
BR112015014438 A2	20170711	BR201511014438	20131216
BR112015014438 B1	20230214	BR201511014438	20131216
CA2895352 AA	20150616	CA20132895352	20131216
CA2895352 C	20220517	CA20132895352	20131216
CL2015001678 A1	20151023	CL20150001678	20150616
CL58580 B	20200211	CL20150001678	20150616
CN104870449 A	20150826	CN201380065981	20131216
CN104870449 B	20181106	CN201380065981	20131216
CY1122368 T1	20200731	CY20181101168T	20181107
DE602013044599 D1	20181031	DE201360044599T	20131216
DK2935274 T3	20181126	DK20130826565T	20131216
EA028507 B1	20171130	EA20150090962	20131216
EA201590962 A1	20151030	EA20150090962	20131216
EP2935274 A1	20151028	EP20130826565	20131216
EP2935274 B1	20181003	EP20130826565	20131216
ES2700226 T3	20190214	ES20130826565T	20131216
GE20186885 B	20180810	GE20130013860	20131216
HK1212985 A1	20160624	HK20160101053	20160129
HK1212985 B	20191129	HK20160101053	20160129
HR20182007 T1	20190125	HR20180002007	20131216
ID201701056 A	20170217	ID2015P0003633	20131216
ID50806 B	20180430	ID2015P0003633	20131216
IL239447 A0	20150730	IL20130239447	20131216
IL239447 A1	20180628	IL20130239447	20131216
IN05223DN2015 A	20160101	IN2015DN05223	20150616



Patent Number	Publication Date	Application Number	Application Date
IN346313 B	20200911	IN2015DN05223	20150616
JP2016507488 T2	20160310	JP20150547060T	20131216
JP6390919 B2	20180919	JP20150547060T	20131216
KR102197721 B1	20210105	KR20157016352	20131216
KR20150095692 A	20150821	KR20157016352	20131216
LT2935274 T	20181210	LT20130826565T	20131216
MA38195 A1	20160531	MA20130038195	20131216
MA38195 B2	201711031	MA20130038195	20131216
MK9707 T1	20191031	MK20180001020T	20181205
MX2015007379 A1	20150923	MX20150007379	20131216
MX372164 B	20200311	MX20150007379	20131216
NZ709153 A	20190125	NZ20130709153	20131216
NZ709153 B	20190430	NZ20130709153	20131216
PE10842015 A1	20150807	PE20150000931	20131216
PE20151084 A1	20150807	PE20150000931	20131216
PH2015501043 A	20150727	PH20150501043	20150511
PH2015501043 B	20150727	PH20150501043	20150511
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RS58129 B1	20190228	RS20180001517	20131216
SA515360612 B1	20180104	SA20150360612	20150617
SG10201703484Y A1	20170629	SG201711003484	20131216
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TH111084 B	20250709	TH20151003353	20131216
TH158528 A	20161221	TH20151003353	20131216
TN2015000276 A1	20161003	TN20150000276	20150616
TR201819121 T4	20190121	TR20180019121T	20131216
TW201437210 A	201411001	TW20130146370	20131216
TWI675837 B	20191101	TW20130146370	20131216
UA118021 C2	20181112	UA20150005958	20131216
US2014171414 AA	20140619	US20130108904	20131217
US2016251362 AA	20160901	US20160150749	20160510
US9365577 BB	20160614	US20130108904	20131217
US9828382 BB	20171128	US20160150749	20160510
VN10021209 B	20190725	VN20150002134	20150616
VN43515 A	20150825	VN20150002134	20150616
WO14095700 A1	20140626	WO2013EP76672	20131216
ZA201504331 A	20161130	ZA20150004331	20131216

Priority Numbers: AR2013P104772 [20131216]; EP20120197767 [20121218]; EP20130158757 [20130312]; WO2013EP76672 [20131216]; EP20130826565 [20131216]; US20130108904 [20131217]; US20160150749 [20160510]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

8. Family 65978351 (US2018346479 AA)

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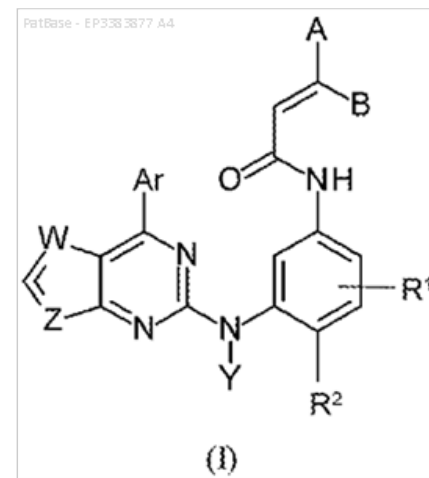
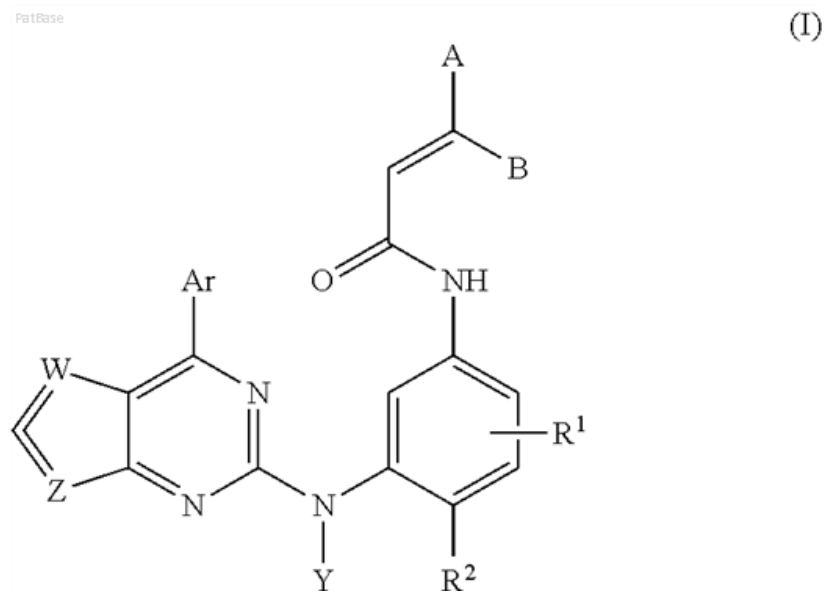
Title

[EN] HETEROCYCLE COMPOUNDS AND USES THEREOF

Abstract

[EN] Compounds having the general formula (I) and pharmaceutical compositions that can be used as Bruton's Tyrosine Kinase (BTK), ITK, JAK3, and selective mutant epidermal growth factor receptor tyrosine kinase (EGFR-TK) inhibitor and their uses in the treatment of related diseases and disorders such as cancer.

PatBase



International Class: C07D487/04; H01L51/54; C07D; H01L; A61K31/519; A61K31/5377; A61P35/00; A61P35/02; A61P43/00; C07D495/04

Cooperative Patent Class: A61P35/00; A61P35/02; A61P43/00; C07D495/04

US Class: 1/1; 514/260.1

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2016362394 AA	20180621	AU20160362394	20161202
AU2016362394 BB	20210114	AU20160362394	20161202
CA3007110 AA	20170608	CA20163007110	20161202
CA3007110 C	20250708	CA20163007110	20161202
CN108473496 A	20180831	CN201680070882	20161202
CN108473496 B	20220201	CN201680070882	20161202
DE602016063852 D1	20211007	DE201660063852T	20161202
EP3383877 A1	20181010	EP20160871542	20161202
EP3383877 A4	20190501	EP20160871542	20161202
EP3383877 B1	20210915	EP20160871542	20161202
HK1260794 A1	20191220	HK20190120834	20190312
HK1260794 B	20220408	HK20190120834	20190312
JP2019501889 T2	20190124	JP20180529028T	20161202
JP6978003 B2	20211208	JP20180529028T	20161202

Patent Number	Publication Date	Application Number	Application Date
US10844074 BB	20201124	US20160780239	20161202
US2018346479 AA	20181206	US20160780239	20161202
WO17096100 A1	20170608	WO2016US64507	20161202

Priority Numbers: US20150262390P [20151203]; WO2016US64507 [20161202]; EP20160871542 [20161202]; US20160780239 [20161202]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

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Patent Number	Publication Date	Application Number	Application Date
ECSP18090144 A	20190131	EC20180090144	20181204
EP3468974 A1	20190417	EP20170727927	20170609
EP3468974 B1	20200212	EP20170727927	20170609
ES2775789 T3	20200728	ES20170727927T	20170609
FR3052452 A1	20171215	FR20160055392	20160610
FR3052452 B1	20180622	FR20160055392	20160610
GE20207160 B	20201012	GE20170014978	20170609
HK40004049 A1	20200424	HK20190127102	20190722
HK40007201 A1	20200529	HK20190130719	20191011
HK40007201 B	20210129	HK20190130719	20191011
HR20200361 T1	20200612	HR20200000361	20170609
HU049507 T2	20200928	HU20170727927T	20170609
ID201904444 A	20190628	ID2018PID10067	20170609
IL263463 A0	20190131	IL20170263463	20170609
IL263463 A1	20210131	IL20170263463	20170609
IN201817045599 A	20190201	IN201817045599	20181203
IN400420 B	20220701	IN201817045599	20181203
JP2019517556 T2	20190624	JP20180564356T	20170609
JP6928005 B2	20210901	JP20180564356T	20170609
KR20190016106 A	20190215	KR20197000834	20170609
LT3468974 T	20200410	LT20170727927T	20170609
MA45222 A	20190417	MA20170045222	20170609
MA45222 B1	20200430	MA20170045222	20170609
MD3468974 T2	20200531	MD2019E000460T	20170609
ME03670 B	20201020	ME20200000047	20170609
MX2018015216 A1	20190425	MX20180015216	20181206
MX374794 B	20250306	MX20180015216	20181206
MY196562 A	20230419	MY20180002416	20170609
NI201800132 A	20190408	NI20180000132	20181207
NZ748946 A	20250627	NZ20170748946	20170609
PE20220567 A1	20220413	PE20180003159	20170609
PH2018502515 A	20190930	PH20180502515	20181128
PH2018502515 B	20211110	PH20180502515	20181128
PL3468974 T3	20200713	PL20170727927T	20170609
PT3468974 T	20200325	PT20170727927T	20170609
RS60029 B1	20200430	RS20200000266	20170609
RU2018147430 A	20200710	RU20180147430	20170609
RU2018147430 A3	20200710	RU20180147430	20170609
RU2743163 C2	20210215	RU20180147430	20170609
SG11201810629Q A1	20181228	SG20181110629	20170609
SI3468974 T1	20200731	SI20170030260T	20170609
SV2018005791 A	20190116	SV20180005791	20181129
TN2018000410 A1	20200615	TN20180000410	20170609
TR202004139 T4	20200421	TR20200004139T	20170609
TW201802092 A	20180116	TW20170119242	20170609

Patent Number	Publication Date	Application Number	Application Date
TWI620750 B	20180411	TW20170119242	20170609
UA123794 C2	20210602	UA20190000217	20170609
US11046681 BB	20210629	US20180306933	20170609
US2019144448 AA	20190516	US20180306933	20170609
UY37288 A1	20180102	UY20170037288	20170609
VN63238 A	20190527	VN20190000022	20190103
WO17212012 A1	20171214	WO2017EP64067	20170609

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

10. Family 67177819 (US2019292435 AA)

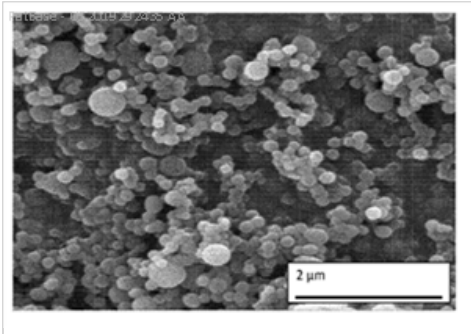
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Title
[EN] SMART GEL SEALANTS FOR WELL SYSTEMS

Abstract
[EN] Embodiments of the disclosure include swellable smart gel sealants. In certain embodiments, the smart gel sealants reversibly swell when exposed to a certain trigger, such as temperature or pH. In specific embodiments, the smart gel is disposed within voids in a well and triggered to swell in order to seal the voids. One application of the smart gel sealant is to seal the casing of a well against the leakage of gas, such as CO₂.

International Class: C09K8/508; C09K8/512; C09K8/516; A61K31/4184; A61P29/00; A61P35/00; A61P37/00; C07D401/14; C07D403/12; C04B24/02; C04B24/26; C09K8/487; E21B33/14; E21B47/005; C07D; A61K31/4439; A61K31/5377; A61K39/39; A61P31/14; A61P31/16; A61P31/18; A61P31/20; A61P37/04; C07D403/14; C07D413/14; E21B33/13; E21B47/10; C09K8/42; C09K8/44; E21B33/138; C04B28/02

Cooperative Patent Class: A61P31/18; C07D401/14; C07D403/12; C07D403/14; C07D413/14; C09K8/508; C09K8/5083; C09K8/512; C09K8/516; E21B33/13; E21B47/10; A61K31/4184; A61P29/00; A61P31/14; A61P31/16; A61P31/20; A61P35/00; A61P37/00; A61P37/04; C04B2103/0062; C04B28/02; C09K8/487; E21B33/138; C09K8/426; C09K8/44; C04B2103/0046; C04B2103/0051; C04B24/2688; E21B33/14; E21B47/005



Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2017246802 AA	20181115	AU20170246802	20170404
AU2017246802 BB	20210401	AU20170246802	20170404
AU2017247806 AA	20181108	AU20170247806	20170405
AU2017247806 BB	20191114	AU20170247806	20170405
AU2023425458 AA	20250213	AU20230425458	20230801
AU2023425458 BB	20250508	AU20230425458	20230801
BR112018070602 A2	20190205	BR201811070602	20170405
CA3019628 AA	20181001	CA20173019628	20170405
CA3263673 AA	20240725	CA20233263673	20230801
CN109563081 A	20190402	CN201780035686	20170405
DE602017011225 D1	20200220	DE201760011225T	20170405
EA201892128 A1	20190430	EA20180092128	20170405
EP3440072 A1	20190213	EP20170716313	20170405
EP3440072 B1	20200129	EP20170716313	20170405
EP4565541 A1	20250611	EP20230917985	20230801
ES2781474 T3	20200902	ES20170716313T	20170405
ID201812535 A	20181130	ID2018PID07945	20170405
IL262094 A0	20181129	IL20170262094	20170405
IN201817040740 A	20190222	IN201817040740	20181029
JP2019510802 T2	20190418	JP20180552865T	20170405
KR20180132783 A	20181212	KR20187031686	20170405
MX2018012266 A1	20190530	MX20180012266	20170405
PH2018502136 A	20190923	PH20180502136	20181004
RU2018137389 A	20200512	RU20180137389	20170405
RU2018137389 A3	20200512	RU20180137389	20170405
SG11201808708R A1	20181129	SG20181108708	20170405
TH1801006270 A	20200615	TH20181006270	20170405
US10975287 BB	20210413	US20180091945	20170405

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US10988663 BB	20210427	US20180091943	20170404
US11486223 BB	20221101	US20200070608	20201014
US11879090 BB	20240123	US20220816760	20220802
US2019292435 AA	20190926	US20180091943	20170404
US2020325126 AA	20201015	US20180091945	20170405
US2021040814 AA	20210211	US20200070608	20201014
US2022389302 AA	20221208	US20220816760	20220802
VN63109 A	20190527	VN20180004986	20181107
WO17175156 A1	20171012	WO2017IB51962	20170405
WO17176664 A1	20171012	WO2017US25827	20170404
WO24155323 A1	20240725	WO2023US71415	20230801

Priority Numbers: US20160319630P [20160407]; WO2017US25827 [20170404]; US20160319355P [20160407]; WO2017IB51962 [20170405]; US20220816760 [20220802]; WO2023US71415 [20230801]; EP20170716313 [20170405]; RU20180137389 [20170405]; US20170091945 [20170405]; US20170091943 [20170404]; US20200070608 [20201014]; US20180091943 [20181005]

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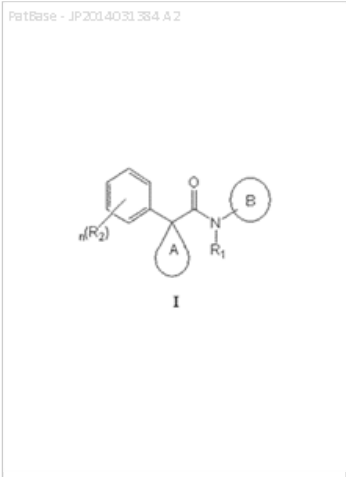
Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; KH; MA; MD; TN; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW; CV; IQ; JM; JO; MU; WS

11. Family 40490738 (US2007244159 AA)

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Title
[EN] MODULATORS OF ATP-BINDING CASSETTE TRANSPORTERS

Abstract
[EN] Compounds of the present invention and pharmaceutically acceptable compositions thereof, are useful as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The present invention also relates to methods of treating ABC transporter mediated diseases using compounds of the present invention.



FDA Data

Application Number	Active Ingredient	Proprietary Name	Applicant	Date Of Approval
210491	IVACAFTOR; IVACAFTOR, TEZACAFTOR	SYMDEKO (COPACKAGED)	VERTEX PHARMS INC	20180212
210491	IVACAFTOR; IVACAFTOR, TEZACAFTOR	SYMDEKO (COPACKAGED)	VERTEX PHARMS INC	20190621
212273	ELEXACAFTOR, IVACAFTOR, TEZACAFTOR; IVACAFTOR	TRIKAFTA (COPACKAGED)	VERTEX PHARMS INC	20210608
212273	ELEXACAFTOR, IVACAFTOR, TEZACAFTOR; IVACAFTOR	TRIKAFTA (COPACKAGED)	VERTEX PHARMS INC	20191021
217660	ELEXACAFTOR, IVACAFTOR, TEZACAFTOR; IVACAFTOR	TRIKAFTA (COPACKAGED)	VERTEX PHARMS INC	20230426
218730	DEUTIVACAFTOR; TEZACAFTOR; VANZACAFTOR CALCIUM	ALYFTREK	VERTEX PHARMS INC	20241220

International Class: A61K31/404; A61P31/00; C07D405/12; C07D405/14; A61K31/41; A61K31/4439; A61K31/454; C07D209/08; C07D403/12; C07D413/12; G01N33/48; A61K31/403; A61K31/407; A61K31/4178; A61K31/4184; A61K31/4192; A61K31/422; A61K31/423; A61K31/496; A61K31/5377; A61P11/00; A61P11/08; A61P11/12; A61P19/08; A61P21/00; A61P25/00; A61P25/14; A61P25/16; A61P25/28; A61P27/02; A61P27/04; A61P29/00; A61P3/00; A61P3/06; A61P3/10; A61P35/00; A61P43/00; A61P5/18; A61P5/48; A61P7/00; A61P7/02; A61P7/12; C07D413/14; C07D487/04; C12Q1/02; G01N21/66; G01N33/68; C07D471/04; A61P1/18; A61K; A61P; C07D; C12Q; G01N; A61K31/42; A61P13/02; A61P13/12; A61P21/02; A61P5/00; A61P5/06; A61P5/14; A61P7/10; A61P9/14; A61K31/405; C07D209/10; A61P15/00; C07D209/40; A61K31/00; C07D405/00; C07D471/00; C07D403/00; C07D487/00; A61K31/4045; A61K31/47; A61K45/06; A61K9/28; C07D233/64; G01N33/50; C07B59/00; A61K31/445; C07D403/02; C07D413/02; C12N5/071; C07D209/20; A61K31/4709; A61K31/36; A61K31/4427; A61K31/443; A61K31/4433; A61K31/4523; A61K31/4525; A61K31/453; C07D211/00; C07D235/04; C07D249/04; C07D257/02; C07D401/14; C07D403/14; C07D405/02

Cooperative Patent Class: A61K31/445; A61K31/496; A61K31/5377; A61P1/18; A61P11/00; A61P11/08; A61P11/12; A61P13/02; A61P13/12; A61P15/00; A61P19/08; A61P21/00; A61P21/02; A61P25/00; A61P25/14; A61P25/16; A61P25/28; A61P27/02; A61P27/04; A61P29/00; A61P3/00; A61P3/06; A61P3/10; A61P31/00; A61P35/00; A61P43/00; A61P5/00; A61P5/06; A61P5/14; A61P5/18; A61P5/48; A61P7/00; A61P7/02; A61P7/10; A61P7/12; A61P9/14; C07B2200/05; C07B59/002; C07D209/08; C07D403/12; C07D405/12; C07D405/14; C07D471/04; C07D487/04; G01N2333/705; G01N2500/02; G01N2500/10; G01N33/5008; G01N33/6872; A61K2300/00; A61K31/404; A61K31/4045; A61K31/405; A61K31/407; A61K31/41; A61K31/4184; A61K31/4192; A61K31/454; A61K31/47; A61K45/06; A61K9/28; C07D233/64; G01N2800/382; G01N33/5035; G01N33/6893

US Class: 1/1; 424/474; 435/29; 435/29S; 435/325; 435/325S; 435/375; 435/375S; 506/10; 514/233.8; 514/254.09; 514/254.090P; 514/255.05; 514/312; 514/321; 514/323; 514/323S; 514/338; 514/339; 514/339S; 514/359; 514/378; 514/378S; 514/381; 514/381S; 514/382; 514/394; 514/394S; 514/397; 514/397S; 514/409; 514/411; 514/411S; 514/412; 514/414; 514/414S; 514/415; 514/415S; 514/419; 514/419S; 514/422; 530/402; 544/132; 544/143; 544/373; 546/197; 546/201; 546/201S; 546/277.1; 546/277.4; 548/159; 548/243; 548/243S; 548/250; 548/253; 548/253S; 548/261; 548/305.1; 548/311.1; 548/311.100S; 548/312.1; 548/407; 548/428; 548/428S; 548/439; 548/454; 548/454S; 548/455; 548/465; 548/465S; 548/469; 548/469S; 548/490; 548/491; 548/495; 548/495S; 548/503; 548/510; 548/510S; 548/526

Family Information

Patent Number	Publication Date	Application Number	Application Date	
AU2007235260 AA	20071018	AU20070235260	20070409	
AU2007235260 BB	20130530	AU20070235260	20070409	
AU2013205183 AA	20130516	AU20130205183	20130414	
AU2013205183 BB	20160728	AU20130205183	20130414	
BRPI0710965 A2	20120131	BR2007PI10965	20070409	
BRPI0710965 B1	20200818	BR2007PI10965	20070409	
BRPI0710965 B8	20210525	BR2007PI10965	20070409	
CA2648719 AA	20081007	CA20072648719	20070409	
CA2648719 C	20150224	CA20072648719	20070409	
CA2869945 AA	20071018	CA20072869945	20070409	
CA2869945 C	20180123	CA20072869945	20070409	
CN101460489 A	20090617	CN200780021073	20070409	
CN103254177 A	20130821	CN201310074907	20070409	🔍
CY1116979 T1	20170405	CY20151101060T	20151125	
CY1117731 T1	20170517	CY20161100628T	20160705	
CY1120045 T1	20181212	CY20181100319T	20180319	
DE602007042795 D1	20151008	DE200760042795T	20070409	
DE602007045711 D1	20160519	DE200760045711T	20070409	
DE602007053597 D1	20180208	DE200760053597T	20070409	
DE602007061186 D1	20210708	DE200760061186T	20070409	
DK2007756 T3	20151005	DK20070755298T	20070409	
DK2674428 T3	20160418	DK20130167785T	20070409	
DK3091011 T3	20180226	DK20160155334T	20070409	
EP2007756 A2	20081231	EP20070755298	20070409	
EP2007756 B1	20150826	EP20070755298	20070409	
EP2674428 A1	20131218	EP20130167785	20070409	
EP2674428 B1	20160406	EP20130167785	20070409	
EP3091011 A1	20161109	EP20160155334	20070409	
EP3091011 B1	20171227	EP20160155334	20070409	
EP3327016 A1	20180530	EP20170209175	20070409	
EP3327016 B1	20210616	EP20170209175	20070409	
EP3882245 A1	20210922	EP20210156114	20070409	
ES2554353 T3	20151218	ES20070755298T	20070409	
ES2580803 T3	20160826	ES20130167785T	20070409	
ES2659364 T3	20180315	ES20160155334T	20070409	
ES2882684 T3	20211202	ES20170209175T	20070409	
HK1133428 A1	20100326	HK20090111367	20091203	
HK1188446 A1	20140502	HK20140101490	20140217	
HK1230178 A1	20171201	HK20170103698	20170411	
HK1230178 B	20190920	HK20170103698	20170411	
HK1253807 A1	20190705	HK20180112931	20170411	
HK1253807 B	20220218	HK20180112931	20170411	
HK40059752 A1	20220513	HK20224048278	20220215	
HU026145 T2	20160530	HU20070755298T	20070409	
HU027630 T2	20161028	HU20130167785T	20070409	

Patent Number	Publication Date	Application Number	Application Date	
HU036165 T2	20180628	HU20160155334T	20070409	
HU055205 T2	20211129	HU20170209175T	20070409	
IL194576 A0	20090803	IL20070194576	20070409	
IL194576 A1	20161229	IL20070194576	20070409	
IN02423KN2014 A	20150501	IN2014KN02423	20141030	
IN04446KN2008 A	20090313	IN2008KN04446	20081104	
IN272379 B	20160401	IN2008KN04446	20081104	
JP2009533351 A2	20090917	JP20090504359	20070409	
JP2009533351 T2	20090917	JP20090504359T	20070409	
JP2014031384 A2	20140220	JP20130240205	20131120	
JP2015120762 A2	20150702	JP20150073706	20150331	
JP5420395 B2	20140219	JP20090504359T	20070409	
JP5827297 B2	20151202	JP20130240205	20131120	
LT3091011 T	20180410	LT20160155334T	20070409	
MX2008012945 A1	20090115	MX20080012945	20070409	
MX297874 B	20120404	MX20080012945	20070409	
MX310949 B	20130628	MX20120004028	20081007	
NZ571803 A	20111222	NZ20070571803	20070409	
NZ571803 B	20120402	NZ20070571803	20070409	
NZ596889 A	20130628	NZ20070596889	20070409	
NZ596889 B	20131001	NZ20070596889	20070409	
NZ611485 A	20140926	NZ20070611485	20070409	
NZ611485 B	20150106	NZ20070611485	20070409	
PL2007756 T3	20160129	PL20070755298T	20070409	
PL2674428 T3	20170131	PL20130167785T	20070409	
PL3091011 T3	20180629	PL20160155334T	20070409	
PL3327016 T3	20211004	PL20170209175T	20070409	
PT2007756 T	20151102	PT20070755298T	20070409	
PT2674428 T	20160714	PT20130167785T	20070409	
PT3091011 T	20180307	PT20160155334T	20070409	
RU2008144124 A	20100520	RU20080144124	20070409	
RU2451018 C2	20120520	RU20080144124	20070409	
SI2007756 T1	20151130	SI20070031695T	20070409	
SI2674428 T1	20160729	SI20070031780	20070409	
SI3091011 T1	20180629	SI20070032005T	20070409	
SI3327016 T1	20210930	SI20070032178T	20070409	
TR201801653 T4	20180221	TR20180001653T	20070409	
TR2021010136 T4	20210726	TR20210010136T	20070409	
US10022352 BB	20180717	US20160078800	20160323	
US10239867 BB	20190326	US20170659926	20170726	
US10975061 BB	20210413	US20190276887	20190215	
US10987348 BB	20210427	US20180949404	20180410	
US11639347 BB	20230502	US20210181931	20210222	
US2007244159 AA	20071018	US20070786001	20070409	
US2009131492 AA	20090521	US20070975297	20071018	

Patent Number	Publication Date	Application Number	Application Date	
US2010113555 AA	20100506	US20090619412	20091116	
US2010331344 AA	20101230	US20100829879	20100702	
US2011060024 AA	20110310	US20100767472	20100426	
US2011071206 AA	20110324	US20100948162	20101117	
US2013178471 AA	20130711	US20130781392	20130228	
US2014057906 AA	20140227	US20130058839	20131021	
US2014080826 AA	20140320	US20130036286	20130925	
US2015094304 AA	20150402	US20140567475	20141211	
US2015119441 AA	20150430	US20140532791	20141104	
US2015174098 AA	20150625	US20140579098	20141222	
US2016271105 AA	20160922	US20160078800	20160323	
US2016332997 AA	20161117	US20160162887	20160524	
US2017189389 AA	20170706	US20160234877	20160811	
US2018162842 AA	20180614	US20170659926	20170726	
US2019076419 AA	20190314	US20180949404	20180410	
US2020115366 AA	20200416	US20190276887	20190215	
US2022411410 AA	20221229	US20210181931	20210222	
US2023100634 AA	20230330	US20210217323	20210330	
US2023127655 AA	20230427	US20220692235	20220311	
US2024092766 AA	20240321	US20230108053	20230210	
US7645789 BB	20100112	US20070975297	20071018	
US7776905 BB	20100817	US20070786001	20070409	
US8415387 BB	20130409	US20090619412	20091116	
US8575209 BB	20131105	US20100948162	20101117	
US8598181 BB	20131203	US20100829879	20100702	
US8623905 BB	20140107	US20100767472	20100426	
US8912199 BB	20141216	US20130058839	20131021	
US8952049 BB	20150210	US20130781392	20130228	
US8952050 BB	20150210	US20130036286	20130925	
US9758510 BB	20170912	US20160162887	20160524	
US9974781 BB	20180522	US20160234877	20160811	
USRE50453 E	20250610	US20220089898	20221228	
WO07117715 A2	20071018	WO2007US08975	20070409	
WO07117715 A3	20080110	WO2007US08975	20070409	
ZA200809290 A	20091028	ZA20080009290	20081029	

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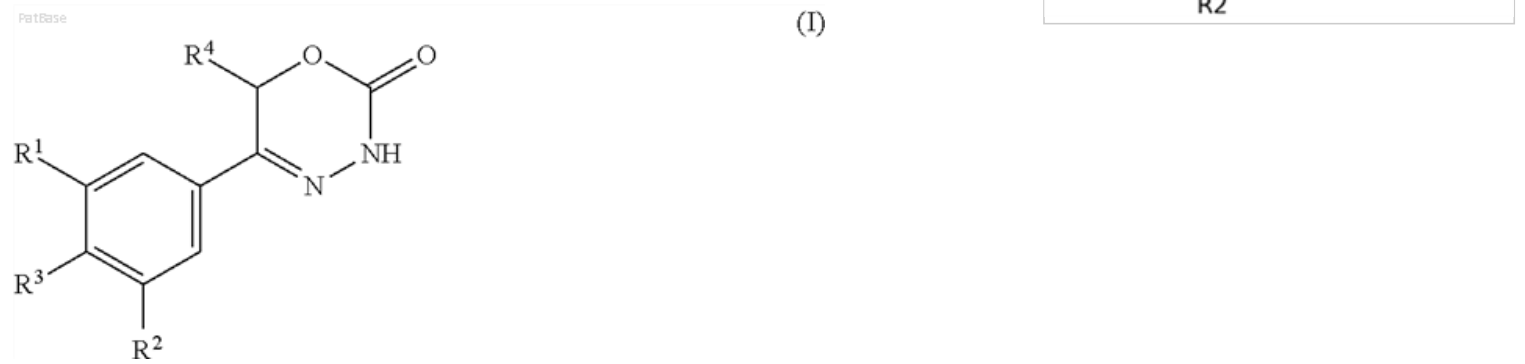
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12. Family 73130917 (US2020369633 AA)

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Title
[EN] DIHYDROOXADIAZINONES

Abstract
[EN] The present invention provides dihydrooxydiazinone compounds of general formula (I): in which R¹, R², R³, and R⁴, are as defined herein, methods of preparing said compounds, intermediate compounds useful for preparing said compounds, pharmaceutical compositions and combinations comprising said compounds and the use of said compounds for manufacturing pharmaceutical compositions for the treatment or prophylaxis of diseases, in particular of hyperproliferative diseases, as a sole agent or in combination with other active ingredients.



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Cooperative Patent Class: A61K31/5395; A61P35/00; C07D273/04; C07D413/10; C07D413/12; C07D417/10; C07D471/04; C07D491/107; C07D495/10

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR112402 AA	20191023	AR2018P102218	20180803
AU2018309356 AA	20200130	AU20180309356	20180802
AU2018309356 BB	20221215	AU20180309356	20180802
BR112020002351 A2	20200908	BR202011002351	20180802
CA3071800 AA	20190207	CA20183071800	20180802
CL2020000303 A1	20201113	CL20200000303	20200204
CN111212647 A	20200529	CN201880050751	20180802
CN111212647 B	20240514	CN201880050751	20180802
CO20200001242 A2	20200218	CO20200001242	20200204
CR202000057 A	20200616	CR20200000057	20180802
CU202000008 A7	20201130	CU20200000008	20180802
CU24608 B	20220606	CU20200000008	20180802
DE602018057504 D1	20230928	DE201860057504T	20180802
EA202090448 A1	20200521	EA20200090448	20180802
ECSP20008441 A	20200529	EC20200008441	20200204
EP3661517 A1	20200610	EP20180755153	20180802
EP3661517 B1	20230913	EP20180755153	20180802
HK40025099 A1	20201218	HK20206014960	20200825

Patent Number	Publication Date	Application Number	Application Date
HK40025099 B	20240830	HK20206014960	20200825
IL272098 A1	20230301	IL20180272098	20180802
IL272098 AA	20200331	IL20180272098	20180802
IL272098 B1	20230301	IL20200272098	20200116
IL272098 B2	20230701	IL20180272098	20180802
IN202017002593 A	20200221	IN202017002593	20200121
IN414520 B	20221216	IN202017002593	20200121
JO202000024 A1	20200202	JO20200000024	20180801
JP2020529439 T2	20201008	JP20200505898T	20180802
JP2023115065 A2	20230818	JP20230097166	20180802
JP7676141 B2	20250514	JP20200505898T	20180802
KR20200036914 A	20200407	KR20207005998	20180802
MA49750 A	20200610	MA20180049750	20180802
MX2020001404 A1	20200312	MX20200001404	20180802
PE20200936 A1	20200917	PE20200000184	20180802
PH2020500134 A	20210125	PH20200500134	20200117
SG10202201159P A1	20220330	SG20221001159	20180802
SG11201913775U A1	20200130	SG20191113775	20180802
TW201917126 A	20190501	TW20180127172	20180803
TWI791581 B	20230211	TW20180127172	20180803
UA125731 C2	20220525	UA20200001558	20180802
US11427553 BB	20220830	US20200635504	20180802
US11773070 BB	20231003	US20220865236	20220714
US12258323 BB	20250325	US20220862255	20220711
US2020369633 AA	20201126	US20200635504	20180802
US2022396554 AA	20221215	US20220865236	20220714
US2023017200 AA	20230119	US20220862255	20220711
UY37832 A1	20190228	UY20180037832	20180803
VN70788 A	20200625	VN20200000979	20180802
WO19025562 A1	20190207	WO2018EP71039	20180802

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13. Family 67443337 (US2017355702 AA)

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Title

[EN] SUBSTITUTED IMIDAZO[1,2-B]PYRIDAZINES, SUBSTITUTED IMIDAZO[1,5-B] PYRIDAZINES, RELATED COMPOUNDS, AND THEIR USE IN THE TREATMENT OF MEDICAL DISORDERS

Abstract

[EN] The invention provides substituted imidazo[1,2-b]pyridazine compounds, substituted imidazo[1,5-b]pyridazine compounds, related compounds, compositions containing such compounds, medical kits, and methods for using such compounds and compositions to treat medical disorders, e.g., Gaucher disease, Parkinson's disease, Lewy body disease, dementia, or multiple system atrophy, in a patient. Exemplary substituted imidazo[1,2-b]pyridazine compounds described herein include substituted imidazo[1,2-b]pyridazine-3-carboxamide compounds and variants thereof.

International Class: A61K31/519; A61P13/12; A61P25/08; A61P25/16; A61P25/18; A61P25/22; A61P25/24; A61P25/28; A61P27/06; A61P3/10; A61P43/00; C07D487/14; C07D491/048; C07D495/04; C07D498/04; C07D513/04; A61K; A61P; C07D; A61K31/4365; A61K31/5025; A61P25/00; C07D487/04; A61K31/437; A61K31/444; A61K31/4545

Cooperative Patent Class: A61K31/4365; A61K31/5025; A61P13/12; A61P25/00; A61P25/08; A61P25/16; A61P25/18; A61P25/22; A61P25/24; A61P25/28; A61P27/06; A61P3/10; A61P43/00; C07D487/04; C07D491/048; C07D495/04; C07D498/04; C07D513/04; A61K31/519

US Class: 1/1; 514/233.2

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2017261291 AA	20181122	AU20170261291	20170505
AU2017261291 BB	20211118	AU20170261291	20170505
AU2017261291 CA	20220526	AU20170261291	20170505
BR112018072552 A2	20190219	BR201811072552	20170505
BR112018072552 A8	20230314	BR201811072552	20170505
CA3022670 AA	20171109	CA20173022670	20170505
CN109311902 A	20190205	CN201780037953	20170505
CN109311902 B	20220715	CN201780037953	20170505
EP3452481 A1	20190313	EP20170793402	20170505
EP3452481 A4	20200226	EP20170793402	20170505
HK40006031 A1	20200515	HK20190129554	20190912
IL262698 A0	20181231	IL20170262698	20170505
IL262698 A1	20220401	IL20170262698	20170505
IN201847045275 A	20190308	IN201847045275	20181130
IN424438 B	20230310	IN201847045275	20181130
JP2019514956 T2	20190606	JP20180557821T	20170505
JP7164774 B2	20221102	JP20180557821T	20170505
KR102530515 B1	20230509	KR20187035087	20170505
KR20190003738 A	20190109	KR20187035087	20170505
MX2018013529 A1	20190704	MX20180013529	20181105
MX389579 B	20250320	MX20180013529	20181105
RU2018142052 A	20200605	RU20180142052	20170505
RU2018142052 A3	20200928	RU20180142052	20170505
RU2773333 C2	20220602	RU20180142052	20170505
SG11201809693S A1	20181129	SG20181109693	20170505
US11168087 BB	20211109	US20180097905	20170505
US11878979 BB	20240123	US20210385269	20210726
US2017355702 AA	20171214	US20170678468	20170816

Patent Number	Publication Date	Application Number	Application Date
US2019389866 AA	20191226	US20180097905	20170505
US2022185814 AA	20220616	US20210385269	20210726
US9868742 BB	20180116	US20170678468	20170816
WO17192930 A1	20171109	WO2017US31189	20170505

Priority Numbers: US20160332151P [20160505]; WO2017US31189 [20170505]; RU20180142052 [20170505]; US20170097905 [20170505]; US20210385269 [20210726]; US20180097905 [20181031]; US20170678468 [20170816]

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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

14. Family 65374108 (US2018291014 AA)

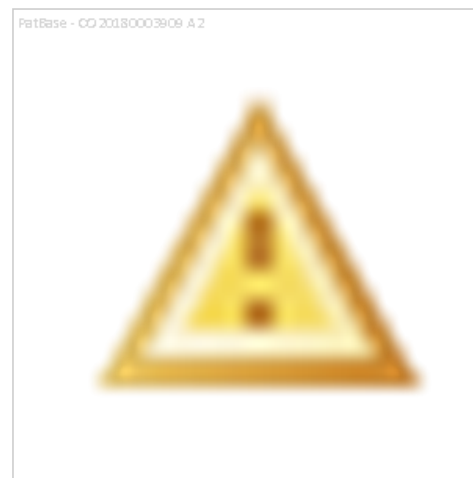
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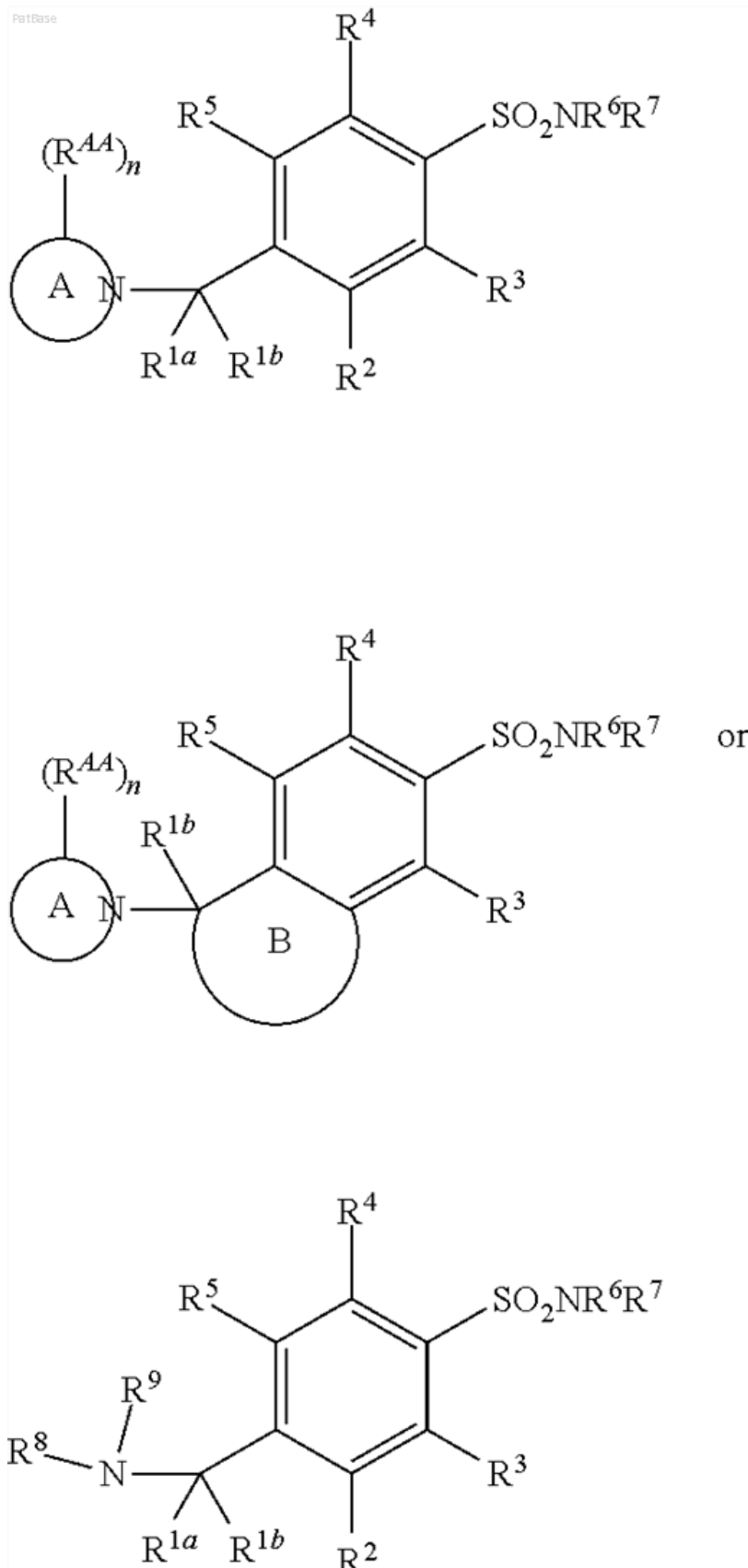
Title

[EN] THERAPEUTIC COMPOUNDS AND METHODS OF USE THEREOF

Abstract

[EN] The invention provides a compound of formula:





or a salt thereof, wherein the variables R^{AA} , n , ring A, ring B, R^{1a} , R^{1b} , R^2 , R^3 , R^4 , R^5 , R^6 , R^7 , R^8 , and R^9 have the meaning as described herein, and compositions containing such compounds and methods for using such compounds and compositions.

International Class: A61K31/426; A61K31/433; A61K31/4523; A61K31/47; A61K31/496; A61K31/501; A61K31/506; A61K31/5377; A61P11/00; A61P25/00; A61P29/00; A61P9/00; C07D401/12; C07D401/14; C07D417/12; C07D417/14; C07D491/107; A61K31/445; A61K; A61P; C07D; A61K31/438; A61K31/454; A61K31/4545; A61P1/00; A61P25/04; A61P25/18; A61P25/22; A61P25/24; C07D405/14; C07D407/14; C07D413/14; A61P17/04; A61K31/4025; A61K31/453; A61K31/4535; C07D405/04; C07D409/04

Cooperative Patent Class: A61K31/4523; A61P11/00; A61P17/04; A61P25/00; A61P9/00; C07D401/12; C07D405/14; C07D417/12; C07D417/14; C07D491/107

US Class: 1/1; 514/233.5

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR106172 AA	20171220	AR2016P102954	20160928
AU2016330503 AA	20180412	AU20160330503	20160927
AU2016330503 AH	20180419	AU20160330503	20160927
AU2016330503 BB	20210408	AU20160330503	20160927
BR112018006189 A2	20181009	BR201811006189	20160927
CA2999769 AA	20180322	CA20162999769	20160927
CL2018000805 A1	20180706	CL20180000805	20180328
CN108290881 A	20180717	CN201680068263	20160927
CN108290881 B	20211207	CN201680068263	20160927
CO20180003909 A2	20180719	CO20180003909	20180412
CR20180242 A	20180810	CR20180000242	20160927
EP3356360 A1	20180808	EP20160781926	20160927
HK1258056 A1	20191101	HK20190100433	20190111
ID201810700 A	20181005	ID2018PID03124	20160927
IL258192 A0	20180531	IL20160258192	20160927
IN201817013743 A	20180817	IN201817013743	20180410
JP2018533551 T2	20181115	JP20180516052T	20160927
JP6987746 B2	20220105	JP20180516052T	20160927
KR20180067561 A	20180620	KR20187012064	20160927
MA43036 A	20180808	MA20160043036	20160927
MX2018003828 A1	20180622	MX20180003828	20180327
MX386501 B	20250319	MX20180003828	20180327
PE10032018 A1	20180626	PE20180000486	20160927
PE20181003 A1	20180626	PE20180000486	20160927
PH2018500668 A	20181001	PH20180500668	20180326
RU2018115718 A	20191028	RU20180115718	20160927
RU2018115718 A3	20191227	RU20180115718	20160927
SG10202007787R A1	20200929	SG20201007787	20160927
TH1801001902 A	20200601	TH20181001902	20160927
TW201718556 A	20170601	TW20160131425	20160929
TWI726916 B	20210511	TW20160131425	20160929
US10787446 BB	20200929	US20180939112	20180328
US2018291014 AA	20181011	US20180939112	20180328
US2021171516 AA	20210610	US20200031348	20200924
VN59242 A	20180925	VN20180001786	20180426
WO17058821 A1	20170406	WO2016US54011	20160927
ZA201802134 A	20200826	ZA20180002134	20160927

Priority Numbers: US20150233863P [20150928]; US20160298817P [20160223]; WO2016CN096659 [20160825]; WO2016US54011 [20160927]; WO2016CN96659 [20160825]; RU20180115718 [20160927]; US20180939112 [20180328]; US20200031348 [20200924]

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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

15. Family 51142710 (US2014155379 AA)

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Title

[EN] HETEROCYCLE AMINES AND USES THEREOF

Abstract

[EN] Compounds and methods in the fields of chemistry and medicine are disclosed. Some of the disclosed embodiments include compounds, compositions and methods of using heterocycle amines. Some of the disclosed embodiments include heterocycle amines useful to treat inflammatory disorders.

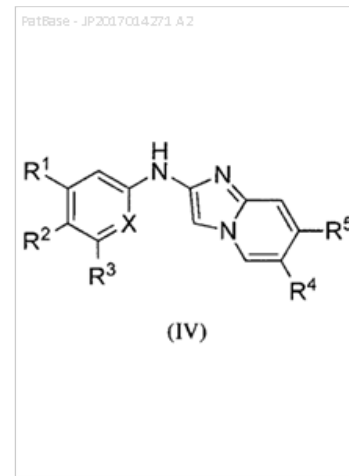
International Class: A61K31/407; A61K31/4168; A61K31/4353; C07D235/02; C07D269/02; C07D277/42; C07D277/60; A61K31/437; A61K31/496; A61K31/5377; A61P11/00; A61P11/02; A61P11/06; A61P11/14; A61P17/00; A61P17/02; A61P17/04; A61P17/06; A61P19/02; A61P3/04; A61P3/10; A61P31/04; A61P35/00; C07D417/04; C07D417/12; C07D417/14; C07D471/04; C07D513/04; A61P29/00; A61P37/00; A61K; C07D; A61P; A61K31/428; A61K31/433; A61K31/4439; A61K31/444; A61K31/4545; A61K31/4709; A61K31/497; A61K31/501; A61K31/506; A61K31/53; A61K31/55; A61K31/551; A61P1/04; A61P25/00; A61P27/02; A61P27/14; A61P37/08; A61P43/00; C07D277/82; C07D401/14; C07D491/113; C07D498/04; C07D519/00; A61P25/02; A61P9/00; A61K31/4427; C07D213/02; C07D401/04

Cooperative Patent Class: A61K31/407; A61K31/4168; A61K31/4353; A61K31/437; A61K31/4439; A61K31/4545; A61K31/496; A61K31/497; A61K31/501; A61K31/506; A61K31/53; A61K31/5377; A61K31/55; A61P29/00; A61P37/00; C07D235/02; C07D269/02; C07D277/42; C07D277/60; C07D417/12; C07D417/14; C07D471/04; C07D498/04; C07D513/04; C07D519/00

US Class: 1/1; 514/210.21; 514/233.8; 514/234.2; 514/245; 514/252.02; 514/252.18; 514/253.04; 514/300; 514/301; 514/333; 514/338; 544/124; 544/127; 544/198; 544/238; 544/295; 544/362; 546/114; 546/121; 546/256; 546/270.1

Family Information

Patent Number	Publication Date	Application Number	Application Date	
CN103298792 A	20130911	CN201180064392	20111118	
CN108864151 A	20181123	CN201810959036	20111118	
DE602011047706 D1	20180517	DE201160047706T	20111118	
EP2640708 A1	20130925	EP20110791162	20111118	
EP2730564 A1	20140514	EP20140153493	20111118	
EP2730564 B1	20180418	EP20140153493	20111118	
EP3357915 A1	20180808	EP20180161665	20111118	
ES2672203 T3	20180613	ES20140153493T	20111118	
HK1197677 A1	20150206	HK20140111363	20141111	
HK1197677 B	20190621	HK20140111363	20141111	
HK1252165 A1	20190517	HK20180111558	20180907	
HU037860 T2	20180928	HU20140153493T	20111118	
JP2013544256 T2	20131212	JP20130540089T	20111118	
JP2017014271 A2	20170119	JP20160171817	20160902	
JP2018115197 A2	20180726	JP20180059583	20180327	
JP6005050 B2	20161012	JP20130540089T	20111118	
JP6316888 B2	20180425	JP20160171817	20160902	
JP6726226 B2	20200722	JP20180059583	20111118	
KR101903204 B1	20181122	KR20137015153	20111118	
KR20130142153 A	20131227	KR20137015153	20111118	
KR20180099933 A	20180905	KR20187024789	20111118	
MX2013005549 A1	20130705	MX20130005549	20111118	
MX2019008122 A1	20190913	MX20190008122	20130516	
MX344521 B	20161219	MX20130005549	20111118	
MX366318 B	20190705	MX20160016899	20111118	



Patent Number	Publication Date	Application Number	Application Date
MX388008 B	20250319	MX20190008122	20130516
PL2730564 T3	20180928	PL20140153493T	20111118
PT2730564 T	20180724	PT20140153493T	20111118
RS57375 B1	20180831	RS20180000735	20111118
RU2013124101 A	20141227	RU20130124101	20111118
RU2017135541 A	20190208	RU20170135541	20111118
RU2017135541 A3	20201126	RU20170135541	20111118
RU2632900 C2	20171011	RU20130124101	20111118
TR201809943 T4	20180921	TR20180009943T	20111118
US10030034 BB	20180724	US20160006940	20160126
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US2014155379 AA	20140605	US20130137318	20131220
US2016347767 AA	20161201	US20160006940	20160126
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US9266874 BB	20160223	US20130137318	20131220
WO12068546 A1	20120524	WO2011US61532	20111118

Priority Numbers: US20100415685P [20101119]; WO2011US61532 [20111118]; CN201180064392 [20111118]; EP20140153493 [20111118]; EP20110791162 [20111118]; EP20140153493 [20140131]; JP20130540089T [20111118]; JP20160171817 [20160902]; JP20160171817 [20111118]; JP20180059583 [20180327]; MX20160016899 [20130516]; RU20170135541 [20111118]; US20160006940 [20160126]; US20130137318 [20131220]; US20180015925 [20180622]; US20200735988 [20200107]; US20130885138 [20130513]; US20210513093 [20211028]

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16. Family 69342428 (US2018105504 AA)

[View in PatBase](#)

Title
[EN] THERAPEUTIC COMPOUNDS AND METHODS OF USE THEREOF

Abstract
[EN] or a pharmaceutically acceptable salt thereof, wherein the variables X, Y1-Y5, R1, R2, R3, R4, and Het have the meaning as described herein, and compositions containing such compounds and methods for using such compounds and compositions.

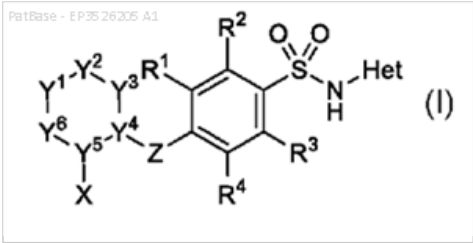
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Cooperative Patent Class: A61K31/426; A61K31/427; A61K31/433; A61K31/44; A61K31/4427; A61K31/505; A61K31/506; A61K31/5355; A61P17/04; A61P19/02; A61P25/00; A61P29/00; A61P9/00; C07D213/76; C07D239/69; C07D261/16; C07D277/52; C07D285/08; C07D401/12; C07D403/12; C07D417/12; C07D295/135

US Class: 1/1; 514/157

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR109964 AA	20190206	AR2017P102884	20171017
AU2017347549 AA	20190502	AU20170347549	20170926
BR112019007763 A2	20190702	BR201911007763	20170926
CA3039853 AA	20190409	CA20173039853	20170926
CL2019001041 A1	20190621	CL20190001041	20190416
CL62369 B	20210617	CL20190001041	20190416
CN110072855 A	20190730	CN201780077257	20170926
CO20190004978 A2	20190731	CO20190004978	20190515
CR20190236 A	20190909	CR20190000236	20170926
EP3526205 A1	20190821	EP20170863182	20170926
EP3526205 A4	20200527	EP20170863182	20170926
ID201908366 A	20191206	ID2019PID04163	20170926
IL265955 A0	20190530	IL20170265955	20170926
IN201917015491 A	20190726	IN201917015491	20190417
JP2019532077 T2	20191107	JP20190520725T	20170926
KR20190078587 A	20190704	KR20197013820	20170926
MA46546 A	20210505	MA20170046546	20170926
MX2019004232 A1	20190801	MX20190004232	20190411
MX388175 B	20250319	MX20190004232	20190411
PE20190980 A1	20190709	PE20190000843	20170926
PH2019500839 A	20190624	PH20190500839	20190416
RU2019114964 A	20201117	RU20190114964	20170926
RU2019114964 A3	20210129	RU20190114964	20170926
SG11201903348U A1	20190530	SG20191103348	20170926
TW201821412 A	20180616	TW20170135501	20171017
US10457654 BB	20191029	US20170799771	20171031
US2018105504 AA	20180419	US20170799771	20171031



Patent Number	Publication Date	Application Number	Application Date
US2020115354 AA	20200416	US20190576569	20190919
VN66280 A	20191025	VN20190002549	20190516
WO18072602 A1	20180426	WO2017CN103499	20170926

Priority Numbers: WO2016CN102263 [20161017]; WO2016CN02263 [20161017]; WO2017CN103499 [20170926]; RU20190114964 [20170926]; US20170799771 [20171031]; US20190576569 [20190919]

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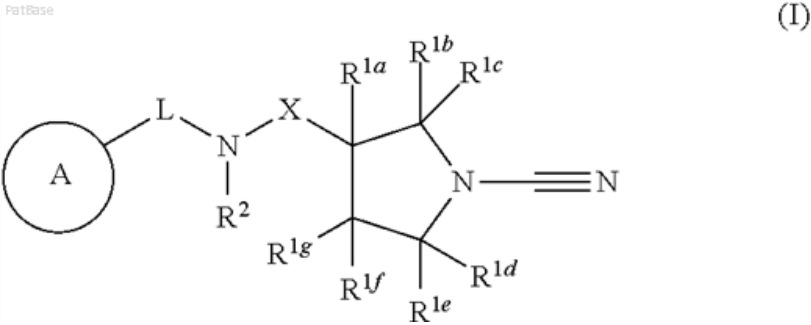
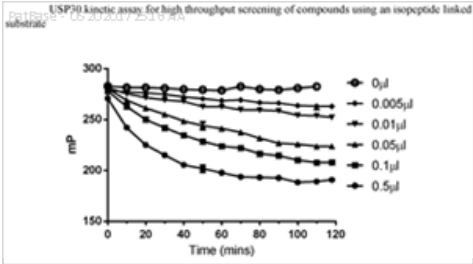
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17. Family 61803070 (US2020172516 AA)

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Title
[EN] NOVEL COMPOUNDS

Abstract
[EN] The present invention relates to novel compounds and methods for the manufacture of inhibitors of deubiquitylating enzymes (DUBs). In particular, the invention relates to the inhibition of ubiquitin C-terminal hydrolase 30 or Ubiquitin Specific Peptidase 30 (USP30). The invention further relates to the use of DUB inhibitors in the treatment of conditions involving mitochondrial dysfunction and cancer. Compounds of the invention include compounds having the formula (I): (I) or a pharmaceutically acceptable salt thereof, wherein R^{1a}, R^{1b}, R^{1c}, R^{1d}, R^{1e}, R^{1f}, R^{1g}, R², X, L and A are as defined herein.



International Class: A61K31/4025; A61K31/407; A61P25/28; A61P35/00; C07D207/09; C07D401/12; C07D403/12; C07D403/14; C07D413/12; C07D417/12; C07D417/14; C07D471/04; C07D487/04; A61P19/02; A61P21/00; A61P25/14; A61P25/16; A61P3/10; A61P43/00; A61P3/00; A61P9/00; A61K; A61P; C07D; A61K31/4725; A61P25/00; C07C201/00; A61K31/40; A61K31/4155; A61K31/416; A61K31/4178; A61K31/4184; A61K31/422; A61K31/4245; A61K31/427; A61K31/428; A61K31/437; A61K31/4439; A61K31/4709; A61K31/506; A61K31/517; A61K31/538; C07D401/14; A61P35/04

Cooperative Patent Class: A61K31/4025; A61K31/407; A61K31/4725; A61P19/02; A61P21/00; A61P25/00; A61P25/14; A61P25/16; A61P25/28; A61P3/00; A61P3/10; A61P35/00; A61P35/04; A61P43/00; A61P9/00; C07C201/00; C07D207/09; C07D401/12; C07D403/12; C07D403/14; C07D413/12; C07D417/12; C07D417/14; C07D471/04; C07D487/04

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2016373533 AA	20180705	AU20160373533	20161216
AU2016373533 BB	20200611	AU20160373533	20161216
BR112018007208 A2	20181016	BR201811007208	20161216
BR112018007208 B1	20240123	BR201811007208	20161216
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CA3008747 C	20230613	CA20163008747	20161216
CN108368089 A	20180803	CN201680073270	20161216
CN108368089 B	20210706	CN201680073270	20161216
CO20180006299 A2	20180710	CO20180006299	20180618
DE602016075039 D1	20221006	DE201660075039T	20161216
EP3390391 A1	20181024	EP20160816365	20161216
EP3390391 B1	20220914	EP20160816365	20161216
EP4122929 A1	20230125	EP20220195076	20161216
ES2929376 T3	20221128	ES20160816365T	20161216
GB201522267 A0	20160203	GB20150022267	20151217
HK1256059 A1	20190913	HK20180115116	20181126

Patent Number	Publication Date	Application Number	Application Date
HK1256059 B	20211022	HK20180115116	20181126
IL258444 A0	20180531	IL20160258444	20161216
IL258444 A1	20200831	IL20160258444	20161216
IL258444 AA	20200831	IL20180258444	20180328
IN201817014423 A	20180907	IN201817014423	20180416
JP2019504009 T2	20190214	JP20180531219T	20161216
JP6898327 B2	20210707	JP20180531219T	20161216
KR102663994 B1	20240509	KR20187020277	20161216
KR20180095625 A	20180827	KR20187020277	20161216
MA44050 A	20181024	MA20160044050	20161216
MX2018007449 A1	20181109	MX20180007449	20180615
MX387482 B	20250318	MX20180007449	20180615
MY196729 A	20230503	MY20180000546	20161216
NZ741411 A	20220325	NZ20160741411	20161216
NZ741411 B	20220628	NZ20160741411	20161216
RU2018123779 A	20200117	RU20180123779	20161216
RU2018123779 A3	20200218	RU20180123779	20161216
RU2734256 C2	20201013	RU20180123779	20161216
SG11201804934P A1	20180730	SG20181104934	20161216
US11130748 BB	20210928	US20180781615	20161216
US11958833 BB	20240416	US20210402802	20210816
US2020172516 AA	20200604	US20180781615	20161216
US2021380562 AA	20211209	US20210402802	20210816
WO17103614 A1	20170622	WO2016GB53971	20161216
ZA201804739 A	20200129	ZA20180004739	20180716

Priority Numbers: GB20150022267 [20151217]; WO2016GB53971 [20161216]; EP20160816365 [20161216]; RU20180123779 [20161216]; US20210402802 [20210816]; US20180781615 [20180605]

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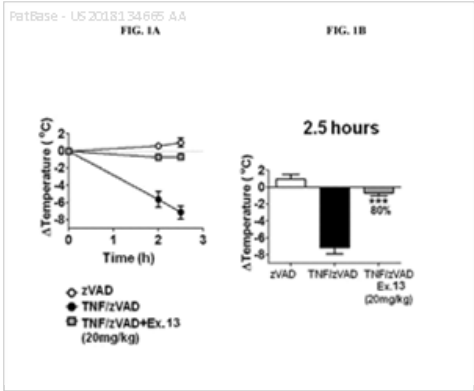
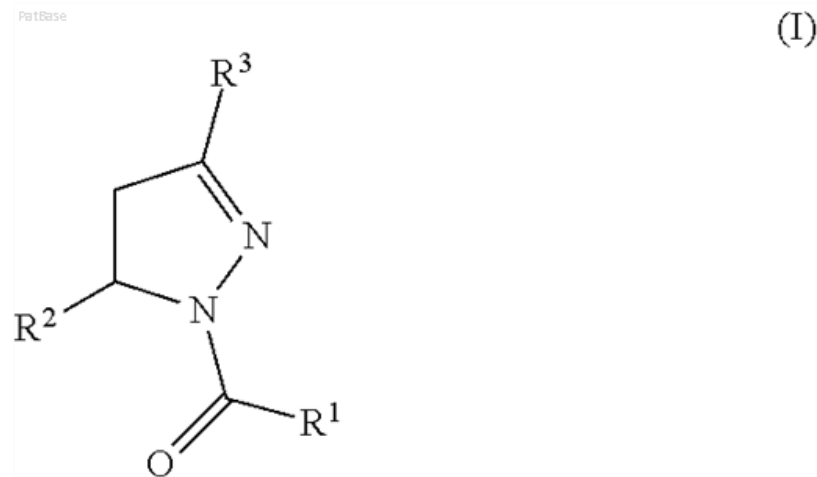
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18. Family 64061561 (US2018134665 AA)

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Title
[EN] HETEROCYCLIC AMIDES AS KINASE INHIBITORS

Abstract
[EN] Disclosed are compounds having the formula (I):



wherein R¹, R², and R³ are as defined herein, and methods of making and using the same.

International Class: A61K31/415; A61K31/4155; A61K31/4523; A61P1/16; A61P1/18; A61P17/06; A61P19/02; A61P25/00; A61P27/02; A61P29/00; A61P37/00; A61P37/02; C07D231/12; C07D231/14; C07D401/04; C07D401/06; C07D401/14; C07D405/06; C07D405/14; C07D409/14; C07D413/14; C07D417/14; A61P31/04; A61P35/00; C07D231/06; C07D403/04; C07D405/04; C07D487/04; A61K31/422; A61K31/4439; A61K31/454; A61K31/506; C07D413/04; A61P1/00; A61P25/16; A61P25/28; C07D403/06; C07D409/06; A61K; A61P; C07D; A61K31/4545; A61K31/497; A61K31/5025; A61K31/5377; A61K45/00; A61P43/00; C07D403/14; C07D407/14; C07D413/06; A61K31/00; A61P31/00; C07D231/00; C07D401/00; C07D403/00; C07D405/00; C07D409/00; C07D413/00; C07D417/00; C07D487/00; C07D403/10; C07D405/10; A61P21/00

Cooperative Patent Class: A61K31/415; A61K31/4155; A61K31/422; A61K31/454; A61K31/4545; A61K31/506; A61K31/5377; A61P21/00; A61P25/16; A61P25/28; A61P29/00; C07D231/06; C07D401/04; C07D401/06; C07D401/14; C07D403/04; C07D403/06; C07D405/04; C07D405/06; C07D405/14; C07D409/06; C07D409/14; C07D413/04; C07D413/14; C07D417/14; C07D487/04; A61P25/00; A61P31/04; A61P35/00

US Class: 1/1; 514/236.5

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR104685 AA	20170809	AR2016P101442	20160517
AU2016263156 AA	20171116	AU20160263156	20160519
AU2016263156 BB	20181206	AU20160263156	20160519
AU2019201480 AA	20190328	AU20190201480	20190304
AU2019201480 BB	20200611	AU20190201480	20190304
AU2019201480 CA	20211125	AU20190201480	20190304
BR112017024941 A2	20180731	BR201711024941	20160519
BR112017024941 B1	20230815	BR201711024941	20160519
CA2986102 AA	20171115	CA20162986102	20160519
CA2986102 C	20240102	CA20162986102	20160519
CL2017002908 A1	20180420	CL20170002908	20171116
CL62921 B	20210922	CL20170002908	20171116

Patent Number	Publication Date	Application Number	Application Date
CN107624111 A	20180123	CN201680029135	20160519
CN107624111 B	20201103	CN201680029135	20160519
CN112370452 A	20210219	CN202011082608	20160519
CO20170011754 A2	20180209	CO20170011754	20171117
CR20170524 A	20180122	CR20170000524	20160519
CY1124187 T1	20220527	CY20211100210T	20210311
DE602016049836 D1	20210107	DE201660049836T	20160519
DK3298002 T3	20210215	DK20160724975T	20160519
DOP2017000267 A	20180131	DO20170000267	20171117
EA036452 B1	20201112	EA20170092535	20160519
EA201792535 A1	20181031	EA20170092535	20160519
EP3298002 A1	20180328	EP20160724975	20160519
EP3298002 B1	20201216	EP20160724975	20160519
ES2848398 T3	20210809	ES20160724975T	20160519
HK1245244 A1	20180824	HK20180104249	20180328
HK1245244 B	20210416	HK20180104249	20180328
HR20210300 T1	20210402	HR20210000300	20160519
HU053564 T2	20210728	HU20160724975T	20160519
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IL255246 A0	20171231	IL20160255246	20160519
IL255246 A1	20201231	IL20160255246	20160519
IN201717038455 A	20180105	IN201717038455	20171030
IN409723 B	20221028	IN201717038455	20171030
JP2018515555 T2	20180614	JP20170560303T	20160519
JP2020143079 A2	20200910	JP20200079670	20200428
JP2021138731 A2	20210916	JP20210091574	20210531
JP6700311 B2	20200527	JP20170560303T	20160519
JP6893271 B2	20210623	JP20200079670	20160519
JP7204821 B2	20230116	JP20210091574	20160519
KR20180004733 A	20180112	KR20177033029	20160519
LT3298002 T	20210111	LT20160724975T	20160519
MA42109 A	20180328	MA20160042109	20160519
MA42109 B1	20210331	MA20160042109	20160519
MX2017014809 A1	20180209	MX20170014809	20171117
MX383935 B	20250314	MX20170014809	20171117
MY192059 A	20220725	MY20170704350	20160519
NZ736665 A	20241025	NZ20160736665	20160519
PE05082018 A1	20180309	PE20170002383	20160519
PE20180508 A1	20180309	PE20170002383	20160519
PE20185082 A1	20180309	PE20170002383	20160519
PH2017502090 A	20180507	PH20170502090	20171117
PL3298002 T3	20210614	PL20160724975T	20160519
PT3298002 T	20201228	PT20160724975T	20160519
RS61568 B1	20210429	RS20210000313	20160519
SG11201708707U A1	20171228	SG20171108707	20160519

Patent Number	Publication Date	Application Number	Application Date
SI3298002 T1	20210430	SI20160031070T	20160519
SMT202100101 T1	20210315	SM20210000101T	20160519
TH1701006801 A	20191230	TH20171006801	20160519
TR202021108 T4	20210121	TR20200021108T	20160519
TW201718508 A	20170601	TW20160115117	20160517
TWI730959 B	20210621	TW20160115117	20160517
US10590085 BB	20200317	US20160575235	20160519
US10899716 BB	20210126	US20200778206	20200131
US11485710 BB	20221101	US20210156478	20210122
US2018134665 AA	20180517	US20160575235	20160519
US2020165205 AA	20200528	US20200778206	20200131
US2021253532 AA	20210819	US20210156478	20210122
US2023120185 AA	20230420	US20220935791	20220927
UY36680 A1	20161230	UY20160036680	20160517
VN10030747 B	20220125	VN20170005114	20160519
VN56622 A	20180326	VN20170005114	20171218
WO16185423 A1	20161124	WO20161B52948	20160519
ZA201707176 A	20190529	ZA20170007176	20171023

Priority Numbers: US20150163552P [20150519]; US20150167359P [20150528]; US20150197602P [20150728]; WO20161B52948 [20160519]; AU20190201480 [20190304]; AU20160263156 [20160519]; CN201680029135 [20160519]; EP20160724975 [20160519]; JP20170560303T [20160519]; JP20200079670 [20200428]; JP20170560303 [20160519]; JP20200079670 [20160519]; JP20210091574 [20210531]; US20160575235 [20160519]; US20200778206 [20200131]; US20170575235 [20171117]; US20210156478 [20210122]; US20220935791 [20220927]

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Non Std Inventors: DONCHE; EIDAM; GEORGE; JEONG; KING; SEHON; DAUGAN ALAIN CLAUDEMARIE

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

19. Family 57413173 (US2014303121 AA)

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Title
[EN] HETEROCYCLIC COMPOUNDS AND USES THEREOF

Abstract
[EN] Heterocyclic compounds of formula (I), methods for their preparation, pharmaceutical compositions containing such a compound and their therapeutic uses.

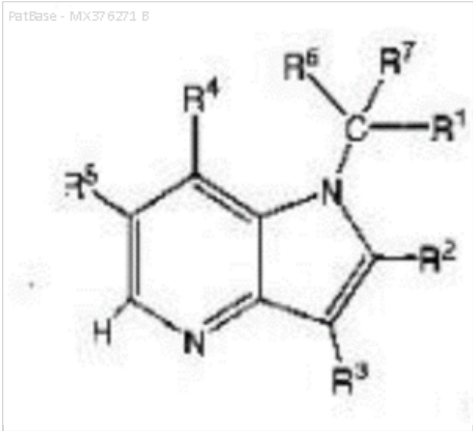
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Cooperative Patent Class: A61K31/422; A61K31/437; A61K31/506; A61K31/675; A61K31/695; A61P35/00; C07D413/04; C07D413/14; C07D471/04; C07F7/0814; C07F7/10; C07F9/6561; A61K31/444; A61K31/4545; A61K31/497; A61P11/06; A61P25/28; A61P29/00; A61P31/18; A61P37/00; A61P37/02; A61P9/10; Y02A50/30; C07D487/04; Y02A50/411

US Class: 1/1; 435/375; 514/255.05; 514/256; 514/274; 514/278; 514/300; 514/378; 514/63; 514/81; 544/316; 544/333; 544/405; 546/113; 546/14; 546/15; 546/23; 548/247

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR095609 AA	20151028	AR2014P101234	20140317
AU2014233437 AA	20150917	AU20140233437	20140314
AU2014233437 BB	20180726	AU20140233437	20140314
BR112015021983 A2	20170718	BR201511021983	20140314
BR112015021983 A8	20191203	BR201511021983	20140314
BR112015021983 B1	20220303	BR201511021983	20140314
BR122021026895 A0	20220118	BR202112026895	20140314
CA2903293 AA	20150831	CA20142903293	20140314
CA2903293 C	20201013	CA20142903293	20140314
CL2015002565 A1	20160527	CL20150002565	20150910
CN105073747 A	20151118	CN201480012750	20140314
CN105073747 B	20170606	CN201480012750	20140314
CY1120703 T1	20191211	CY20181101001T	20180928
DE602014029924 D1	20180906	DE201460029924T	20140314
DK2970265 T3	20181001	DK20140715529T	20140314
EP2970265 A1	20160120	EP20140715529	20140314
EP2970265 B1	20180808	EP20140715529	20140314
ES2688575 T3	20181105	ES20140715529T	20140314
HK1219738 A1	20170413	HK20160107871	20160706
HK1219738 B	20190606	HK20160107871	20160706
HR20181392 T1	20181019	HR20180001392	20140314
HU039380 T2	20181228	HU20140715529T	20140314
ID201606513 A	20161202	ID2015P0006280	20150314
ID59707 B	20190618	ID2015P0006280	20150314
IL240836 A0	20151029	IL20140240836	20140314



Patent Number	Publication Date	Application Number	Application Date
IL240836 A1	20180830	IL20140240836	20140314
IN02569MN2015 A	20160722	IN2015MN02569	20150904
IN345238 B	20200904	IN2015MN02569	20150904
JP2016514695 T2	20160523	JP20160503200T	20140314
JP6325078 B2	20180516	JP20160503200T	20140314
KR102244719 B1	20210426	KR20157027657	20140314
KR20150128839 A	20151118	KR20157027657	20140314
LT2970265 T	20180925	LT20140715529T	20140314
MK9505 T1	20190831	MK20180000729T	20180914
MX2015012456 A1	20160203	MX20150012456	20150911
MX376271 B	20250307	MX20150012456	20150911
NZ630875 A	20171222	NZ20140630875	20140314
PE19972015 A1	20160113	PE20150001943	20140314
PE20151997 A1	20160113	PE20150001943	20140314
PH2015501996 A	20160111	PH20150501996	20150908
PH2015501996 B	20200124	PH20150501996	20150908
PL2970265 T3	20181130	PL20140715529T	20140314
PT2970265 T	20181023	PT20140715529T	20140314
PY1411052 A	20170501	PY20140011052	20140317
RS57733 B1	20181231	RS20180001136	20140314
RU2015138570 A	20170424	RU20150138570	20140314
RU2015138570 A3	20180123	RU20150138570	20140314
RU2680100 C2	20190215	RU20150138570	20140314
RU2680100 C9	20190418	RU20150138570	20140314
SG11201506687R A1	20150929	SG20151106687	20140314
SI2970265 T1	20181030	SI20140030861T	20140314
SMT2018000650 T1	20190111	SM20180000650T	20140314
TH171260 A	20171207	TH20151005156	20140314
TR201813451 T4	20181022	TR20180013451T	20140314
TW201446754 A	20141216	TW20140109290	20140314
TWI634111 B	20180901	TW20140109290	20140314
US10501460 BB	20191210	US20170814179	20171115
US10519177 BB	20191231	US20170654538	20170719
US2014303121 AA	20141009	US20140213734	20140314
US2015133400 AA	20150514	US20140486986	20140915
US2016068528 AA	20160310	US20150846545	20150904
US2017320899 AA	20171109	US20170654538	20170719
US2018072722 AA	20180315	US20170814179	20171115
US9718847 BB	20170801	US20140486986	20140915
US9822109 BB	20171121	US20150846545	20150904
UY35485 A1	20140930	UY20140035485	20140317
VN10027757 B	20210325	VN20150003758	20140314
VN45890 A	20160225	VN20150003758	20151008
WO14145051 A1	20140918	WO2014US29701	20140314
ZA201506434 A	20190925	ZA20150006434	20150902

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

20. Family 61059012 (US2017096399 AA)

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Title

[EN] PYRAZOLE COMPOUNDS AND THEIR USE AS T-TYPE CALCIUM CHANNEL BLOCKERS

Abstract

[EN] wherein X, Y, R1, R2, (R4)n, and (R5)m are as defined in the description, and to pharmaceutically acceptable salts of such compounds. These compounds are useful as calcium T-channel blockers.

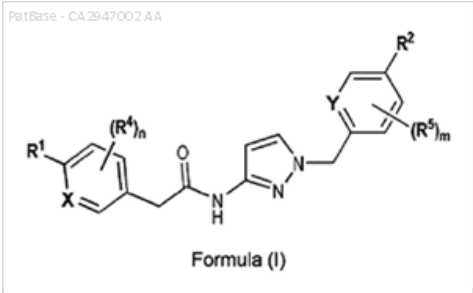
International Class: A61K31/415; A61K31/4439; A61P15/10; A61P25/08; A61P25/20; C07D231/40; C07D401/12; A61K31/4155; A61P15/00; A61P25/00; A61P3/10; A61P35/00; A61P9/00; C07D401/06; C07D401/14; C07D403/12; C07D405/12; C07D405/14; C07D413/12; C07D471/04; A61P29/00; A61P25/28; A61K; A61P; C07D; A61P25/04; A61P43/00; A61K31/4184; A61K31/437; A61K31/00; A61P3/00; C07D231/00; C07D401/00; C07D403/00; C07D405/00; C07D413/00; C07D471/00; A61K31/416; A61K31/423; A61K31/4245; A61K31/4709; A61K31/497; A61K31/506; A61K31/538; C07D231/56; C07D401/02; C07D403/06

Cooperative Patent Class: A61K31/415; A61K31/4155; A61K31/4439; A61P15/00; A61P15/10; A61P25/00; A61P25/04; A61P25/08; A61P25/20; A61P25/28; A61P29/00; A61P3/00; A61P3/10; A61P35/00; A61P43/00; A61P9/00; C07D231/40; C07D401/06; C07D401/12; C07D401/14; C07D403/06; C07D403/12; C07D405/12; C07D405/14; C07D413/12; C07D471/04

US Class: 1/1; 514/210.2

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR100712 AA	20161026	AR2015P101743	20150602
AR100712 B1	20230818	AR2015P101743	20150602
AU2015270118 AA	20170112	AU20150270118	20150602
AU2015270118 BB	20190912	AU20150270118	20150602
AU2015270118 CA	20191128	AU20150270118	20150602
BR112016028315 A2	20170822	BR201611028315	20150602
BR112016028315 A8	20171226	BR201611028315	20150602
BR112016028315 B1	20230606	BR201611028315	20150602
CA2947002 AA	20161025	CA20152947002	20150602
CA2947002 C	20230103	CA20152947002	20150602
CL2016003092 A1	20170512	CL20160003092	20161201
CL58298 B	20191218	CL20160003092	20161201
CN106414429 A	20170215	CN201580028246	20150602
CN106414429 B	20200103	CN201580028246	20150602
CO20160004862 A2	20170310	CO20160004862	20161130
CY1120864 T1	20191211	CY20181101214T	20181115
DE602015014771 D1	20180913	DE201560014771T	20150602
DK3152199 T3	20181126	DK20150728640T	20150602
EA031104 B1	20181130	EA20160092521	20150602
EA201692521 A1	20170531	EA20160092521	20150602
EP3152199 A1	20170412	EP20150728640	20150602
EP3152199 B1	20180815	EP20150728640	20150602
ES2696351 T3	20190115	ES20150728640T	20150602
GC9264 A	20181203	GC2015P029491	20150601
HK1235393 A1	20180309	HK20170108965	20170906
HK1235393 B	20190927	HK20170108965	20170906
HR20181670 T1	20181214	HR20180001670	20150602



Patent Number	Publication Date	Application Number	Application Date
HU040489 T2	20190328	HU20150728640T	20150602
ID201712654 A	20171124	ID2016P0009194	20150602
ID59516 B	20190613	ID2016P0009194	20150602
IL249275 A0	20170228	IL20150249275	20150602
IL249275 A1	20200130	IL20150249275	20150602
IN201647044384 A	20170113	IN201647044384	20161227
IN351379 B	20201113	IN201647044384	20161227
JP2017516830 T2	20170622	JP20160571138T	20150602
JP6195684 B2	20170913	JP20160571138T	20150602
KR101906031 B1	20181008	KR20167036470	20150602
KR20170012432 A	20170202	KR20167036470	20150602
LT3152199 T	20181112	LT20150728640T	20150602
MA40281 A	20151210	MA20150040281	20150602
MA40281 B1	20181130	MA20150040281	20150602
MX2016015923 A1	20170227	MX20160015923	20161202
MX376481 B	20250307	MX20160015923	20161202
NZ727818 A	20200626	NZ20150727818	20150602
NZ727818 B	20200929	NZ20150727818	20150602
PE01292017 A1	20170330	PE20160002493	20150602
PE20170129 A1	20170330	PE20160002493	20150602
PH2016502230 A	20170109	PH20160502230	20161109
PH2016502230 B	20191106	PH20160502230	20161109
PL3152199 T3	20190131	PL20150728640T	20150602
PT3152199 T	20181126	PT20150728640T	20150602
RS57943 B1	20190131	RS20180001305	20150602
SG11201609974P A1	20161229	SG20161109974	20150602
SI3152199 T1	20181231	SI20150030461T	20150602
TH1601007244 A	20190329	TH20161007244	20150602
TN2016000542 A1	20180404	TN20160000542	20150602
TR201816624 T4	20181121	TR20180016624T	20150602
TW201625551 A	20160716	TW20150117850	20150602
TW201930270 A	20190801	TW20190115051	20150602
TWI675827 B	20191101	TW20150117850	20150602
TWI690513 B	20200411	TW20190115051	20150602
UA121548 C2	20200625	UA20160013231	20150602
US10065929 BB	20180904	US20170847611	20171219
US2017096399 AA	20170406	US20150316100	20150602
US2018105496 AA	20180419	US20170847611	20171219
US9932314 BB	20180403	US20150316100	20150602
VN10031931 B	20220525	VN20160005087	20150602
VN51799 A	20170425	VN20160005087	20161227
WO15186056 A1	20151210	WO2015IB54164	20150602
ZA201608821 A	20190529	ZA20160008821	20161221

Priority Numbers: WO2014IB61901 [20140603]; WO2015IB54164 [20150602]; EP20150728640 [20150602]; US20170847611 [20171219]; US20160316100 [20161202]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

21. Family 64272604 (US2018162815 AA)

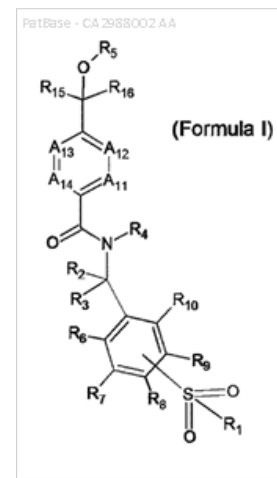
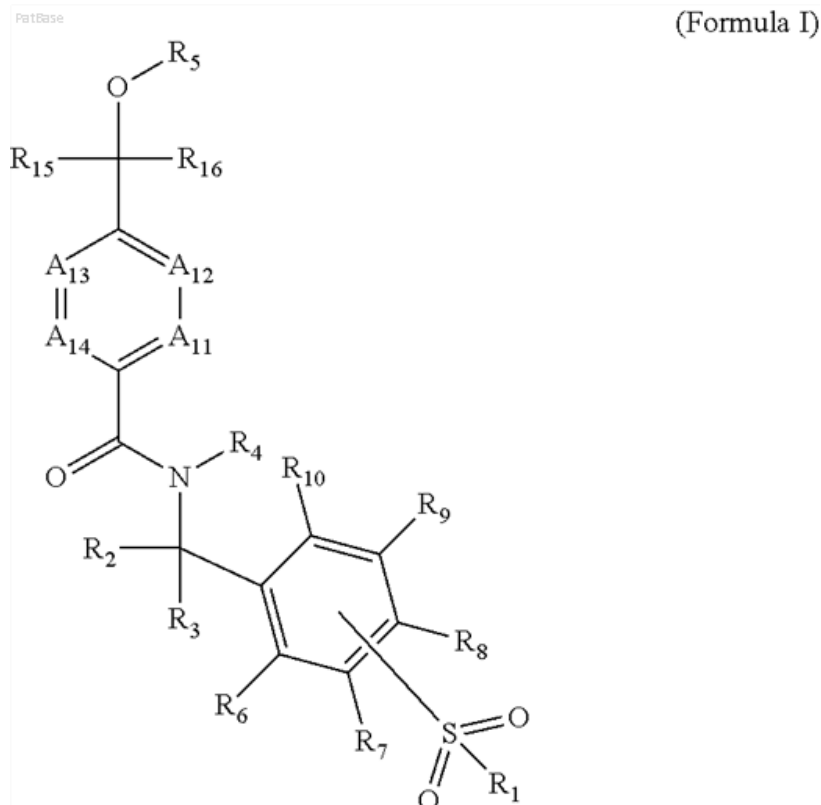
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Title

[EN] ROR GAMMA (RORY) MODULATORS

Abstract

[EN] Novel compounds according to Formula I



or a pharmaceutically acceptable salt thereof wherein: A₁₁-A₁₄ are N or CR₁₁, CR₁₂, CR₁₃, CR₁₄, respectively, with the proviso that no more than two of the four positions A can be simultaneously N; R₁ is C(2-6)alkyl, C(3-6)cycloalkyl, C(3-6)cycloalkylC(1-3)alkyl, (di)C(3-6)cycloalkylamino or (di)C(3-6)cycloalkylC(1-3)alkylamino; R₅ is H, hydroxyethyl, methoxyethyl, C(1-6)alkyl, C(6-10)aryl, C(6-10)arylC(1-3)alkyl, C(1-9)heteroaryl, C(1-9)heteroarylC(1-3)alkyl, C(3-6)cycloalkyl, (3-6)cycloalkylC(1-3)alkyl, C(2-5)heterocycloalkyl or C(2-5)heterocycloalkyl-C(1-3)alkyl; the sulfonyl group with R₁ is represented by one of R₇, R₈ or R₉; R₁₅ is H, C(1-6)alkyl, C(3-6)cycloalkyl, C(3-6)cycloalkylC(1-3)alkyl, C(6-10)aryl, C(6-10)arylC(1-3)alkyl, C(1-9)heteroaryl, C(1-9)heteroarylC(1-3)alkyl, C(2-5)heterocycloalkyl or C(2-5)heterocycloalkylC(1-3)alkyl; and R₁₆ is C(1-6)alkyl, C(3-6)cycloalkyl, C(3-6)cycloalkylC(1-3)alkyl, C(6-10)aryl, C(6-10)arylC(1-3)alkyl, C(1-9)heteroaryl, C(1-9)heteroarylC(1-3)alkyl, C(2-5)heterocycloalkyl or C(2-5)heterocycloalkylC(1-3)alkyl. The compounds can be used as inhibitors of RORgamma and are useful for the treatment of RORgamma mediated diseases.

International Class: A61K31/10; A61K31/42; A61K31/44; A61P29/00; A61P37/00; C07C317/32; C07D213/81; C07D261/08; C07D; C07C311/46; A61K31/166; A61K31/18; A61K45/00; A61P1/04; A61P11/00; A61P11/06; A61P17/00; A61P17/06; A61P19/02; A61P25/00; A61P33/02; A61P37/06; A61P43/00; A61P5/14; C07C311/37; C07C317/40; A61K45/06

Cooperative Patent Class: A61K31/10; A61K31/166; A61K31/18; A61K31/42; A61K31/44; A61K45/06; A61P19/02; A61P29/00; A61P37/00; C07C2601/02; C07C311/27; C07C311/46; C07C317/32; C07D213/81; C07D261/08

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Family Information

Patent Number	Publication Date	Application Number	Application Date
AR104880 AA	20170823	AR2016P101634	20160602
AU2016273353 AA	20180104	AU20160273353	20160603
AU2016273353 BB	20200827	AU20160273353	20160603

Patent Number	Publication Date	Application Number	Application Date
BR112017026223 A2	20180911	BR201711026223	20160603
BR112017026223 B1	20230711	BR201711026223	20160603
CA2988002 AA	20171201	CA20162988002	20160603
CA2988002 C	20230808	CA20162988002	20160603
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CN108430974 B	20210917	CN201680043482	20160603
DE602016029108 D1	20200220	DE201660029108T	20160603
EP3101009 A1	20161207	EP20150170765	20150605
EP3303293 A1	20180411	EP20160726888	20160603
EP3303293 B1	20200205	EP20160726888	20160603
ES2783824 T3	20200918	ES20160726888T	20160603
IL256038 A0	20180131	IL20160256038	20160603
IL256038 A1	20210228	IL20160256038	20160603
IN201717043488 A	20180302	IN201717043488	20171204
IN375111 B	20210827	IN201717043488	20171204
JP2018518480 T2	20180712	JP20170563090T	20160603
JP6754777 B2	20200916	JP20170563090T	20160603
KR102576004 B1	20230906	KR20187000282	20160603
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MX2017015740 A1	20180424	MX20170015740	20171205
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PL3303293 T3	20201005	PL20160726888T	20160603
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RU2017145930 A	20190709	RU20170145930	20160603
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RU2735546 C2	20201103	RU20170145930	20160603
TR202005133 T4	20200421	TR20200005133T	20160603
US10556866 BB	20200211	US20160579164	20160603
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WO16193461 A1	20161208	WO2016EP62701	20160603

Priority Numbers: EP20150170765 [20150605]; WO2016EP62701 [20160603]; EP20160726888 [20160603]; RU20170145930 [20160603]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP;

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22. Family 51892828 (US2013317003 AA)

[View in PatBase](#)

Title

[EN] HISTONE DEACETYLASE INHIBITORS

Abstract

[EN] This invention relates to generally inhibiting histone deacetylase ("HDAC") enzymes (e.g., HDAC1, HDAC2, and HDAC3).

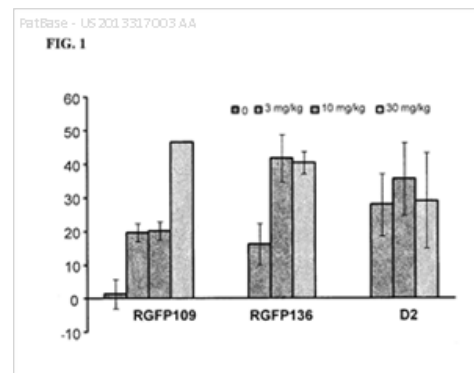
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Cooperative Patent Class: A61P35/00; C07D211/34; C07D211/70; C07D231/12; C07D233/64; C07D261/08; C07D263/32; C07D277/30; C07D401/06; C07D403/06; C07D471/04; C07D513/04; A61K31/337; A61K31/397; A61K31/44; A61K31/445; A61K31/4545; A61K31/46; A61P21/00; A61P21/02; A61P21/04; A61P25/00; A61P25/14; A61P25/28; A61P25/30; A61P25/34; A61P29/00; A61P31/00; A61P31/04; A61P31/12; A61P37/00; A61P43/00; C07D205/06; C07D211/78; C07D277/34; C07D305/10; C07D403/10; C07D451/02; C07D471/08; A61K31/439; C07D277/593

US Class: 1/1; 435/184; 514/210.21; 514/300; 514/304; 514/318; 514/326; 514/330; 514/340; 514/365; 514/368; 514/374; 514/378; 514/400; 514/406; 546/121; 546/124; 546/194; 546/211; 546/226; 546/268.1; 548/154; 548/204; 548/236; 548/247; 548/338.1; 548/364.7; 548/374.1; 548/375.1; 548/376.1; 548/468; 548/518

Family Information

Patent Number	Publication Date	Application Number	Application Date	
AU2012223509 AA	20130919	AU20120223509	20120228	
AU2012223509 BB	20161110	AU20120223509	20120228	
AU2014239677 AA	20150917	AU20140239677	20140314	
AU2014239677 BB	20180823	AU20140239677	20140314	
AU2017200850 AA	20170223	AU20170200850	20170208	
AU2017200850 BB	20180906	AU20170200850	20170208	
BR112015023434 A2	20170718	BR201511023434	20140314	
BR112015023434 A8	20191203	BR201511023434	20140314	
CA2828524 AA	20130827	CA20122828524	20120228	
CA2828524 C	20200107	CA20122828524	20120228	
CA2903486 AA	20150901	CA20142903486	20140314	
CA2903486 C	20210216	CA20142903486	20140314	
CA3061239 AA	20120907	CA20123061239	20120228	
CA3105007 AA	20140925	CA20143105007	20140314	
CN105120860 A	20151202	CN201480021148	20140314	🔒
CN105120860 B	20210126	CN201480021148	20140314	
CN111747948 A	20201009	CN202010654188	20140314	
DE602012055425 D1	20190124	DE201260055425T	20120228	
DE602014060850 D1	20200305	DE201460060850T	20140314	
DK2680694 T3	20190325	DK20120751918T	20120228	
DK2968251 T3	20200316	DK20140717027T	20140314	



Patent Number	Publication Date	Application Number	Application Date	
EP2680694 A1	20140108	EP20120751918	20120228	
EP2680694 A4	20140903	EP20120751918	20120228	
EP2680694 B1	20190102	EP20120751918	20120228	
EP2968251 A1	20160120	EP20140717027	20140314	
EP2968251 B1	20200212	EP20140717027	20140314	
EP3524324 A1	20190814	EP20180214838	20120228	
EP3695836 A1	20200819	EP20200150114	20140314	
ES2712803 T3	20190514	ES20120751918T	20120228	
ES2780693 T3	20200826	ES20140717027T	20140314	
HK1193944 A1	20141114	HK20140106812	20140704	
HK1193944 B	20191213	HK20140106812	20140704	
HK1218067 A1	20170203	HK20160105756	20160519	
HK1218067 B	20210108	HK20160105756	20160519	
HK40010651 A1	20200710	HK20190133477	20191211	
IL240938 A0	20151130	IL20140240938	20140314	
IL240938 A1	20190331	IL20140240938	20140314	
IL264922 A0	20190430	IL20140264922	20140314	
IL264922 A1	20210228	IL20140264922	20140314	
IL280820 AA	20210429	IL20140280820	20140314	
IN07941DN2015 A	20160429	IN2015DN07941	20150903	
IN202018018466 A	20200904	IN202018018466	20200430	
JP2014514261 T2	20140619	JP20130556793T	20120228	
JP2016520523 T2	20160714	JP20160502408T	20140314	
JP2018012718 A2	20180125	JP20170161679	20170824	
JP2018150331 A2	20180927	JP20180088646	20180502	
JP2019065037 A2	20190425	JP20180242538	20120228	
JP2020019814 A2	20200206	JP20190188049	20140314	
JP6250403 B2	20171220	JP20130556793T	20120228	
JP6336562 B2	20180606	JP20160502408T	20140314	
JP6654659 B2	20200226	JP20180088646	20180502	
KR20150132342 A	20151125	KR20157028907	20140314	
MX2015012558 A1	20160610	MX20150012558	20150911	
MX2020009870 A1	20201012	MX20200009870	20150911	
MX375260 B	20250306	MX20150012558	20150911	
NZ711592 A	20201127	NZ20140711592	20140314	
PT2680694 T	20190314	PT20120751918T	20120228	
PT2968251 T	20200325	PT20140717027T	20140314	
RU2015143843 A	20170421	RU20150143843	20140314	
RU2015143843 A3	20180129	RU20150143843	20140314	
RU2673819 C2	20181130	RU20150143843	20140314	
US10059723 BB	20180828	US20160346877	20161109	
US10280182 BB	20190507	US20180046382	20180726	
US10301323 BB	20190528	US20180046304	20180726	
US10526346 BB	20200107	US20180860741	20180103	
US10981933 BB	20210420	US20190299423	20190312	

Patent Number	Publication Date	Application Number	Application Date	
US2013317003 AA	20131128	US20130843261	20130315	
US2014051680 AA	20140220	US20120823708	20120228	
US2015158884 AA	20150611	US20150598583	20150116	
US2017044186 AA	20170216	US20160337354	20161028	
US2017050984 AA	20170223	US20160346877	20161109	
US2018208606 AA	20180726	US20180860741	20180103	
US2018327423 AA	20181115	US20180046304	20180726	
US2018370987 AA	20181227	US20180046382	20180726	
US2019233439 AA	20190801	US20190299423	20190312	
US2020148704 AA	20200514	US20190677954	20191108	
US8957066 BB	20150217	US20130843261	20130315	
US9512143 BB	20161206	US20150598583	20150116	
US9540395 BB	20170110	US20120823708	20120228	
US9908899 BB	20180306	US20160337354	20161028	
WO12118782 A1	20120907	WO2012US26874	20120228	
WO14152444 A1	20140925	WO2014US27347	20140314	
ZA201506517 A	20161221	ZA20150006517	20150904	

Priority Numbers: US20110447416P [20110228]; WO2012US26874 [20120228]; US20130843261 [20130315]; WO2014US27347 [20140314]; AU20120223509 [20120228]; AU20170200850 [20170208]; CA20122828524 [20120228]; CA20142903486 [20140314]; CN201480021148 [20140314]; EP20120751918 [20120228]; EP20140717027 [20140314]; WO2014US27347 [20130314]; IN2015DN07941 [20150903]; JP20130556793T [20120228]; JP20170161679 [20170824]; JP20160502408T [20140314]; JP20180088646 [20180502]; JP20170161679 [20120228]; JP20180242538 [20181226]; JP20180088646 [20140314]; JP20190188049 [20191011]; MX20150012558 [20150911]; RU20150143843 [20140314]; US20160346877 [20161109]; US20130823708 [20131028]; US20180046382 [20180726]; US20180860741 [20180103]; US20160337354 [20161028]; US20150598583 [20150116]; US20180046304 [20180726]; US20190299423 [20190312]; US20120823708 [20120228]; US20190677954 [20191108]

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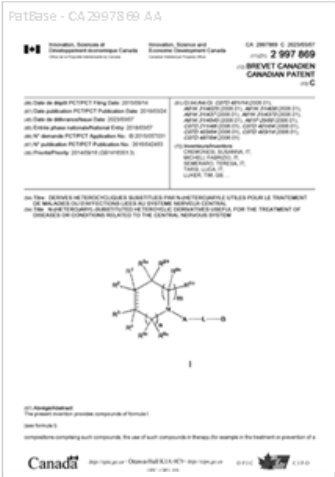
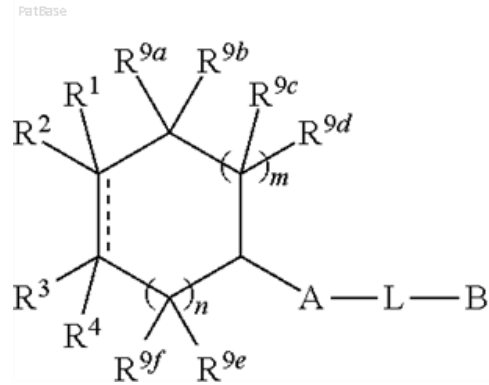
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23. Family 57881994 (US2017253592 AA)

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Title
[EN] N-(HETERO)ARYL-SUBSTITUTED HETEROYCLIC DERIVATIVES USEFUL FOR THE TREATMENT OF DISEASES OR CONDITIONS RELATED TO THE CENTRAL NERVOUS SYSTEM

Abstract
[EN] The present invention provides compounds of formula (I): compositions comprising such compounds; the use of such compounds in therapy (for example in the treatment or prevention of a disease, disorder or condition ameliorated by inhibition of a dopamine transporter); and methods of treating patients with such compounds; wherein R¹, R², R³, R⁴, R^{9a}, R^{9b}, R^{9c}, R^{9d}, R^{9e}, R^{9f}, m, n, A, L and B are as defined herein.



International Class: A61K31/4025; A61K31/409; A61K31/437; A61K31/4375; A61K31/4545; A61P25/00; C07D211/48; C07D401/04; C07D401/14; C07D403/04; C07D403/14; C07D487/04; A61P25/14; A61P25/20; A61P25/22; A61P25/24; A61P25/30; A61P25/34; A61P29/00; A61P3/04; A61K; A61P; C07D; A61K31/445; A61K31/506; A61K31/551; A61P15/00; A61P25/04; A61P3/00; A61P43/00; C07D471/04; A61K31/451; A61P15/10; A61P19/00; A61P21/02; A61P25/16; A61P25/32; A61P3/10; A61P31/04; A61P31/18; A61P35/00; A61P9/00; C07D401/06; A61K31/00; C07D211/00; C07D401/00; C07D403/00; C07D487/00

Cooperative Patent Class: A61P15/00; A61P25/00; A61P25/04; A61P25/20; A61P25/22; A61P25/24; A61P25/30; A61P3/00; A61P3/04; A61P43/00; C07D211/48; C07D401/04; C07D401/14; C07D403/04; C07D403/14; C07D471/04; C07D487/04

US Class: 1/1; 514/210.18

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2015316472 AA	20170420	AU20150316472	20150914
AU2015316472 BB	20200409	AU20150316472	20150914
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CA2997869 AA	20180307	CA20152997869	20150914
CA2997869 C	20230307	CA20152997869	20150914
CN107001318 A	20170801	CN201580057347	20150914
CN107001318 B	20200811	CN201580057347	20150914
CN111848577 A	20201030	CN202010667511	20150914
CN111848577 B	20230901	CN202010667511	20150914
CY1120875 T1	20191211	CY20181100879T	20180823
DE602015012594 D1	20180719	DE201560012594T	20150914
DK3194385 T3	20181001	DK20150774987T	20150914
DK3194385 T6	20210517	DK20150774987T	20150914

Patent Number	Publication Date	Application Number	Application Date
EP3194385 A1	20170726	EP20150774987	20150914
EP3194385 B1	20180620	EP20150774987	20150914
EP3194385 B3	20210217	EP20150774987	20150914
ES2687604 T3	20181026	ES20150774987T	20150914
ES2687604 T7	20211117	ES20150774987T	20150914
GB201416351 A0	20141029	GB20140016351	20140916
HK1241867 A1	20180615	HK20180101249	20180126
HK1241867 B	20190913	HK20180101249	20180126
HK40040558 A1	20210806	HK20214030065	20210428
HK40040558 B	20240503	HK20214030065	20210428
HR20181391 T1	20181019	HR20180001391	20150914
HU040647 T2	20190328	HU20150774987T	20150914
IN201717011864 A	20170922	IN201717011864	20170331
IN457618 B	20231013	IN201717011864	20170331
JP2017527632 T2	20170921	JP20170534018T	20150914
JP2021042231 A2	20210318	JP20200195362	20150914
JP2023012506 A2	20230125	JP20220173152	20150914
JP6800855 B2	20201216	JP20170534018T	20150914
JP7612643 B2	20250114	JP20220173152	20150914
LT3194385 T	20180925	LT20150774987T	20150914
MK9375 T1	20190731	MK20180000697T	20180906
NZ730702 A	20230929	NZ20150730702	20150914
NZ730702 B	20240104	NZ20150730702	20150914
PL3194385 T3	20181130	PL20150774987T	20150914
PL3194385 T6	20210614	PL20150774987T	20150914
PT3194385 T	20181019	PT20150774987T	20150914
RS57617 B1	20181130	RS20180000999	20150914
SI3194385 T1	20190131	SI20150030344T	20150914
SMT201800467 T1	20181109	SM20180000467T	20150914
TR201811192 T4	20180921	TR20180011192T	20150914
US2017253592 AA	20170907	US20150511515	20150914
US9920053 BB	20180320	US20150511515	20150914
WO16042453 A1	20160324	WO2015IB57031	20150914

Priority Numbers: GB20140016351 [20140916]; WO2015IB57031 [20150914]; CN201580057347 [20150914]; CN202010667511 [20150914]; EP20150774987 [20150914]; JP20170534018T [20150914]; JP20200195362 [20201125]; JP20200195362 [20150914]; JP20220173152 [20221028]

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24. Family 49885109 (US2013052281 AA)

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Title
[EN] NOVEL COMPOUNDS

Abstract
[EN] The present invention provides compounds of formula (I) and pharmaceutically acceptable salts thereof, wherein R1 and R2 are as defined in the specification, processes for their preparation, pharmaceutical compositions containing them and their use in therapy.

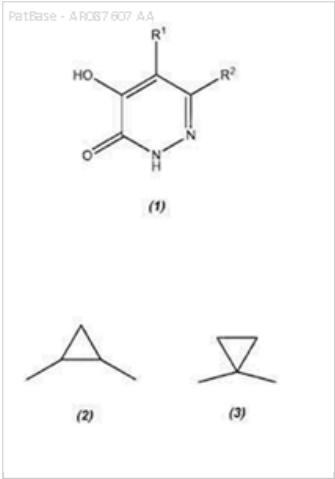
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Cooperative Patent Class: A61K31/50; A61K31/501; A61K45/06; A61P25/00; A61P25/04; A61P25/18; A61P25/28; A61P29/00; A61P29/02; A61P43/00; C07D237/14; C07D237/16; C07D237/18; C07D237/22; C07D401/06; C07D401/10; C07D405/06; C07D407/10; C07F7/0812; B29C55/04; B29C55/06; B29C55/08; B29D28/00; B32B3/266; B32B3/30; E02B3/126; E02D3/005; Y10T428/24273; B29D28/005

US Class: 1/1; 264/288.4; 264/40.1; 424/722; 428/131; 514/211.13; 514/217; 514/220; 514/242; 514/247; 514/252.01; 514/252.03; 514/253.07; 514/254.04; 514/259.41; 544/229; 544/238; 544/240

Family Information

Patent Number	Publication Date	Application Number	Application Date
AP2014007512 A0	20140331	AP20140007512	20120821
AR087607 AA	20140403	AR2012P103061	20120821
AR087607 B1	20230106	AR2012P103061	20120821
AR088566 AA	20140618	AR2012P104038	20121026
AR088566 B1	20181226	AR2012P104038	20121026
AR127309 AB	20240110	AR2022P102738	20221006
AU2012298335 AA	20140130	AU20120298335	20120821
AU2012298335 BB	20170601	AU20120298335	20120821
AU2012328139 AA	20140417	AU20120328139	20121023
AU2012328139 BB	20171109	AU20120328139	20121023
BR112014003906 A2	20170314	BR201411003906	20120821
BR112014003906 B1	20220322	BR201411003906	20120821
BR112014010171 A2	20170425	BR201411010171	20121023
BR112014010171 B1	20200428	BR201411010171	20121023
BR112014010171 B8	20200428	BR201411010171	20121023
CA2846204 AA	20140219	CA20122846204	20120821
CA2846204 C	20210427	CA20122846204	20120821
CA2853353 AA	20140424	CA20122853353	20121023
CA2853353 C	20190521	CA20122853353	20121023
CL2014000395 A1	20141205	CL20140000395	20140217
CL55780 B	20180410	CL20140000395	20140217
CN103748079 A	20140423	CN201280040586	20120821
CN103748079 B	20160316	CN201280040586	20120821
CN103889696 A	20140625	CN201280052796	20121023
CN103889696 B	20170215	CN201280052796	20121023



Patent Number	Publication Date	Application Number	Application Date
CO6910197 A2	20140331	CO20140058009	20140318
CR20140133 A	20140605	CR20140000133	20140321
CY1117105 T1	20170405	CY20161100005T	20160107
DE602012011819 D1	20151203	DE201260011819T	20120821
DE602012042666 D1	20180308	DE201260042666T	20121023
DK2748149 T3	20160125	DK20120753164T	20120821
DK2748149 T5	20170424	DK20120753164T	20120821
DOP2014000036 A	20140630	DO20140000036	20140219
EA024956 B1	20161130	EA20140090471	20120821
EA201490471 A1	20140530	EA20140090471	20120821
ECSP14013215 A	20140531	EC20140013215	20140217
EP2748149 A1	20140702	EP20120753164	20120821
EP2748149 B1	20151021	EP20120753164	20120821
EP2748149 B9	20171115	EP20120753164	20120821
EP2771178 A1	20140903	EP20120784044	20121023
EP2771178 B1	20180207	EP20120784044	20121023
ES2559631 T3	20160215	ES20120753164T	20120821
ES2559631 T9	20180313	ES20120753164T	20120821
ES2666873 T3	20180508	ES20120784044T	20121023
GB201114399 A0	20111005	GB20110014399	20110822
GB201118658 A0	20111207	GB20110018658	20111027
GB201118659 A0	20111214	GB20110018659	20111028
GB201203533 A0	20120411	GB20120003533	20120229
GB201219033 A0	20121205	GB20120019033	20121023
GB2496485 A1	20130515	GB20120019033	20121023
GB2496485 B2	20171018	GB20120019033	20121023
GC9143 A	20181114	GC2012P022593	20121103
GE20156372 B	20150925	GE20120013407	20120821
HK1194072 A1	20141010	HK20140107513	20140723
HK1194072 B	20160324	HK20140107513	20140723
HK1195034 A1	20141031	HK20140108380	20140815
HK1195034 B	20180119	HK20140108380	20140815
HR20151310 T1	20160101	HR20150001310	20120821
HR20151310 T2	20180323	HR20150001310	20120821
HU026691 T2	20160728	HU20120753164T	20120821
IL230143 A0	20140306	IL20120230143	20120821
IL230143 A1	20170330	IL20120230143	20120821
IN01952CN2014 A	20160701	IN2014CN01952	20140312
IN311047 B	20190412	IN2014CN01952	20140312
JO3115 B	20170920	JO20120000232	20120815
JP2014524461 T2	20140922	JP20140526537T	20120821
JP2014530783 A2	20141120	JP20140537718	20121023
JP2014530783 T2	20141120	JP20140537718T	20121023
JP6022573 B2	20161109	JP20140526537T	20120821
JP6054978 B2	20161227	JP20140537718T	20121023

Patent Number	Publication Date	Application Number	Application Date
KR101961851 B1	20190326	KR20147007280	20120821
KR20140054297 A	20140508	KR20147007280	20120821
MA35510 B1	20141002	MA20140036837	20140317
ME02319 B	20160620	ME20620000201	20120821
MX2014000783 A1	20140414	MX20140000783	20120821
MX2014004673 A1	20150205	MX20140004673	20121023
MX334913 B	20151119	MX20140000783	20120821
MX355696 B	20180427	MX20140004673	20121023
MY180618 A	20201203	MY20130004633	20120821
NZ620239 A	20151030	NZ20120620239	20120821
NZ620239 B	20160202	NZ20120620239	20120821
NZ623363 A	20160729	NZ20120623363	20121023
NZ623363 B	20161101	NZ20120623363	20121023
PE12082014 A1	20140919	PE20140000238	20120821
PE20141208 A1	20140919	PE20140000238	20120821
PH2014500405 A	20140407	PH20140500405	20120821
PL2748149 T3	20160429	PL20120753164T	20120821
PL2771178 T3	20180731	PL20120784044T	20121023
PT2748149 T	20160204	PT20120753164T	20120821
RS54515 B1	20160630	RS20160000010	20120821
RS54515 B9	20201231	RS20160000010	20120821
RU2014121396 A	20151210	RU20140121396	20121023
RU2608768 C2	20170124	RU20140121396	20121023
SI2748149 T1	20160229	SI20120030375T	20120821
SMT201600023 B	20160225	SM20160000023T	20160121
TH146336 A	20160229	TH20141000879	20120821
TH96638 B	20231103	TH20141000879	20120821
TN2014000077 A1	20150701	TN20140000077	20140221
TW201313687 A	20130401	TW20120130241	20120821
TW201328852 A	20130716	TW20120139448	20121025
TWI546289 B	20160821	TW20120130241	20120821
TWI608921 B	20171221	TW20120139448	20121025
UA111851 C2	20160624	UA20140000913	20120821
US10463663 BB	20191105	US20180899561	20180220
US11129828 BB	20210928	US20190580095	20190924
US12186313 BB	20250107	US20210461757	20210830
US2013052281 AA	20130228	US20120591859	20120822
US2013115415 AA	20130509	US20120661708	20121026
US2015030704 AA	20150129	US20120240045	20120821
US2017173007 AA	20170622	US20160014336	20160203
US2018177780 AA	20180628	US20180899561	20180220
US2020121678 AA	20200423	US20190580095	20190924
US2022008416 AA	20220113	US20210461757	20210830
US9227377 BB	20160105	US20120661708	20121026
US9290456 BB	20160322	US20120240045	20120821

Patent Number	Publication Date	Application Number	Application Date
US9931340 BB	20180403	US20160014336	20160203
UY34280 A1	20121031	UY20120034280	20120821
VN10023130 B	20200225	VN20140000707	20140304
VN23130 A1	20200225	VN20140000707	20140304
VN38453 A	20140725	VN20140000707	20140304
VN39245 A	20140925	VN20140001728	20140528
WO13027000 A1	20130228	WO2012GB00672	20120821
WO13061049 A1	20130502	WO2012GB52630	20121023
ZA201400153 A	20151223	ZA20140000153	20140108

Priority Numbers: GB20110014399 [20110822]; GB20110018658 [20111027]; WO2012GB00672 [20120821]; GB20120003533 [20120229]; GB20110018659 [20111028]; US20110552717P [20111028]; AR2012P103061 [20120821]; WO2012GB52630 [20121023]; EP20120753164 [20120821]; EP20120784044 [20121023]; US20160014336 [20160203]; US20120240045 [20120821]; US20180899561 [20180220]; US20140240045 [20140612]; US20190580095 [20190924]; US20210461757 [20210830]; US20120661708 [20121026]

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25. Family 73132741 (US2020224199 AA)

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Title

[EN] METHODS AND COMPOSITIONS FOR MODULATING SPLICING

Abstract

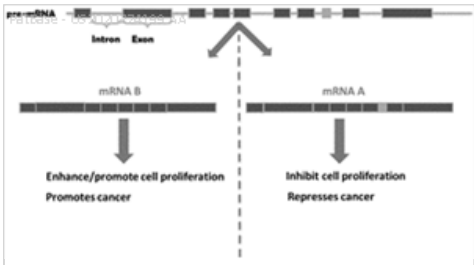
[EN] Described herein are small molecule splicing modulator compounds that modulate splicing of mRNA, such as pre-mRNA, encoded by genes, and methods of use of the small molecule splicing modulator compounds for modulating splicing and treating diseases and conditions.

International Class: A61K31/439; A61P25/00; C07D471/08; A61K31/501; A61K31/506; A61K31/53; A61K31/5386; C07D401/14; C07D403/10; C07D403/14; C07D471/04; C07D471/10; C07D498/08; A61P13/12; A61P25/16; A61P25/28; A61P3/00; A61P3/10; A61P35/00; A61P35/02; A61P35/04; A61P7/00; A61K45/06; C07D209/52; C07D487/08; C07D451/02; C07D451/14; A61K31/5383; C07D451/04; C07D451/06; C07D; C07D491/08; C12N15/113; A61P11/00; A61P17/06; A61P19/02; A61P21/04; A61P25/14; A61P27/02; A61P27/06; A61P27/12; A61P29/00; A61P3/04; A61P31/04; A61P31/12; A61P33/00; A61P43/00; A61P9/10; A61P21/00; A61P7/04; C07H21/04; A61K; A61P

Cooperative Patent Class: A61K2300/00; A61K31/501; A61K31/5383; A61K45/06; A61P13/12; A61P25/00; A61P25/16; A61P25/28; A61P3/00; A61P3/10; A61P35/00; A61P35/02; A61P35/04; A61P7/00; C07D209/52; C07D401/14; C07D403/10; C07D403/14; C07D451/02; C07D451/04; C07D451/06; C07D451/14; C07D471/04; C07D471/08; C07D471/10; C07D487/08; C07D491/08; C07D498/08; C12N15/113; C12N2310/321; C12N2310/333; A61K31/439

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2018309187 AA	20200220	AU20180309187	20180803
AU2018309187 BB	20210401	AU20180309187	20180803
AU2018309187 CA	20230907	AU20180309187	20180803
AU2020200699 AA	20200220	AU20200200699	20200130
AU2020200699 BB	20210325	AU20200200699	20200130
AU2020200699 CA	20210812	AU20200200699	20200130
BR112020002270 A2	20200728	BR202011002270	20180803
BR112020002270 B1	20240924	BR202011002270	20180803
BR122020005073 A2	20201013	BR202012005073	20180803
BR122020005073 B1	20241224	BR202012005073	20180803
CA3072205 AA	20200203	CA20183072205	20180803
CN111194215 A	20200522	CN201880065070	20180803
CN111194215 B	20240301	CN201880065070	20180803
CN111499615 A	20200807	CN202010357631	20180803
CN111499615 B	20240202	CN202010357631	20180803
EP3661509 A1	20200610	EP20180840857	20180803
EP3661509 A4	20210113	EP20180840857	20180803
EP3689863 A1	20200805	EP20200165378	20180803
GB202003042 A0	20200415	GB20200003042	20180803
GB202008364 A0	20200715	GB20200008364	20180803
GB2579747 A1	20200701	GB20200003042	20180803
GB2579747 A8	20221005	GB20200003042	20180803
GB2579747 B2	20220608	GB20200003042	20180803
GB2579747 B8	20221005	GB20200003042	20180803
GB2587457 A1	20210331	GB20200008364	20180803
GB2587457 B2	20220601	GB20200008364	20180803
HK40029842 A1	20210219	HK20206020212	20201118
HK40034056 A1	20210423	HK20214023823	20210115



Patent Number	Publication Date	Application Number	Application Date
IL272413 A1	20220901	IL20180272413	20180803
IL272413 AA	20200331	IL20180272413	20180803
IL273741 A1	20220901	IL20180273741	20180803
IL273741 AA	20200531	IL20180273741	20180803
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MY201938 A	20240325	MY20200000611	20180803
RU2020105929 A	20210906	RU20200105929	20180803
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SG10202002990X A1	20200528	SG20201002990	20180803
SG11202000980Q A1	20200227	SG20201100980	20180803
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US11021708 BB	20210601	US20200818599	20200313
US11091475 BB	20210817	US20200818590	20200313
US11162101 BB	20211102	US20200781524	20200204
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US11434489 BA	20220906	US20210502818	20211015
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US2021171519 AA	20210610	US20200818590	20200313
US2022403383 AA	20221222	US20210227643	20210412
US2023059865 AA	20230223	US20210502824	20211015
WO19028440 A1	20190207	WO2018US45282	20180803
ZA202000695 A	20220727	ZA20200000695	20200203
ZA202004932 A	20221221	ZA20200004932	20200811

Priority Numbers: US20170541202P [20170804]; US20170562927P [20170925]; US20170562948P [20170925]; WO2018US45282 [20180803]; AU20200200699 [20200130]; AU20180309187 [20180803]; BR202011002270 [20180803]; CN201880065070 [20180803]; CN202010357631 [20180803]; EP20180840857 [20180803]; GB20200003042 [20180803]; JP20200529113T [20180803]; JP20200078335 [20200427]; KR20207006492 [20180803]; MX20200001425 [20200204]; RU20200105929 [20180803]; US20200781803 [20200204]; US20200781524 [20200204]; US20200818599 [20200313]; US20200818590 [20200313]; US20210502810 [20211015]; US20210502818 [20211015]; US20210502824 [20211015]; US20210227643 [20210412]

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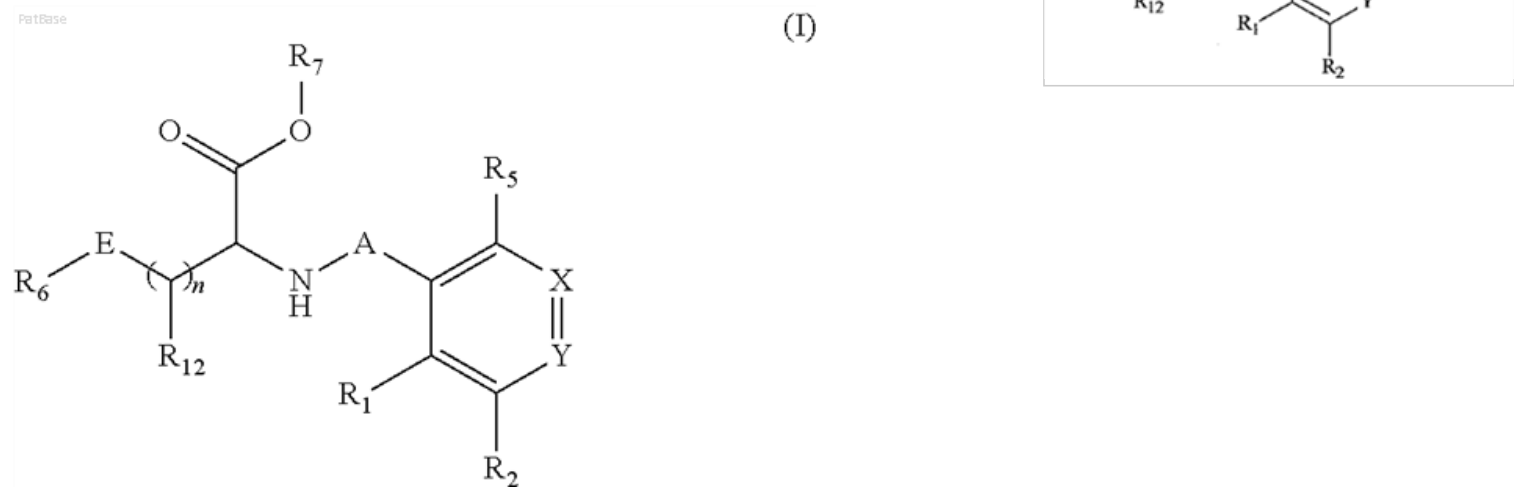
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26. Family 64524803 (US2019031675 AA)

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Title
[EN] AMINOACID DERIVATIVES, A PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Abstract
[EN] Compounds of formula (I):



wherein R₁, R₂, R₅, R₆, R₇, R₁₂, X, Y, A, E and n are as defined in the description.

Medicinal products containing the same which are useful in treating a condition requiring a pro-apoptotic agent.

International Class: A61K31/519; A61P35/00; A61P37/00; C07D495/04; C07H15/26; A61P37/06; C07D239/04; C07D333/04; C07D487/04; A61K; A61P; C07D; A61K31/5377; A61K39/395; A61K45/00; A61P35/02; A61P37/02; A61P43/00; A61K31/00; C07D495/00; A61K31/341; A61K31/4155; A61K31/496

Cooperative Patent Class: A61K31/519; A61P35/00; A61P37/00; C07D495/04

US Class: 1/1; 514/260.1

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR105101 AA	20170906	AR2016P101879	20160623
AR105101 B1	20241108	AR2016P101879	20160623
AU2016282837 AA	20180118	AU20160282837	20160622
AU2016282837 BB	20200528	AU20160282837	20160622
BR112017027645 A2	20180828	BR201711027645	20160622
BR112017027645 B1	20230919	BR201711027645	20160622
CA2990089 AA	20171219	CA20162990089	20160622
CA2990089 C	20201103	CA20162990089	20160622
CL2017003163 A1	20180615	CL20170003163	20171211
CL59199 B	20200427	CL20170003163	20171211
CN107709334 A	20180216	CN201680039612	20160622
CN107709334 B	20210413	CN201680039612	20160622
CO20170012830 A2	20180328	CO20170012830	20171213
CR20170571 A	20180220	CR20170000571	20160622
CR20170590 A	20180226	CR20170000590	20160622

Patent Number	Publication Date	Application Number	Application Date
CU20170164 A7	20180508	CU20170000164	20160622
CY1120991 T1	20191211	CY20181101321T	20181210
DE602016006253 D1	20181031	DE201660006253T	20160622
DK3313850 T3	20190128	DK20160731158T	20160622
EA034587 B1	20200225	EA20180090123	20160622
EA201890123 A1	20180531	EA20180090123	20160622
ECSP17082546 A	20180228	EC20170082546	20171214
EP3313850 A1	20180502	EP20160731158	20160622
EP3313850 B1	20181003	EP20160731158	20160622
ES2703997 T3	20190313	ES20160731158T	20160622
FR3037956 A1	20161230	FR20150055747	20150623
FR3037956 B1	20170804	FR20150055747	20150623
GE20207075 B	20200310	GE20160014679	20160622
HK1250234 A1	20181207	HK20180109702	20180726
HK1252113 A1	20190517	HK20180111502	20180906
HR20181806 T1	20181228	HR20180001806	20160622
ID201806583 A	20180706	ID2017P0009294	20160622
ID65974 B	20191231	ID2017P0009294	20160622
IL256191 A0	20180228	IL20160256191	20160622
IL256191 A1	20200331	IL20160256191	20160622
IN201717044564 A	20180309	IN201717044564	20171212
IN385186 B	20211231	IN201717044564	20171212
JO3430 B	20191020	JO20160000126	20160622
JP2018522856 T2	20180816	JP20170566280T	20160622
JP6741698 B2	20200819	JP20170566280T	20160622
KR102620905 B1	20240104	KR20187002016	20160622
KR20180015261 A	20180212	KR20187002016	20160622
LT3313850 T	20181126	LT20160731158T	20160622
MA42232 A	20161229	MA20160042232	20160622
MA42232 B1	20181130	MA20160042232	20160622
MD3313850 T2	20190228	MD2018E000440T	20160622
MX2017016996 A1	20180823	MX20170016996	20160622
MX368845 B	20191018	MX20170016996	20160622
MY189472 A	20220216	MY20170704883	20160622
NZ738459 A	20240531	NZ20160738459	20160622
NZ738459 B	20240903	NZ20160738459	20160622
PE01112019 A1	20190115	PE20170002702	20160622
PE20190111 A1	20190115	PE20170002702	20160622
PH2017502266 A	20180611	PH20170502266	20171211
PH2017502266 B	20210113	PH20170502266	20171211
PL3313850 T3	20190430	PL20160731158T	20160622
PT3313850 T	20181129	PT20160731158T	20160622
RS57961 B1	20190131	RS20180001327	20160622
RU2018102372 A	20190723	RU20180102372	20160622
RU2018102372 A3	20191106	RU20180102372	20160622

Patent Number	Publication Date	Application Number	Application Date
RU2747673 C2	20210512	RU20180102372	20160622
SA517390583 B1	20220220	SA20170390583	20171221
SI3313850 T1	20190131	SI20160030123T	20160622
SV2017005588 A	20180601	SV20170005588	20171212
TH1701007724 A	20191111	TH20171007724	20160622
TN2017000521 A1	20190412	TN20170000521	20160622
TR201816636 T4	20181121	TR20180016636T	20160622
TW201712018 A	20170401	TW20160119598	20160622
TWI631124 B	20180801	TW20160119598	20160622
UA123267 C2	20210310	UA20180000620	20160622
US10457687 BB	20191029	US20160737783	20160622
US2019031675 AA	20190131	US20160737783	20160622
UY36733 A1	20161230	UY20160036733	20160616
VN10028214 B	20210525	VN20170005203	20160622
VN58182 A	20180725	VN20170005203	20171221
WO16207226 A1	20161229	WO2016EP64436	20160622
ZA201708555 A	20211124	ZA20170008555	20171215

Priority Numbers: FR20150055747 [20150623]; WO2016EP64436 [20160622]; WO2016EP64417 [20160622]; EP20160731158 [20160622]; RU20180102372 [20160622]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP;

KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

27. Family 47830833 (US2012277230 AA)

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Title
[EN] COMPOUNDS USEFUL FOR TREATING CANCER

Abstract
[EN] The present invention relates to compound (I) wherein: means a pyridazine, a pyrimidine or a pyrazine group, R independently represent a hydrogen atom, a halogen atom or a group chosen among a CN group, a hydroxyl group, a COOR1 group, a (C1-C3)fluoroalkyl group, a (C1-C3)fluoroalkoxy group, a NO2 group, a NR1R2 group, a (C1-C4)alkoxy group, a phenoxy group and a (C1-C3)alkyl group, said alkyl being optionally mono-substituted by a hydroxyl group, n is 1, 2 or 3, n is 1 or 2, R is a hydrogen atom, a halogen atom or a group chosen among a (C1-C3)alkyl group, a hydroxyl group, a COOR1 group, a NO2 group, a NR1R2 group, a morpholinyl or a morpholino group, a N-methylpiperazinyl group, a (C1-C3)fluoroalkyl group, a (C1-C4)alkoxy group and a CN group, Z is N or C, Y is N or C, X is N or C, W is N or C, T is N or C, U is N or C, for use as an agent for preventing, inhibiting or treating cancer. Some of said compounds are new and also form part of the invention.

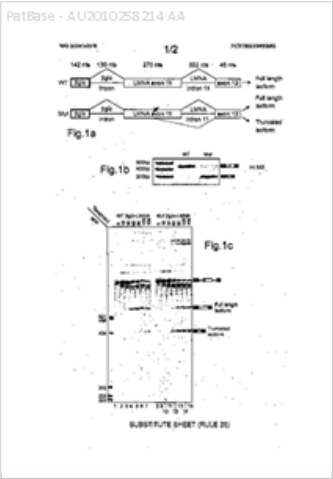
International Class: A61K31/444; A61P43/00; C07D213/74; C07D215/38; C07D241/44; C07D401/12; C07D403/12; A61K31/33; A61K31/4709; A61K31/4725; A61K31/496; A61K31/497; A61K31/498; A61K31/506; A61K31/5377; A61P35/00; A61K31/47; A61K31/4706; C07D215/233; C07D215/42; A61P17/00; A61P19/10; A61P21/00; A61P27/12; A61P3/10; A61P31/18; A61P39/06; A61P9/10; A61K31/44; A61K31/4402; C07D215/60; A61P25/28; A61P17/18; A61K31/4985; A61P31/12; C07D215/46; A61K; A61P; C07D; C07D215/58; A61K31/505; A61P37/04; A61P17/14; A61P19/00; A61P19/08; A61P3/00; A61P5/06; A61K31/517; C07D241/20; C07D215/18; C07D215/40; A61K31/4427; C07D215/04; C07D215/24; C07D241/42; A61K31/00; A61P25/00; A61P27/00; A61P31/00; A61P9/00; C07D213/00; C07D215/00; C07D241/00; C07D401/00; C07D403/00; C07D217/02; C07D413/04; C12N5/09

Cooperative Patent Class: A61K31/4709; A61K31/4985; A61P35/00; C07D213/74; C07D215/38; C07D215/42; C07D215/46; C07D215/60; C07D241/44; C07D401/12; C07D403/12; A61P43/00; C07D217/02; C07D413/04

US Class: 1/1; 435/375; 514/235.2; 514/249; 514/253.06; 514/255.05; 514/272; 514/275; 514/312; 514/313; 514/314; 544/128; 544/295; 544/331; 544/356; 544/363; 544/405; 546/153; 546/159; 546/160; 546/162; 546/171

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2010258214 AA	20120119	AU20100258214	20100614
AU2010258214 BB	20150820	AU20100258214	20100614
AU2010258294 AA	20120119	AU20100258294	20100614
AU2010258294 BB	20150730	AU20100258294	20100614
AU2010258295 AA	20120119	AU20100258295	20100614
AU2010258295 BB	20150903	AU20100258295	20100614
AU2015203256 AA	20150709	AU20150203256	20150616
AU2015203256 BB	20170202	AU20150203256	20150616
AU2017202500 AA	20170518	AU20170202500	20170418
AU2017202500 BB	20190704	AU20170202500	20170418
AU2019203896 AA	20190620	AU20190203896	20190604
AU2019203896 BB	20201203	AU20190203896	20190604
BR122019013686 B1	20200317	BR201912013686	20100614
BR122019013687 B1	20200414	BR201912013687	20100614
BRPI1010768 A2	20161101	BR2010PI10768	20100614
BRPI1010768 A8	20190730	BR2010PI10768	20100614
BRPI1010768 B1	20191022	BR2010PI10768	20100614
BRPI1010768 B8	20200310	BR2010PI10768	20100614
BRPI1010768 C8	20200407	BR2010PI10768	20100614
BRPI1010772 A2	20161101	BR2010PI10772	20100614
BRPI1010772 B1	20201027	BR2010PI10772	20100614
BRPI1010772 B8	20210525	BR2010PI10772	20100614



Patent Number	Publication Date	Application Number	Application Date
BRPI1012892 A2	20180313	BR2010PI12892	20100614
BRPI1012892 B1	20201027	BR2010PI12892	20100614
BRPI1012892 B8	20210525	BR2010PI12892	20100614
CA2764024 AA	20111130	CA20102764024	20100614
CA2764024 C	20171205	CA20102764024	20100614
CA2764026 AA	20111130	CA20102764026	20100614
CA2764026 C	20191029	CA20102764026	20100614
CA2764027 AA	20111130	CA20102764027	20100614
CA2764027 C	20201103	CA20102764027	20100614
CA2965791 AA	20101216	CA20102965791	20100614
CA2965791 C	20200609	CA20102965791	20100614
CA3070823 AA	20101216	CA20103070823	20100614
CA3070823 C	20210921	CA20103070823	20100614
CN102574835 A	20120711	CN201080032036	20100614
CN102574835 B	20140416	CN201080032036	20100614
CN102596935 A	20120718	CN201080032055	20100614
CN102596935 B	20150218	CN201080032055	20100614
CN102625804 A	20120801	CN201080032045	20100614
CN102625804 B	20150408	CN201080032045	20100614
CN103948594 A	20140730	CN201410106644	20100614
CN103948594 B	20161026	CN201410106644	20100614
CN104844510 A	20150819	CN201510023109	20100614
CN104844510 B	20190423	CN201510023109	20100614
CN104844570 A	20150819	CN201510023124	20100614
CN104844570 B	20181102	CN201510023124	20100614
CN104945378 A	20150930	CN201510020820	20100614
CN104945378 B	20181120	CN201510020820	20100614
CN104945379 A	20150930	CN201510021597	20100614
CN104945379 B	20181130	CN201510021597	20100614
CN106905232 A	20170630	CN201710122014	20100614
CN106905232 B	20190927	CN201710122014	20100614
CN106928194 A	20170707	CN201710158474	20100614
CN106928194 B	20191112	CN201710158474	20100614
CN106928205 A	20170707	CN201710158473	20100614
CN106928205 B	20190924	CN201710158473	20100614
CN109776496 A	20190521	CN201910120757	20100614
CN109776496 B	20210928	CN201910120757	20100614
CN113004249 A	20210622	CN202110307700	20100614
CU20110228 A7	20120415	CU20110000228	20111212
CU20110229 A7	20120621	CU20110000229	20111212
CU20110230 A7	20120415	CU20110000230	20111212
CU20150024 A7	20150929	CU20150000024	20150317
CU20150025 A7	20151127	CU20150000025	20100614
CU20150027 A7	20151127	CU20150000027	20100614
CU20150028 A7	20151127	CU20150000028	20100614

Patent Number	Publication Date	Application Number	Application Date
CU24093 B	20150429	CU20110000230	20111212
CU24124 B	20150827	CU20110000229	20111212
CU24245 B	20170202	CU20150000024	20150317
CU24319 B	20180208	CU20150000028	20100614
CU24322 B	20180208	CU20150000025	20100614
CU24323 B	20180208	CU20150000027	20100614
CU24461 B	20200103	CU20110000228	20111212
DE602010058409 D1	20190509	DE201060058409T	20100614
DE602010068597 D1	20221229	DE201060068597T	20100614
DE602010068644 D1	20230105	DE201060068644T	20100614
DE602010069279 D1	20240321	DE201060069279T	20100614
DE602010069280 D1	20240321	DE201060069280T	20100614
DK2440545 T3	20190722	DK20100728368T	20100614
DK2440546 T3	20230327	DK20100728369T	20100614
DK2440547 T3	20230220	DK20100757474T	20100614
DK3517534 T3	20240527	DK20190157827T	20100614
EP2261214 A1	20101215	EP20090162630	20090612
EP2266972 A1	20101229	EP20090305540	20090612
EP2440545 A2	20120418	EP20100728368	20100614
EP2440545 B1	20190424	EP20100728368	20100614
EP2440546 A2	20120418	EP20100728369	20100614
EP2440546 B1	20221228	EP20100728369	20100614
EP2440547 A2	20120418	EP20100757474	20100614
EP2440547 B1	20221130	EP20100757474	20100614
EP3517534 A1	20190731	EP20190157827	20100614
EP3517534 B1	20240228	EP20190157827	20100614
EP3521283 A1	20190807	EP20190157824	20100614
EP3521283 B1	20240228	EP20190157824	20100614
EP4198022 A1	20230621	EP20220193611	20100614
ES2736198 T3	20191226	ES20100728368T	20100614
ES2938532 T3	20230412	ES20100757474T	20100614
ES2940885 T3	20230512	ES20100728369T	20100614
ES2985082 T3	20241104	ES20190157827T	20100614
FI2440546 T3	20230330	FI20100728369T	20100614
FI2440547 T3	20230314	FI20100757474T	20100614
FI3517534 T3	20240516	FI20190157827T	20100614
HK1173153 A1	20130510	HK20130100514	20130111
HK1173153 B	20141010	HK20130100514	20130111
HK1173447 A1	20130516	HK20130100731	20130117
HK1173447 B	20170721	HK20130100731	20130117
HK1173726 A1	20130524	HK20130100736	20130117
HK1173726 B	20161028	HK20130100736	20130117
HK1200363 A1	20150807	HK20150101062	20150130
HK1200363 B	20180504	HK20150101062	20150130
HK1212702 A1	20160617	HK20160100761	20130117

Patent Number	Publication Date	Application Number	Application Date
HK1212702 B	20200221	HK20160100761	20130117
HK1212990 A1	20160624	HK20160101060	20130117
HK1212990 B	20190920	HK20160101060	20130117
HK1213246 A1	20160630	HK20160101079	20130117
HK1213246 B	20190920	HK20160101079	20130117
HK1213247 A1	20160630	HK20160101080	20130117
HK1213247 B	20190927	HK20160101080	20130117
HK1239659 A1	20180511	HK20170112812	20171204
HK1239659 B	20200612	HK20170112812	20171204
HK1239660 A1	20180511	HK20170112813	20171204
HK1239660 B	20200828	HK20170112813	20171204
HK1239661 A1	20180511	HK20170112814	20171204
HK1239661 B	20200612	HK20170112814	20171204
HK40009312 A1	20200626	HK20190132487	20191120
HK40009312 B	20220318	HK20190132487	20191120
HK40053564 A1	20220211	HK20214043269	20211129
HK40086860 A1	20230901	HK20234076240	20230720
HR20191460 T1	20191115	HR20190001460	20100614
HR20230143 T1	20230331	HR20230000143	20100614
HR20230248 T1	20230414	HR20230000248	20100614
HR20240582 T1	20240719	HR20240000582	20100614
HU045548 T2	20191230	HU20100728368T	20100614
HU061262 T2	20230528	HU20100757474T	20100614
IN04950KN2011 A	20160715	IN2011KN04950	20111208
IN04951KN2011 A	20160805	IN2011KN04951	20111208
IN04952KN2011 A	20160826	IN2011KN04952	20111208
IN310608 B	20190405	IN2011KN04950	20111208
IN316485 B	20190726	IN2011KN04951	20111208
IN356133 B	20210122	IN2011KN04952	20111208
JP2012529493 T2	20121122	JP20120514585T	20100614
JP2012529494 T2	20121122	JP20120514586T	20100614
JP2012529495 T2	20121122	JP20120514587T	20100614
JP2015155453 A2	20150827	JP20150090437	20150427
JP2015187150 A2	20151029	JP20150120566	20150615
JP2015187151 A2	20151029	JP20150120567	20150615
JP2015187152 A2	20151029	JP20150120568	20150615
JP2016128461 A2	20160714	JP20160006103	20160115
JP2016128462 A2	20160714	JP20160006104	20160115
JP2016128463 A2	20160714	JP20160006105	20160115
JP2016135776 A2	20160728	JP20160006102	20160115
JP2016147850 A2	20160818	JP20160006101	20160115
JP2017137341 A2	20170810	JP20170070251	20170331
JP2017137342 A2	20170810	JP20170071704	20170331
JP2017222639 A2	20171221	JP20170101011	20170522
JP2018162290 A2	20181018	JP20180119761	20100614

Patent Number	Publication Date	Application Number	Application Date
JP2018162291 A2	20181018	JP20180119762	20180625
JP2018162292 A2	20181018	JP20180119763	20180625
JP2020045342 A2	20200326	JP20190191500	20100614
JP5826745 B2	20151202	JP20120514586T	20100614
JP5905385 B2	20160420	JP20120514587T	20100614
JP6041671 B2	20161214	JP20120514585T	20100614
JP6149127 B2	20170614	JP20160006101	20160115
JP6149128 B2	20170614	JP20160006102	20160115
JP6149129 B2	20170614	JP20160006104	20160115
JP6150445 B2	20170621	JP20160006105	20160115
JP6154922 B2	20170628	JP20160006103	20160115
JP6158250 B2	20170705	JP20150120567	20150615
JP6158251 B2	20170705	JP20150120568	20150615
JP6336650 B2	20180606	JP20170071704	20170331
JP6378802 B2	20180822	JP20170101011	20170522
JP6419243 B2	20181107	JP20170070251	20170331
JP6606577 B2	20191113	JP20180119762	20180625
JP6694476 B2	20200513	JP20180119761	20180625
JP7042245 B2	20220325	JP20190191500	20100614
KR101769616 B1	20170818	KR20127000916	20100614
KR101789275 B1	20171120	KR20127000915	20100614
KR101863021 B1	20180530	KR20177021820	20100614
KR101897221 B1	20180910	KR20177031675	20100614
KR101973348 B1	20190426	KR20187025624	20100614
KR101982461 B1	20190524	KR20187025623	20100614
KR101982462 B1	20190524	KR20187025625	20100614
KR101982463 B1	20190524	KR20187025626	20100614
KR102016889 B1	20190830	KR20197004604	20100614
KR102016890 B1	20190830	KR20197004605	20100614
KR102016891 B1	20190830	KR20197004606	20100614
KR102016892 B1	20190830	KR20197004607	20100614
KR102016893 B1	20190830	KR20197004608	20100614
KR20120049860 A	20120517	KR20127000916	20100614
KR20120051643 A	20120522	KR20127000914	20100614
KR20120054585 A	20120530	KR20127000915	20100614
KR20170092720 A	20170811	KR20177021820	20100614
KR20170124646 A	20171110	KR20177031675	20100614
KR20180100735 A	20180911	KR20187025623	20100614
KR20180100736 A	20180911	KR20187025624	20100614
KR20180100737 A	20180911	KR20187025626	20100614
KR20180101727 A	20180913	KR20187025625	20100614
KR20190018567 A	20190222	KR20197004604	20100614
KR20190018568 A	20190222	KR20197004605	20100614
KR20190018569 A	20190222	KR20197004606	20100614
KR20190018570 A	20190222	KR20197004607	20100614

Patent Number	Publication Date	Application Number	Application Date
KR20190018571 A	20190222	KR20197004608	20100614
LT2440547 T	20230310	LT20100052651T	20100614
MX2011013119 A1	20120704	MX20110013119	20100614
MX2011013120 A1	20120723	MX20110013120	20100614
MX2011013122 A1	20120723	MX20110013122	20100614
MX2019008390 A1	20190909	MX20190008390	20111207
MX338823 B	20160503	MX20110013120	20100614
MX340095 B	20160627	MX20110013122	20100614
MX353776 B	20180129	MX20110013119	20100614
MX359575 B	20181003	MX20160003039	20100614
MX364279 B	20190422	MX20150016291	20100614
MX364280 B	20190422	MX20150016292	20100614
MX364282 B	20190422	MX20150016290	20100614
MX364989 B	20190517	MX20150016293	20100614
MX367601 B	20190828	MX20160007353	20100614
MX373120 B	20200430	MX20180009425	20111207
MX401649 B	20230412	MX20190008390	20100614
PL2440545 T3	20191129	PL20100728368T	20100614
PL2440546 T3	20230710	PL20100728369T	20100614
PL2440547 T3	20230911	PL20100757474T	20100614
PL3517534 T3	20240624	PL20190157827T	20100614
PT2440545 T	20190719	PT20100728368T	20100614
PT2440546 T	20230327	PT20100728369T	20100614
PT2440547 T	20230217	PT20100757474T	20100614
PT3517534 T	20240517	PT20190157827T	20100614
RU2011149571 A	20130720	RU20110149571	20100614
RU2011149572 A	20130720	RU20110149572	20100614
RU2011149573 A	20130720	RU20110149573	20100614
RU2567752 C2	20151110	RU20110149571	20100614
RU2575646 C2	20160220	RU20110149573	20100614
RU2575845 C2	20160220	RU20110149572	20100614
SI2440547 T1	20230531	SI20100032127T	20100614
TR201910781 T4	20190821	TR20190010781T	20100614
TR2023001575 T4	20230424	TR20230001575T	20100614
TR2023003056 T4	20230522	TR20230003056T	20100614
TR2024005796 T4	20240521	TR20240005796T	20100614
US10017498 BB	20180710	US20150789250	20150701
US10253020 BB	20190409	US20170486836	20170413
US10683284 BB	20200616	US20180050551	20180731
US10975063 BB	20210413	US20190502663	20190703
US11014918 BB	20210525	US20200787471	20200211
US2012277230 AA	20121101	US20100377745	20100614
US2012283265 AA	20121108	US20100377760	20100614
US2012329796 AA	20121227	US20100377753	20100614
US2014080831 AA	20140320	US20130087762	20131122

Patent Number	Publication Date	Application Number	Application Date
US2014288120 AA	20140925	US20140256334	20140418
US2015299129 AA	20151022	US20150789149	20150701
US2015307478 AA	20151029	US20150789250	20150701
US2017226095 AA	20170810	US20170486836	20170413
US2018339981 AA	20181129	US20180050551	20180731
US2019322656 AA	20191024	US20190502663	20190703
US2020172525 AA	20200604	US20200787471	20200211
US2022127253 AA	20220428	US20210327079	20210521
US2024343716 AA	20241017	US20240435619	20240207
US9108919 BB	20150818	US20130087762	20131122
US9145367 BB	20150929	US20100377760	20100614
US9637475 BB	20170502	US20150789149	20150701
US9908869 BB	20180306	US20140256334	20140418
WO10143168 A2	20101216	WO2010IB52650	20100614
WO10143168 A3	20110609	WO2010IB52650	20100614
WO10143169 A2	20101216	WO2010IB52651	20100614
WO10143169 A3	20111110	WO2010IB52651	20100614
WO10143170 A2	20101216	WO2010IB52652	20100614
WO10143170 A3	20110811	WO2010IB52652	20100614
ZA201109031 A	20130227	ZA20110009031	20111208
ZA201109032 A	20130227	ZA20110009032	20111208
ZA201109033 A	20130227	ZA20110009033	20111208

Priority Numbers: US20090186552P [20090612]; EP20090162630 [20090612]; US20090186544P [20090612]; EP20090305540 [20090612]; WO2010IB52652 [20100614]; WO2010IB52650 [20100614]; WO2010IB52651 [20100614]; AU20100258294 [20100614]; AU20150203256 [20150616]; AU20170202500 [20170418]; AU20190203896 [20190604]; BR2010PI10768 [20100614]; CA20172764024 [20170510]; CA20102764024 [20100614]; CA20102965791 [20100614]; CN201080032036 [20100614]; CN201080032055 [20100614]; EP20100728368 [20100614]; EP20100757474 [20100614]; EP20100728369 [20100614]; EP20190157824 [20100614]; EP20190157827 [20100614]; HK20130100731 [20130117]; JP20120514585 [20100614]; JP20120514585T [20100614]; JP20150090437 [20150427]; JP20120514586T [20100614]; JP20150120566 [20150615]; JP20150120567 [20150615]; JP20150120568 [20150615]; JP20120514587T [20100614]; JP20160006103 [20160115]; JP20160006104 [20160115]; JP20160006105 [20160115]; JP20160006102 [20160115]; JP20160006101 [20160115]; JP20150120568 [20100614]; JP20170070251 [20170331]; JP20150090437 [20100614]; JP20170071704 [20170331]; JP20160006105 [20100614]; JP20170101011 [20170522]; JP20170070251 [20100614]; JP20180119761 [20180625]; JP20180119762 [20180625]; JP20180119763 [20180625]; JP20180119762 [20100614]; JP20190191500 [20191018]; MX20160007353 [20111207]; US20090655209P [20090612]; US20090654409P [20090612]; US20120377760 [20120702]; US20150789250 [20150701]; US20130087762 [20131122]; US20150789149 [20150701]; US20140256334 [20140418]; US20120377745 [20120705]; US20120377753 [20120604]; US20170486836 [20170413]; US20180050551 [20180731]; US20190502663 [20190703]; US20200787471 [20200211]; US20100377745 [20100614]; US20100377760 [20100614]; US20100377753 [20100614]; US20210327079 [20210521]; US20240435619 [20240207]

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MONTPELLIER 2 UNIV; CURIE E UNIV MONTPELLIER 2 INST; INSTITUTE CURIE; MONTPELLIER II UNIV; MONTPELLIER UNIV; OF MONTPELLIER UNIV; CENTRE NATIONALE DE RECHERCHE SCIENTIFIQUE; CENTRE NATIONAL DE LA RECHERCHE SCIENTIFIQUE CNRS; CNRS CENTRE NATIONAL DE LA RECHERCHE SCIENTIFIQUE; UNIVERSITE MONTPELLIER 2 SCIENCES ET TECHNIQUES; MONTPELLIER 2 SCIENCES ET TECHNIQUES UNIV; UNIVERSITE MONTPELLIER II; CENTRE NATIONAL DE LA RECHERCHE SCIENTIFIQUE C N R S; DE MONTPELLIER II UNIV; UNIVERSITE DE MONTPELLIER; 26 RUE D ULM; F 75248 PARIS CEDEX 05; 5 RUE DE LA BAUME; 3 RUE MICHEL ANGE; 163 RUE AUGUSTE BROUSSONNET; 5 RUE DE LA BAUME 75008 PARIS; 3 RUE MICHEL ANGE F 75794 PARIS CEDEX 16; 26 RUE D ULM F 75248 PARIS CEDEX 05; 163 RUE AUGUSTE BROUSSONNET 34090 MONTPELLIER; FRANCEUNIVERSITE DE MONTPELLIER; CENTRE NAT DE LA RECHERCHE SCIENTIFIQUE; UNIV DE MONTPELLIER 2; CENTRE NATL DE LA RECHERCHE SCIENTIFIQUE; SPLICOS CAMPUS DU CNRS SOC; CENTRE NATIONAL DE RECHERCHE SCIENTIFIQUE; SOC SPLICOS; CNRS

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; SE; SI; SK; SM; TR; BA; RS; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; ME; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PE; PG; PH; RU; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

28. Family 64524799 (US2018170947 AA)

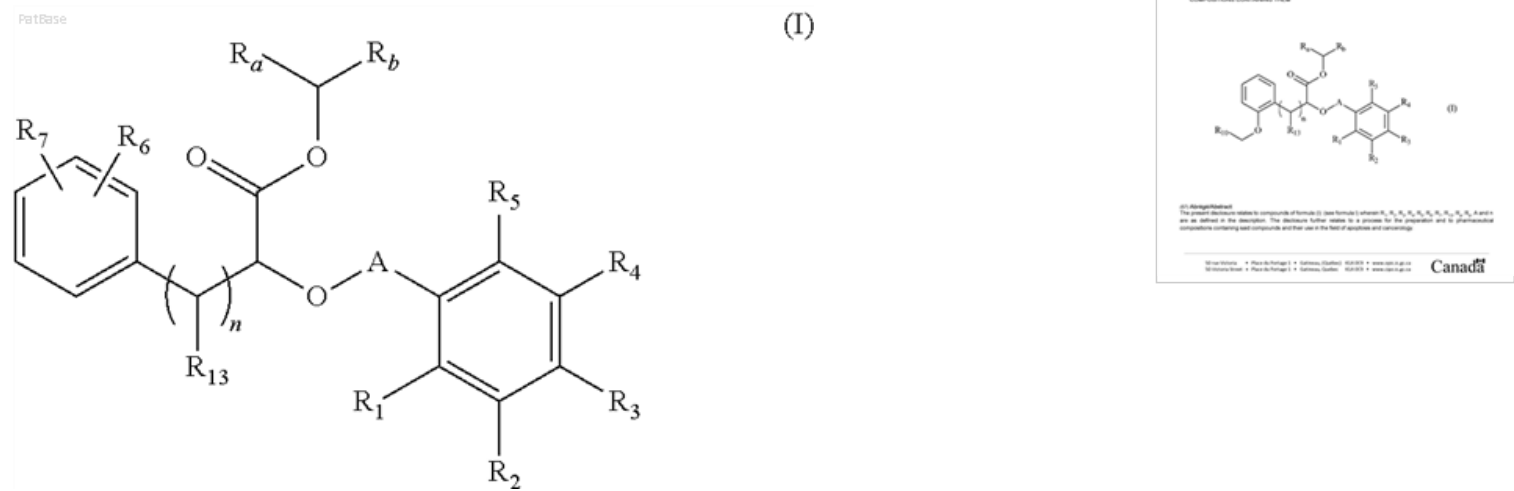
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Title

[EN] HYDROXYESTER DERIVATIVES, A PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Abstract

[EN] Compounds of formula (I):



wherein R₁, R₂, R₃, R₄, R₅, R₆, R₇, R₁₃, R_a, R_b, A and n are as defined in the description.

Medicinal products containing the same which are useful in treating conditions requiring a pro-apoptotic agent.

International Class: A61K31/519; A61P35/00; A61P37/00; C07D495/04; C07D239/04; C07D333/04; C07D487/04; A61K; A61P; C07D; A61K45/00; A61P35/02; A61P43/00; A61K45/06; A61K31/00; C07D495/00; A61P37/02

Cooperative Patent Class: A61K31/519; A61K45/06; A61P35/00; A61P37/00; C07D495/04

US Class: 1/1; 424/130.1

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR105103 AA	20170906	AR2016P101881	20160623
AU2016282836 AA	20180118	AU20160282836	20160622
AU2016282836 BB	20200709	AU20160282836	20160622
BR112017027414 A2	20180828	BR201711027414	20160622
BR112017027414 B1	20231219	BR201711027414	20160622
CA2990088 AA	20171219	CA20162990088	20160622
CA2990088 C	20210413	CA20162990088	20160622
CL2017003258 A1	20180601	CL20170003258	20171218
CL59412 B	20200527	CL20170003258	20171218
CN107709333 A	20180216	CN201680036383	20160622
CN107709333 B	20210101	CN201680036383	20160622
CO20170012786 A2	20180410	CO20170012786	20171213
CR20170564 A	20180220	CR20170000564	20160622
CU20170160 A7	20180508	CU20170000160	20160622
CY1122542 T1	20210127	CY20201100083T	20200131
DE602016023786 D1	20191128	DE201660023786T	20160622

Patent Number	Publication Date	Application Number	Application Date
DK3313851 T3	20200127	DK20160733379T	20160622
EA033266 B1	20190930	EA20180090125	20160622
EA201890125 A1	20180629	EA20180090125	20160622
ECSP17081744 A	20180228	EC20170081744	20171212
EP3313851 A1	20180502	EP20160733379	20160622
EP3313851 B1	20191106	EP20160733379	20160622
ES2759480 T3	20200511	ES20160733379T	20160622
FR3037957 A1	20161230	FR20150055752	20150623
FR3037957 B1	20190125	FR20150055752	20150623
GE20207074 B	20200310	GE20160014678	20160622
HK1249506 A1	20181102	HK20180109026	20180711
HK1254657 A1	20190726	HK20180113625	20181024
HK1254657 B	20201231	HK20180113625	20181024
HR20200107 T1	20200403	HR20200000107	20160622
HU047889 T2	20200528	HU20160733379T	20160622
ID201806584 A	20180706	ID2017P0009297	20160622
ID71614 B	20200921	ID2017P0009297	20160622
IL256270 A0	20180228	IL20160256270	20160622
IL256270 A1	20201231	IL20160256270	20160622
IN201717044565 A	20180309	IN201717044565	20171212
IN362218 B	20210326	IN201717044565	20171212
JO3675 B	20200827	JO20160000128	20160622
JP2018522855 T2	20180816	JP20170566271T	20160622
JP6741697 B2	20200819	JP20170566271T	20160622
KR102718253 B1	20241016	KR20187002033	20160622
KR20180019223 A	20180223	KR20187002033	20160622
MA42239 B1	20200228	MA20160042239	20160622
MD3313851 T2	20200229	MD2018E000444T	20160622
MX2017016997 A1	20180907	MX20170016997	20171220
MX372716 B	20200528	MX20170016997	20171220
MY190561 A	20220427	MY20170704877	20160622
NZ738455 A	20240322	NZ20160738455	20160622
NZ738455 B	20240625	NZ20160738455	20160622
PE07422018 A1	20180427	PE20170002534	20160622
PE20180742 A1	20180427	PE20170002534	20160622
PE20187422 A1	20180427	PE20170002534	20160622
PH2017502246 A	20180528	PH20170502246	20171207
PH2017502246 B	20180528	PH20170502246	20171207
PL3313851 T3	20200518	PL20160733379T	20160622
PT3313851 T	20200106	PT20160733379T	20160622
RS59904 B1	20200331	RS20200000136	20160622
RU2018102365 A	20190723	RU20180102365	20160622
RU2018102365 A3	20190923	RU20180102365	20160622
RU2734418 C2	20201016	RU20180102365	20160622
SI3313851 T1	20200331	SI20160030625T	20160622

Patent Number	Publication Date	Application Number	Application Date
SV2017005587 A	20180411	SV20170005587	20171212
TH178608 A	20180809	TH20171007723	20160622
TN2017000511 A1	20190412	TN20170000511	20160622
TR201920575 T4	20200121	TR20190020575T	20160622
TW201709911 A	20170316	TW20160119605	20160622
TWI604844 B	20171111	TW20160119605	20160622
UA122228 C2	20201012	UA20180000618	20160622
US10227358 BB	20190312	US20160737479	20160622
US10711010 BB	20200714	US20190247614	20190115
US2018170947 AA	20180621	US20160737479	20160622
US2019161496 AA	20190530	US20190247614	20190115
UY36736 A1	20161230	UY20160036736	20160616
VN58183 A	20180725	VN20170005206	20171221
WO16207225 A1	20161229	WO2016EP64433	20160622
ZA201708460 A	20210630	ZA20170008460	20171213

Priority Numbers: FR20150055752 [20150623]; WO2016EP64433 [20160622]; EP20160733379 [20160622]; RU20180102365 [20160622]; US20190247614 [20190115]; US20170737479 [20171218]

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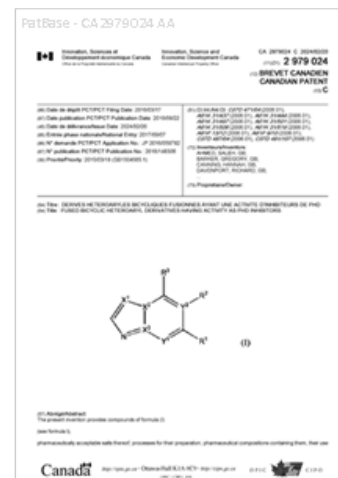
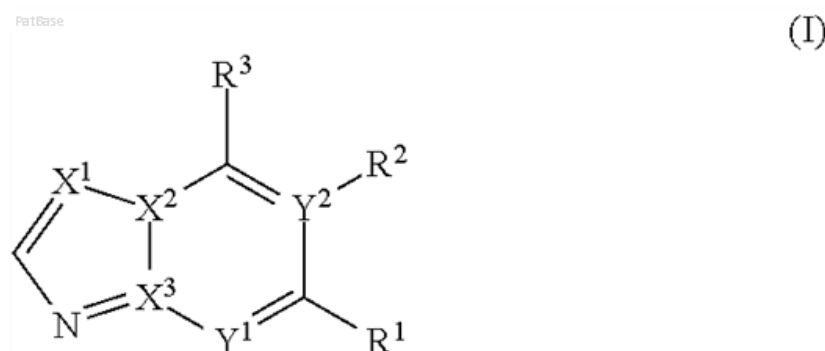
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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

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[EN] NOVEL COMPOUNDS

[EN] The present invention provides compounds of formula (I) and pharmaceutically acceptable salts thereof.



wherein X^1 , X^2 , X^3 , Y^1 , Y^2 , R^1 , R^2 and R^3 are as defined in the specification, processes for their preparation, pharmaceutical compositions containing them and their use in therapy.

International Class: A61K31/437; A61K31/519; A61P13/12; A61P9/10; C07D471/04; C07D487/04; A61K31/444; A61K31/497; A61K31/501; A61K31/506; C07D491/107; A61K31/4545; A61K31/496; A61K31/5377; A61P9/00; A61P9/04; C07D519/00; A61K; A61P; C07D; A61P43/00; A61K31/4439; A61K31/4196

Cooperative Patent Class: A61P13/12; A61P43/00; A61P9/00; A61P9/04; A61P9/10; C07D471/04; C07D487/04; C07D519/00; A61K31/4196; A61K31/437

US Class: 1/1; 514/210.18

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2016234209 AA	20171012	AU20160234209	20160317
AU2016234209 BB	20200827	AU20160234209	20160317
BR112017019653 A2	20180515	BR201711019653	20160317
BR112017019653 B1	20231003	BR201711019653	20160317
CA2979024 AA	20170907	CA20162979024	20160317
CA2979024 C	20240220	CA20162979024	20160317
CL2017002354 A1	20180504	CL20170002354	20170915
CL62166 B	20210524	CL20170002354	20170915
CN107531698 A	20180102	CN201680022416	20160317
CN107531698 B	20240813	CN201680022416	20160317
CO20170009353 A2	20171130	CO20170009353	20170914
CR20170468 A	20180427	CR20170000468	20160317
DE602016025050 D1	20191219	DE201660025050T	20160317
DK3271357 T3	20200217	DK20160715122T	20160317
DOP2017000209 A	20171015	DO20170000209	20170918
EA035739 B1	20200803	EA20170092057	20160317
EA201792057 A1	20180430	EA20170092057	20160317
ECSP17069696 A	20180228	EC20170069696	20171018
EP3271357 A1	20180124	EP20160715122	20160317

Patent Number	Publication Date	Application Number	Application Date
EP3271357 B1	20191127	EP20160715122	20160317
ES2774052 T3	20200716	ES20160715122T	20160317
GB201504565 A0	20150506	GB20150004565	20150318
GE20207095 B	20200410	GE20160014608	20160317
HK1249512 A1	20181102	HK20180109089	20180713
HK1249512 B	20201218	HK20180109089	20180713
HU047918 T2	20200528	HU20160715122T	20160317
ID201805166 A	20180518	ID2017P0007145	20160317
IL254277 A0	201711031	IL20160254277	20160317
IL254277 A1	20201029	IL20160254277	20160317
IN201717033032 A	20171201	IN201717033032	20170918
IN377414 B	20210924	IN201717033032	20170918
JP2018512408 T2	20180517	JP20170549107T	20160317
JP6726681 B2	20200722	JP20170549107T	20160317
KR102609431 B1	20231204	KR20177030091	20160317
KR20170129874 A	20171127	KR20177030091	20160317
MX2017011902 A1	20171215	MX20170011902	20160317
MX372086 B	20200306	MX20170011902	20160317
MY194873 A	20221221	MY20170703420	20160317
NZ735631 A	20230728	NZ20160735631	20160317
NZ735631 B	20231031	NZ20160735631	20160317
PE06562018 A1	20180417	PE20180000126	20160317
PE20180656 A1	20180417	PE20180000126	20160317
PE20186562 A1	20180417	PE20180000126	20160317
PH2017501699 A	20180312	PH20170501699	20160317
PH2017501699 B	20230630	PH20170501699	20160317
PT3271357 T	20200221	PT20160715122T	20160317
SG11201707280V A1	201711030	SG20171107280	20160317
TH1701005400 A	20190517	TH20171005400	20160317
TN2017000384 A1	20190116	TN20170000384	20160317
UA123668 C2	20210512	UA20170008877	20160317
US10287286 BB	20190514	US20160558824	20160317
US2018072714 AA	20180315	US20160558824	20160317
VN10026591 B	20201225	VN20170003761	20160317
VN55289 A	20171225	VN20170003761	20170926
WO16148306 A1	20160922	WO2016JP59782	20160317
ZA201706612 A	20190130	ZA20170006612	20160317

Priority Numbers: GB20150004565 [20150318]; WO2016JP59782 [20160317]; CL20170002354 [20170915]; EP20160715122 [20160317]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

30. Family 58864367 (US2015175623 AA)

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Title

[EN] THIENOPYRIMIDINE DERIVATIVES, A PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Abstract

[EN] Compounds of formula (I): wherein R1, R2, R3, R4, R5, R6, R7, R12, X, A and n are as defined in the description. Medicinal products containing the same which are useful in treating pathologies involving a deficit in apoptosis, such as cancer, auto-immune diseases, and diseases of the immune system.

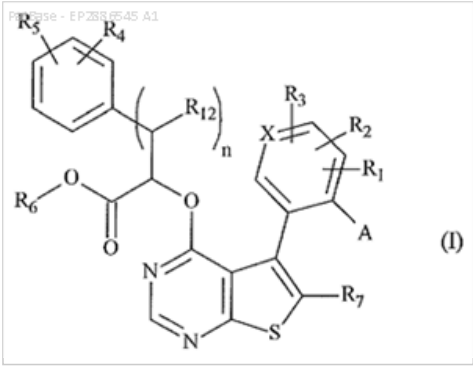
International Class: A61K31/00; C07D495/00; A61K31/519; A61P35/00; A61P37/00; C07D495/04; A61P35/02; A61P37/06; A61K31/505; A61P25/00; A61K31/4365; C07D285/15; A61K31/5377; A61P37/02; C07D519/00; A61K31/513; A61P25/16; A61P25/28; A61P9/10; C07D239/00; C07D333/00; A61K; A61P; C07D; A61P43/00; A61K31/55; C07D417/04; C07D401/04; C07D401/14; A61K31/516; A61K31/538; A61K45/06; A61N5/10; C07D213/04; C07D295/02; C07D307/02

Cooperative Patent Class: A61K31/519; A61K31/5377; A61K31/538; A61K31/55; A61K45/06; A61N5/10; A61P25/00; A61P25/16; A61P25/28; A61P35/00; A61P35/02; A61P37/00; A61P37/02; A61P37/06; A61P43/00; A61P9/10; C07D417/04; C07D495/04

US Class: 1/1; 424/130.1; 514/212.08; 514/230.5; 514/234.2; 514/252.11; 514/252.16; 514/255.05; 514/260.1; 540/524; 544/105; 544/117; 544/278; 600/1

Family Information

Patent Number	Publication Date	Application Number	Application Date
AP2014008127 A0	20141231	AP20140008127	20141212
AR098906 AA	20160622	AR2014P104847	20141222
AR098906 B1	20240809	AR2014P104847	20141222
AU2014274644 AA	20150709	AU20140274644	20141212
AU2014274644 BB	20191114	AU20140274644	20141212
BR102014032336 A2	20160607	BR201410032336	20141222
BR102014032336 B1	20201020	BR201410032336	20141222
CA2875226 AA	20150623	CA20142875226	20141211
CA2875226 C	20180313	CA20142875226	20141211
CL2014003444 A1	20151023	CL20140003444	20141218
CL54961 B	20171011	CL20140003444	20141218
CN104725397 A	20150624	CN201410800069	20141219
CN104725397 B	20171128	CN201410800069	20141219
CO7210068 A1	20150309	CO20140282098	20141223
CR20140569 A	20160701	CR20140000569	20141210
CU20140143 A7	20160729	CU20140000143	20141217
CU24309 B	20180110	CU20140000143	20141217
CY1118058 T1	20170628	CY20161100949T	20160922
DE602014002500 D1	20160811	DE201460002500T	20141222
DK2886545 T3	20160919	DK20140199533T	20141222
DOP2014000282 A	20160630	DO20140000282	20141215
EA029601 B1	20180430	EA20140001292	20141222
EA201401292 A2	20150630	EA20140001292	20141222
EA201401292 A3	20150831	EA20140001292	20141222
EP2886545 A1	20150624	EP20140199533	20141222
EP2886545 B1	20160629	EP20140199533	20141222
ES2594377 T3	20161219	ES20140199533T	20141222



Patent Number	Publication Date	Application Number	Application Date
FR3015483 A1	20150626	FR20130063500	20131223
FR3015483 B1	20160101	FR20130063500	20131223
GC8164 A	20180626	GC2014P028645	20141223
GE20176619 B	20170210	GE20140013667	20141219
GT201400297 A	20170824	GT20140000297	20141222
HK1209750 A1	20160408	HK20150110667	20151028
HK1211583 A1	20160527	HK20150112389	20151216
HK1211583 B	20170915	HK20150112389	20151216
HR20161203 T1	20161104	HR20160001203	20160920
HR20161203 T8	20161230	HR20160001203	20160920
HU029654 T2	20170228	HU20140199533T	20141222
IL236143 A1	20170228	IL20140236143	20141209
IN03729DE2014 A	20160831	IN2014DE03729	20141216
IN421562 B	20230217	IN2014DE03729	20141216
JO3193 B	20180308	JO20140000353	20141208
JP2015129120 A2	20150716	JP20140257658	20141219
JP5922215 B2	20160524	JP20140257658	20141219
KR101636401 B1	20160705	KR20140187349	20141223
KR20150073874 A	20150701	KR20140187349	20141223
LT2886545 T	20160926	LT20140199533T	20141222
MD20140137 A2	20150630	MD20140000137	20141222
MD4516 B1	20170930	MD20140000137	20141222
MD4516 C1	20180430	MD20140000137	20141222
ME02521 B	20170220	ME20160000207	20141222
MX2014015854 A1	20150722	MX20140015854	20141218
MX351581 B	20171020	MX20140015854	20141218
MY185221 A	20210430	MY20140703853	20141218
NI201400150 A	20150605	NI20140000150	20141219
NZ702851 A	20160527	NZ20140702851	20141210
NZ702851 B	20160830	NZ20140702851	20141210
PE10642015 A1	20150808	PE20140002444	20141215
PE20151064 A1	20150808	PE20140002444	20141215
PH2014000375 A	20160613	PH20140000375	20141210
PH2014000375 B	20171011	PH20140000375	20141210
PL2886545 T3	20170331	PL20140199533T	20141222
PT2886545 T	20160825	PT20140199533T	20141222
RS55213 B1	20170228	RS20160000802	20141222
RU2014151850 A	20160720	RU20140151850	20141222
RU2605403 C2	20161220	RU20140151850	20141222
SA114360144 B1	20151008	SA20140360144	20141222
SG10201408563U A1	20150730	SG20141008563	20141222
SI2886545 T1	20161028	SI20140030061	20141222
TH153609 A	20160623	TH20141007659	20141222
TN2014000516 A1	20160330	TN20140000516	20141211
TW201529583 A	20150801	TW20140144845	20141222

Patent Number	Publication Date	Application Number	Application Date
TWI508969 B	20151121	TW20140144845	20141222
UA120341 C2	20191125	UA20140013661	20141219
US10278972 BB	20190507	US20170492306	20170420
US2015175623 AA	20150625	US20140576683	20141219
US2017216293 AA	20170803	US20170492306	20170420
US2019201404 AA	20190704	US20190296766	20190308
US9670227 BB	20170606	US20140576683	20141219
UY35915 A1	20150731	UY20140035915	20141222
VN10022662 B	20200127	VN20140004314	20141223
VN22662 A1	20200127	VN20140004314	20141223
VN42504 A	20150625	VN20140004314	20141223
WO15097123 A1	20150702	WO2014EP78947	20141222
ZA201409365 A	20210728	ZA20140009365	20141218

Priority Numbers: FR20130063500 [20131223]; EP20140199533 [20141222]; JP20140257658 [20141219]; KR20140187349 [20141223]; US20140576683 [20141219]; US20170492306 [20170420]; US20190296766 [20190308]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

31. Family 51693475 (US2013324576 AA)

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Title
[EN] THERAPEUTIC COMPOUNDS

Abstract
[EN] The present invention provides compounds of formula (I) and pharmaceutically acceptable salts thereof in which m, n, W, X, Y, Z, R, R1, R2, R3 and R4 are as defined in the specification, for use in therapy.

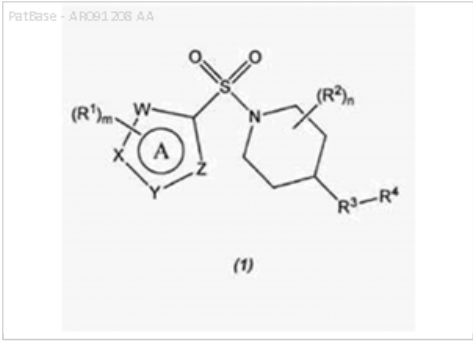
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Cooperative Patent Class: A61K31/454; A61K31/4545; A61K45/06; A61P25/00; A61P25/04; A61P25/18; A61P25/20; A61P25/24; A61P25/28; A61P29/00; A61P43/00; C07D211/96; C07D401/12; C07D401/14

US Class: 1/1; 514/318; 514/319; 514/326; 546/193; 546/206; 546/211

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR091208 AA	20150121	AR2013P101895	20130530
AR091208 B1	20200715	AR2013P101895	20130530
CA2873850 AA	20141117	CA20132873850	20130529
CA2873850 C	20161004	CA20132873850	20130529
CN104520292 A	20150415	CN201380037673	20130529
CN104520292 B	20160914	CN201380037673	20130529
CY1120420 T1	20190710	CY20181100581T	20180531
DE602013034015 D1	20180405	DE201360034015T	20130529
DK2855449 T3	20180606	DK20130728238T	20130529
EP2855449 A1	20150408	EP20130728238	20130529
EP2855449 B1	20180307	EP20130728238	20130529
ES2671629 T3	20180607	ES20130728238T	20130529
GB201209587 A0	20120711	GB20120009587	20120530
GC8973 A	20181024	GC2013P024514	20130528
HK1208675 A1	20160311	HK20150109353	20150923
HK1208675 B	20190517	HK20150109353	20150923
HR20180729 T1	20180727	HR20180000729	20130529
HU037673 T2	20180928	HU20130728238T	20130529
JP2015519357 T2	20150709	JP20150514586T	20130529
JP6177896 B2	20170809	JP20150514586T	20130529
LT2855449 T	20180525	LT20130728238T	20130529
ME03078 B	20190120	ME20180000154	20130529
MK8931 T1	20190131	MK20180000378T	20180511
NO2855449 T3	20180804	NO13720008238	20130529
PL2855449 T3	20190131	PL20130728238T	20130529
PT2855449 T	20180608	PT20130728238T	20130529
RS57329 B1	20180831	RS20180000673	20130529
SI2855449 T1	20180731	SI20130031026T	20130529
SMT201800274 T1	20180717	SM20180000274T	20130529
TR201807666 T4	20180621	TR20180007666T	20130529



Patent Number	Publication Date	Application Number	Application Date
TW201400473 A	20140101	TW20130117795	20130520
TWI612041 B	20180121	TW20130117795	20130520
US10167273 BB	20190101	US20160262436	20160912
US10544126 BB	20200128	US20180194762	20181119
US11512066 BB	20221129	US20190707079	20191209
US12054474 BB	20240806	US20220962781	20221010
US2013324576 AA	20131205	US20130904198	20130529
US2015111922 AA	20150423	US20130402751	20130529
US2016376256 AA	20161229	US20160262436	20160912
US2019084962 AA	20190321	US20180194762	20181119
US2020190059 AA	20200618	US20190707079	20191209
US2023073334 AA	20230309	US20220962781	20221010
US9475795 BB	20161025	US20130402751	20130529
UY34835 A1	20131231	UY20130034835	20130530
WO13179024 A1	20131205	WO2013GB51415	20130529

Priority Numbers: GB20120009587 [20120530]; WO2013GB51415 [20130529]; EP20130728238 [20130529]; US20160262436 [20160912]; US20140402751 [20141121]; US20180194762 [20181119]; US20190707079 [20191209]; US20220962781 [20221010]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

32. Family 58393686 (US2015031673 AA)

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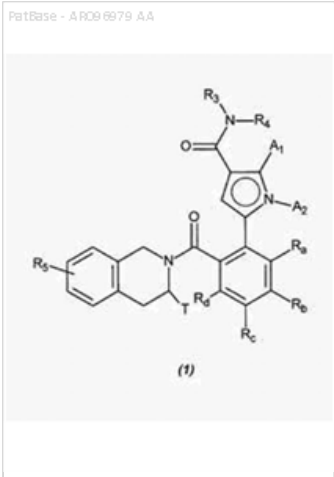
Title
[EN] PYRROLE COMPOUNDS, A PROCESS FOR THEIR PREPARATION AND PHARMACEUTICAL COMPOSITIONS CONTAINING THEM

Abstract
[EN] Compounds of formula (I): wherein A1, A2, Ra, Rb, Rc, Rd, R3, R4, R5 and T are as defined in the description. Medicinal products containing the same which are useful in treating pathologies involving a deficit in apoptosis, such as cancer, auto-immune diseases, and diseases of the immune system.

International Class: A61K31/47; A61P35/00; C07D403/10; C07D403/14; C07D401/10; C07D401/14; C07D405/14; C07D471/04; C07D491/10; C07D498/04; A61K31/4725; A61P37/00; C07D217/04; C07D217/24; A61P35/02; C07D217/16; A61K31/496; A61K31/5377; A61P37/06; C07D413/14; A61K31/4709; A61P37/02; A61K31/437; C07D207/30; C07D207/44; C07D209/04; C07D213/02; C07D215/14; C07D217/12; A61K31/40; C07D207/34; A61K31/5383; A61P25/28; C07D487/04; C07D498/06; A61K31/4025; A61K31/407; A61K31/4427; A61K31/4353; C07D471/00; A61K; A61P; C07D; C07D207/32; A61K31/4178; A61K31/4439; A61K9/20; A61K31/397; A61K31/497; A61K31/506; A61K41/00; A61K45/00; A61P43/00; C07D409/14; C07D491/107; C07D401/12; C07D401/04; A61K31/436; C07D491/052; A61K31/16; A61K31/166; A61K31/4375; C07C233/64; C07C233/65; C07D207/02; A61K31/00; C07D401/00; C07D405/00; C07D491/00; C07D498/00; C07D207/00; C07D419/00; A01N43/34; A01N43/38; A61K31/44; A61K45/06; C07D498/14; C07D215/12; C07D407/14

Cooperative Patent Class: A61K31/4439; A61K31/4709; A61K31/4725; A61K31/496; A61K31/506; A61K31/5377; A61K31/5383; A61K45/06; A61K9/2059; A61P25/28; A61P35/00; A61P35/02; A61P37/00; A61P37/02; A61P37/06; A61P43/00; C07D401/10; C07D401/12; C07D401/14; C07D405/14; C07D471/04; C07D491/10; C07D491/107; C07D498/04; C07D498/14; A61K2300/00

US Class: 1/1; 514/210.21; 514/230.5; 514/234.5; 514/235.2; 514/253.05; 514/274; 514/278; 514/307; 544/105; 544/128; 544/316; 544/363; 546/146; 546/15



Family Information

Patent Number	Publication Date	Application Number	Application Date
AR096979 AA	20160210	AR2014P102677	20140721
AR096979 B1	20221104	AR2014P102677	20140721
AU2014203521 AA	20150212	AU20140203521	20140627
AU2014203521 BB	20180927	AU20140203521	20140627
BR102014017950 A2	20151117	BR201410017950	20140722
BR102014017950 B1	20200519	BR201410017950	20140722
CA2856505 AA	20150123	CA20142856505	20140710
CA2856505 C	20170627	CA20142856505	20140710
CL2014001853 A1	20150626	CL20140001853	20140714
CL58369 B	20200121	CL20140001853	20140714
CN104341395 A	20150211	CN201410351234	20140723
CN104341395 B	20170704	CN201410351234	20140723
CN106674196 A	20170517	CN201611227370	20140723
CN106674201 A	20170517	CN201611227395	20140723
CO7500024 A1	20160129	CO20140155955	20140718
CR20140307 A	20141117	CR20140000307	20140625
CU20140081 A7	20160229	CU20140000081	20140707
CU24315 B	20180208	CU20140000081	20140707
CY1118756 T1	20170712	CY20171100352T	20170320
DE602014005605 D1	20170209	DE201460005605T	20140722
DK2829539 T3	20170403	DK20140178016T	20140722
DOP2014000154 A	20141015	DO20140000154	20140630
EA026906 B1	20170531	EA20140000753	20140722

Patent Number	Publication Date	Application Number	Application Date
EA201400753 A1	20150331	EA20140000753	20140722
EP2829539 A1	20150128	EP20140178016	20140722
EP2829539 B1	20161221	EP20140178016	20140722
ES2620515 T3	20170628	ES20140178016T	20140722
FR3008975 A1	20150130	FR20130057258	20130723
GC8572 A	20180904	GC2014P027701	20140719
GE20176686 B	20170626	GE20140013527	20140721
GT201400144 A	20151124	GT20140000144	20140704
HK1201529 A1	20150904	HK20150102102	20150302
HK1201529 B	20180112	HK20150102102	20150302
HK1203506 A1	20151030	HK20150104232	20150504
HR20170349 T1	20170421	HR20170000349	20170302
HU033361 T2	20171128	HU20140178016T	20140722
ID201603146 A	20160429	ID2014P0004415	20140721
ID52535 B	20180807	ID2014P0004415	20140721
IL233343 A1	20170629	IL20140233343	20140624
IN01731DE2014 A	20160831	IN2014DE01731	20140627
IN202115018527 A	20220218	IN202115018527	20210422
IN371566 B	20210716	IN2014DE01731	20140627
JO3275 B	20180916	JO20140000198	20140624
JP2015028018 A2	20150212	JP20140148956	20140722
JP5923560 B2	20160524	JP20140148956	20140722
KR101712111 B1	20170303	KR20140093481	20140723
KR20150011786 A	20150202	KR20140093481	20140723
KR20170001695 A	20170104	KR20160178093	20161223
LT2829539 T	20170227	LT20140178016T	20140722
MA37206 B1	20180928	MA20140037206	20140711
MD20140072 A2	20150131	MD20140000072	20140715
MD4575 B1	20180630	MD20140000072	20140715
MD4575 C1	20190131	MD20140000072	20140715
ME02635 B	20170620	ME20170000063	20140722
MK7474 T1	20171231	MK20170000211T	20170317
MX2014008867 A1	20150528	MX20140008867	20140722
MX348370 B	20170608	MX20140008867	20140722
MY173259 A	20200109	MY20140701840	20140702
NI201400079 A	20141024	NI20140000079	20140722
NZ626623 A	20160129	NZ20140626623	20140624
NZ626623 B	20160503	NZ20140626623	20140624
PE03992015 A1	20150411	PE20140001034	20140626
PE20150399 A1	20150411	PE20140001034	20140626
PE20190653 A1	20190508	PE20190000414	20140626
PH2014000179 A	20160118	PH20140000179	20140625
PH2014000179 B	20160118	PH20140000179	20140625
PL2829539 T3	20170831	PL20140178016T	20140722
PT2829539 T	20170127	PT20140178016T	20140722

Patent Number	Publication Date	Application Number	Application Date
RS55838 B1	20170831	RS20170000254	20140722
RU2014130125 A	20160220	RU20140130125	20140722
RU2607788 C2	20170110	RU20140130125	20140722
SA114350670 B1	20160209	SA20140350670	20140722
SG10201403750U A1	20150227	SG20141003750	20140701
SI2829539 T1	20170426	SI20140030163	20140722
SV2014004770 A	20150128	SV20140004770	20140717
TH145260 A	20160215	TH20141004177	20140721
TN2014000278 A1	20150930	TN20140000278	20140625
TR201700960 T4	20170221	TR20170000960T	20140722
TW201512186 A	20150401	TW20140123702	20140709
TWI549948 B	20160921	TW20140123702	20140709
UA115773 C2	20171226	UA20140008110	20140717
US10265323 BB	20190423	US20170419228	20170130
US10688101 BB	20200623	US20190271171	20190208
US11058689 BB	20210713	US20200865855	20200504
US2015031673 AA	20150129	US20140336311	20140721
US2015313907 AA	20151105	US20150797532	20150713
US2017202851 AA	20170720	US20170419228	20170130
US2019192528 AA	20190627	US20190271171	20190208
US2020261464 AA	20200820	US20200865855	20200504
US2021290631 AA	20210923	US20210341751	20210608
US2024245699 AA	20240725	US20240591522	20240229
US9108983 BB	20150818	US20140336311	20140721
US9598427 BB	20170321	US20150797532	20150713
UY35639 A1	20150227	UY20140035639	20140701
VN10023582 B	20200427	VN20140002451	20140722
VN40625 A	20150126	VN20140002451	20140722
WO15011400 A1	20150129	WO2014FR51888	20140722
ZA201405323 A	20150930	ZA20140005323	20140718

Priority Numbers: FR20130057258 [20130723]; CN201410351234 [20140723]; EP20140178016 [20140722]; IN2014DE01731 [20140627]; KR20160178093 [20161223]; PH20140000179 [20140625]; US20140336311 [20140721]; US20150797532 [20150713]; US20170419228 [20170130]; US20190271171 [20190208]; US20200865855 [20200504]; US20210341751 [20210608]; US20240591522 [20240229]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

33. Family 69436582 (US2020399243 AA)

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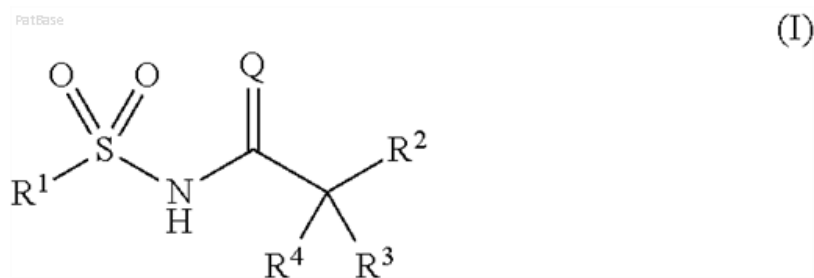
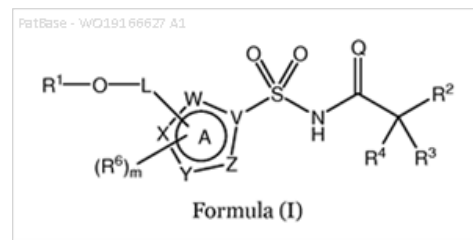
Title

[EN] NOVEL COMPOUNDS

Abstract

[EN] The present invention relates to compounds of formula (1): wherein Q is selected from O or S; R¹ is a 5-membered nitrogen-containing heteroaryl group substituted with R⁶ and optionally further substituted; R² is an alpha, alpha'-substituted cyclic group which may optionally be further substituted; R³ and R⁴ are each independently hydrogen, halogen, -OH, -NH₂, -CN, -R⁵, -OR⁵, -NHR⁵ or -N(R⁵)₂; or R³ and R⁴ together with the carbon atom

to which they are attached may form a 3 to 7 membered saturated or unsaturated, optionally substituted cyclic group; R⁵ is independently an optionally substituted C₁-C₄ alkyl group; and R⁶ is any group comprising a nitrogen atom. The present invention further relates to salts, solvates and prodrugs of such compounds, to pharmaceutical compositions comprising such compounds, and to the use of such compounds in the treatment and prevention of medical disorders and diseases, most especially by the inhibition of NLRP₃.



International Class: A61K31/415; A61K31/4439; A61P25/00; A61P3/00; A61P9/00; C07D231/18; C07D401/12; A61P29/00; C07D213/56; C07D213/64; C07D213/71; C07D401/04; C07D405/12; C07D409/12; C07D409/14; C07D413/12; C07D417/12; C07D405/04; C07D405/14; C07D231/20; C07D233/84; C07D249/04; A61K9/00; C07D213/643; C07D471/04; C07F5/02

Cooperative Patent Class: A61P25/00; A61P3/00; A61P9/00; C07D231/18; C07D401/12; A61K9/0014; A61P29/00; C07D213/56; C07D213/64; C07D213/643; C07D213/71; C07D401/04; C07D405/12; C07D405/14; C07D409/12; C07D409/14; C07D413/12; C07D417/12; C07D471/04; C07F5/027; C07D405/04; C07D231/20; C07D233/84; C07D249/04

Family Information

Patent Number	Publication Date	Application Number	Application Date
EP3759078 A1	20210106	EP20190708313	20190301
EP3759090 A1	20210106	EP20190708836	20190301
EP3759102 A1	20210106	EP20190709654	20190301
EP3759103 A1	20210106	EP20190710335	20190301
GB201803411 A0	20180418	GB20180003411	20180302
GB201803412 A0	20180418	GB20180003412	20180302
GB201803413 A0	20180418	GB20180003413	20180302
GB201803415 A0	20180418	GB20180003415	20180302
GB201900291 A0	20190227	GB20190000291	20190109
GB201902318 A0	20190403	GB20190002318	20190220
GB201902319 A0	20190403	GB20190002319	20190220
GB201902320 A0	20190403	GB20190002320	20190220
GB201902323 A0	20190403	GB20190002323	20190220
US11530200 BB	20221220	US20200977451	20190301
US11834433 BB	20231205	US20200977251	20190301

Patent Number	Publication Date	Application Number	Application Date
US11884645 BB	20240130	US20200977452	20190301
US12030879 BB	20240709	US20200977445	20190301
US2020399243 AA	20201224	US20200977452	20190301
US2021002274 AA	20210107	US20200977445	20190301
US2021040065 AA	20210211	US20200977251	20190301
US2021047302 AA	20210218	US20200977451	20190301
WO19166627 A1	20190906	WO2019EP55140	20190301
WO19166628 A1	20190906	WO2019EP55144	20190301
WO19166629 A1	20190906	WO2019EP55146	20190301
WO19166632 A1	20190906	WO2019EP55150	20190301

Priority Numbers: GB20180003412 [20180302]; GB20190002319 [20190220]; WO2019EP55144 [20190301]; GB20180003413 [20180302]; GB20190000291 [20190109]; WO2019EP55146 [20190301]; GB20190002320 [20190220]; GB20180003411 [20180302]; GB20190002318 [20190220]; WO2019EP55140 [20190301]; GB20180003415 [20180302]; GB20190002323 [20190220]; WO2019EP55150 [20190301]

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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

34. Family 76796916 (US2021238184 AA)

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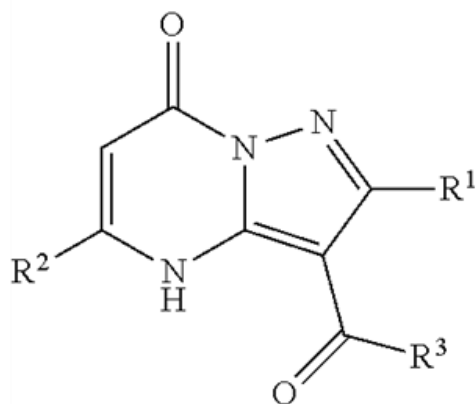
Title

[EN] THERAPEUTIC COMPOUNDS

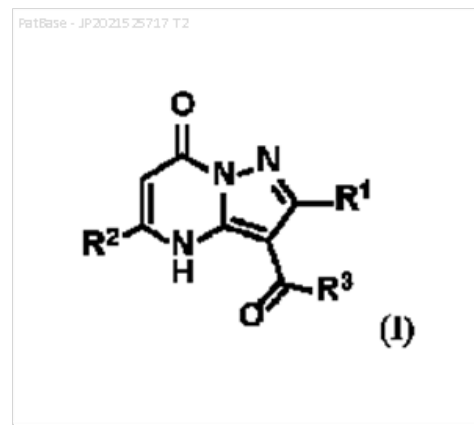
Abstract

[EN] The present disclosure relates to compounds of formula (I):

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(I)



and pharmaceutically acceptable salts thereof, wherein R^1 - R^3 have any of the values defined herein, and compositions and uses thereof. The compounds are useful as inhibitors of the YAP:TEAD protein:protein interaction. Also included are pharmaceutical compositions comprising a compound of formula (I) or a pharmaceutically acceptable salt thereof, and methods of using such compounds and salts in the treatment of various YAP:TEAD-mediated disorders, including cancer.

International Class: A61K31/519; A61P35/00; C07D487/04; A61P43/00

Cooperative Patent Class: A61P35/00; C07D487/04

Family Information

Patent Number	Publication Date	Application Number	Application Date
CN112204029 A	20210108	CN201980035884	20190530
CN112204029 B	20240301	CN201980035884	20190530
EP3802543 A1	20210414	EP20190733243	20190530
HK40036989 A1	20210604	HK20216026363	20210226
HK40036989 B	20240607	HK20216026363	20210226
JP2021525717 T2	20210927	JP20200566229T	20190530
JP7394074 B2	20231207	JP20200566229T	20190530
US2021238184 AA	20210805	US20200105088	20201125
WO19232216 A1	20191205	WO2019US34660	20190530

Priority Numbers: US20180678567P [20180531]; WO2019US34660 [20190530]; US20200105088 [20201125]

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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG;

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35. Family 74966583 (US2021171474 AA)

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Title

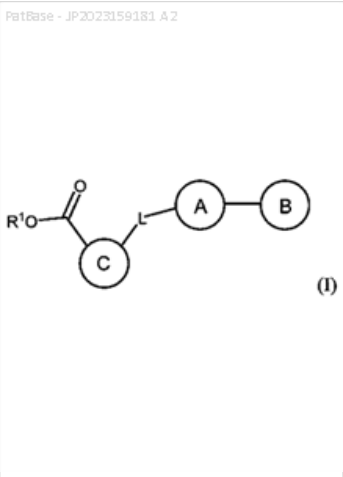
[EN] GLYCOLATE OXIDASE INHIBITORS FOR THE TREATMENT OF DISEASE

Abstract

[EN] Described herein are compounds, methods of making such compounds, pharmaceutical compositions and medicaments containing such compounds, and methods of using such compounds to treat or prevent diseases or disorders associated with the enzyme glycolate oxidase (GO). Such diseases or disorders include, for example, disorders of glyoxylate metabolism, including primary hyperoxaluria, that are associated with production of excessive amounts of oxalate.

International Class: A61K31/4192; A61K31/4439; A61K31/454; A61K31/4709; A61K31/496; A61P13/00; A61P13/12; C07D249/04; C07D249/06; C07D401/04; C07D401/06; C07D401/12; C07D403/06; C07D403/12; C07D409/12; C07D417/04; C07D417/12; A61K31/428; A61P3/12; C07D401/14; C07D405/12; A61K31/4196; A61K31/4245; A61K31/427; A61K31/4725; A61K31/5377; A61P13/02; C07D405/04; C07D409/04; C07D413/12; A61K31/433; A61P43/00; C07D249/12

Cooperative Patent Class: A61K31/427; A61P13/00; A61P13/12; A61P3/12; C07D249/04; C07D249/06; C07D401/04; C07D401/06; C07D401/12; C07D401/14; C07D403/06; C07D403/12; C07D405/12; C07D409/12; C07D417/04; C07D417/12; A61K31/4192



Family Information

Patent Number	Publication Date	Application Number	Application Date
AR114070 AA	20200715	AR2018P103914	20181228
AU2018395275 AA	20200716	AU20180395275	20181228
BR112020013073 A2	20201201	BR202011013073	20181228
BR122022022133 A0	20230124	BR202212022133	20181228
BR122022022136 A0	20230124	BR202212022136	20181228
BR122022022137 A0	20230124	BR202212022137	20181228
BR122022022138 A0	20230124	BR202212022138	20181228
BR122022022139 A0	20230124	BR202212022139	20181228
CA3086401 AA	20200618	CA20183086401	20181228
CL2020001742 A1	20210129	CL20200001742	20200625
CN111788187 A	20201016	CN201880090533	20181228
CN117024420 A	20231110	CN202310936604	20181228
CN117069712 A	20231117	CN202310936523	20181228
CN117069713 A	20231117	CN202310936652	20181228
CN117126151 A	20231128	CN202310936618	20181228
CN117126152 A	20231128	CN202310936668	20181228
EP3732167 A2	20201104	EP20180840000	20181228
HK40040044 A1	20210723	HK20216030311	20210501
IL275496 AA	20200831	IL20180275496	20181228
IL297788 AA	20221201	IL20180297788	20181228
IL297802 AA	20221201	IL20180297802	20181228
IN202047027189 A	20200828	IN202047027189	20200626
IN202448006430 A	20240223	IN202448006430	20240131
IN529082 B	20240322	IN202047027189	20200626
JP2021509401 T2	20210325	JP20200535997T	20181228
JP2023159181 A2	20231031	JP20230127874	20181228
JP7344878 B2	20230914	JP20200535997T	20181228
KR20200112860 A	20201005	KR20207022038	20181228

Patent Number	Publication Date	Application Number	Application Date
MA51418 A	20201104	MA20180051418	20181228
MX2020006414 A1	20200917	MX20200006414	20181228
MX2022016415 A1	20230130	MX20220016415	20200713
MX2022016422 A1	20230130	MX20220016422	20200713
MX2022016427 A1	20230130	MX20220016427	20200713
MX2022016434 A1	20230130	MX20220016434	20200713
MX2022016463 A1	20230201	MX20220016463	20200713
MX401269 B	20230328	MX20200006414	20181228
PE20201500 A1	20201229	PE20200000860	20181228
RU2020120974 A	20220131	RU20200120974	20181228
RU2020120974 A3	20220131	RU20200120974	20181228
RU2023125884 A	20231102	RU20230125884	20181228
RU2805308 C2	20231013	RU20200120974	20181228
SG11202005807Q A1	20200729	SG20201105807	20181228
TW201930272 A	20190801	TW20180147699	20181228
TW202340155 A	20231016	TW20230107812	20181228
TWI811282 B	20230811	TW20180147699	20181228
US11384055 BB	20220712	US20200958511	20181228
US2021171474 AA	20210610	US20200958511	20181228
US2023079913 AA	20230316	US20220751136	20220523
US2023089374 AA	20230323	US20220751144	20220523
WO19133770 A2	20190704	WO2018US67784	20181228
WO19133770 A3	20190815	WO2018US67784	20181228

Priority Numbers: US20170611995P [20171229]; US20180765313P [20180820]; WO2018US67784 [20181228]; BR202011013073 [20181228]; CN201880090533 [20181228]; IN202047027189 [20181228]; JP20200535997T [20181228]; JP20200535997 [20181228]; JP20230127874 [20230804]; MX20200006414 [20200713]; RU20200120974 [20181228]; US20180958511 [20181228]; US20200958511 [20200626]; US20220751136 [20220523]; US20220751144 [20220523]

Probable Patent Assignee: BIOMARIN PHARMACEUTICAL INC

Assignees: BIOMARIN PHARMACEUTICAL INC; BIOMARIN PHARM INC; WANG BING; CHAO QI

Non Std Assignees: 105 DIGITAL DRIVE

Inventors: CHAO QI; WANG BING; BING WANG; QI CHAO

Agent(s): FB RICE PTY LTD; BM AND A PROPIEDAD INTELECTUAL LTDA; OSLER HOSKIN AND HARCOURT LLP; ESTUDIO VILLASECA Y CIA; JONES DAY; DR SHLOMO COHEN AND CO; EDUARDO KLEINBERG DRUKER; YURIDICHESKAYA GORODISSKIY I PARTNERY OOO FA; CAMPBELL A PATRICIA ET AL

Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DJ; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JO; JP; KE; KG; KH; KM; KN; KP; KR; KW; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

36. Family 52166999 (US2014142083 AA)

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Title
[EN] SUBSTITUTED BENZENE COMPOUNDS

Abstract
[EN] The present invention relates to substituted benzene compounds. The present invention also relates to pharmaceutical compositions containing these compounds and methods of treating cancer by administering these compounds and pharmaceutical compositions to subjects in need thereof. The present invention also relates to the use of such compounds for research or other non-therapeutic purposes.

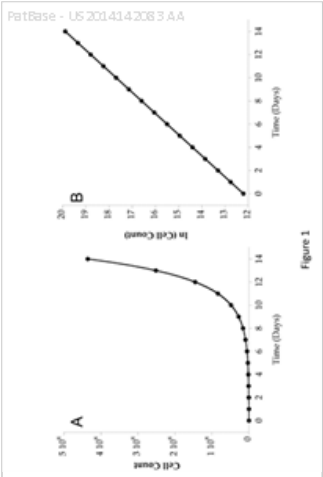
International Class: A61K31/4412; A61P35/00; C07D213/643; A61K31/4427; C07D213/64; C07D401/12; C07D401/14; C07D407/12; C07D409/12; C07D413/12; C07D413/14; C07D491/10; C07D491/113; A61K; A61P; C07D; C07D405/12; C07D405/14; C07D491/048; C07D491/08; A61K31/407; A61K31/4355; A61K31/438; A61K31/44; A61K31/443; A61K31/4433; A61K31/4436; A61K31/4439; A61K31/444; A61K31/4545; A61K31/496; A61K31/5377; C07K14/47

Cooperative Patent Class: A61K31/4427; A61P35/00; C07D213/64; C07D401/12; C07D401/14; C07D405/12; C07D405/14; C07D407/12; C07D409/12; C07D413/12; C07D413/14; C07D491/048; C07D491/08; C07D491/10; C07D491/113

US Class: 1/1; 514/150; 514/210.2; 514/253.12; 514/318; 514/333; 514/335; 514/336; 514/351; 544/360; 546/194; 546/256; 546/261; 546/282.1; 546/300

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR086839 AA	20140129	AR2012P101289	20120413
AU2012242604 AA	20131024	AU20120242604	20120413
AU2012242604 BB	20170525	AU20120242604	20120413
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DE602012049964 D1	20180913	DE201260049964T	20120413
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EP2697198 A1	20140219	EP20120716968	20120413
EP2697198 B1	20160608	EP20120716968	20120413
EP3165520 A1	20170510	EP20160173275	20120413
EP3165520 B1	20180815	EP20160173275	20120413
EP3470396 A1	20190417	EP20180189032	20120413
ES2590489 T3	20161122	ES20120716968T	20120413
HK1202534 A1	20151002	HK20150103015	20150324
HU030722 T2	20170529	HU20120716968T	20120413
IL228746 A0	20131231	IL20120228746	20120413
IL228746 A1	20190829	IL20120228746	20120413
IN03307KN2013 A	20140207	IN2013KN03307	20131108
JP2014513084 A2	20140529	JP20140505375	20120413
JP2014513084 T2	20140529	JP20140505375T	20120413
KR101975613 B1	20190507	KR20137029686	20120413



Patent Number	Publication Date	Application Number	Application Date
KR20140034787 A	20140320	KR20137029686	20120413
MX2013011922 A1	20140410	MX20130011922	20120413
MX344530 B	20161219	MX20130011922	20120413
PL2697198 T3	20161230	PL20120716968T	20120413
PT2697198 T	20160913	PT20120716968T	20120413
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RU2017126990 A	20190201	RU20170126990	20120413
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RU2629118 C2	20170824	RU20130150510	20120413
TR201612704 T4	20161121	TR20160012704T	20120413
TW201305107 A	20130201	TW20120112996	20120412
TW201733984 A	20171001	TW20170103833	20120412
TWI598336 B	20170911	TW20120112996	20120412
US2014142083 AA	20140522	US20120110873	20120413
US2016332969 AA	20161117	US20160162453	20160523
US9376422 BB	20160628	US20120110873	20120413
WO12142513 A1	20121018	WO2012US33662	20120413

Priority Numbers: US20110474825P [20110413]; US20110505676P [20110708]; WO2012US33662 [20120413]; AU20170218920 [20170821]; AU20120242604 [20120413]; EP20120716968 [20120413]; EP20160173275 [20120413]; EP20160173275 [20160607]; RU20170126990 [20120413]; US20120110873 [20120413]; US20160162453 [20160523]; US20140110873 [20140130]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PE; PG; PH; QA; RU; RW; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZM; ZW; ZA

37. Family 53428304 (US2014315888 AA)

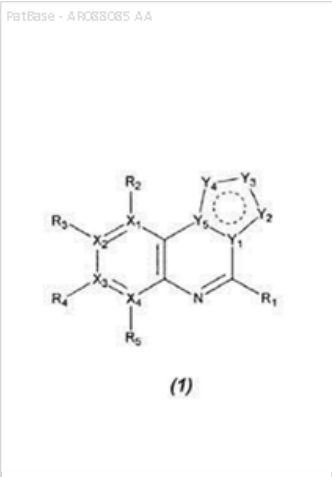
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Title

[EN] NOVEL HETEROCYCLIC DERIVATIVES AND THEIR USES

Abstract

[EN] The present invention relates to novel heterocyclic compounds useful in preparing drugs for treatment of diseases associated with various functions of the histamine 4 receptor. Especially, the said drugs are useful for treatment of inflammatory diseases, allergy, pain, nasal polyps, rhinitis, chronic sinusitis, nasal congestion, nasal itch, asthma, chronic obstructive pulmonary disease, rheumatoid arthritis, atopic dermatitis, psoriasis, eczema, pruritus, itchy skin, urticaria, idiopathic chronic urticaria, scleroderma, conjunctivitis, keratoconjunctivitis, ocular inflammation, dry eye, cardiac dysfunction, arrhythmia, atherosclerosis, multiple sclerosis, inflammatory bowel disease (including colitis, Crohn's disease, ulcerative colitis), inflammatory pain, neuropathic pain, osteoarthritis pain, autoimmune thyroid disease, immune-mediated (also known as type I) diabetes, lupus, post-operative adhesions, vestibular disorders and cancer.



International Class: A61K31/4985; A61P29/00; C07D471/14; C07D487/14; A61P35/00; A61P37/08; C07D498/14; C07D519/00; A61K; A61P; C07D; A61K31/4375; A61K31/551; A61P1/00; A61P11/00; A61P11/02; A61P11/06; A61P17/00; A61P17/04; A61P17/06; A61P19/02; A61P25/00; A61P25/04; A61P27/02; A61P27/14; A61P3/10; A61P37/02; A61P43/00; A61P9/00; A61P9/06; A61P9/10; A61K31/4196; A61K31/497; C07D471/12; A61P37/00; A61K31/00; C07D471/00; C07D487/00; C07D498/00; A61K31/519; C07D487/04; C07D487/08; A61K31/4353; C07D491/147

Cooperative Patent Class: A61K31/4196; A61K31/497; A61K31/4985; A61P1/00; A61P11/00; A61P11/02; A61P11/06; A61P17/00; A61P17/04; A61P17/06; A61P19/02; A61P25/00; A61P25/04; A61P27/02; A61P27/14; A61P29/00; A61P3/10; A61P35/00; A61P37/00; A61P37/02; A61P37/08; A61P43/00; A61P9/00; A61P9/06; A61P9/10; C07D471/04; C07D471/14; C07D487/14; C07D498/14; C07D519/00

US Class: 1/1; 514/210.21; 514/218; 514/250; 514/252.16; 514/253.03; 540/575; 544/251; 544/346; 544/361

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR088085 AA	20140507	AR2012P103614	20120928
AR088085 B1	20220715	AR2012P103614	20120928
AU2012316912 AA	20140320	AU20120316912	20120928
AU2012316912 AH	20140410	AU20120316912	20120928
AU2012316912 BB	20170420	AU20120316912	20120928
AU2012316912 BI	20170427	AU20120316912	20120928
BR112014007645 A2	20170418	BR201411007645	20120928
CA2848154 AA	20140307	CA20122848154	20120928
CA2848154 C	20200428	CA20122848154	20120928
CN103889986 A	20140625	CN201280051950	20120928
CN103889986 B	20170721	CN201280051950	20120928
CY1121292 T1	20200529	CY20181101040T	20181009
DE602012048462 D1	20180809	DE201260048462T	20120928
DE602012079708 D1	20230615	DE201260079708T	20120928
DK2760865 T3	20181008	DK20120834688T	20120928
DK3461825 T3	20230814	DK20180180710T	20120928
EP2760865 A2	20140806	EP20120834688	20120928
EP2760865 A4	20150318	EP20120834688	20120928
EP2760865 B1	20180711	EP20120834688	20120928
EP3461825 A1	20190403	EP20180180710	20120928
EP3461825 B1	20230607	EP20180180710	20120928
ES2687693 T3	20181026	ES20120834688T	20120928

Patent Number	Publication Date	Application Number	Application Date
ES2954303 T3	20231121	ES20180180710T	20120928
FI3461825 T3	20230817	FI20180180710T	20120928
HK1196820 A1	20141224	HK20140110286	20141015
HK1196820 B	20180427	HK20140110286	20141015
HK40006979 A1	20200529	HK20190130430	20191002
HK40006979 B	20231124	HK20190130430	20191002
HR20181310 T1	20181019	HR20180001310	20120928
HR20230893 T1	20231124	HR20230000893	20120928
HU040374 T2	20190328	HU20120834688T	20120928
HU062842 T2	20231228	HU20180180710T	20120928
IN02397CN2014 A	20160701	IN2014CN02397	20140328
IN321212 B	20190927	IN2014CN02397	20140328
JP2014531458 T2	20141127	JP20140533218T	20120928
JP6031107 B2	20161124	JP20140533218T	20120928
KR101800749 B1	20171124	KR20147010409	20120928
KR20140090984 A	20140718	KR20147010409	20120928
LT2760865 T	20180925	LT20120834688T	20120928
LT3461825 T	20230825	LT20180180710T	20120928
MK12955 T1	20231031	MK20230000355T	20230823
MK9210 T1	20190430	MK20180000651T	20180817
MX2014003042 A1	20140528	MX20140003042	20120928
MX355795 B	20180430	MX20140003042	20120928
PL2760865 T3	20181231	PL20120834688T	20120928
PL3461825 T3	20231030	PL20180180710T	20120928
PT2760865 T	20181105	PT20120834688T	20120928
PT3461825 T	20230911	PT20180180710T	20120928
RS57854 B1	20181231	RS20180001171	20120928
RS64575 B1	20231031	RS20230000629	20120928
RU2014115478 A	20151110	RU20140115478	20120928
RU2628074 C2	20170814	RU20140115478	20120928
SG11201400484R A1	20141030	SG20141100484	20120928
SI2760865 T1	20181030	SI20120031387T	20120928
SI3461825 T1	20231130	SI20120032039T	20120928
TR201814710 T4	20190121	TR20180014710T	20120928
TR2023010394 T4	20230921	TR20230010394T	20120928
TW201321381 A	20130601	TW20120135774	20120928
TWI551600 B	20161001	TW20120135774	20120928
US2014315888 AA	20141023	US20120347950	20120928
US9586959 BB	20170307	US20120347950	20120928
WO13048214 A2	20130404	WO2012KR07965	20120928
WO13048214 A3	20130523	WO2012KR07965	20120928

Priority Numbers: KR20110100369 [20110930]; KR20120033444 [20120330]; WO2012KR07965 [20120928]; EP20120834688 [20120928]; EP20180180710 [20120928]

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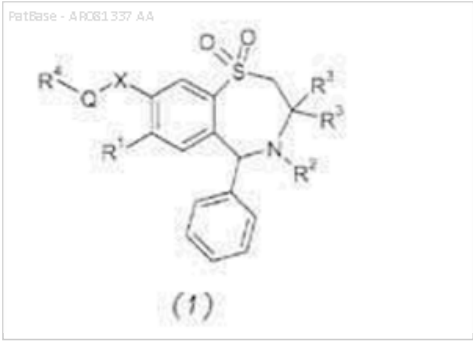
Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BA; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; ME; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; ZM; ZW; VN; ZA

38. Family 49889996 (US2013029938 AA)

[View in PatBase](#)

Title
[EN] CHEMICAL COMPOUNDS

Abstract
[EN] Compounds of Formula I and methods for treating metabolic disorders are disclosed.



FDA Data

Application Number	Active Ingredient	Proprietary Name	Applicant	Date Of Approval
220295	LINERIXIBAT	LYNAVOY	GLAXOSMITHKLINE	20260317

International Class: A61K31/554; A61K31/662; A61P3/04; A61P3/10; C07D281/14; A01N43/02; A01N43/22; A61K31/38; C07D281/10; C07D417/06; A61P3/00; A61P5/00; C07F9/6541; A01N; A61K; A61P; C07D; C07F; C07F9/6536; A61K31/00; C07D281/00; C07D417/00; C07F9/00; A61K31/675; C07D285/36; C07D417/10; C07F9/38

Cooperative Patent Class: A61K31/554; A61K31/675; A61P3/00; A61P3/04; A61P3/10; A61P5/00; C07D281/10; C07D285/36; C07D417/06; C07F9/6536; C07F9/6541

US Class: 514/211.09; 514/80; 540/542; 540/552

Family Information

Patent Number	Publication Date	Application Number	Application Date
AR081337 AA	20120808	AR2011P101432	20110426
AR081337 B1	20181003	AR2011P101432	20110426
AU2011245393 AA	20111103	AU20110245393	20110427
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BR112012026767 A2	20150929	BR201211026767	20110427
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CA2795543 AA	20121004	CA20112795543	20110427
CA2795543 C	20171128	CA20112795543	20110427
CL2012003009 A1	20130308	CL20120003009	20121026
CL57288 B	20190417	CL20120003009	20121026
CN102858159 A	20130102	CN201180021342	20110427
CN102858159 B	20150211	CN201180021342	20110427
CO6612267 A2	20130201	CO20120170751	20120928
CR20120557 A	20130220	CR20120000557	20121101
CY1118187 T1	20170628	CY20161100830T	20160824
DE602011027309 D1	20160721	DE201160027309T	20110427
DK2563122 T3	20160905	DK20110775513T	20110427
DOP2012000263 A	20130915	DO20120000263	20121004
EA021753 B1	20150831	EA20120090909	20110427
EA201290909 A1	20130530	EA20120090909	20110427
EP2563122 A1	20130306	EP20110775513	20110427
EP2563122 A4	20130911	EP20110775513	20110427
EP2563122 B1	20160608	EP20110775513	20110427
ES2588743 T3	20161104	ES20110775513T	20110427

Patent Number	Publication Date	Application Number	Application Date
HK1175650 A1	20130712	HK20130102840	20130307
HK1175650 B	20170413	HK20130102840	20130307
HR20161103 T1	20161104	HR20160001103	20110427
HU029480 T2	20170228	HU20110775513T	20110427
IL222365 A0	20121231	IL20110222365	20110427
IL222365 A1	20160331	IL20110222365	20110427
IN02983KN2012 A	20130614	IN2012KN02983	20121005
JO3131 B	20170920	JO20110000139	20110425
JP2013525444 T2	20130620	JP20130508174T	20110427
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KR101769079 B1	20170830	KR20127030621	20110427
KR20130060201 A	20130607	KR20127030621	20110427
LT2563122 T	20161010	LT20110775513T	20110427
MA34235 B1	20130502	MA20120035373	20121113
ME02490 B	20170220	ME20160000160	20110427
MK6914 T1	20170430	MK20160000501T	20160728
MX2012012527 A1	20121217	MX20120012527	20110427
MX335037 B	20151124	MX20120012527	20110427
MY162933 A	20170731	MY20120004753	20110427
NZ602754 A	20140530	NZ20110602754	20110427
NZ602754 B	20140902	NZ20110602754	20110427
PE03842013 A1	20130404	PE20120002082	20110427
PE20130384 A1	20130404	PE20120002082	20110427
PH2012502128 A	20130204	PH20120502128	20110427
PH2012502128 B	20171108	PH20120502128	20110427
PL2563122 T3	20161230	PL20110775513T	20110427
PT2563122 T	20160901	PT20110775513T	20110427
RS55079 B1	20161230	RS20160000709	20110427
SG184812 A1	20121129	SG20120074860	20110427
SI2563122 T1	20161028	SI20110030920	20110427
SMT201600293 B	20161110	SM20160000293T	20160829
TH145108 A	20160205	TH20121005583	20110427
TH96250 B	20231016	TH20121005583	20110427
UA110338 C2	20151225	UA20120011711	20110427
US2013029938 AA	20130131	US20110640166	20110427
US9040518 BB	20150526	US20110640166	20110427
UY33353 A1	20111201	UY20110033353	20110426
VN10023532 B	20200427	VN20120003447	20110427
VN32773 A	20130225	VN20120003447	20121120
WO11137135 A1	20111103	WO2011US34024	20110427
ZA201207858 A	20140326	ZA20120007858	20121018

Priority Numbers: US20100328212P [20100427]; US20100329225P [20100429]; WO2011US34024 [20110427]; EP20110775513 [20110427]; US20110640166 [20110427]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PE; PG; PH; RU; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

39. Family 59140464 (US2015126490 AA)

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Title
[EN] AMINOHETEROARYL BENZAMIDES AS KINASE INHIBITORS

Abstract
[EN] The present invention provides a compound of Formula (I) or a salt thereof; and therapeutic uses of these compounds. The present invention further provides pharmaceutical compositions comprising these compounds, and compositions comprising these compounds with a therapeutic co-agent.

International Class: A61K31/4418; A61P35/00; C07D213/73; C07D241/20; C07D401/04; C07D401/06; C07D401/12; C07D403/06; C07D405/04; C07D405/14; C07D409/04; C07D413/14; A61K31/4427; A61K31/4439; A61K31/4545; A61K31/496; A61K31/497; A61K31/506; A61K31/5377; C07D403/04; C07D409/14; C07D413/04; C07D417/14; C07D498/04; A61K31/4965; A61K; A61P; C07D; A61K31/4433; A61K31/4436; A61K31/444; A61K31/5383; A61K45/00; C07D401/14; C07D413/10; C07F9/6509; A61K31/661; A61P25/00; A61P3/00; A61P3/10; A61P35/02; A61P43/00; A61K45/06; A61K31/00; C07D213/00; C07D241/00; C07D401/00; C07D403/00; C07D405/00; C07D409/00; C07D413/00; A61K31/4725; A61K31/501; A61K31/5375; A61K31/553; C07D213/72; C07D401/02; C07D403/02; C07D405/02; A61K31/44; A61K31/5355; C07D241/02

FIG. 1

Cooperative Patent Class: A61K2121/00; A61K2300/00; A61K31/44; A61K31/4418; A61K31/4433; A61K31/4436; A61K31/4439; A61K31/4545; A61K31/4965; A61K31/497; A61K31/506; A61K31/5355; A61K31/5377; A61K31/5383; A61K31/553; A61K45/06; A61P25/00; A61P3/00; A61P3/10; A61P35/00; A61P35/02; A61P43/00; C07D213/73; C07D241/20; C07D401/04; C07D401/06; C07D401/12; C07D403/06; C07D405/04; C07D405/14; C07D409/04; C07D413/14; C07D498/04

US Class: 1/1; 514/210.18; 514/211.05; 514/230.5; 514/235.5; 514/255.05; 514/255.06; 514/256; 514/318; 514/336; 514/343; 540/490; 544/105; 544/131; 544/333; 544/336; 546/194; 546/278.4; 546/280.4

Family Information

Patent Number	Publication Date	Application Number	Application Date
AP2016009099 A0	20160331	AP2016009099	20141029
AR098284 AA	20160526	AR2014P104121	20141103
AR098284 B1	20221223	AR2014P104121	20141103
AU2014342338 AA	20160310	AU20140342338	20141029
AU2014342338 BB	20161201	AU20140342338	20141029
BR112016008133 A2	20170801	BR201611008133	20141029
BR112016008133 B1	20201124	BR201611008133	20141029
CA2922532 AA	20160225	CA20142922532	20141029
CA2922532 C	20230919	CA20142922532	20141029
CL2016000807 A1	20160708	CL20160000807	20160407
CL60164 B	20200729	CL20160000807	20160407
CN105658646 A	20160608	CN201480056496	20141029
CN105658646 B	20181127	CN201480056496	20141029
CO16107619 A2	20160930	CO20160107619	20160427
CR20160175 A	20161107	CR20160000175	20141029
CU20160052 A7	20170202	CU20160000052	20141029
CU24425 B	20190604	CU20160000052	20141029
CY1120635 T1	20191211	CY20181100839T	20180810
DE602014025655 D1	20180614	DE201460025655T	20141029
DK3063143 T3	20180820	DK20140800191T	20141029
DOP2016000085 A	20160615	DO20160000085	20160415
EA032754 B1	20190731	EA20160090598	20141029
EA201690598 A1	20161031	EA20160090598	20141029

Patent Number	Publication Date	Application Number	Application Date
EP3063143 A1	20160907	EP20140800191	20141029
EP3063143 B1	20180516	EP20140800191	20141029
ES2684360 T3	20181002	ES20140800191T	20141029
GC9346 A	20181211	GC2014P028231	20141102
HK1225021 A1	20170901	HK20160113286	20161121
HK1225021 B	20181012	HK20160113286	20161121
HR20181287 T1	20181005	HR20180001287	20141029
HU039306 T2	20181228	HU20140800191T	20141029
ID201713144 A	20171208	ID2016P0001677	20141029
IL244240 A0	20160421	IL20140244240	20141029
IL244240 A1	20180131	IL20140244240	20141029
IN201617013146 A	20160831	IN201617013146	20160414
IN424536 B	20230310	IN201617013146	20160414
JO3452 B	20200705	JO20140000315	20141030
JP2016540728 T2	20161228	JP20160521983T	20141029
JP2018076287 A2	20180517	JP20170186420	20170927
JP6219507 B2	20171025	JP20160521983T	20141029
JP6388991 B2	20180912	JP20170186420	20170927
KR101910720 B1	20181022	KR20167009455	20141029
KR20160078957 A	20160705	KR20167009455	20141029
LT3063143 T	20180810	LT20140800191T	20141029
MA38973 A1	20180629	MA20140038973	20141029
MA38973 B1	20181031	MA20140038973	20141029
MX2016004938 A1	20160711	MX20160004938	20141029
MX355480 B	20180419	MX20160004938	20141029
NZ717223 A	20210528	NZ20140717223	20141029
NZ717223 B	20210831	NZ20140717223	20141029
PE10732016 A1	20161030	PE20160000507	20141029
PE20161073 A1	20161030	PE20160000507	20141029
PH2016500467 A	20160516	PH20160500467	20160310
PH2016500467 B	20160516	PH20160500467	20160310
PL3063143 T3	20181031	PL20140800191T	20141029
PT3063143 T	20181019	PT20140800191T	20141029
RS57641 B1	20181130	RS20180000955	20141029
SG11201601467S A1	20160530	SG20161101467	20141029
SI3063143 T1	20180928	SI20140030809T	20141029
SV2016005180 A	20161121	SV20160005180	20160415
TH169301 A	20171019	TH20161001993	20141029
TN2016000081 A1	20170705	TN20160000081	20141029
TR201811764 T4	20180921	TR20180011764T	20141029
TW201607926 A	20160301	TW20140137668	20141030
TWI663153 B	20190621	TW20140137668	20141030
US10188649 BB	20190129	US20170672568	20170809
US10660888 BB	20200526	US20180210968	20181205
US2015126490 AA	20150507	US20140527328	20141029

Patent Number	Publication Date	Application Number	Application Date
US2017182038 AA	20170629	US20150964057	20151209
US2018028532 AA	20180201	US20170672568	20170809
US2019247392 AA	20190815	US20180210968	20181205
US9242996 BB	20160126	US20140527328	20141029
US9763937 BB	20170919	US20150964057	20151209
UY35813 A1	20150529	UY20140035813	20141103
VN10026767 B	20201225	VN20160001349	20141029
VN48247 A	20160825	VN20160001349	20160414
WO15066188 A1	20150507	WO2014US62913	20141029
ZA201601102 A	20170927	ZA20160001102	20160217

Priority Numbers: US20130898761P [20131101]; WO2014CN088409 [20141011]; WO2014US62913 [20141029]; WO2014CN88409 [20141011]; EP20140800191 [20141029]; JP20160521983T [20141029]; JP20170186420 [20170927]; US20150964057 [20151209]; US20170672568 [20170809]; US20140527328 [20141029]; US20180210968 [20181205]

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Designated States: AL; AT; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; BA; ME; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

40. Family 59700620 (US2016326137 AA)

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Title
[EN] COMPOUNDS AND METHODS OF USE



Abstract
[EN] This disclosure provides compounds and compositions and methods of using those compounds and compositions to treat diseases and disorders associated with excessive transforming growth factor-beta (TGF beta) activity. This disclosure also provides methods of using the compounds in combination with one or more cancer immunotherapies.

International Class: A61K31/445; A61P35/00; C07D401/12; C07D401/14; C07D405/14; C07D413/14; C07D471/04; C07D487/04; A61K31/444; A61K; A61P; C07D; H01Q; A61K31/44; A61K31/4439; A61K31/4545; A61K31/4709; A61K31/496; A61K31/506; A61K31/519; A61K31/5377; A61K45/00; A61P43/00; C07D213/74; A61K31/455; A61P1/16; A61P11/00; A61P11/02; A61P13/12; A61P17/00; A61P17/02; A61P19/10; A61P27/02; A61P29/00; A61P9/00; A61P9/10; C07D213/82; A61K31/00; C07D401/00; C07D405/00; C07D413/00; C07D471/00; C07D487/00; A61K45/06; C07D213/72; C07D213/73

Cooperative Patent Class: A61K31/4439; A61K31/444; A61K31/4545; A61K31/4709; A61K31/506; A61K45/06; A61P1/16; A61P11/00; A61P11/02; A61P13/12; A61P17/00; A61P17/02; A61P19/10; A61P27/02; A61P29/00; A61P35/00; A61P43/00; A61P9/00; A61P9/10; C07D213/72; C07D213/73; C07D213/82; C07D401/12; C07D401/14; C07D405/14; C07D413/14; C07D471/04; C07D487/04

US Class: 1/1; 514/275

Family Information

Patent Number	Publication Date	Application Number	Application Date
AU2014373773 AA	20160721	AU20140373773	20141231
AU2014373773 BB	20181004	AU20140373773	20141231
AU2014373773 CA	20190627	AU20140373773	20141231
AU2018282363 AA	20190117	AU20180282363	20181220
AU2018282363 BB	20191219	AU20180282363	20181220
CA2935392 AA	20160628	CA20142935392	20141231
CA2935392 C	20220726	CA20142935392	20141231
CN106132950 A	20161116	CN201480075343	20141231
CN106132950 B	20181109	CN201480075343	20141231
CN109045032 A	20181221	CN201810955135	20141231
CY1123290 T1	20210625	CY20201100809T	20200828
DK3089971 T3	20200831	DK20140824755T	20141231
EP3089971 A1	20161109	EP20140824755	20141231
EP3089971 B1	20200729	EP20140824755	20141231
ES2813875 T3	20210325	ES20140824755T	20141231
HK1230619 B	20191129	HK20170104431	20170502
HR20201384 T1	20201127	HR20200001384	20141231
HU050761 T2	20210128	HU20140824755T	20141231
IN00006DE2014 A	20160831	IN2014DE00006	20140101
IN388714 B	20220211	IN2014DE00006	20140101
JP2017501200 T2	20170112	JP20160544111T	20141231
JP2019048899 A2	20190328	JP20190000208	20141231
JP6474166 B2	20190227	JP20160544111T	20141231
LT3089971 T	20201012	LT20140824755T	20141231
PL3089971 T3	20210125	PL20140824755T	20141231
PT3089971 T	20200903	PT20140824755T	20141231
RS60718 B1	20200930	RS20200001011	20141231
SI3089971 T1	20201130	SI20140031651T	20141231
US10030004 BB	20180724	US20140109013	20141231

Patent Number	Publication Date	Application Number	Application Date
US10501436 BB	20191210	US20180933532	20180323
US11053216 BB	20210706	US20190659775	20191022
US11702401 BB	20230718	US20210327705	20210522
US2016326137 AA	20161110	US20140109013	20141231
US2018208577 AA	20180726	US20180933532	20180323
US2020071296 AA	20200305	US20190659775	20191022
US2022000847 AA	20220106	US20210327705	20210522
WO15103355 A1	20150709	WO2014US72922	20141231

Priority Numbers: IN2014DE00006 [20140101]; WO2014US72922 [20141231]; IN2014DED00006 [20140101]; AU20180282363 [20181220]; AU20140373773 [20141231]; CN201480075343 [20141231]; EP20140824755 [20141231]; JP20160544111T [20141231]; JP20190000208 [20190104]; US20140109013 [20141231]; US20180933532 [20180323]; US20190659775 [20191022]; US20210327705 [20210522]; US20160109013 [20160629]

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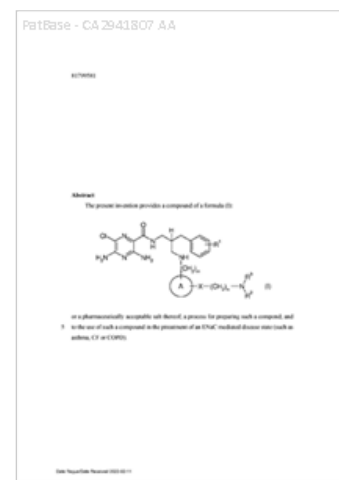
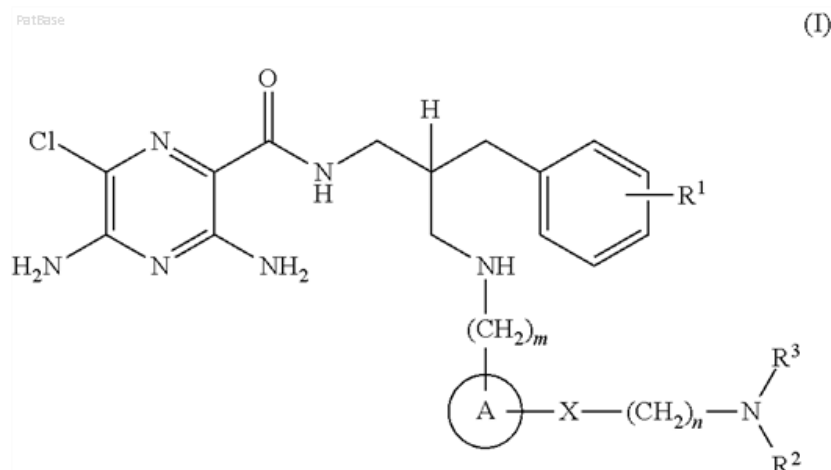
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Designated States: AL; AT; BA; BE; BG; CH; CY; CZ; DE; DK; EE; ES; FI; FR; GB; GR; HR; HU; IE; IS; IT; LI; LT; LU; LV; MC; ME; MK; MT; NL; NO; PL; PT; RO; RS; SE; SI; SK; SM; TR; AE; AG; AM; AO; AU; AZ; BB; BF; BH; BJ; BN; BR; BW; BY; BZ; CA; CF; CG; CI; CL; CM; CN; CO; CR; CU; DM; DO; DZ; EC; EG; GA; GD; GE; GH; GM; GN; GQ; GT; GW; HN; ID; IL; IN; IR; JP; KE; KG; KM; KN; KP; KR; KZ; LA; LC; LK; LR; LS; LY; MA; MD; MG; ML; MN; MR; MW; MX; MY; MZ; NA; NE; NG; NI; NZ; OM; PA; PE; PG; PH; QA; RU; RW; SA; SC; SD; SG; SL; SN; ST; SV; SY; SZ; TD; TG; TH; TJ; TM; TN; TT; TZ; UA; UG; US; UZ; VC; VN; ZA; ZM; ZW

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[EN] CHEMICAL COMPOUNDS

[EN] The present invention provides a compound of a formula (I): or a pharmaceutically acceptable salt thereof; a process for preparing such a compound; and to the use of such a compound in the treatment of an ENaC mediated disease state (such as asthma, CF or COPD).



Cooperative Patent Class: A61K31/4965; A61K31/497; A61K45/06; A61P11/00; A61P11/06; A61P3/12; A61P43/00; C07D241/26; C07D241/28; C07D401/06; C07D401/12; C07D405/14; C07D403/12

Family Information

Patent Number	Publication Date	Application Number	Application Date
AP2016009447 A0	20160930	AP20160009447	20150317
AR099790 AA	20160817	AR2015P100820	20150318
AU2015233195 AA	20160922	AU20150233195	20150317
AU2015233195 BB	20170420	AU20150233195	20150317
BR112016021187 A2	20170815	BR201611021187	20150317
BR112016021187 B1	20230606	BR201611021187	20150317
CA2941807 AA	20160907	CA20152941807	20150317
CA2941807 C	20230307	CA20152941807	20150317
CL2016002303 A1	20170113	CL20160002303	20160913
CN106103423 A	20161109	CN201580013691	20150317
CN106103423 B	20191206	CN201580013691	20150317
CO20160002361 A2	20170217	CO20160002361	20160926
CR20160479 A	20170224	CR20160000479	20150317
CY1120509 T1	20190710	CY20181100750T	20180718
DE602015011173 D1	20180614	DE201560011173T	20150317
DK3119752 T3	20180730	DK20150713339T	20150317

Patent Number	Publication Date	Application Number	Application Date
DOP2016000232 A	20161016	DO20160000232	20160907
EA029952 B1	20180629	EA20160091641	20150317
EA201691641 A1	20170228	EA20160091641	20150317
EP3119752 A1	20170125	EP20150713339	20150317
EP3119752 B1	20180516	EP20150713339	20150317
ES2679618 T3	20180829	ES20150713339T	20150317
GC8952 A	20181023	GC2015P029077	20150317
GT201600190 A	20190729	GT20160000190	20160914
HK1230601 A1	20171208	HK20170104177	20170425
HK1230601 B	20210108	HK20170104177	20170425
HR20181123 T1	20180921	HR20180001123	20150317
HU039425 T2	20181228	HU20150713339T	20150317
ID201707440 A	20170714	ID2016P0006521	20150317
IL247610 A0	20161130	IL20150247610	20150317
IL247610 A1	20190530	IL20150247610	20150317
IN201627031265 A	20161021	IN201627031265	20160914
JP2017512830 T2	20170525	JP20170500446T	20150317
JP6502469 B2	20190417	JP20170500446T	20150317
KR102296041 B1	20210830	KR20167028483	20150317
KR20160127135 A	20161102	KR20167028483	20150317
LT3119752 T	20180810	LT20150713339T	20150317
ME03056 B	20181020	ME20180000185	20150317
MK8860 T1	20181231	MK20180000559T	20180717
MX2016011681 A1	20161028	MX20160011681	20150317
MX368577 B	20191008	MX20160011681	20150317
NI201600134 A	20170313	NI20160000134	20160913
NZ724063 A	20180223	NZ20150724063	20150317
PE02052017 A1	20170406	PE20160001600	20150317
PE20170205 A1	20170406	PE20160001600	20150317
PH2016501808 A	20170109	PH20160501808	20160916
PH2016501808 B	20170109	PH20160501808	20160916
PL3119752 T3	20180928	PL20150713339T	20150317
PT3119752 T	20180724	PT20150713339T	20150317
RS57487 B1	20181031	RS20180000833	20150317
SG11201607751S A1	20161028	SG20161107751	20150317
SI3119752 T1	20180831	SI20150030318T	20150317
SMT201800378 T1	20180913	SM20180000378T	20150317
SV2016005278 A	20161130	SV20160005278	20160914
TH180875 A	20181025	TH20161005326	20150317
TR201810207 T4	20180827	TR20180010207T	20150317
TW201620880 A	20160616	TW20150108476	20150317
TWI687410 B	20200311	TW20150108476	20150317
US10336725 BB	20190702	US20170840339	20171213
US10954211 BB	20210323	US20190408741	20190510
US2017107195 AA	20170420	US20150124393	20150317

Patent Number	Publication Date	Application Number	Application Date
US2018162838 AA	20180614	US20170840339	20171213
US2019330187 AA	20191031	US20190408741	20190510
US9873678 BB	20180123	US20150124393	20150317
UY36034 A1	20150930	UY20150036034	20150316
VN10020210 B	20181225	VN20160003902	20161017
VN20210 A1	20181225	VN20160003902	20161017
VN50774 A	20170227	VN20160003902	20161017
WO15140527 A1	20150924	WO2015GB50765	20150317
ZA201607136 A	20180425	ZA20160007136	20161017

Priority Numbers: US20140954674P [20140318]; WO2015GB50765 [20150317]; EP20150713339 [20150317]; US20170840339 [20171213]; US20160124393 [20160908]; US20190408741 [20190510]; US20150124393 [20150317]

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PI3K δ and PI3K γ as Targets for Autoimmune and Inflammatory Diseases

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■ INTRODUCTION

Autoimmune and inflammatory diseases such as rheumatoid arthritis (RA), systemic lupus erythematosus (SLE), and asthma are chronic and often progressive diseases associated with a dysregulated or an overactive immune system, respectively. The causes and the drivers of these diseases remain ill-defined. They are characterized by complex cellular interactions between multiple inflammatory cells of the innate and adaptive immune system. The approval of rituximab (Rituxan) for RA and belimumab (Benlysta) for SLE indicates an important role for B cells in the pathology of immune-mediated diseases. However, the heterogeneity and complexity of the disease etiology of these conditions make the search for new good cellular targets challenging, as it is unclear who in the cellular infiltrate is a primary player of the pathology versus an "innocent" bystander. Therefore, targeting signaling molecules that are required for the activation of multiple immune cells may be the more likely route to success in combating these chronic, immune cell-mediated diseases. This review will highlight two such signaling molecules, the related lipid kinases, class I PI3K (phosphoinositide 3-kinase) δ and γ , both of which are primarily expressed in leukocytes and seem to play important roles in their function and activation.

This review will compare and contrast these two kinases as targets for autoimmune and inflammatory diseases and summarize efforts toward the development of small molecule inhibitors of PI3K δ and PI3K γ .^{1–3}

Phosphoinositides (PIs) act as second messengers in a wide variety of cellular roles including signal transduction, control of membrane trafficking and transport, cytoskeleton organization, cell survival and death, among many other functions. The target of PI3K kinase activity is the phosphoinositides within cell membranes. Phosphoinositides are incorporated within the lipid bilayer of the membrane via two fatty acids that are attached to the cytosolic inositol ring via a glycerol phosphate linker. Cytosolic PI3K phosphorylates the inositol ring at the 3-position, converting PIP2 to PIP3⁴ (Figure 1). It is through the phosphorylation of phosphoinositides that class I PI3Ks control growth, survival, and proliferation of the cells. PIP3 serves as the membrane anchoring site for multiple protein kinases⁵ that contain pleckstrin homology (PH) domains, such as the serine/threonine kinases AKT (or PKB), PDK1, and the tyrosine kinases of the Tec family, BTK, ETK, and ITK.⁶ Membrane bound AKT activates further downstream proteins such as mTOR, FOXO3a, and others. Calcium mobilization and gene transcription are dependent on the activity of Tec family members and other PIP3 binding effectors control cytoskeleton

organization, membrane trafficking, and signaling efficiency. The phosphatase PTEN returns PIP3 to its precursor PIP2, serving as a regulator of PI3K activity.

PI3Ks are divided into three classes (I, II, III) based on functional and sequence homology. Although there is increasing interest in the class II and class III PI3Ks and their products, the majority of pharmaceutical research and the focus of this review are on the class I PI3Ks. Class I PI3Ks are further divided based on signaling pathways and regulatory proteins into class IA and class IB. The class IA PI3Ks comprise three closely related kinases, PI3K α , PI3K β , and PI3K δ , which exist as heterodimers composed of a catalytic subunit (p110 α , p110 β , or p110 δ) and a regulatory subunit. These respond to signaling generally through receptor tyrosine kinases (RTKs). The class IB PI3K γ signals through G-protein-coupled receptors (GPCRs) and is composed of a p110 γ catalytic domain that can associate with regulatory subunits distinct from the class IA isoforms. Expression of the PI3K α and PI3K β isoforms is ubiquitous, while the expression pattern of PI3K δ and PI3K γ seems more restricted, with both isoforms found primarily in leukocytes. The relatively restricted expression pattern of PI3K δ and PI3K γ , in addition to data accumulated from studies in mice, where PI3K δ and/or PI3K γ was either genetically or pharmacologically inactivated, suggests that these two isoforms play a major role in the adaptive and innate immune systems, respectively.

■ SMALL MOLECULE TOOLS FOR ELUCIDATING THE ROLE OF VARIOUS PI3K ISOFORMS

Two broad spectrum oral inhibitors, **1** (wortmannin)¹⁷ and **2** (LY294002)¹⁸ (Figure 2, Table 2), have been used to study the role of PI3K in various functions and disease states. With the identification of PI3K isoforms and the development of specific activity assays, inhibitors with varying selectivity profiles for one isoform over another have been discovered. Select compounds that have served as tool molecules to elucidate the role of PI3K isoforms are listed in Figure 3 and Table 2 along with their relative potencies against the various isoforms. Inhibitors with 60- to 1000-fold selectivity for PI3K δ over the other three class I isoforms are **3** (IC87114)¹⁹ and **4** (PIK-39).¹⁹ Compounds have also been discovered that are selective for PI3K γ such as **5** (AS-252424)²⁰ and **6** (AS-605240).²¹ In addition, profiles that can be characterized as dual activity are observed for compounds such as **7** (TG100-115).²² A challenge of drug

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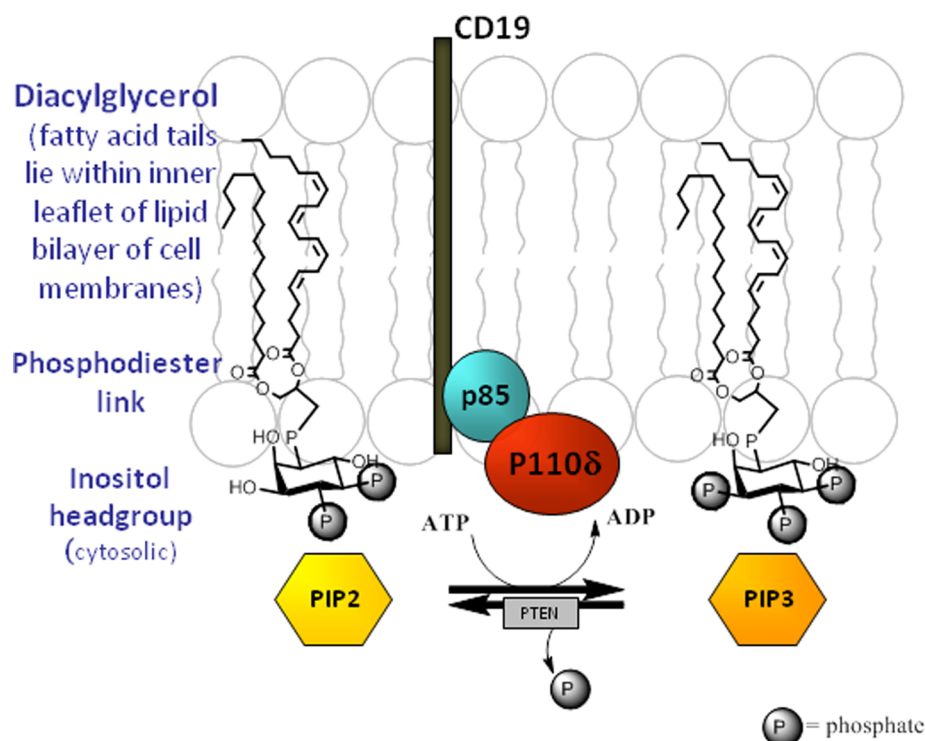
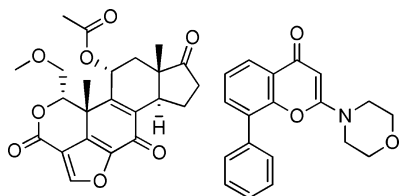


Figure 1. The function of PI3K (p85 regulatory, p110 catalytic domain) is to phosphorylate PIP2, converting it to the phosphoinositide PIP3. The phosphatase PTEN reverses the process. There are four types of class I PI3Ks: class IA, PI3K α , β , δ ; class IB, PI3K γ . PI3K α and PI3K β are ubiquitously expressed, but PI3K δ and PI3K γ are primarily found in leukocytes.



(1) Wortmannin (2) LY294002

Figure 2. Nonselective PI3K inhibitors used in early biological studies.

Table 1. Cells and Cytokines Implicated in Pathogenesis of Disease

disease	main cell types and cytokines implicated in pathogenesis of disease
RA ⁷	CD4 ⁺ T-cells, macrophages, B-cells, SFs, IFN- γ , TNF- α , IL-6, IL-12, IL-17, IL-23
SLE ⁸	B-cells autoantibodies, T-cells, neutrophils, macrophages, basophils,
MS ⁹	T-cells, B-cells, macrophages
psoriasis ¹⁰	T-cells (T _H 1), dendritic cells, neutrophils, IL-23, IL-17, IL-22, TNF- α , IFN- γ
COPD ¹¹	CD8 ⁺ T-cells, neutrophils, macrophages, IgG autoantibodies, eosinophils
ALI/ARDS ¹²	neutrophils, T-cells
asthma ¹³	T _H 2 cells, B-cells, mast cells, macrophages, IgE, histamine, IL-4, IL-5, IL-13, TNF- α , IL-1, eosinophils, macrophages, allergen-specific CD4 ⁺ T-cells
IPF ¹⁴	increases in collagen, fibrosis, TGF- β
IBD ¹⁵	neutrophils, macrophages, CD4 ⁺ T-cells
MI ¹⁶	leukocyte migration

discovery is to discern which profile will lead to efficacy along with safety appropriate for the particular disease indication.

Table 2. Published IC₅₀ (μ M) Values for Tool Compounds

compd	PI3K isoform, IC ₅₀ (μ M)			
	δ	γ	β	α
1 ^a	0.009	0.005	0.014	0.001
2 ^b	1.05	6.60	0.31	0.73
3 ^c	0.13	61	16	>200
4 ^c	0.18	17	11	>200
5 ^d	>20	0.03	>20	0.940
6 ^a	0.3	0.008	0.27	0.06
7 ^e	0.235	0.83	1.2	1.3
8 ^a	>20	0.25	>20	4.5
9 ^e	1.7	0.07	1.45	0.24
10 ^c	0.058	0.018	0.35	0.011
11 ^c	0.048	0.15	0.088	0.008

^aATP concentration equals K_m .²¹ ^bATP concentration equals K_m .³³ ^cTested at 10 μ M ATP.³⁴ ^dDetermined in triplicate.²⁰ ^eCommercial analysis.³⁵

Highly PI3K-isoform selective compounds are likely to provide the safest bet for becoming drug candidates in conditions that require chronic dosing such as many autoimmune disorders. Although selectivity measurements are an important parameter to consider when developing a selective PI3K small molecule antagonist for chronic inflammatory conditions, physical parameters such as solubility and lipophilicity are variables that have an impact on overall ADME properties and also have to be considered when choosing a compound for further profiling. For example, although the PI3K γ versus PI3K α selectivity of 8 (AS-604850)²¹ was superior to 6 (18 vs 7.5 respectively), compound 6 had a much improved PK profile and was hence chosen for further examination.²¹

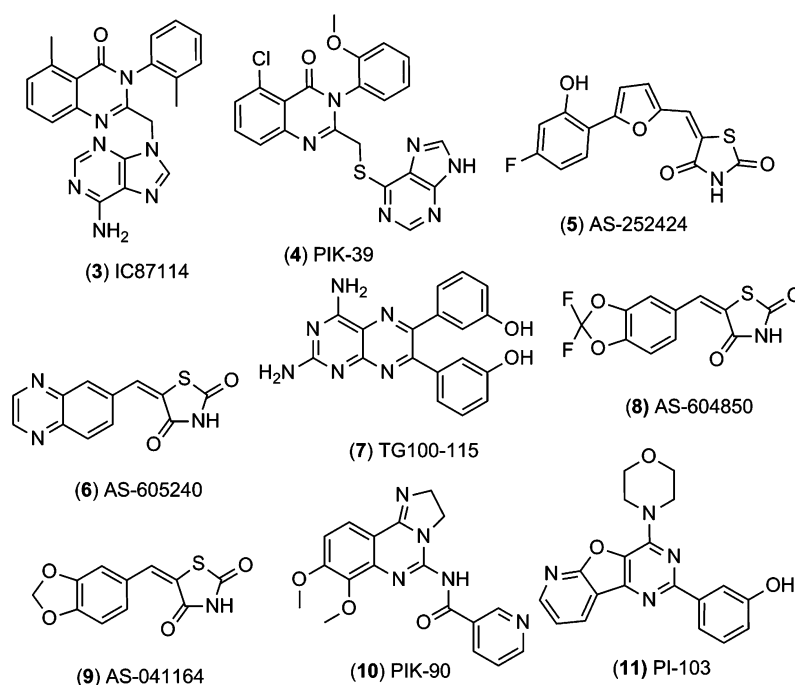


Figure 3. Early inhibitors of PI3K δ and PI3K γ .

The nonredundant roles of PI3K δ and PI3K γ in leukocyte function make them each attractive targets for intervention of immune-mediated diseases. Much has been learned from studies with mice where either or both isoforms were genetically disabled,^{23–26} and knowledge of the KDKI or KO phenotypes informs interpretation of results in *in vivo* experiments using PI3K small molecule inhibitors.²⁷

The PI3K δ KDKI²⁸ mice show a leukocyte phenotype, with the most pronounced effects seen in B cell function. Thus, in the absence of δ -kinase activity, B-cell proliferation in response to B cell receptor stimulation is inhibited and their function as antigen presenting cells (APC) is impaired. Nearly 50% of the peripheral B-cells and follicular splenic B-cells are eliminated, and there are no MZ or B1 B-cells.²³ Effects observed in the PI3K δ KO mice are similar though less severe, exhibiting impaired lymphocyte activation with developmental defects in B-cells and other cells.

■ B-CELLS AND PI3K δ AS TARGETS FOR DISEASE THERAPY

Rituximab (Rituxan) treatment results in the depletion of B-cells, proving efficacious as therapy for non-Hodgkin's lymphoma. More recently, rituximab was also approved for treatment of RA,²⁹ for the first time implicating B-cells as drivers in this disease. The mechanism underlying the success of rituximab's ability to ameliorate autoimmune disease such as RA³⁰ is complex and not completely understood,³¹ but B-cells are involved in cytokine production and the production of autoantibodies.³² Their function as professional APCs in chronic inflammatory conditions may also be underappreciated. While PI3K δ signaling is required for many functions of multiple leukocytes, its strong impact on B cell signaling alone suggests that inhibition of this PI3K isoform may impact the treatment of RA and other autoimmune disorders with B cell components such as lupus. Interestingly, PI3K γ does not appear to have a role in B-cell activation or function.

■ T-CELLS: PI3K δ AND PI3K γ , TARGETS FOR DISEASE THERAPY

T-Cell function is profoundly affected in PI3K γ and PI3K δ KDKI and KO mice. For example, the differentiation of T_H1 cells, T_H2 cells, and T-cell proliferation to antigen is compromised in PI3K δ KDKI mice, as is IL-10 mediated regulatory T-cell function, although no apparent effect on T-cell development has been noted.²³

PI3K δ plays a nonredundant role in T-cell function, making PI3K δ inhibition an even more attractive target for RA, as well as other autoimmune diseases where T-cells are thought to play a key role in disease pathology.³⁶

Work involving PI3K γ KO mice demonstrated that PI3K γ controls both the survival of thymocytes (progenitors of mature T cells) and the activation of mature T cells.³⁷ There is also a direct role for PI3K γ in eliciting a TCR stimulated response sustaining T-cell and APC interaction.³⁸ Additionally, the migration of T-cells occurs after stimulation of PI3K γ or other class 1A PI3Ks by certain chemokines.^{39,40}

■ NEUTROPHILS AND OTHER LEUKOCYTES: PI3K δ AND PI3K γ

The role of neutrophils in inflammatory diseases such as RA, COPD, and SLE is well established.²⁸ Neutrophil migration to inflamed tissue and subsequent release of proteases and reactive oxygen species (ROS) cause tissue damage and further activation and recruitment of leukocytes. Although all four PI3K isoforms are expressed in neutrophils, experiments were performed using neutrophils from KO mice and antibodies specific for p110 δ indicating that PI3K δ and PI3K γ are the main players in chemoattractant stimulated neutrophil or macrophage migration. Compound 3 was used to demonstrate that PI3K δ plays an active role in the directional chemotaxis of neutrophil migration by limiting the (direct fMLP induced) formation of PIP3.⁴¹ *In vivo* studies with 3 and PI3K δ KO mice demonstrated a similar decrease of extravasation of neutrophils

Table 3. PI3K δ , PI3K γ : In Vivo Models of Disease

model	species ^a	modality ^b	PI3K	notes, disease biomarkers	outcome	disease	ref
ovalbumin	m	KDKI	δ	IL-4, IL-5, IL-13	decreased	asthma	59
ovalbumin	m	3	δ	IgE levels, OVA-bound IgE	increased	asthma	59
ovalbumin	m	3	δ	IgE levels, AHR, IL-4, IL-5, IL-13	decreased	asthma	53
ovalbumin	m	3	δ	IL-17 expression	decreased	asthma	60
cigarette-smoke-induced glucocorticoid	m	KDKI	δ	(GR- α)/(HDAC-2) ^c	function restored	COPD	61
				lung neutrophils	$\geq 50\%$ decreased	asthma	
ovalbumin ^d	m	KO	γ		no effects		
		7	γ/δ	AHR	50% decrease	asthma	49
				lung eosinophils	79% decrease		
cigarette-smoke-induced pulmonary ^d	m	7	γ/δ	pulmonary neutrophilia	$\geq 81\%$ decrease	COPD	22, 49
LPS-induced pulmonary ^d	m	7	γ/δ	pulmonary neutrophilia	42–95% decrease	COPD	22, 49
OVA-specific pulmonary	m	KO	γ	cell infiltration (neutrophils, eosinophils, lymphocytes, macrophages)	decreased	asthma	46, 47
passive cutaneous anaphylaxis	m	3	δ	PCA response (anti-DNP IgE stimulation) and vascular permeability	decreased	allergy	44
		5	γ	PCA response (anti-DNP IgE stimulation) and vascular permeability	no effect	allergy	44
bleomycin pulmonary fibrosis	r	6	γ	BALF leukocyte content	decreased	IPF	62
				collagen content	decreased		
DSS colitis	m	KDKI	γ	clinical score vs control	decreased	IBD	63
				IFN- γ , TNF- α	increased		
DSS colitis	m	KO	γ	clinical score vs control	decreased	IBD	64
				IL-1 β , TNF- α	decreased		
DSS colitis	m	6	γ	clinical score vs control	decreased	IBD	64
				IFN- γ , IL-1 β , TNF- α	decreased		
K/BxN serum transfer	m	3	δ	paw diameter, histopath clinical score	strong response	RA	74
		3/KO	δ/γ	paw diameter, histopath clinical score	synergistic response		
		KO/KO	γ/δ	paw diameter, histopath clinical score	synergistic response		
CIA	m	6	γ	clinical score vs control	decreased	RA	21
CIA	r	6	γ	clinical score vs control	decreased	RA	21
α CH1A	m	6	γ	clinical score vs control	similar to WT	RA	21
AIA	m	6	γ	clinical score vs control	40% lower	RA	65
CIA	r	3	δ	clinical score vs control	decreased	RA	66
CIA	m	INK055 ^e	γ/δ	clinical score vs control	decreased	RA	67
RANTES activation	m	2, 9	γ	neutrophil migration into peritoneal cavity	decreased	RA	33
carrageenan paw edema	m	9	γ	paw swelling	decreased	RA	33
cytokine-dependent hTNF γ arthritis	m	KO $\times$ hTNF γ	γ	synovial mesenchymal fibroblasts	decreased prolifer	RA	68
				MMP3	decreased prolifer		
				cartilage damage	decreased		
				subchondral, bone erosion	decreased		
Miles assay vascular permeability	r	7	γ/δ	VEGF-induced vascular permeability	inhibited		69
				hindpaw volume	reduced $\geq 62\%$		
MI	r	7	γ/δ	60 min coronary artery occlusion ^f	$\geq 40\%$ ^g	MI	69
MI	p	7	γ/δ	90 min coronary artery occlusion ^f	35% ^g	MI	69
MI	m	6	γ	coronary artery ligation and infarct measurement at 14 days	increased infarct size	MI	70
LPS induced ALI/ARDS	m	6, KO	γ	aerosolized LPS; PMN transmigration, vascular permeability	similar effects	ALI/ARDS	12
EAE	m	6, KO	γ	MOG induced; CCL2, CCL5 levels in CNS	decreased	MS	71
				leukocyte rolling or adhesion	no effect		
MRL-lpr lupus	m	6	γ	glomerulonephritis	decreased	SLE	72

^aSpecies m: mouse, r: rat, p: pig. ^bInhibition modality. ^cGR- α : Glucocorticoid receptor- α , HDAC-2: Histone deacetylase 2. ^dAerosolized delivery.

^eINK055 (structure not disclosed). ^fReperfusion and infarct measurement at 24 h. ^gPercent reduction in infarct size.

toward sites of inflammation and the prevention of neutrophil adhesion to endothelium cells.⁴² Nonetheless, PI3K γ and

PI3K δ do act in concert in the migration, adhesion, and ultimate antimicrobial function of neutrophils. Neutrophils, T-

cells, and B-cells are among many cell types that have been implicated in autoimmune and inflammatory diseases. Other cells that have been thought to play a role, specifically with asthma and atopic disorders, are mast cells and basophils, both of which are activated through their Fc ϵ receptor (Fc ϵ R) by IgE bound to allergen. Fc ϵ R signaling is dependent on PI3K δ , and its inhibition prevents mast cell and basophil degranulation.^{43,44}

Comparable to PI3K δ , the inhibition of PI3K γ both pharmacologically and genetically had similar inhibitory effects on mast cell degranulation *in vitro*. For example, comparable inhibitory effect was observed for degranulation of bone-marrow derived mast cells (BMMC) stimulated with Ag/IgE in the presence of inhibitors 3 (PI3K δ selective) and 5 (PI3K γ selective, Figure 3 and Table 2). Degranulation of stimulated mast cells derived from KO or KDKI mice was similarly diminished.^{20,45} PI3K γ and PI3K δ have effects on other leukocytes such as eosinophils. Eosinophil infiltration profoundly reduced in PI3K γ KO animals compared to WT mice in a model of ovalbumin (OVA) specific pulmonary inflammation,^{46,47} while PI3K δ inhibition reduces eosinophil rolling and adhesion to endothelium.⁴⁸ The dual inhibitor 7 was found to be efficacious in an AHR response OVA-murine asthma model, reducing the level of lung eosinophils by 79%, similar to the effects with dexamethasone.⁴⁹ Other leukocytes have been implicated in inflammatory disorders (Table 1). In-depth discussions of how PI3K δ and PI3K γ inhibition may ameliorate activity of these cells have recently been reviewed.^{50–52}

■ TARGETED DISEASES AND EFFECTS OF PI3K δ AND PI3K γ INHIBITORS

The role of PI3K δ and PI3K γ signaling in leukocytes is complex. While it is clear that both isoforms play important roles in all leukocytes, the function of each isoform is often associated with signaling through specific cell surface receptors, which gives PI3K δ and PI3K γ distinct and often complementary functions within each cell type.

Several animal models, primarily for rodents, have been used in an attempt to establish a disease association with PI3K δ and PI3K γ signaling whereby kinase activity of one of the two isoforms was either genetically (KO, KDKI) or pharmacologically (selective compounds) impaired. Several rodent models of RA have been used to show disease amelioration in the absence of either isoform.^{21,33} Similarly, simulating aspects of asthma, acute lung inflammation models using OVA or fMLP as the stimulus were used to show disease modulating effects through inhibition of either PI3K isoform.^{43,53–55} And last, transgenic mice with enhanced class IA PI3K activity have a lymphoproliferative disorder with systemic lupus-like symptoms⁵⁶ while PI3K γ selective inhibitor 6 showed efficacy in a spontaneous mouse model of lupus. Many other models of autoimmune and inflammatory diseases have been tried, and disease modifying effects have been shown by inhibiting either PI3K isoform. Some of these are summarized in Table 3. Even though there are many preclinical data showing the impact of PI3K δ or PI3K γ in rodent models of human disease, we have to bear in mind that rodents are in every aspect quite distinct from humans. In addition, few of these rodent models are spontaneous and chronic in nature but are instead induced by administration of specific antigens leading to acute inflammation. While these models may reflect certain aspects of human disease, they do not accurately reflect the complexity

and heterogeneity associated with human disease pathology. So despite the intriguing biology associated with both PI3K isoforms that holds promise for inflammatory disorders and despite the multitude of preclinical models that seem to substantiate that promise, we will have to await the outcome of clinical trials to determine whether selective inhibitors of PI3K δ or PI3K γ are indeed safe and efficacious.

■ SAFETY CONCERNS FOR PI3K γ AND PI3K δ AS A TARGET FOR INFLAMMATION AND AUTOIMMUNE DISEASE

Death of the PI3K γ KO mice was observed when high doses of vaccinia virus (VV) were employed in a model examining the migratory ability of CD8 T-cells. In contrast, WT mice had little trouble clearing the infectious agents at the same viral loads. Infection is a concern for PI3K γ inhibitors in any indication.⁵⁷ There are also potential concerns with targeting PI3K δ , as the PI3K δ deficient mice develop a mild, subclinical IBD/colitis phenotype possibly due to suppression of IL-10 in these mice.²³ Whether this phenotype would be seen with a selective compound and whether it will translate into humans are currently not known. There is evidence in PI3K δ KDKI mice that points to suppression of some T_{reg} cell functions; this could impact autoimmunity.⁵⁸ There are several publications suggesting that PI3K δ inhibition will lead to an increase in IgE, which may be counterindicative to using these inhibitors for the treatment of allergic diseases.⁵⁹ However, there does seem to be conflicting evidence for this outcome⁵³ (Table 3).

■ DUAL INHIBITION OF PI3K δ AND PI3K γ

Although PI3K γ and PI3K δ inhibitors have shown promise in preclinical disease models and suggest that a dual inhibitor may have synergistic effects, it remains unclear whether a dual inhibitor would be safe. Mice in which both isoforms are inactive have profound reductions in thymus size, and total thymus cell count. Their peripheral T cell compartments are almost completely absent,⁷³ while mice with individual KOs of p110 γ and p110 δ have relatively normal thymic and thymocytes. In addition, crossing the p110 γ KO with a p110 δ KDKI²⁶ leads to not only severe lymphopenia but also homeostatic peripheral expansion of T_H2 cells, with subsequent type 2 inflammation in various organs. This is characterized by increases in IgE secretion in serum and eosinophilic infiltration into the mucosal organs leading to pronounced inflammation. However, although the PI3K γ / δ deficient mice developed homeostatic peripheral expansion of T_H2 cells, dual pharmacological inhibition did not increase the T_H2 response but decreased the T_H1 cytokine release. It is possible therefore that the observations in genetically dual-deficient mice could result from developmental defects that would not be recapitulated in mature animals under pharmacological intervention. To substantiate that notion, in a murine inflammatory arthritis model using PI3K γ KO mice dosed with 3 a pronounced synergistic effect on disease amelioration was shown.⁷⁴

■ INHIBITORS OF PI3K γ AND PI3K δ

A great deal of effort in the past several years has been directed at discovering selective inhibitors of PI3K δ and PI3K γ . Many institutions have entered the fray, and the field has expanded rapidly. Additionally, dual inhibitors have also become an attractive target for some.⁷⁵

Table 4. Potency and Isoform Selectivity: Examples of PI3K δ Inhibitors from the Literature^a

compd	organization	biochemical PI3K δ , IC ₅₀ (μ M)	biochemical selectivity			cellular PI3K δ , IC ₅₀ (μ M)	refs and notes
			γ/δ	α/δ	β/δ		
3	Gilead ¹⁵²	0.5	58	>200	150		200 μ M ATP
12	Roche	0.003	25	1	11		
13	Roche	<0.1	>20				
14	Roche	0.0049 (K_i)		101		0.017	cell: HWB CD69; WO2006046035
15	Roche	0.00046 (K_i)		256		0.003	cell: HWB CD69; WO2012007493
16	Roche	0.000576 (K_i)		175		0.0052	cell: HWB CD69; WO2012007493
17	Roche	0.00343		>350		0.041	cell: HWB CD69; WO2011101429
18	Roche	0.00274		64		0.0178	cell: HWB CD69; WO2010138589
19	Novartis	0.005	10–40	10–40	10–40	0.015	WO2008000421
20	Lilly	0.040	187	1447	126		S-isomer preferred
21	Lilly	0.006	28	528	123		S-isomer preferred
22	Lilly		≥ 10	≥ 10	≥ 10	0.665	cell: neutrophil (PMN) elastase release
23	Lilly		≥ 10	≥ 10	≥ 10	0.665	as above (typical example)
24	Amgen					0.002	cell: B-cell proliferation; WO08118454
25	Amgen					0.0005	cell: B-cell proliferation; WO09118468
26	Amgen	0.00285 (K_i)					WO2010151791
27	Amgen	0.00105 (K_i)					WO2010151737
28	Amgen	0.00226 (K_i)					WO2010151737
29	Amgen	0.005 (K_i)					WO2010151735
30	Amgen	0.1059					US 7705018, WO2008118455
31	Amgen	0.0002 (K_i)					WO2011123751
37	Takeda	<0.1	≥ 1				(typical example) WO09046448
38	Takeda	<0.1	≥ 1	≥ 10	≥ 100		potent in a rat CIA model 60 mg/kg b.i.d.
39	Takeda	<0.1	>100	>100	>100	<0.1	Cell: B-cell proliferation; WO2010129816
40	Takeda	<0.050		≥ 20	≥ 20		WO2010006086, potent against m-TOR
41	Takeda	0.040	2.8	1.3	16.6		ref 111
42	Takeda	0.001	185	788	23		ref 111WO2010036380
43	Takeda	0.0005	8	274	18		ref 111, WO2010036380
44	Takeda	0.0003	24.7	3643	1157	0.500	cell: (EC ₅₀) proliferation assay ¹⁰⁹
45	UCSF	0.010	16	1000	49		ref 111
46	UCSF	0.0007	47	1857	314		ref 111
47	UCSF	0.007	186	12000	107		ref 111
52	AUL ^b	>0.1		1.0–10	≥ 10		WO2009120094

^aFor structures, see Figures 3–6. ^bAuckland Uniservices Ltd.

It is difficult to discern what constitutes a selective inhibitor. In general, selectivities from 10- to 50-fold seem a reasonable starting point, but some examples may fall below this threshold. The categorization is complicated by the fact that precise IC₅₀ values are lacking from the many published patent applications. Refer to Tables 4–7 for the summary of data (references) for structures found in Figures 4–9.

PI3K δ SELECTIVE INHIBITORS

Roche/Genentech/Piramed has been active in developing PI3K inhibitors, although most patent applications disclose compounds specifically targeting PI3K α . Compound 12 (GDC-0941)⁷⁶ (Figure 4 and Table 4), which entered phase II clinical trials for the treatment of metastatic breast cancer and non-small-cell lung cancer,⁷⁷ is a prominent example.⁷⁸ Several patent applications showcase a series of structurally related thienopyrimidines as PI3K δ selective inhibitors such as 13 (Figure 5).^{79,80} Some of these compounds are highly soluble (40–100 μ M) with low hepatocyte clearance, reasonable permeability, and low CYP-450 inhibition and induction activity. They are potent in a biochemical assay (PI3K δ , IC₅₀ < 0.1 μ M) with generally <90% plasma protein binding and selectivity of >20-fold over PI3K γ in some cases. Morpholino-

thienopyrimidine 14⁸¹ also has low micromolar activity in a PI3K δ human whole blood (HWB) assay. These compounds have efficacy in a CIA model, although no detail is provided.⁸² Additional compounds from Genentech/Roche, benzoimidazolpurine 15,⁸³ indolpurine 16,⁸³ and indolpyridopyrimidine 17⁸⁴ are very potent in enzyme and HWB assays. Morpholinofuropyrimidine 18⁸⁵ is one of the more potent analogues described in an application exemplified by 11 analogues having IC₅₀ values in a HWB assay of 0.0178–0.0659 μ M. Additionally, selectivities over PI3K α in an enzyme assay are reported, from 14- to >300-fold.

Other PI3K δ selective inhibitors have been disclosed by Novartis, Lilly, Amgen, Takeda (Intellikine) UCSF, UCB Pharma, Auckland Uniservices, Zenyaku Kogyo, Xcovery (Tyrogenex), Chemical Diversity Research Institute, Serono Astellas Pharma, Karus Therapeutics, GlaxoSmithKline, Incyte, Incozen/Rhizen, Infinity, Exelixis, Respirvert, and Gilead (formerly Calistoga, formerly ICOS). Novartis has claimed a group of compounds exemplified by thiazolylurea 19.⁸⁶ This compound is potent in a scintillation assay of PI3K δ activity (IC₅₀ = 0.005 μ M) with a selectivity profile over the other isoforms of 10- to 40-fold. Additionally, 19 has a potency of 0.015 μ M in a B-cell proliferation assay. Lilly described

Table 5. Potency and Isoform Selectivity: Examples of PI3K δ Inhibitors from the Literature^a

compd	organization	biochemical PI3K δ , IC ₅₀ (μ M)	biochemical selectivity			cellular PI3K δ , IC ₅₀ (μ M)	refs and notes
			γ/δ	α/δ	β/δ		
53	Zenyaku Kogyo	0.0046	10.6	3.5	9.5		WO2002088112
54	Xcovery	<0.03	>0.1	>0.1	>0.1		WO2010005558
55	CDRI ^b	2.1	33.8	6.0	38		WO2009011617
56	EMD Serono	0.027				0.016	cell: pAKT of B-cells; WO2007023186
57	EMD Serono	0.090	111	4.4	111		ref 111, WO2007023186
58	EMD Serono	0.034	588	101	124		ref 111
59	Astellas Pharma	0.0036		444		0.00062	cell: anti-IgM B-cell thymidine incorporation
61	Karus	0.26	>38	>38	13		WO2011135351
62	GlaxoSmithKline	pIC ₅₀ > 7.0	>10	>10	>10		WO2010125082
64	GlaxoSmithKline	pIC ₅₀ > 7.0	>10	>10	>10		WO2010125082
65	Incozen/Rhizen	<0.25	<i>d</i>	<i>d</i>	<i>d</i>		
66	Respivert	0.004	72	492		0.57	cell: H ₂ O ₂ induced pAKT; WO 2011048111
67	Incyte	<0.05	>10	>10	>10	<0.05	cell: B-cell proliferation; WO2011008487
68	Incyte	<0.2				<0.5	cell: B-cell proliferation; WO2011075643
69	Incyte	<0.1				<0.1	cell: B-cell proliferation; WO2011130342
70	Incyte	<0.05					US20110312979
71	Incyte	<0.05				<0.05	cell: B-cell proliferation; WO2011075630
XL499 ^c	Exelixis	0.0075	190	96	111	0.066	cell: inhibition of pAKT(T308) (Raji cells)
72	Exelixis	<0.05					WO2012037226
73	Exelixis	<0.05					WO2012037204
74	Gilead	0.009	21	248	49	0.0061	cell: (EC ₅₀) human B lymphocyte
75	Gilead	0.007 (<i>K_i</i>)	61	2963	119		WO2011011550

^aFor structures, see Figure 7. ^bChemical Diversity Research Institute. ^cStructure not disclosed. ^d<25% inhibition at 1 μ M

Table 6. Potency and Isoform Selectivity: Examples of PI3K γ Inhibitors from the Literature^a

compd	organization	biochemical PI3K γ , IC ₅₀ (μ M)	biochemical selectivity			refs and notes
			δ/γ	α/γ	β/γ	
76	Chemical Diversity Research Institute	0.0059		6339	5915	WO2009011617
77	Serono	0.013				US20080188531
78	Pfizer	0.054	6.3	0.4	20.4	10 μ M ATP ³⁴
		0.001				20 μ M ATP, WO2004052373
79	Pfizer	0.003				20 μ M ATP, WO2004108713
80	Pfizer	0.197				Streptococcal cell-wall-induced rat paw edema model ID ₅₀ = 16.3 mg/kg (30 mg/kg 52% inhibition)
81	Cellzome	<0.1	>10	>10	>100	WO2010092015, WO2008025821
82	Vertex	0.1 (<i>K_i</i>)				WO2008027584
83	Vertex	0.003 (<i>K_i</i>)				WO2010096389
84	Vertex	0.01 (<i>K_i</i>)		1–10		WO2009129211
85	Vertex	0.003 (<i>K_i</i>)		<33		WO2010135014
86	Novartis	<2.0				WO2007134828
87	Novartis	0.016	40	189		WO2005021519, US2008280871
88	Novartis	0.012				WO2009115517
89	Bayer	<0.1			1–5	WO2004029055
90	Chroma Therapeutics	<0.1				WO2007129048
91	Boehringer Ingelheim	<0.6				US20090093474
92	Applied Research Systems	0.006				cell: pAKT macrophages, ELISA; <0.010 μ M, WO2006024666
94	Shionogi	0.027				WO2010027002
95	Exelixis	0.018	24.2	38.3	114.4	cell IC ₅₀ = 0.773 μ M ¹⁷⁰
96	Exelixis	0.034	10.1	12.2	33.8	cell IC ₅₀ = 0.805 μ M ¹⁷⁰

^aFor structures, see Figure 8.

thienopyrimidinones **20**, **21**,⁸⁷ pyridopyrimidinone **22**, and pyrazolopyrimidinone **23**,⁸⁸ similar in structure and isoform selectivity to **3**. Amgen has compounds containing a central core quinoxaline **24**⁸⁹ or quinoline **25**⁹⁰ and a purine or pyrazolopyrimidine side chain. No selectivity data are given

though the compounds listed have cellular activity down to 0.0005 μ M. Compounds with biochemical potency against PI3K δ (*K_i*) in the single digit nanomolar range are exemplified by quinolines **26**,⁹¹ **27**,⁹² **28**,⁹³ and pyridopyrimidinone **29**.⁹⁴ Compounds **28** and **29** contain an unusual aminopyrimidine

Table 7. Potency and Isoform Selectivity: Examples of PI3K δ / γ Inhibitors from the Literature^a

compd	organization	biochemical PI3K δ , IC ₅₀ (μ M)	biochemical selectivity			cellular PI3K δ , IC ₅₀ (μ M)	refs and notes
			γ/δ	α/δ	β/δ		
7	TargeGen	0.235	3.5	5.5	5.1		
97	UCB Group	0.032	2.4	9.2	16.9	0.111	cell: neutrophil inhibition superoxide
98	UCB Group	0.139	0.77	5.3	9.5		
99	UCB Group	0.014	3.7				
100	Millenium	<0.1 ^b					WO2009154741
101	Millenium	<0.1 ^b					WO2009154741
102	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b				pIC ₅₀ $\geq$ 5 ^c	cell: human PBMC
103	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b				pIC ₅₀ $\geq$ 5 ^d	cell: pAKT T-cells; WO2009147190
104	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b				pIC ₅₀ $\geq$ 6 ^d	cell: pAKT T-cells; WO2009147189
105	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b					WO200912958
106	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b				pIC ₅₀ $\geq$ 6 ^d	cell: pAKT T cells; WO2009147188
107	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b					WO2011067365
108	GlaxoSmithKline	pIC ₅₀ $\geq$ 5 ^b					WO2011067366
109	UCSF	0.009	2.2	1000	77		ref 111
110	Takeda	<0.1	IC ₅₀ < 0.1	>10	>10	<0.1	WO2009088990
INK055 ^e	Takeda	0.005	1.8	>2000	>2000		refs 67, 109

^aFor structures, see Figures 3 and 9. ^bPI3K δ and/or PI3K γ . ^cPresumed PI3K δ . ^dPresumed PI3K γ . ^eStructure not disclosed.

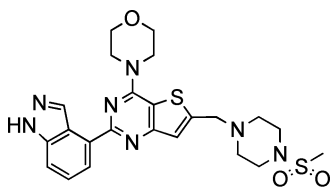


Figure 4. 12 (GDC-0941).

side chain. Amgen has also published patent applications on many other novel structures, some with a pyrimidine instead of a purine but all with diverse core moieties. These are exemplified by quinoline 30,⁹⁵ benzimidazole 31,⁹⁶ thienopyridine 32,⁹⁷ 1,5-naphthyridine-4-carboximide 33,⁹⁸ and quinolines 34,⁹⁹ 35,¹⁰⁰ and 36,¹⁰¹ although no biological data were provided. Amgen has advanced one PI3K δ inhibitor AMG-319 (structure not disclosed) into phase I clinical trials for lymphoid malignancies.¹⁰² Intellikine (now Takeda) specialized in PI3K and m-TOR inhibition and indicated that they have selective PI3K δ inhibitors.¹⁰³ The relevant structures were not disclosed, but they have described various substituted quinazolines such as 2-methylaminoquinazoline 37.¹⁰⁴ Selectivity for PI3K δ and PI3K γ relative to the other isoforms and also m-TOR is claimed, although no specific biological data are provided. Methylisoquinolinone 38¹⁰⁵ is the most studied analogue in an application that deals with a series of isoquinolinones, although 38 could be considered a dual PI3K δ /PI3K γ inhibitor. There is some interesting biological data, including a CIA model with a pronounced reduction in mouse ankle diameter and histopathological score at the 60 mg/kg dose. Other examples in the applications have a greater level of selectivity favoring PI3K δ . Another application describes isoquinolines such as 39¹⁰⁶ with potencies of <100 nM against PI3K δ and selectivities over the other isoforms of >100-fold in an enzyme assay. A series of compounds similar in structure to 38 but with a small group such as an isopropyl or cyclopentane replacing the isoquinolinone functionality have also been claimed by

Takeda (Intellikine). These are exemplified by pyrazolopyrimidine 40.¹⁰⁷ Although 40 is selective against PI3K α and PI3K β , it is also potent toward mTOR (<0.05 μ M). Compounds of this type were reported to be active in a lupus model, perhaps indicating that they are not all that selective over PI3K γ .¹⁰⁸ An example with a more extensive profile is the isoquinolinone 44 (INK007).¹⁰⁹ This compound was found to be potent and selective and inhibited the growth of PDGF induced FLS (fibroblast-like synoviocytes). Shokat and co-workers at UCSF have revealed a series of selective PI3K δ inhibitors, exemplified by quinazolinones 45,¹¹⁰ 46 (SW-13),¹¹⁰ and 47 (SW-30),¹¹⁰ that directly target the PI3K affinity pocket, enhancing potency considerably.¹¹¹ UCB Pharma has described a series of quinolines, as PI3K δ inhibitors exemplified by 48,¹¹² 49,¹¹³ 50,¹¹⁴ and 51,¹¹⁵ but no biological data were provided. Auckland Uniservices Ltd., working to develop PI3K α inhibitors containing triazine and pyrimidine, also discovered several compounds that have reasonable selectivity toward PI3K δ , as exemplified by the morpholinotriazine 52.¹¹⁶ Zenyaku Kogyo has been developing compound 53 (ZSTK474)¹¹⁷ for oncology that has potent antitumor activity in vivo.¹¹⁸ It has some selectivity toward the PI3K δ isoform.¹¹⁹ Compound 53 also demonstrated efficacy in a CIA model of RA, both in a semitherapeutic and therapeutic setting.¹²⁰ Xcovery has disclosed compounds with selectivity toward PI3K δ . One of their most potent and selective compounds is the morpholinopurine 54.¹²¹ The Chemical Diversity Research Institute revealed substituted pyrimidinones, including pan inhibitors as judged by the selectivity data. There are examples, however, that exhibit strong selectivity toward PI3K δ or PI3K γ exemplified by fuopyrimidinones 55 and 76¹²² (Figures 7 and 8, respectively). This is an interesting example of a small change in structure having a large impact on the selectivity characteristics, the difference being a 4-OMe-phenyl group versus an unsubstituted benzyl group. The changes in 76 increased potency toward PI3K γ and increased selectivity over the PI3K α and PI3K β isoforms. Serono has been active in discovering

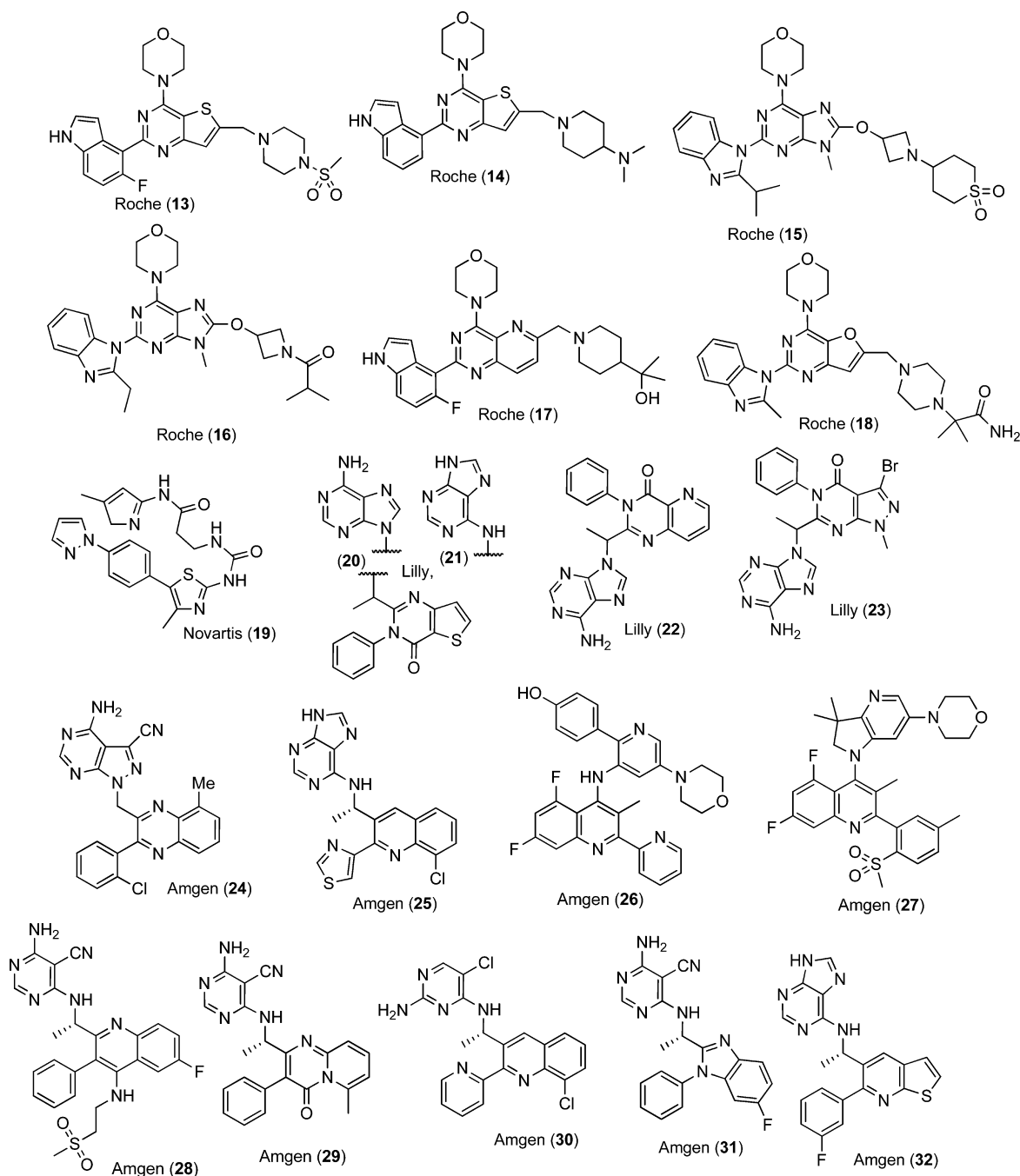


Figure 5. Examples of PI3K δ inhibitors from the recent literature (see Table 4).

compounds that inhibit PI3K δ and PI3K γ . Quinoxaline **56**¹²³ is a typical example, which is potent in a biochemical assay and also active in an anti-IgM stimulated peripheral blood mononuclear cell (PBMC) cellular assay. Several compounds including **41** (DL06),¹¹¹ **42** (INK654),¹²⁴ **43** (INK666),¹²⁴ **46**, **47**, **57** (ASS),¹²³ and **58** (AS15)¹¹¹ have been extensively profiled including multiple PI3K δ cocrystal structures from researchers at the University of Cambridge, UCSF, Takeda (Intellikine), and Merck-Serono.¹¹¹ Quinoxaline **57** has a high level of PI3K δ selectivity over the PI3K γ and PI3K β isoforms. In addition these workers also studied **42** a quinoline analogue that has PI3K δ selectivity relative to PI3K γ and PI3K α , less so to PI3K β . Tetrahydroquinazolinone **58** has an unusual five-bond linkage from the core moiety to a pendent quinoxaline

(see next section). Astellas Pharma has examples of potent PI3K δ inhibitors. One of their most potent is morpholinotriazine **59**¹²⁵ with an IC₅₀ in B-cells of <1 nM. Karus has disclosed compounds such as morpholinobenzoxazinone **60**¹²⁶ and indoylisoquinoline **61**¹²⁷ that may be PI3K δ and/or dual PI3K δ /PI3K β inhibitors. No biological data were provided for **60**, but **61** is 13-fold selective over PI3K β and >38-fold over PI3K γ and PI3K α . Karus has revealed that they are pursuing two inhibitors KAR4139 (structure not disclosed), a dual PI3K δ /PI3K β inhibitor, and KAR4141 (structure not disclosed), a selective PI3K δ inhibitor for cancer/inflammation and inflammation, respectively.¹²⁸ GlaxoSmithKline has disclosed compounds¹²⁹ such as indoylindazole **62**¹³⁰ and furo[3,2-*b*]pyridineindazole **63**¹³¹ that are potent toward

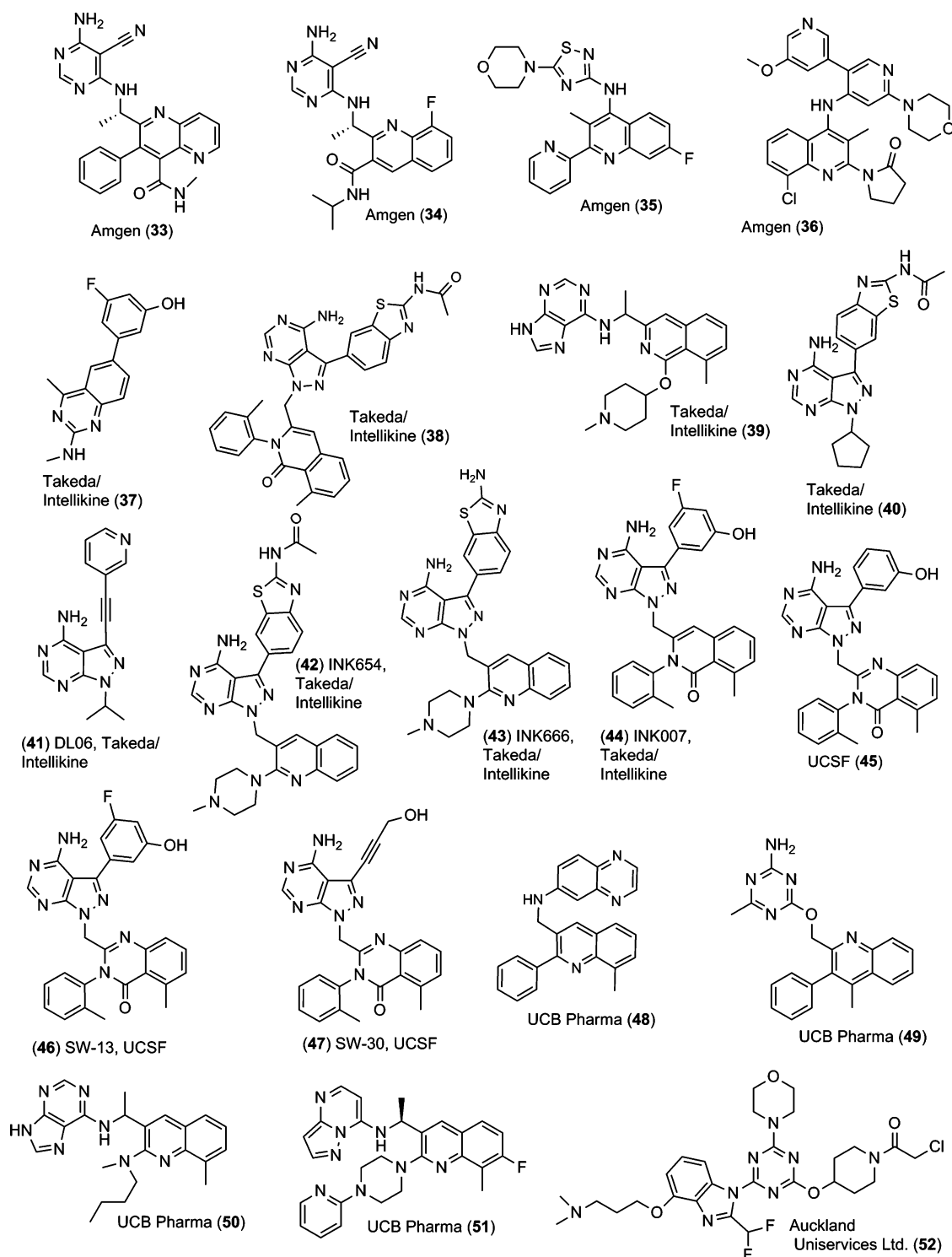


Figure 6. Examples of PI3K δ inhibitors from the recent literature (see Table 4).

PI3K δ . Compound **62** is at least 10-fold selective over the other isoforms. Additionally, they have recently disclosed various salt forms and polymorphs of oxazoloindazole **64**,^{130,132} another inhibitor with greater than 10-fold PI3K δ selectivity. Interestingly, GlaxoSmithKline has started a phase I clinical trial targeting asthma with GSK2269557 (structure not disclosed).¹³³ Incozen/Rhizen has described a patent that showcases a series of 2,3-substituted 4*H*-chromen-4-ones such as **65**¹³⁴ with potency toward the PI3K δ isoform. Respirvert disclosed quinoxaline compounds such as **66**,¹³⁵ which is

potent against PI3K δ and selective over PI3K γ and PI3K α . Incyte has also been active in discovering PI3K δ inhibitors. They describe at least five compounds, which have potency in a B-cell proliferation assay of less than 50 nM. These compounds, including the thiazolopyrimidinone **67**,¹³⁶ are potent on an enzyme assay with at least 10-fold selectivity over all the other isoforms. Compound **67** was potent (<50 nM) in a proliferation assay using a diffuse large B-cell lymphoma cell line. Incyte has additional patent applications with a six-five fused core, including both imidazo[1,2-*a*]pyridine **68**¹³⁷ and

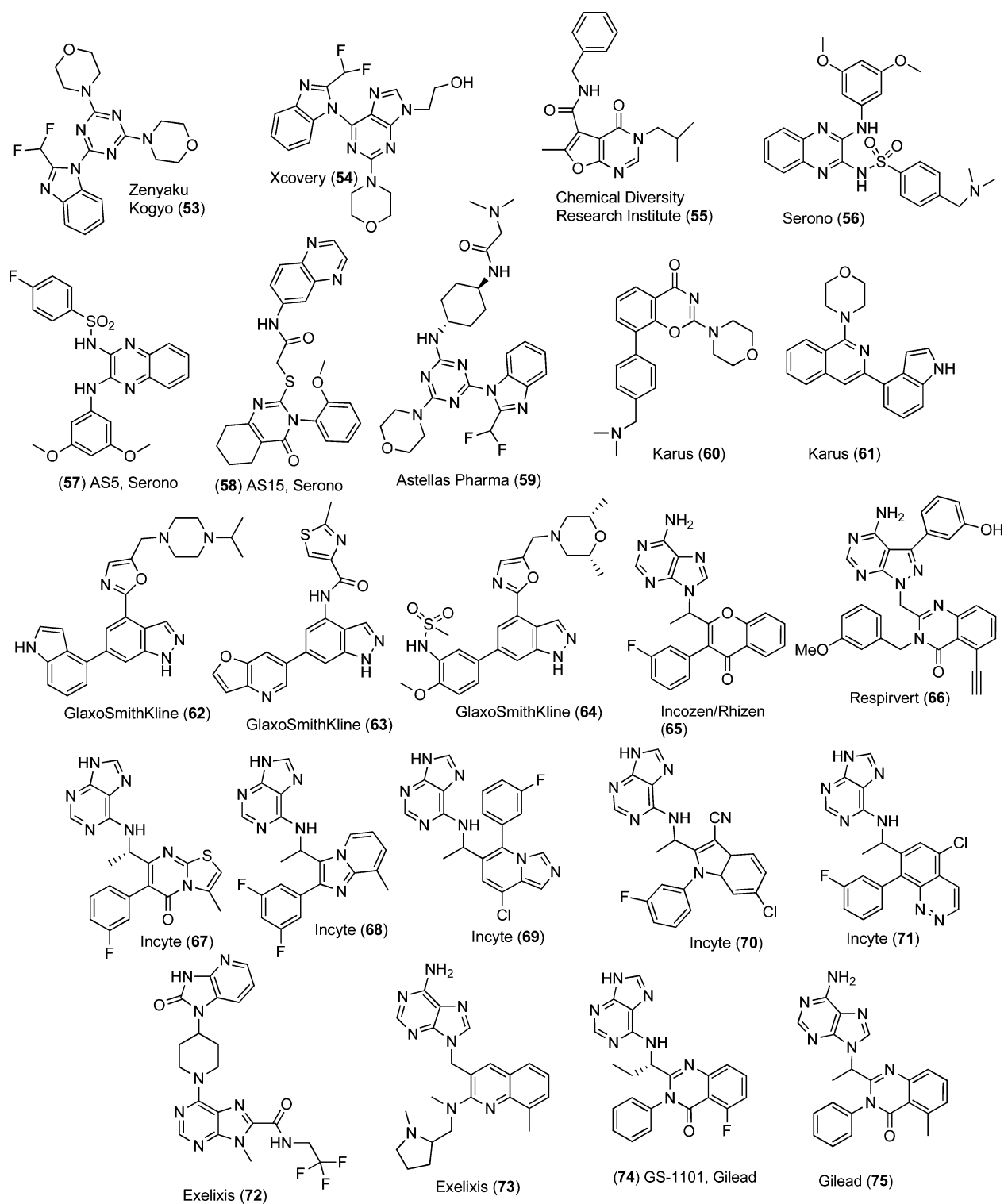


Figure 7. Examples of PI3K δ inhibitors from the recent literature (see Table 5).

imidazo[1,4-*a*]pyridine **69**.¹³⁸ These were found to be potent in a B-cell proliferation assay at <500 and <50 nM, respectively. Additional patent applications from Incyte have exemplified indolylpurine **70** and cinnolylpurine **71**.¹³⁹ The key feature in these applications remains the pendent ethylaminopurine. Pathway Therapeutics claims to have an inhibitor that is potent against PI3K δ and >100-fold selective.¹⁴⁰ No structures are disclosed, but their previous patent literature indicates compounds that have pan-inhibitor character reminiscent of

53 and **54**. Exelixis has partnered with Merck in the development of XL499 (structure not disclosed), a selective PI3K δ inhibitor targeting hematological malignancies and inflammation. Although the structure has not been disclosed, data surrounding this compound have been released.¹⁴¹ The compound demonstrated high selectivity and reasonable PK, *F* of 48–99.9% in animals (cynomolgus monkey, rat, mouse, dog). It was potent in cell assays and displayed effectiveness in a passive cutaneous anaphylaxis mouse model. The compound

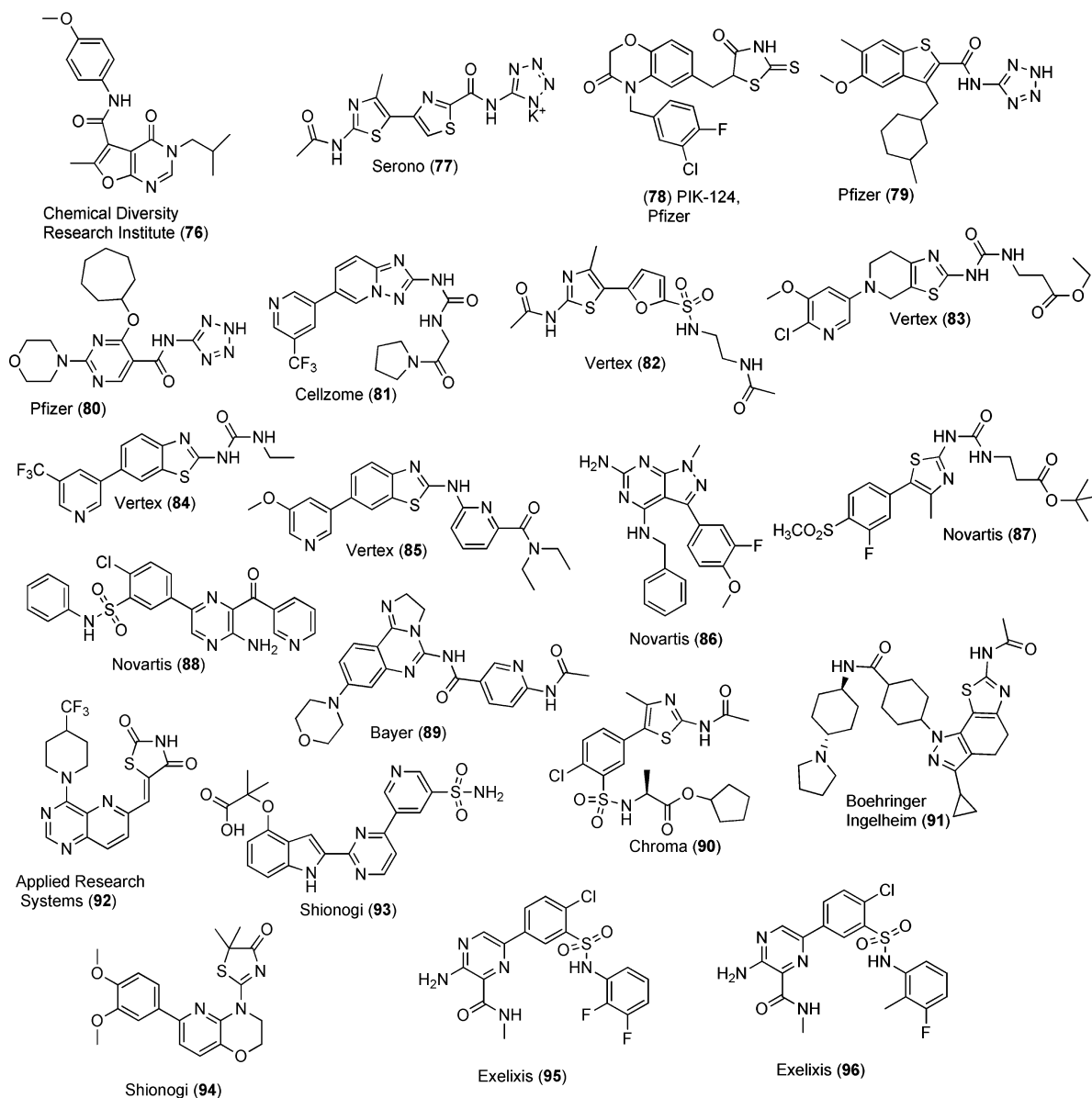


Figure 8. Examples of PI3K γ inhibitors from the recent patent and other literature (see Table 6).

appeared well tolerated in a 14-day rat toxicology study with no clinical signs or significant change in animal weight. Exelixis has described specific PI3K δ inhibitors in two patent applications. The first lists a series of 6,9-disubstituted purines that generally incorporate a 6-piperidinylimidazopyridine such as 72.¹⁴² Of the 397 compounds described, 146 inhibit PI3K δ at <50 nM. The other patent application describes 191 analogues of which 40 have potency toward the PI3K δ isoform of less than 50 nM. Quinoline 73¹⁴³ is such an example.

The most advanced group remains Gilead (formerly Calistoga) with two compounds in clinical trials. Quinazolinone 74 (GS-1101 formerly CAL-101)¹⁴⁴ is a PI3K δ selective compound that has been in several phase I/II trials for hematologic malignancies, including combination therapy with rituximab.^{145,146} Promising results have been disclosed. In a phase I study involving 57 patients with hematological malignancies (NHL, CLL, AML) significant clinical response rates were seen at doses of 50, 100, 200, and 350 mg b.i.d., although there was variability among the types of malignancies. For example, although there was no improvement in the AML

cohort, response rates of 56% was found in the NHL cohort. The dose limiting toxicity was a reversible increase of serum transaminases.¹⁴⁷ More clinical data relating to 74 are emerging, but some aspects of the compound itself require elaboration. The compound was found to be well tolerated at 400 mg q.d. and 200 mg b.i.d. for up to 7 days of dosing in healthy volunteers. In patients with lymphoid malignancies dose levels of 350 mg were tolerated for several months. An MTD was not reached, but exposures were not dose proportional at these levels. There were minimal gains in plasma exposure beyond a dose of 150 mg b.i.d. Steady state trough levels from b.i.d. dosing of ≥ 150 mg could be maintained at >10-fold over the HWB EC₅₀ of 62 nM.¹⁴⁸ The PI3K δ inhibitor 74 has entered phase II trials for CLL in combination therapy with rituximab. It was also examined in a phase I trial of allergic rhinitis involving doses of 100 mg b.i.d. for 7 days.¹⁴⁹ Another compound CAL-263 (structure not disclosed) presumed to be an analogue of 74 seems to be intended primarily for inflammatory diseases. This drug candidate was also entered in a similar allergic rhinitis phase I

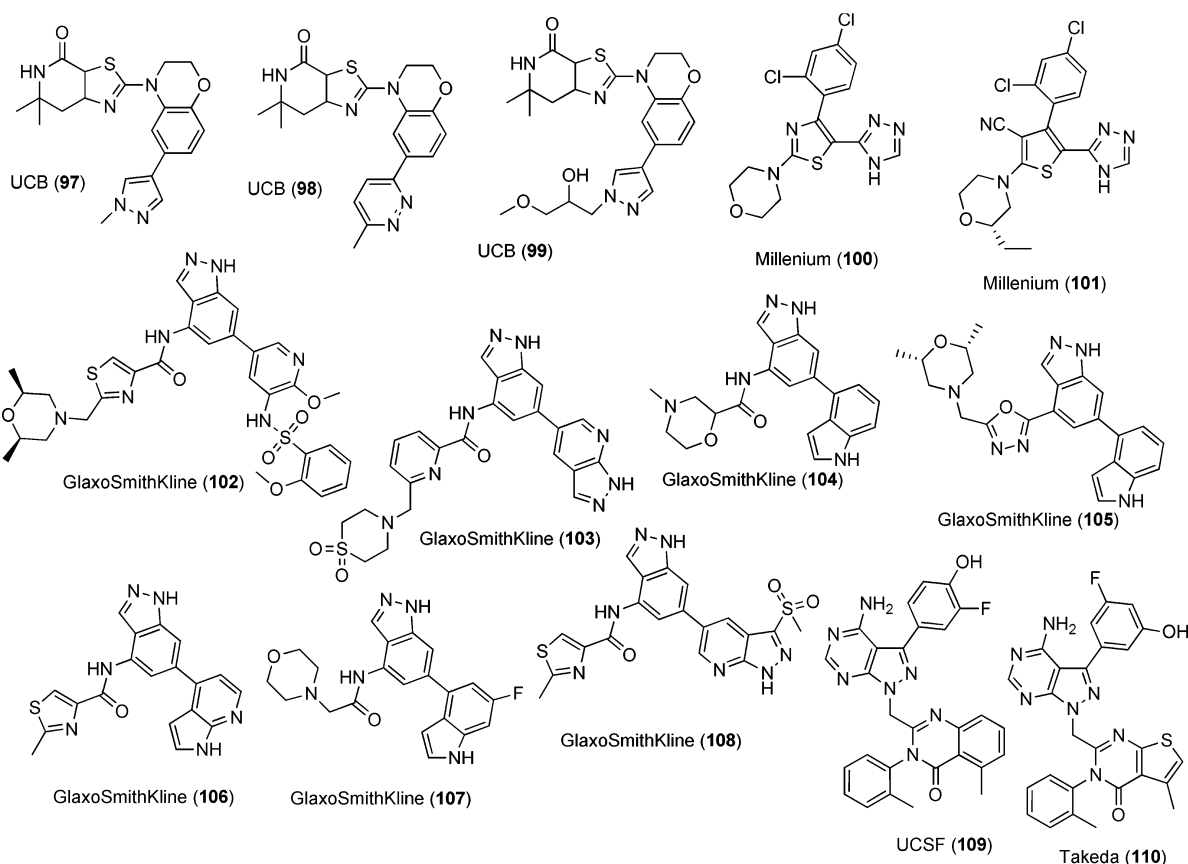


Figure 9. Examples of PI3K δ/γ inhibitors from the literature (see Table 7).

trial and at >10-fold lower dose (10 mg q.d.).¹⁵⁰ Gilead (Calistoga) has recently published a patent application exemplified by the potent and isoform selective quinazolinone **75**¹⁵¹ for use in certain liver disorders.

PI3K γ SELECTIVE INHIBITORS

Selective inhibitors of PI3K γ are also of great interest. In addition to the Serono compounds **5**, **6**, **8**, and **9** (AS-041164), Serono has also published on bis-thiazoles. Tetrazole **77**¹⁵³ is one of their potent examples. Pfizer/Warner Lambert has several published patent applications, with benzoxazinone **78**¹⁵⁴ and benzothiophene **79**¹⁵⁵ as representative examples. The selectivity over PI3K α may be an issue, as **78** indicates ($\alpha/\gamma = 0.4$, Table 6). However, pyrimidine **80**¹⁵⁶ demonstrated reasonable activity in a Streptococcal cell wall (SCW) paw edema model of arthritis and had activity in a CIA model at 30 mg/kg (52% reduction in paw edema). Cellzome has described compounds that have potency against PI3K γ (<0.1 μ M) and various selectivity over the other isoforms, with some examples of dual PI3K γ/δ inhibitors. Many of these compounds have selectivity over the PIKK DNA-PK. Their most potent and selective analogue reported is triazolopyridine **81**.¹⁵⁷ Vertex has examples of potentially PI3K γ selective inhibitors such as furylthiazole **82**,¹⁵⁸ tetrahydrothiazolopyridine **83**,¹⁵⁹ benzothiazolyl-urea **84**,¹⁶⁰ and benzothiazolylamine **85**.¹⁶¹ Novartis has patent submissions on PI3K γ since 2003. The diaminopyrazolopyrimidine **86**¹⁶² had some potency toward the PI3K γ isoform, but thiazole **87**¹⁶³ had an IC₅₀ of 16 nM and was 39-fold selective over PI3K δ and 189-fold selective over PI3K α , although no selectivity data were provided against PI3K β . In 2009 they disclosed a series of substituted pyridines or

pyrazines such as aminopyrazine **88**¹⁶⁴ that appear quite potent against PI3K γ . Bayer, Chroma Therapeutics, and Boehringer Ingelheim have programs aimed at discovering PI3K γ inhibitors. Although representative compounds dihydroimidazoquinazoline **89**,⁵⁴ thiazole **90**,¹⁶⁵ and dihydrothiazolindazole **91**¹⁶⁶ may be quite potent, no selectivity data have been published. Applied Research Systems has described a series of pyridinemethyleneazolidinones. A representative example is **92**¹⁶⁷ with single digit nanomolar potency in a biochemical assay against PI3K γ and IC₅₀ < 10 nM in a p-AKT ELISA cell assay. Shionogi has been active in developing PI3K γ inhibitors. The pyrimidine **93**¹⁶⁸ is potent in a whole cell assay with $\geq 95\%$ inhibition of AKT phosphorylation at 10 μ M. The compound showed reasonable physical chemical properties as well; however, no selectivity data were provided. They have also claimed a series of pyridooxazines such as **94**¹⁶⁹ with potency in a biochemical assay in the double digit nanomolar range. Exelixis has published a series of analogues exemplified by *N*-methylpyrazine-2-carboxamides **95** and **96**.¹⁷⁰ These compounds, while exhibiting considerable selectivity over the other isoforms, had excellent physicochemical properties. The high oral bioavailability exhibited by **95** (76.7%) and **96** (66.2%) in rats was attributed to the high solubility and permeability of the analogues. Both compounds also demonstrated effectiveness in a mast cell degranulation in vivo mouse model.

DUAL PI3K γ AND PI3K δ INHIBITORS

Though it is occasionally difficult to discern from the patent literature whether a program is targeting selective PI3K δ , PI3K γ , or dual inhibition, there are several examples where a dual inhibitor is being specifically pursued. TargeGen³⁵

produced **7**, a dual inhibitor of PI3K γ and PI3K δ in phase I/II clinical trials against ischemic reperfusion injury following myocardial infarction. As mentioned previously, compound **7** was also studied for use in asthma and COPD, where it was effective in a cigarette-smoke-induced pulmonary mouse model. In the course of 3 days over several dosing regimens, it was found that neutrophil accumulation in BALF was decreased significantly relative to smoke treatment alone. Another in vivo experiment found that induction by LPS for enhancement of pulmonary neutrophilia could be ameliorated by aerosolized **7**. In this model decreases in BALF neutrophils of 42% were found compared to dexamethasone (70% reduction).⁴⁹

The UCB group has reported a few compounds with noted selectivity for PI3K δ over the other isoforms, although generally these compounds had similar potency between PI3K γ and PI3K δ .¹⁷¹ For example, tetrahydrothiazolopyridinone **97**¹⁷² has a PI3K δ IC₅₀ of 32 nM but is only 2.4-fold less potent toward PI3K γ . The compound has reasonable PK, as demonstrated in Han–Wistar rats (Cl = 7 mL min⁻¹ kg⁻¹, F = 97%). The structurally related **98** displayed similar selectivity and PK in Han–Wistar rats (Cl = 13 mL min⁻¹ kg⁻¹, F = 66%). However, both these compounds have poor solubility and were less than 10-fold selective over PI3K α . Incorporation of a solubilizing group providing **99** increased the solubility and decreased the plasma protein binding. Inhibitor **99** has an ED₅₀ of 5 mg/kg in a rat in vivo model of CD3 induced IL-2 release.¹⁷³ Wilex has partnered with UCB to develop WX-037 (structure not disclosed), a dual PI3K δ/α inhibitor for solid tumors. Millennium has disclosed thiazole **100** and thiophene **101** for targeting inflammation.¹⁷⁴ Few biological data were disclosed, other than a range of IC₅₀ values against the isoforms. GlaxoSmithKline has disclosed a series of carboxamide substituted indazoles claimed to be useful for COPD, asthma, and other inflammatory diseases. Several compounds such as pyridylindazole **102**¹²⁹ are potent in an enzyme assay against various PI3K isoforms and against PI3K δ in a human PBMC cell assay with a mean pIC₅₀ of 5 or greater. Similar compounds, for example, picolinamide **103**,¹⁷⁵ indazole **104**,¹⁷⁶ oxadiazole **105**,¹⁷⁷ thiazolecarboxamide **106**,¹⁷⁸ morpholinoacetamide **107**,¹⁷⁹ and pyrazolopyridine **108**,¹⁸⁰ may have activity against PI3K γ and PI3K δ in an enzyme assay or a T-cell assay (presumably PI3K γ) with a pIC₅₀ of 5–6 or greater. Shokat and co-workers discovered an interesting selectivity differential. A few minor structural changes with a phenol moiety in the affinity pocket caused a shift in the PI3K δ/γ selectivity, as a comparison of **45** and quinazolinone **109** indicates. They also published a detailed treatise on the relative merits of the PI3K δ inhibitor **47**, **109**, and pan-inhibitor **10** (PIK-90). By use of 2 different human cell lines, 7 stimulating agents, and up to 20 different expressed proteins known to be involved in inflammation, they found that the greatest suppression of these cytokines and other inflammatory second messengers came from the dual PI3K δ/γ inhibitor **109**. They also compared these results to several known anti-inflammatory agents and found that **109** most closely matches prednisolone in a functional way. On the basis of this in vitro treatment, the team concluded that the targeting of RA and other diseases of inflammation would be most effective with a dual PI3K δ/γ inhibitor such as **109**.¹⁸¹ Takeda/Intellikine is championing the development of a dual PI3K γ and PI3K δ inhibitor for inflammatory diseases and hematologic malignancies.⁷⁵ They disclose at least nine compounds, exemplified by thienopyrimidinone **110**,¹⁸² with PI3K δ and PI3K γ potency

of less than 100 nM in enzyme assays. The compounds were weakly potent (>10 μ M) toward PI3K α and PI3K β but active with EC₅₀ < 100 nM in a B-cell proliferation assay. The structures all contain a phenolic substituted pyrazolopyrimidine side chain as found in **45** and **46**.

Takeda/Intellikine has put forward two dual PI3K γ/δ inhibitors. INK055 (structure not disclosed) was dosed therapeutically at 30 mg/kg in a CIA model of RA with clinical scoring >2-fold lower than vehicle control at day 34 (dosing started on day 30). Interestingly, anti type II collagen antibody levels were unaffected, consistent with a PI3K γ driven response.^{67,109} Prior to their acquisition by Takeda, Intellikine licensed the dual PI3K γ/δ inhibitor IPI-145 (formerly INK1197, structure not disclosed) to Infinity Pharmaceuticals Inc., which is currently in phase I for hematological malignancies.¹⁸³

■ STRUCTURAL ASPECTS OF THE PI3K δ AND PI3K γ INHIBITORS

Development of class I PI3K isoform specific inhibitors is challenging because of the high sequence identity exhibited between catalytic p110 subunits and the even higher level of conservation of amino acids within the ATP-binding site (Table 8).¹⁸⁴ However, as the preceding sections demonstrate,

Table 8. Amino Acid Sequence Identity (%) between Human PI3K Isoforms

kinase domain	p110 δ	p110 γ	p110 α	p110 β
p110 δ		42	47	73
p110 γ			44	43
p110 α				48
active site	p110 δ	p110 γ	p110 α	p110 β
p110 δ		68	62	81

inhibitors with varying isoform selectivities exist, and indeed, some are quite selective. Central to our understanding of the structure of the p110 proteins and of their complexes with small molecule ATP-competitive inhibitors were the publications of the crystal structures of porcine and human class IB p110 γ proteins.^{185,186}

These structures elucidated the key features of the active site and provided detailed views of how classic pan-PI3K inhibitors such as **1** and **2** inhibited the PI3Ks. Briefly, inhibitors bound to the active site by making one or more hydrogen bonds to residues in the hinge region of the kinase domain, analogous to interactions made by ATP-competitive inhibitors of protein kinases. Hydrogen bonds in the hinge region are often the strongest contact that ATP competitive inhibitors make, estimated to account for 40–60% of the overall binding energy for inhibitors of certain protein kinases.¹⁸⁷ Most PI3K inhibitors also interact with the large, flat hydrophobic face of a conserved tyrosine residue (Tyr867 in p110 γ), and many extend back into the affinity pocket where additional hydrophobic interactions can be gained to boost potency and where, with the presence of an appropriate heteroatom, inhibitors can form hydrogen bonds with the catalytic lysine (Lys833 in p110 γ) or other hydrophilic residues.³⁴ In the case of **2** (Figure 10A), the morpholine ring hydrogen-bonds to hinge residue Val882 and the edge of the morpholine ring interacts with the face of Tyr867. The chromanone carbonyl group points toward the affinity pocket, and the phenyl group sitting orthogonal to the chromanone ring occupies the ribose

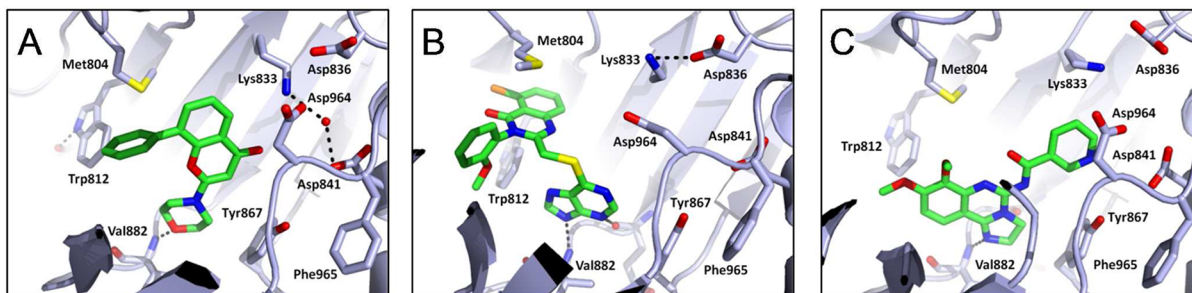


Figure 10. (A) Crystal structure of porcine p110 γ and **2** (PDB code 1E7V). (B) Crystal structure of human p110 γ and **4** (PDB code 2CHW), a propeller-shaped inhibitor. (C) Crystal structure of human p110 γ and **10** (PDB code 2CHX), a flat inhibitor.

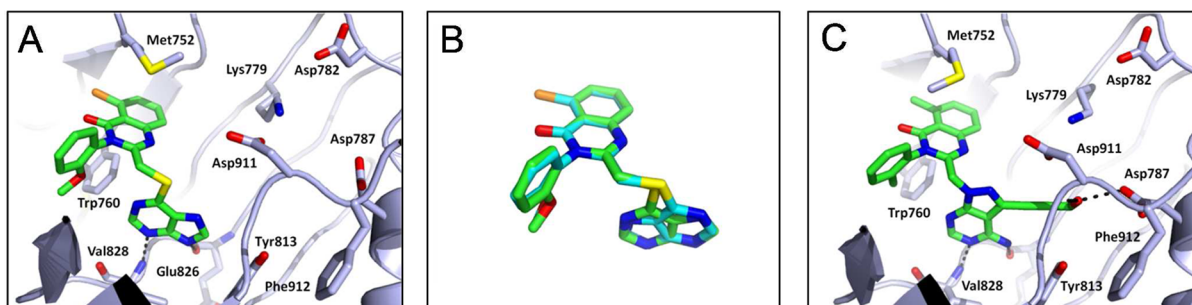


Figure 11. (A) Crystal structure of murine p110 δ and **4** (PDB code 2WXF). (B) Overlay of **4** from murine p110 δ (green) and human p110 γ (blue). The purine binding mode depicted in green is likely the energetically more favorable conformation for both isoforms. (C) Crystal structure of murine p110 δ and **47** (PDB code 2WXI) showing an alkynol group in the affinity pocket.

pocket. Subsequent work by numerous groups has resulted in the structure elucidation of many additional p110 γ crystal structures in complex with small molecule inhibitors. More recently, crystal structures of the class IA p110 α , p110 β , and p110 δ enzymes have been published.^{111,188–191} The availability of multiple inhibitor-bound p110 δ crystal structures in particular has allowed for the detailed analysis of binding interactions of pan- and selective class I PI3K inhibitors.

Crystal structure analysis combined with data from biochemical and cellular assays can be used to understand the molecular basis for the observed inhibitor selectivities. In notable work,³⁴ extensive biochemical profiling of various inhibitor chemotypes combined with crystal structures of three distinct molecules in PI3K γ allowed the authors to correlate and explain the observed selectivities for various structural classes of PI3K inhibitors. The diverse inhibitors clustered into several different classes but bound to p110 γ in one of two principal binding modes: (I) a propeller shaped binding mode exemplified by quinazolinones **3** and **4** (Figure 10B) and (II) a flatter, more classical kinase binding mode exemplified by **10** (Figure 10C). There is a distinct difference in the overall selectivity between these binding modes. Flat inhibitors such as **10** can occupy the binding pocket without any significant amino acid rearrangements. They extend into the affinity pocket (**10** uses a pyridine ring), and they are typically pan-PI3K inhibitors. On the other hand, PI3K δ selective quinazolinone **3** binds only when a key methionine residue (Met804 PI3K γ , conserved in all isoforms) adopts an alternative conformation that opens a hydrophobic pocket (specificity pocket) sitting orthogonal to the position of residues in the p110 γ hinge region (Figure 10B). This ligand-induced methionine reorientation, first observed in the crystal structure of p110 γ and **4**, also induces a shift of the peptide backbone that propagates through the adjacent loop (equiv-

alent to the P-loop in protein kinases, amino acids 803–811 in PI3K γ). It was postulated that this conformational change, although possible in all class I PI3Ks, was most facile in PI3K δ , thereby accounting for the higher binding affinity of propeller shaped inhibitors on p110 δ relative to the other isoforms. Following publication of the first p110 α crystal structure, an examination of **4** binding to this isoform concluded that differences in the conformation of the P-loop precluded binding of **4** because of steric reasons, even with a conformational change in Met772.¹⁹² However, a recent crystal structure of murine p110 α showing a ligand-induced Met772 reorientation calls that conclusion into question.¹⁸⁹ In addition to the crystallographic work, computational approaches have also been used to examine issues of PI3K inhibitor selectivity, and they have reached varying conclusions.^{193,194}

Crystal structures of both flat and propeller-shaped molecules in p110 δ have reinforced and extended the initial selectivity arguments. Crystal structures of the most selective analogues, **3** and **4** (Figure 11), provide an explanation of selectivity that is similar but perhaps more thorough than what was argued based on the PI3K γ structures. The N-terminal lobe of the kinase domain in p110 proteins contains a loop spanning the $\alpha 1$ and $\alpha 2$ helices. This loop is longer in PI3K γ than in PI3K δ , and it sits on top of the P-loop, limiting its flexibility and leading to less facile rearrangement of the active site in PI3K γ relative to PI3K δ . Molecular dynamics simulations based on the crystal structures demonstrated a lower degree of flexibility in p110 γ versus p110 δ , thus supporting this argument. Also contributing to lowered flexibility in p110 γ is a hydrogen bond network that restricts the movement of Trp812, an essential component of the hydrophobic pocket into which the propeller shaped molecules bind. The nearly identical conformation of **4** in p110 δ versus p110 γ (Figure 11B) further bolsters the argument that differences in the

ability of the active sites to accommodate the inhibitor account for potency differences rather than an altered binding conformation of the inhibitor in each isoform. The PI3K δ crystal structures also demonstrated that simultaneously occupying the selectivity and affinity pockets is possible, and indeed, propeller shaped analogues **42**, **43**, **44**, **46**, **47**, and **109** take advantage of this strategy to impart an additional level of potency toward PI3K δ . For example, in **47** the pendent alkynol hydrogen-bonds to Asp787 and to the backbone NH of Asp911 (Figure 11C), resulting in significantly improved potency relative to **3** and **4**. Even among the more selective propeller shaped molecules, however, a divergence of selectivity is obtainable by only minor modification. For example, **46** forms hydrogen bonds between the phenol –OH group and Tyr813 and between the meta-fluoro substituent and Lys779, whereas **109**, a constitutional isomer of **46**, forms only the hydrogen bond with Lys779. As a consequence, **46** is a more PI3K δ selective inhibitor than **109**. This example highlights the fact that although propeller shaped compounds can be very PI3K δ selective, care must be taken to monitor the selectivity of such compounds, as variations are made on the periphery of the molecule.

Among the many crystal structures of p110 γ now available in the public realm, only a few contain inhibitors reported to be PI3K γ selective. The structures of **6** and **8** from Serono provide insight into the structural features that promote selectivity for PI3K γ over the other isoforms. Although PI3K γ can be inhibited by propeller shaped molecules as discussed above, such molecules seemed destined to carry along PI3K δ activity. In contrast, the Serono structures show compact molecules that form a hydrogen bond with hinge residue Val882 and extend toward the affinity pocket where they form an additional hydrogen bond to catalytic Lys833 using their thiazolidinedione moieties (Figure 12). These modestly sized molecules appear

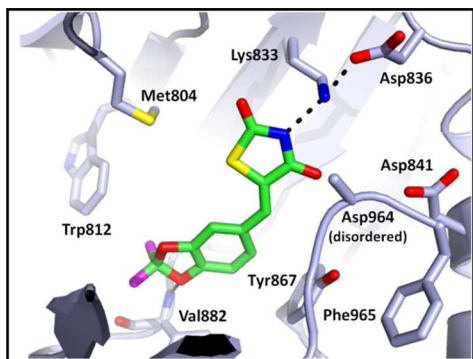


Figure 12. Crystal structure p110 γ -selective inhibitor **8** and human p110 γ (PDB code 2A4Z).

to have the optimal dimensions to span this distance when Lys833 is in a conformation that sits lower in the affinity pocket, constricting its size. A computational analysis of the selectivity of these molecules using homology models of class IA PI3Ks reinforced the idea that these compounds are ideally sized for PI3K γ , as identical binding interactions were not reproduced in the other isoforms.¹⁹⁴ A separate series of PI3K γ selective inhibitors reported recently follows this paradigm.¹⁷⁰ From the crystal structures of the class IA enzymes, it appears that the kinase domain conformation may also play a role in modulating the affinity of these molecules against various isoforms, as p110 δ is distinct from p110 α and p110 γ in the

orientation of its N-lobe with respect to its C-lobe in the kinase domain and molecules **6**, **8**, and **9** are significantly less potent on PI3K δ . By the same argument, it seems likely that achieving selectivity over PI3K α will be the most challenging aspect of designing PI3K γ selective inhibitors, an idea supported by the inhibitor profiling already reported³⁴ and borne out in a recently published work.¹⁷⁰

Whereas the selectivity profiles of many PI3K inhibitors are easy to understand based on our current knowledge of class I PI3K inhibitor binding modes, rational design of exquisitely selective PI3K inhibitors still presents a challenge. Future work is sure to produce further insights into mechanisms of achieving isoform selective inhibitors, as the recent publication of hexahydroquinoxalinone compound **58** illustrates.¹¹¹ This compound is PI3K δ selective and yet does not adopt a propeller shape. The crystal structure of **58** in p110 δ shows that rather than sitting sandwiched between the Met752 and Trp760 in the selectivity pocket, the compound sits adjacent to these two amino acids in a small pocket formed by Thr750. The other isoforms do not have such a pocket because the residue at this position is a much larger arginine or lysine. Indeed, the recent advances in molecular biology and protein expression that enabled the crystallization of the class IA isoforms may provide further novel insights into the selectivities of PI3K inhibitors as more structures are solved.^{188,189,195}

DISCUSSION AND CONCLUSION

Teasing out the differences that PI3K δ and PI3K γ have in the immune system is not a trivial endeavor. Clearly the adaptive immune system has evolved in proximity to the innate system, and this relationship is illustrated by the interplay between these two key kinases. For example, both PI3K δ and PI3K γ over multiple steps influence neutrophil responses to sites of infection or inflammation such that in diseases that activate this cell type, targeting one or the other of these two kinases could prove advantageous. Mast cell degranulation is affected by both PI3K γ and PI3K δ , as has been shown in several genetic and pharmacologic studies. Conflicting data (IgE levels, tracheal smooth muscle hyperresponsiveness) in some asthma model studies are indicative of overlapping outcomes, in spite of the distinct functions of PI3K δ and PI3K γ . The inhibition of PI3K δ has a great impact on B-cell proliferation, antibody production, and Ig class switching, but the inhibition of PI3K γ has negligible effects on B-cells. This is an advantage for drugs targeting PI3K δ for diseases that have a significant B-cell component such as shown to be the case for RA. Leukocyte infiltration is a hallmark of asthma, ALI/ARDS, IPF, RA, and colitis (IBD), and PI3K γ controls much of this chemotaxis. A case can be made for targeting RA with PI3K γ inhibitors by inhibition of leukocyte migration. Also, a PI3K γ inhibitor was effective in a lupus model over several months and in a MS model, but no such data have been described for PI3K δ inhibitors. Dual PI3K γ and PI3K δ inhibitors have been getting increasing scrutiny, although the data from animal models rely mainly on **7**. The use of this compound in asthma and COPD models showed promise. In spite of the deleterious outcomes of the dual PI3K γ KO and PI3K δ KDKI mice, the severe lymphopenia and other adverse effects were not replicated in the study involving PI3K γ KO mice and **3**. Instead, there was a further reduction in the mean ankle thickness and an improved clinical score relative to **3** dosed WT mice.

It is tempting to make judgments of the utility of the two targets based on the number of studies reported for the various

animal disease models. Using this approach, researchers have been interested in selective PI3K δ inhibitors for asthma, COPD, and selective PI3K γ inhibitors for IBD, SLE, and RA. The sheer number of reported studies targeting PI3K γ would indicate that this is the preferred target, but this is refuted somewhat by the dearth of chemical matter related to this target. There are many more patent applications for PI3K δ inhibitors than for PI3K γ inhibitors, although many PI3K γ inhibitors could be found in patents that are more closely aligned to mTOR as the dominant target. In this review we have ignored for the most part the vast IP space that mentions mTOR as co-target or PI3K α for that matter. Finally, the prevalence of PI3K δ inhibitors versus PI3K γ inhibitors could simply be due to the relative ease of finding isoform and kinase selective PI3K δ inhibitors, as the structural data indicate. Dual inhibitors are less frequently mentioned in the literature and are possibly masked in related patents, as most applications are written to cover all isoforms. Interestingly, there are several dual inhibitors that have advanced toward the clinic.

A perusal of the selectivity data in Tables 4 and 5 indicates that the propeller shape seems to dominate inhibitor design. Of the 18 compounds with PI3K γ /PI3K δ selectivity of greater than 20, 11 are of this type. The remaining seven compounds, while all having PI3K γ /PI3K δ selectivity of greater than 20, fall considerably short in the selectivity over the other isoforms (see, for example, 12, 55, and 57). On the other hand, 9 of the 11 propeller shaped analogues exhibit PI3K δ selectivity over the other isoforms of greater than 100-fold. However, as was pointed out earlier, the Sero compound 58 is not propeller shaped and does have similar selectivity compared to these compounds. Whether these structural data have been utilized in further inhibitor design is unknown. Roche/Genentech has shown that the more flat molecules such as 14–17, while structurally very similar to 12, have considerably higher selectivity over PI3K α and may have selectivity over PI3K γ . Less can be said about the PI3K γ inhibitor class, as there are fewer published reports dealing with PI3K γ -selective compounds, although the best examples of these are relatively compact molecules that do not include any propeller shaped compounds.

One paradox of drug discovery is that the initial biological hypothesis is of necessity based on limited understanding of complex systems. Fundamental biological research is facilitated by progress in the development of small molecule tools that help address understanding of target coverage and selectivity requirements as well as issues such as target redundancy or compensation. As this understanding develops, the drug product profile may change considerably from that initially proposed on the basis of a one target–one effect model. Additionally, animal disease models mentioned in this review have uncertain relevance to actual human disease states and their utility as predictors of clinical success is in question. The question of final target validation is likely to remain unanswered until one or more compounds targeting either PI3K γ or PI3K δ complete clinic trials for diseases of autoimmunity/inflammation.

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The authors declare the following competing financial interest(s): The authors are all employees of Amgen Inc.

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ABBREVIATIONS USED

ADME, absorption, distribution, metabolism, and excretion; AHR, airway hyperresponsiveness; AIA, antigen-induced arthritis; ALI/ARDS, acute lung injury/acute respiratory distress syndrome; AML, acute myeloid leukemia; APC, antigen presenting cells; ATP, adenosine triphosphate; B1, a

class of B cell lymphocytes; BALF, bronchoalveolar lavage fluid; BCR, B-cell receptor; b.i.d., twice a day; BLM, bleomycin; BMMC, bone-marrow-derived mast cell; BTK, Bruton's tyrosine kinase; cAMP, cyclic adenosine monophosphate; CCL2, chemokine (C-C motif) ligand 2; CCL5, chemokine (C-C motif) ligand 5; CD, cluster of differentiation; CIA, collagen induced arthritis; Cl, clearance; CLL, chronic lymphocytic leukemia; CNS, central nervous system; COPD, chronic obstructive pulmonary disease; DNA-PK, DNA-dependent protein kinase; DNP, dinitrophenyl; DSS, dextran sodium sulfate; ETK, cryptic autophosphorylating protein tyrosine kinase; fMLP, N-formylmethionylleucylphenylalanine; FO, follicular; FOXO3a, forkhead box O3; GPCR, G-protein-coupled receptor; GR- α , glucocorticoid receptor α ; HWB, human whole blood; IBD, inflammatory bowel disease; IFN- γ , interferon γ ; Ig, immunoglobulin; IL, interleukin; IPF, Idiopathic pulmonary fibrosis; ITK, interleukin-2-inducible T-cell kinase; KDKI, kinase dead knock-in; KO, knockout; LPS, lipopolysaccharide; MI, myocardial infarction; MMP3, matrix metalloproteinase 3; MOG, myelin oligodendrocyte glycoprotein; MS, multiple sclerosis; MTD, maximum tolerated dose; mTOR, mammalian target of rapamycin; MZ, marginal zone; NHL, non-Hodgkin's lymphoma; OVA, ovalbumin; PCA, passive cutaneous anaphylaxis; PBMC, peripheral blood mononuclear cell; PDGF, platelet-derived growth factor; PDK1, phosphoinositide dependent kinase 1; PIKK, phosphatidylinositol 3-kinase-related kinase; PKB/Akt, protein kinase B; PMN, polymorphonuclear leukocyte (neutrophil); PTEN, phosphatase and tensin homologue; q.d., once a day dosing; RA, rheumatoid arthritis; RTK, receptor tyrosine kinase; ROS, reactive oxygen species; SCW, Streptococcal cell wall; SF, synovial fibroblast; SLE, systemic lupus erythematosus; TCR, T-cell receptor; T_H1, T helper cell type 1; T_H2, T helper cell type 2; TLR, Toll-like receptor; TNF- α , tumor necrosis factor α ; T_{reg}, T regulatory cell; VEGF, vascular endothelial growth factor; VV, vaccinia virus; WT, wild type

REFERENCES

- (1) Kong, D.; Yamori, T. Advances in Development of Phosphatidylinositol 3-Kinase Inhibitors. *Curr. Med. Chem.* **2009**, *16*, 2839–2854.
- (2) Ameriks, M.; Venable, J. D. Small Molecule Inhibitors of Phosphoinositide 3-Kinase (PI3K) δ and γ . *Curr. Med. Chem.* **2009**, *16*, 738–753.
- (3) Sundstrom, T. J.; Anderson, A. C.; Wright, D. L. Inhibitors of Phosphoinositide-3-kinase: A Structure-Based Approach to Understanding Potency and Selectivity. *Org. Biomol. Chem.* **2009**, *7*, 840–850.
- (4) Parker, P. J. The Ubiquitous Phosphoinositides. *Biochem. Soc. Trans.* **2004**, *32*, 893–898.
- (5) Hawkins, P. T.; Anderson, K. E.; Davidson, K.; Stephens, L. R. Signaling through Class 1 PI3Ks in Mammalian Cells. *Biochem. Soc. Trans.* **2006**, *34*, 647–662.
- (6) Fruman, D. A.; Bismuth, G. Fine Tuning the Immune Response with PI3K. *Immunol. Rev.* **2009**, *228*, 253–272.
- (7) McInnes, I. B.; Schett, G. Cytokines in the Pathogenesis of Rheumatoid Arthritis. *Nat. Rev. Immunol.* **2007**, *7*, 429–442.
- (8) Mount, G. R.; Gilliland, W. R. Emerging Biological Therapies in Systemic Lupus Erythematosus. *Clin. Pharmacol. Ther.* **2008**, *83*, 167–171.
- (9) Compston, A.; Coles, A. Multiple Sclerosis. *Lancet* **2008**, *372*, 1502–1517.
- (10) Lowes, M. A.; Bowcock, A. M.; Krueger, J. G. Pathogenesis and Therapy of Psoriasis. *Nature* **2007**, *445*, 866–873.
- (11) Decramer, M.; Janssens, W.; Miravittles, M. Chronic Obstructive Pulmonary Disease. *Lancet* **2012**, *379*, 1341–1351.
- (12) Reutershan, J.; Saprito, M. S.; Wu, D.; Rückle, T.; Ley, K. Phosphoinositide 3-Kinase γ Required for Lipopolysaccharide-Induced Transendothelial Neutrophil Trafficking in the Lung. *Eur. Respir. J.* **2010**, *35*, 1137–1147.
- (13) Holgate, S. T.; Davies, D. E. Rethinking the Pathogenesis of Asthma. *Immunity* **2009**, *31*, 362–367.
- (14) King, T. E., Jr.; Pardo, A.; Selman, M. Idiopathic Pulmonary Fibrosis. *Lancet* **2011**, *378*, 1949–1961.
- (15) Xavier, R. J.; Podolsky, D. K. Unravelling the Pathogenesis of Inflammatory Bowel Disease. *Nature* **2007**, *448*, 427–434.
- (16) Hori, M.; Nishida, K. Oxidative Stress and Left Ventricular Remodelling after Myocardial Infarction. *Cardiovasc. Res.* **2009**, *81*, 457–464.
- (17) Bonjouklian, R.; Vlahos, C. J.; Powis, G. Inhibition of Phosphatidylinositol 3-Kinase with Wortmannin and Its Analogs. U.S. Patent 5,378,725, Jan 3, 1995.
- (18) Vlahos, C. J.; Matter, W. F.; Hui, K. Y.; Brown, R. F. A Specific Inhibitor of Phosphatidylinositol 3-Kinase, 2-(4-Morpholinyl)-8-phenyl-4H-1-benzopyran-4-one (LY294002). *J. Biol. Chem.* **1994**, *269*, 5241–5248.
- (19) Sadhu, C.; Dick, K.; Treiberg, J.; Sowell, C. G.; Kesicki, E. A.; Oliver, A. Quinazolinone Derivatives as Inhibitors of Human Phosphatidylinositol 3-Kinase δ . WO2001081346A2, 2001.
- (20) Pomel, V.; Klicic, J.; Covini, D.; Church, D. D.; Shaw, J. P.; Roulin, K.; Burgat-Charvillon, F.; Valognes, D.; Camps, M.; Chabert, C.; Gillieron, C.; Francon, B.; Perrin, D.; Leroy, D.; Gretener, D.; Nichols, A.; Vitte, P. A.; Carboni, S.; Rommel, C.; Schwarz, M. K.; Rückle, T. Furan-2-ylmethylene thiazolidinediones as Novel, Potent, and Selective Inhibitors of Phosphoinositide 3-Kinase γ . *J. Med. Chem.* **2006**, *49*, 3857–3871.
- (21) Camps, M.; Rückle, T.; Ji, H.; Ardisson, V.; Rintelen, F.; Shaw, J.; Ferrandi, C.; Chabert, C.; Gillieron, C.; Francon, B.; Martin, T.; Gretener, D.; Perrin, D.; Leroy, D.; Vitte, P. A.; Hirsch, E.; Wymann, M. P.; Cirillo, R.; Schwarz, M. K.; Rommel, C. Blockade of PI3K γ Suppresses Joint Inflammation and Damage in Mouse Models of Rheumatoid Arthritis. *Nat. Med.* **2005**, *11*, 936–943.
- (22) Wrasidlo, W.; Doukas, J.; Royston, I.; Noronha, G.; Hood, J. D.; Dneprovskaya, E.; Gong, X.; Splittgerber, U.; Zhao, N. Preparation of Vasculostatic Agents and Methods of Use. WO2004030635A2, 2004.
- (23) Okkenhaug, K.; Bilancio, A.; Farjot, G.; Priddle, H.; Sancho, S.; Peskett, E.; Pearce, W.; Meek, S. E.; Salpekar, A.; Waterfield, M. D.; Smith, A. J. H.; Vanhaesebroeck, B. Impaired B and T Cell Antigen Receptor Signaling in p110 δ PI 3-Kinase Mutant Mice. *Science* **2002**, *297*, 1031–1034.
- (24) Hirsch, E.; Katanaev, V. L.; Garlanda, C.; Azzolino, O.; Pirola, L.; Silengo, L.; Sozzani, S.; Mantovani, A.; Altruda, F.; Wymann, M. P. Central Role for G Protein-Coupled Phosphoinositide 3-Kinase γ in Inflammation. *Science* **2000**, *287*, 1049–1053.
- (25) Patrucco, E.; Notte, A.; Barberis, L.; Selvetella, G.; Maffei, A.; Brancaccio, M.; Marengo, S.; Russo, G.; Azzolino, O.; Rybalkin, S. D.; Silengo, L.; Altruda, F.; Wetzker, R.; Wymann, M. P.; Lembo, G.; Hirsch, E. PI3K γ Modulates the Cardiac Response to Chronic Pressure Overload by Distinct Kinase-Dependent and -Independent Effects. *Cell* **2004**, *118*, 375–387.
- (26) Ji, H.; Rintelen, F.; Waltzinger, C.; Meier, D. B.; Bilancio, A.; Pearce, W.; Hirsch, E.; Wymann, M. P.; Rückle, T.; Camps, M.; Vanhaesebroeck, B.; Okkenhaug, K.; Rommel, C. Inactivation of PI3K γ and PI3K δ Distorts T-Cell Development and Causes Multiple Organ Inflammation. *Blood* **2007**, *110*, 2940–2947.
- (27) Note on the nomenclature for this article: PI3K γ or PI3K δ knockout (KO) refers to a genetically modified animal (mouse) or its cells where the entire p110 γ or p110 δ catalytic subunit of the corresponding PI3K heterodimer has been deleted. This is in contrast to the PI3K γ or PI3K δ kinase dead knock-in (KDKI) where a point mutation has been genetically engineered into the ATP binding pocket of the corresponding p110 catalytic subunit abrogating the enzyme activity. The scaffolding function of this type is presumably intact.

- (28) Wright, H. L.; Moots, R. J.; Bucknall, R. C.; Edwards, S. W. Neutrophil Function in Inflammation and Inflammatory Diseases. *Rheumatology* **2010**, *49*, 1618–1631.
- (29) Edwards, J. C. W.; Cambridge, G. Sustained Improvement in Rheumatoid Arthritis following a Protocol Designed To Deplete B Lymphocytes. *Rheumatology* **2001**, *40*, 205–211.
- (30) Yanaba, K.; Hamaguchi, Y.; Venturi, G. M.; Steeber, D. A.; St; Clair, E. W.; Tedder, T. F. B Cell Depletion Delays Collagen-Induced Arthritis in Mice: Arthritis Induction Requires Synergy between Humoral and Cell-Mediated Immunity. *J. Immunol.* **2007**, *179*, 1369–1380.
- (31) (a) Li, J.; Kuzin, I.; Moshkani, S.; Proulx, S. T.; Xing, L.; Skrombolas, D.; Dunn, R.; Sanz, I.; Schwarz, E. M.; Bottaro, A. Expanded CD23+/CD21hi B Cells in Inflamed Lymph Nodes Are Associated with the Onset of Inflammatory–Erosive Arthritis in TNF-Transgenic Mice and Are Targets of Anti-CD20 Therapy. *J. Immunol.* **2010**, *184*, 6142–6150. (b) Rituximab was found to be ineffective in a large scale phase II/III clinical trial for SLE.
- (32) Vallerskog, T.; Gunnarsson, I.; Widhe, M.; Risselada, A.; Klareskog, L.; van Vollenhoven, R.; Malmström, V.; Trollmo, C. Treatment with Rituximab Affects Both the Cellular and the Humoral Arm of the Immune System in Patients with SLE. *Clin. Immunol.* **2007**, *122*, 62–74.
- (33) Ferrandi, C.; Ardisson, V.; Ferro, P.; Rückle, T.; Zaratini, P.; Ammannati, E.; Hauben, E.; Rommel, C.; Cirillo, R. Phosphoinositide 3-Kinase γ Inhibition Plays a Crucial Role in Blocking the Early Steps of Inflammation by Blocking Neutrophil Recruitment. *J. Pharmacol. Exp. Ther.* **2007**, *322*, 923–930.
- (34) Knight, Z. A.; Gonzalez, B.; Feldman, M. E.; Zunder, E. R.; Goldenberg, D. D.; Williams, O.; Loewith, R.; Stokoe, D.; Balla, A.; Toth, B.; Balla, T.; Weiss, W. A.; Williams, R. L.; Shokat, K. M. A Pharmacological Map of the PI3-K Family Defines a Role for P110 α in Insulin Signaling. *Cell* **2006**, *125*, 733–747.
- (35) Palanki, M. S. S.; Dneprovskaya, E.; Doukas, J.; Fine, R. M.; Hood, J.; Kang, X.; Lohse, D.; Martin, M.; Noronha, G.; Soll, R. M.; Wrasidlo, W.; Yee, S.; Zhu, H. Discovery of 3,3'-(2,4-Diaminopteridine-6,7-diyl)diphenol as an Isozyme-Selective Inhibitor of PI3K for the Treatment of Ischemia Reperfusion Injury Associated with Myocardial Infarction. *J. Med. Chem.* **2007**, *18*, 4279–4294.
- (36) Soond, D. R.; Björge, E.; Moltu, K.; Dale, V. Q.; Patton, D. T.; Torgerson, K. M.; Galleway, F.; Twomey, B.; Clark, J.; Gaston, J. S. H.; Taskén, K.; Bunyard, P.; Okkenhaug, K. PI3K P110 δ Regulates T-Cell Cytokine Production During Primary and Secondary Immune Responses in Mice and Humans. *Blood* **2010**, *115*, 2203–2213.
- (37) Sasaki, T.; Irie-Sasaki, J.; Jones, R. G.; Oliveira-dos-Santos, A. J.; Stanford, W. L.; Bolon, B.; Wakeham, A.; Itie, A.; Bouchard, D.; Kozieradzki, I.; Joza, N.; Mak, T. W.; Ohashi, P. S.; Suzuki, A.; Penninger, J. M. Function of PI3K γ in Thymocyte Development, T Cell Activation, and Neutrophil Migration. *Science* **2000**, *287*, 1040–1046.
- (38) Alcázar, I.; Marqués, M.; Kumar, A.; Hirsch, E.; Wymann, M.; Carrera, A. C.; Barber, D. F. Phosphoinositide 3-Kinase γ Participates in T cell Receptor-Induced T Cell Activation. *J. Exp. Med.* **2007**, *204*, 2977–2987.
- (39) Curnock, A. P.; Sotsios, Y.; Wright, K. L.; Ward, S. G. Optimal Chemotactic Responses of Leukemic T Cells to Stromal Cell-Derived Factor-1 Requires the Activation of Both Class 1A and Class 1B Phosphoinositide 3-Kinases. *J. Immunol.* **2003**, *170*, 4021–4030.
- (40) Smith, L. D.; Hickman, E. S.; Parry, R. V.; Westwick, J.; Ward, S. G. PI3K γ Is the Dominant Isoform Involved in Migratory Responses of Human T Lymphocytes: Effects of ex Vivo Maintenance and Limitations of Non-Viral Delivery of siRNA. *Cell. Signalling* **2007**, *19*, 2528–2539.
- (41) Sadhu, C.; Masinovsky, B.; Dick, K.; Sowell, C. G.; Staunton, D. E. Essential Role of Phosphoinositide 3-Kinase δ in Neutrophil Directional Movement. *J. Immunol.* **2003**, *170*, 2647–2654.
- (42) Puri, K. D.; Doggett, T. A.; Douangpanya, J.; Hou, Y.; Tino, W. T.; Wilson, T.; Graf, T.; Clayton, E.; Turner, M.; Hayflick, J. S.; Diacovo, T. G. Mechanism and Implications of Phosphoinositide 3-Kinase delta in Promoting Neutrophil Trafficking into Inflamed Tissue. *Blood* **2004**, *103*, 3448–3456.
- (43) Ali, K.; Bilancio, A.; Thomas, M.; Pearce, W.; Gilfillan, A. M.; Tkaczuk, C.; Kuehn, N.; Gray, A.; Giddings, J.; Peskett, E.; Fox, R.; Bruce, I.; Walker, C.; Sawyer, C.; Okkenhaug, K.; Finan, P.; Vanhaesebroeck, B. Essential Role for the P110 δ Phosphoinositide 3-Kinase in the Allergic Response. *Nature* **2004**, *431*, 1007–1011.
- (44) Ali, K.; Camps, M.; Pearce, W. P.; Ji, H.; Rückle, T.; Kuehn, N.; Pasquali, C.; Chabert, C.; Rommel, C.; Vanhaesebroeck, B. Isoform-Specific Functions of Phosphoinositide 3-Kinases: PI3K δ but not PI3K γ Promotes Optimal Allergic Responses in Vivo. *J. Immunol.* **2008**, *180*, 2538–2544.
- (45) Laffargue, M.; Calvez, R.; Finan, P.; Trifilieff, A.; Barbier, M.; Altruda, F.; Hirsch, E.; Wymann, M. P. Phosphoinositide 3-Kinase γ Is an Essential Amplifier of Mast Cell Function. *Immunity* **2002**, *16*, 441–451.
- (46) Thomas, M.; Edwards, M. J.; Sawicka, E.; Duggan, N.; Hirsch, E.; Wymann, M. P.; Owen, C.; Trifilieff, A.; Walker, C.; Westwick, J.; Finan, P. Essential Role of Phosphoinositide 3-Kinase gamma in Eosinophil Chemotaxis within Acute Pulmonary Inflammation. *Immunology* **2009**, *126*, 413–422.
- (47) Takeda, M.; Ito, W.; Tanabe, M.; Ueki, S.; Kato, H.; Kihara, J.; Tanigai, T.; Chiba, T.; Yamaguchi, K.; Kayaba, H.; Imai, Y.; Okuyama, K.; Ohno, I.; Sasaki, T.; Chihara, J. Allergic Airway Hyperresponsiveness, Inflammation, and Remodeling Do Not Develop in Phosphoinositide 3-Kinase γ -Deficient Mice. *J. Allergy Clin. Immunol.* **2009**, *123*, 805–812.
- (48) Kang, B. N.; Ha, S. G.; Ge, X. N.; Hosseinkhani, M. R.; Bahaie, N. S.; Greenberg, Y.; Blumenthal, M. N.; Puri, K. D.; Rao, S. P.; Sriramarao, P. The p110 δ Subunit of PI3K Regulates Bone Marrow-Derived Eosinophil Trafficking and Airway Eosinophilia in Allergen-Challenged Mice. *Am J. Physiol.: Lung Cell. Mol. Physiol.* **2012**, *302*, 1179–1191.
- (49) Doukas, J.; Eide, L.; Stebbins, K.; Racanelli-Layton, A.; Dellamary, L.; Martin, M.; Dneprovskaya, E.; Noronha, G.; Soll, R.; Wrasidlo, W.; Acevedo, L. M.; Cheres, D. A. Aerosolized Phosphoinositide 3-Kinase γ/δ Inhibitor TG100-115 [3-[2,4-Diamino-6-(3-hydroxyphenyl)-pteridin-7-yl]phenol] as a Therapeutic Candidate for Asthma and Chronic Obstructive Pulmonary Disease. *J. Pharmacol. Exp. Ther.* **2009**, *328*, 758–765.
- (50) Ghigo, A.; Damilano, F.; Braccini, L.; Hirsch, E. PI3K Inhibition in Inflammation: Toward Tailored Therapies for Specific Diseases. *BioEssays* **2010**, *32*, 185–196.
- (51) Costa, C.; Martin-Conte, E. L.; Hirsch, E. Phosphoinositide 3-Kinase p110 γ in Immunity. *IUBMB Life* **2011**, *63*, 707–713.
- (52) Fung-Leung, W. P. Phosphoinositide 3-Kinase delta (PI3K δ) in Leukocyte Signaling and Function. *Cell. Signalling* **2011**, *23*, 603–608.
- (53) Lee, K. S.; Lee, H. K.; Hayflick, J. S.; Lee, Y. C.; Puri, K. D. Inhibition of Phosphoinositide 3-Kinase delta Attenuates Allergic Airway Inflammation and Hyperresponsiveness in Murine Asthma Model. *FASEB J.* **2006**, *20*, 455–465.
- (54) Shimada, M.; Murata, T.; Fuchikami, K.; Tsujishita, H.; Omori, N.; Kato, I.; Miura, M.; Urbahns, K.; Gantner, F.; Bacon, K. Preparation of Fused Azole-pyrimidine Derivatives as PI3K Inhibitors with Therapeutic Uses. WO2004029055A1. 2004.
- (55) Hayakawa, M.; Kaizawa, H.; Moritomo, H.; Koizumi, T.; Ohishi, T.; Yamano, M.; Okada, M.; Ohta, M.; Tsukamoto, S.; Raynaud, F. I.; Workman, P.; Waterfield, M. D.; Parker, P. Synthesis and Biological Evaluation of Pyrido[3',2':4,5]furo[3,2-d]pyrimidine Derivatives as Novel PI3 Kinase P110 α Inhibitors. *Bioorg. Med. Chem. Lett.* **2007**, *17*, 2438–2442.
- (56) Barber, D. F.; Bartolomé, A.; Hernandez, C.; Flores, J. M.; Fernandez-Arias, C.; Rodríguez-Borlado, L.; Hirsch, E.; Wymann, M.; Balomenos, D.; Carrera, A. C. Class I β -Phosphatidylinositol 3-Kinase (PI3K) Deficiency Ameliorates I α -PI3K-Induced Systemic Lupus but Not T Cell Invasion. *J. Immunol.* **2006**, *176*, 589–593.
- (57) Martin, A. L.; Schwartz, M. D.; Jameson, S. C.; Shimizu, Y. Selective Regulation of CD8 Effector T Cell Migration by the p110 γ

Isoform of Phosphatidylinositol 3-Kinase. *J. Immunol.* **2008**, *180*, 2081–2088.

(58) Patton, D. T.; Garden, O. A.; Pearce, W. P.; Clough, L. E.; Monk, C. R.; Leung, E.; Rowan, W. C.; Sancho, S.; Walker, L. S. K.; Vanhaesebroeck, B.; Okkenhaug, K. Cutting Edge: The Phosphoinositide 3-Kinase p110 δ Is Critical for the Function of CD4+CD25+Foxp3+ Regulatory T Cells. *J. Immunol.* **2006**, *177*, 6598–6602.

(59) Zhang, T. T.; Okkenhaug, K.; Nashed, B. F.; Puri, K. D.; Knight, Z. A.; Shokat, K. M.; Vanhaesebroeck, B.; Marshall, A. J. Genetic or Blockade of P110 δ Phosphoinositide 3-Kinase Enhances IgE Production. *J. Allergy Clin. Immun.* **2008**, *122* (4), 811–819.

(60) Park, S. J.; Lee, K. S.; Kim, S. R.; Min, K. H.; Moon, H.; Lee, M. H.; Chung, C. R.; Han, H. J.; Puri, K. D.; Lee, Y. C. Phosphoinositide 3-Kinase δ Inhibitor Suppresses Interleukin-17 Expression in a Murine Asthma Model. *Eur. Respir. J.* **2010**, *36*, 1448–1459.

(61) Marwick, J. A.; Caramori, G.; Stevenson, C. S.; Casolari, P.; Jazrawi, E.; Barnes, P. J.; Ito, K.; Adcock, I. M.; Kirkham, P. A.; Papi, A. Inhibition of PI3K δ Restores Glucocorticoid Function in Smoking Induced Airway Inflammation in Mice. *Am. J. Respir. Crit. Care Med.* **2009**, *179*, 542–548.

(62) Wei, X.; Han, J.; Chen, Z. Z.; Qi, B.-W.; Wang, G.-C.; Ma, Y.-H.; Zheng, H.; Luo, Y.-F.; Wei, Y.-Q.; Chen, L.-J. A Phosphoinositide 3-Kinase- γ Inhibitor AS605240 Prevents Bleomycin-Induced Pulmonary Fibrosis in Rats. *Biochem. Biophys. Res. Commun.* **2010**, *397*, 311–317.

(63) van Dop, W. A.; Marengo, S.; te Velde, A. A.; Ciruolo, E.; Franco, I.; ten Kate, F. J.; Boeckxstaens, G. E.; Hardwick, J. C.; Hommes, D. W.; Hirsch, E.; van den Brink, G. R. The Absence of Functional PI3K γ Prevents Leukocyte Recruitment and Ameliorates DSS-Induced Colitis in Mice. *Immunol. Lett.* **2010**, *131*, 33–39.

(64) González-García, A.; Sánchez-Ruiz, J.; Flores, J. M.; Carrera, A. C. Phosphatidylinositol 3-Kinase γ Inhibition Ameliorates Inflammation and Tumor Growth in a Model of Colitis-Associated Cancer. *Gastroenterology* **2010**, *138*, 1374–1383.

(65) Gruen, M.; Rose, C.; König, C.; Gajda, M.; Wetzker, R.; Bräuer, R. Loss of Phosphoinositide 3-Kinase γ Decreases Migration and Activation of Phagocytes but Not T-Cell Activation in Antigen-Induced Arthritis. *BMC Musculoskeletal Disord.* **2010**, *11*, 1–11.

(66) Puri, K. D.; Steiner, B. H.; Kashishian, A. S.; Chen, H.; Gallatin, W. M.; Giese, N. A. IC87114, a Selective Inhibitor of PI3K δ Suppresses Joint Inflammation and Bone Erosion in Collagen-Induced Arthritis in Rat. *J. Immunol.* **2009**, *182* (Suppl. 50), 14.

(67) Boyle, D. L.; Rommel, C.; Topolewski, K.; Firestein, G. S. A Novel PI3Kinase/Inhibitor Suppresses Collagen-Induced Arthritis. *Arthritis Rheum.* **2009**, *60* (Suppl. 10), 669.

(68) Hayer, S.; Pundt, N.; Peters, M. A.; Wunrau, C.; Kühnel, I.; Neugebauer, K.; Strietholt, S.; Zwerina, J.; Korb, A.; Penninger, J.; Joosten, L. A. B.; Gay, S.; Rückle, T.; Schett, G.; Pap, T. PI3K γ Regulates Cartilage Damage in Chronic Inflammatory Arthritis. *FASEB J.* **2009**, *23*, 4288–4298.

(69) Doukas, J.; Wrasidlo, W.; Noronha, G.; Dneprovskaya, E.; Fine, R.; Weis, S.; Hood, J.; Demaria, A.; Soll, R.; Cheresch, D. Phosphoinositide 3-Kinase γ/δ Inhibition Limits Infarct Size after Myocardial Ischemia/Reperfusion Injury. *Proc. Natl. Acad. Sci. U.S.A.* **2006**, *103*, 19866–19871.

(70) Siragusa, M.; Katare, R.; Meloni, M.; Damilano, F.; Hirsch, E.; Emanueli, C.; Madeddu, P. Involvement of Phosphoinositide 3-Kinase γ in Angiogenesis and Healing of Experimental Myocardial Infarction in Mice. *Circ. Res.* **2010**, *106*, 757–768.

(71) Rodrigues, D. H.; de Carvalho Vilela, M.; da Silva Bachelos, L.; Pinho, V.; Teixeira, M. M.; Teixeira, A. L. Absence of PI3K γ Leads to Increased Leukocyte Apoptosis and Diminished Severity of Experimental Autoimmune Encephalomyelitis. *J. Neuroimmunol.* **2010**, *222*, 90–94.

(72) Barber, D. F.; Bartolomé, A.; Hernandez, C.; Flores, J. M.; Redondo, C.; Fernandez-Arias, C.; Camps, M.; Rückle, T.; Schwaarz, M. K.; Rodríguez, S.; Martínez-A, C.; Balomenos, D.; Rommel, C.; Carrera, A. C. PI3K γ Inhibition Blocks Glomerulonephritis and

Extends Lifespan in a Mouse Model of Systemic Lupus. *Nat. Med.* **2005**, *11*, 933–935.

(73) Swat, W.; Montgrain, V.; Doggett, T. A.; Douangpanya, J.; Puri, K.; Vermi, W.; Diacovo, T. G. Essential Role of PI3K δ and PI3K γ in Thymocyte Survival. *Blood* **2006**, *107*, 2415–2422.

(74) Randis, T. M.; Puri, K. D.; Zhou, H.; Diacovo, T. G. Role of PI3K δ and PI3K γ in Inflammatory Arthritis and Tissue Localization of Neutrophils. *Eur. J. Immunol.* **2008**, *38*, 1215–1224.

(75) Rommel, C. Taking PI3K δ and PI3K γ One Step Ahead: Dual Active PI3K δ/γ Inhibitors for the Treatment of Immune-Mediated Inflammatory Diseases. In *Phosphoinositide 3-Kinase in Health and Disease*; Rommel, C., Vanhaesebroeck, B., Vogt, P. K., Eds.; Current Topics in Microbiology and Immunology; Springer: New York, 2010; Vol. 1, pp 279–301.

(76) Chuckowree, I.; Folkes, A.; Hancox, T.; Shuttleworth, S. Preparation of Substituted Thienopyrimidine as Inhibitor of Phosphatidylinositol 3-Kinase. WO2007129161A2, 2007.

(77) National Institutes of Health. Identifier: NCT01493843; NCT01437566. ClinicalTrials.gov.

(78) Folkes, A. J.; Ahmadi, K.; Alderton, W. K.; Alix, S.; Baker, S. J.; Box, G.; Chuckowree, I. S.; Clarke, P. A.; Depledge, P.; Eccles, S. A. E.; Friedman, L. S.; Hayes, A.; Hancox, T. C.; Kugendradas, A.; Lensun, L.; Moore, P.; Olivero, A. G.; Pang, J.; Patel, S.; Pergl-Wilson, G. H.; Raynaud, F. I.; Robson, A.; Saghir, N.; Salphati, L.; Sohal, S.; Ultsch, M. H.; Valenti, M.; Wallweber, H. J.; Wan, N. C.; Wiesmann, C.; Workman, P.; Zhyvoloup, A.; Zvelebil, M. J.; Shuttleworth, S. J. The Identification of 2-(1*H*-Indazol-4-yl)-6-(4-methanesulfonyl-piperazin-1-ylmethyl)-4-morpholin-4-yl-thieno[3,2-*d*]pyrimidine (GDC-0941) as a Potent, Selective, Orally Bioavailable Inhibitor of Class I PI3 Kinase for the Treatment of Cancer. *J. Med. Chem.* **2008**, *51*, 5522–5532.

(79) Baker, S. J.; Goldsmith, P. J.; Hancox, T. C.; Pegg, N. A.; Price, S.; Shuttleworth, S. J.; Sohal, S. Preparation of Thienopyrimidine Derivatives as PI3 Kinase Inhibitors. WO2007122410A1, 2007.

(80) Hancox, T. C.; Pegg, N. A.; Nadin, A. J.; Price, S. Preparation of Thienopyrimidine Derivatives as Inhibitors of PI3 Kinase. WO2009053715A1, 2009.

(81) Shuttleworth, S. J.; Folkes, A. J.; Chuckowree, I. S.; Wan, N. C.; Hancox, T. C.; Baker, S. J.; Sohal, S.; Latif, M. A. Preparation of Thienopyrimidines as Phosphatidylinositol 3 Kinase Inhibitors. WO2006046035A1, 2006.

(82) Castanedo, G.; Goldstein, D.; Kondru, R. K.; Lucas, M.; Palmer, W.; Price, S.; Safina, B.; Savy, P. P. A.; Seward, E. M.; Sutherlin, D. P.; Sweeney, Z. K. Bicyclic Indole-pyrimidine PI3K Inhibitor Compounds Selective for P110 delta, and Methods of Use. U.S. Pat. Appl. 20100305084A1, 2010.

(83) Li, J.; Safina, B.; Sutherlin, D. P.; Sweeney, Z. Preparation and Methods of Use of Purine Compounds Selective for PI3 Kinase p110 delta Isoform. WO2012007493A1, 2012.

(84) Castanedo, G.; Chan, B.; Lucas, M. C.; Safina, B.; Sutherlin, D. P.; Sweeney, Z. K. Preparation of Pyrido[3,2-*d*]pyrimidine as PI3K δ Inhibitors. WO2011101429A1, 2011.

(85) Castanedo, G.; Chan, B.; Goldstein, D. M.; Kondru, R. K.; Lucas, M. C.; Palmer, W. S.; Price, S.; Safina, B.; Savy, P. P. A.; Seward, E. M.; Sutherlin, D. P.; Sweeney, Z. K. Preparation of Bicyclic Pyrimidines as Selective Inhibitors of the p110 delta Isoform of PI3K for Treating Inflammation, Immune Diseases and Cancers. WO2010138589A1, 2010.

(86) Bruce, I.; Weitz, S. G. Preparation of (Thiazolylureido)-propionamides as Inhibitors of PI3K delta Enzyme. WO2008000421A2, 2008.

(87) White, S. L. Thienopyrimidinones as δ -PI3K Inhibitors and Their Preparation, Pharmaceutical Compositions and Use in the Treatment of Inflammatory Disorders and Cancers. WO2008064018A1, 2008.

(88) White, S. L.; Ruan, F.; Kesicki, E. A.; Thorsett, E.; Farouz, F. Preparation of Purine Pyrimidinone Compounds as Inhibitors of Human Phosphatidyl-Inositol 3-Kinase delta for Treating Immune, Inflammatory, and Other Diseases. WO2009064802A2, 2009.

- (89) Chen, Y.; Cushing, T. D.; Hao, X.; He, X.; Reichelt, A.; Rzasa, R. M.; Seganiash, J.; Shin, Y.; Zhang, D. Heterocyclic Compounds and Their Uses in the Treatment of p110 δ -Mediated Diseases and Their Preparation. WO2008118454A2, 2008.
- (90) Chen, Y.; Cushing, T. D.; Duquette, J. A.; Gonzalez, L. d. T. F.; Hao, X.; He, X.; Lucas, B.; McGee, L. R.; Reichelt, A.; Rzasa, R. M.; Seganiash, J.; Shin, Y.; Zhang, D. Heterocyclic Compounds and Their Uses in the Treatment of p110 δ -Mediated Diseases and Their Preparation. WO2008118468A1, 2008.
- (91) Cushing, T. D.; Dransfield, P. J.; Gonzalez, L. d. T. F.; Johnson, M. G.; Kohn, T. J.; Pattaropong, V.; Simard, J. L. Preparation of Heteroaryl Compounds as Inhibitors of PI3K Inhibitors. WO2010151791A1, 2010.
- (92) Brown, M.; Chen, Y.; Cushing, T. D.; Gonzalez, L. d. T. F.; He, X.; Kohn, T. J.; Lohman, J. W.; Pattaropong, V.; Seganiash, J.; Shin, Y.; Simard, J. L. Preparation of Heterocyclic Compounds as Therapeutic PI3K δ Inhibitors. WO2010151737A2, 2010.
- (93) Bui, M. H. T.; Chen, Y.; Cushing, T. D.; Duquette, J. A.; Fisher, B.; Gonzalez, L. d. T. F.; Hao, X.; He, X.; Johnson, M. G.; Lucas, B. Preparation of Quinolinyethylamino-pyrimidinecarbonitrile Derivative as Inhibitors of PI3K. WO2010151740A2, 2010.
- (94) Cushing, T. D.; Hao, X.; Lohman, J. W.; Shin, Y. Preparation of Pyrindo[1,2-*a*]pyrimidine and Quinoline Derivatives as Inhibitors of PI3K. WO2010151735A2, 2010.
- (95) Chen, Y.; Cushing, T. D.; Hao, X.; He, X.; Reichelt, A.; Rzasa, R. M.; Seganiash, J.; Shin, Y.; Zhang, D. δ 3-Substituted Quinoline or Quinoxaline Derivatives and Their Use as Phosphatidylinositol 3-kinase (PI3K) Inhibitors and Their Preparation. WO2008118455A1, 2008.
- (96) Cushing, T. D.; Duquette, J. A.; He, X.; Lohman, J. W.; Shin, Y. Preparation of Substituted Bicyclic Heteroaryl Compounds as PI3K Kinase Inhibitors. WO2011123751A2, 2011.
- (97) Lucas, B. Preparation of Thienopyridinyethylpurinamine Derivatives for Use as PI3K Activity Inhibitors. WO2012003262A1, 2012.
- (98) Cushing, T. D.; Gonzalez, L. d. T. F.; Hao, X.; Shin, Y. Heterocyclic Compounds as PI3K Inhibitors and Their Preparation and Use in the Treatment of Diseases. WO2011075628A1, 2011.
- (99) Duquette, J. A.; Lucas, B. Preparation of Quinoline Derivatives for Use as PI3K Inhibitors. WO2012003271A1, 2012.
- (100) Dransfield, P. J. Preparation of Quinoline Derivatives for Use as PI3K Inhibitors. WO2012003278A1, 2012.
- (101) Dransfield, P. J.; Gonzalez, L. d. T. F.; Kohn, T. J.; Pattaropong, V.; Simard, J. L. Preparation of Quinoline Derivatives for Use as PI3K Inhibitors. WO2012003283A1, 2012.
- (102) National Institutes of Health. Identifier: NCT01300026. ClinicalTrials.gov.
- (103) Intellikine Joins the Takeda Family of Companies. <http://www.intellikine.com/>.
- (104) Ren, P.; Liu, Y.; Wilson, T. E. Antitumor PI3 Kinase Modulators. WO2009046448A1, 2009.
- (105) Ren, P.; Liu, Y.; Wilson, T. E.; Chan, K.; Rommel, C.; Li, L. Preparation of Pyrazolo Pyrimidines and Purine Compounds as Therapeutic PI3 Kinase Modulators. WO2009088986A1, 2009.
- (106) Ren, P.; Liu, Y.; Wilson, T. E.; Li, L. Heterocyclic Compounds and Uses Thereof as Phosphatidylinositol 3'-Kinase Modulators. WO2010129816A2, 2010.
- (107) Ren, P.; Liu, Y.; Li, L.; Chan, K.; Wilson, T. E. Preparation of Benzothiazoles as Protein and Lipid Kinase Inhibitors. WO2009114874A2, 2009.
- (108) Ren, P.; Liu, Y.; Li, L.; Chan, K.; Wilson, T. E.; Martin, M.; Rommel, C. Preparation of 1*H*-Pyrazolo[3,4-*d*]pyrimidine Compounds as Kinase Inhibitors and Methods of Use as Therapeutic Agents. WO2010006086A2, 2010.
- (109) Bartok, B.; Boyle, D. L.; Liu, Y.; Ren, P.; Ball, S. T.; Bugbee, W. D.; Rommel, C.; Firestein, G. S. PI3 Kinase δ Is a Key Regulator of Synovioyte Function in Rheumatoid Arthritis. *Am. J. Pathol.* **2012**, *180*, 1906–1916.
- (110) Knight, Z. A.; Shokat, K. M.; Williams, O. Preparation of Pyrazolopyrimidinylmethyl Quinazolinones as PI3 Kinase Antagonists. WO2008127226A2, 2008.
- (111) Berndt, A.; Miller, S.; Williams, O.; Le, D. D.; Houseman, B. T.; Pacold, J. I.; Gorrec, F.; Hon, W.-C.; Liu, Y.; Rommel, C.; Gaillard, P.; Rückle, T.; Schwarz, M. K.; Shokat, K. M.; Shaw, J. P.; Williams, R. L. The P110 δ Crystal Structure: Mechanisms for Selectivity and Potency of New PI(3)K Inhibitors. *Nat. Chem. Biol.* **2010**, *6*, 117–124.
- (112) Allen, D. R.; Buckley, G. M.; Buerli, R.; Davenport, R. J.; Kinsella, N.; Lock, C. J.; Lowe, C.; Mack, S. R.; Pitt, W. R.; Ratcliffe, A. J.; Richard, M. D.; Sabin, V. M.; Sharpe, A.; Tait, L. J.; Warrelow, G. J.; Williams, S. C. Preparation of Quinoxaline and Quinoline Derivatives as Kinase Inhibitors. WO2009081105A2, 2009.
- (113) Beevers, R. E.; Mack, S. R.; Sabin, V. M. Preparation of Quinoline Derivatives for Use as PI3 Kinase Inhibitors. WO2010061180A1, 2010.
- (114) Allen, D. R.; Brown, J. A.; Buerli, R.; Haughan, A. F.; MacDonald, J. D.; Matteucci, M.; Owens, A. P.; Raphy, G.; Saville-Stones, E. A.; Sharpe, A. Fused Pyridines and Related Compounds as Kinase Inhibitors and Their Preparation and Use for the Treatment of Diseases. WO2010092340A1, 2010.
- (115) Allen, D. R.; Brown, J. A.; Buerli, R.; Haughan, A. F.; Langham, B. J.; Matteucci, M.; Owens, A. P.; Raphy, G.; Sharpe, A. Preparation of Fused Bicyclic Pyrazole Derivatives as PI3 Kinase Inhibitors. WO2010133836A1, 2010.
- (116) Rewcastle, G. W.; Shepherd, P. R.; Chaussade, C.; Denny, W. A.; Gamage, S. A. Substituted Pyrimidines and Triazines as Inhibitors of PI3K Enzymes Useful in the Treatment of Cancer and Preparation and Pharmaceutical Compositions Thereof. WO2009120094A2, 2009.
- (117) Kawashima, S.; Matsuno, T.; Yaguchi, S.; Sasahara, H.; Watanabe, T. Preparation of Heterocyclic Compounds as Antitumor Agents. WO2002088112A1, 2002.
- (118) Yaguchi, S.; Fukui, Y.; Koshimizu, I.; Yoshimi, H.; Matsuno, T.; Gouda, H.; Hirono, S.; Yamazaki, K.; Yamori, T. Antitumor Activity of ZSTK474, a New Phosphatidylinositol 3-Kinase Inhibitor. *J. Natl. Cancer Inst.* **2006**, *98*, 545–556.
- (119) Kong, D.; Yamori, T. ZSTK474 is an ATP-Competitive Inhibitor of Class 1 Phosphatidylinositol 3-Kinase Isoforms. *Cancer Sci.* **2007**, *98*, 1638–1642.
- (120) Toyama, S.; Tamura, N.; Haruta, K.; Karakida, T.; Mori, S.; Watanabe, T.; Yamori, T.; Takasaki, Y. Inhibitory Effects of ZSTK474, a Novel Phosphoinositide 3-Kinase Inhibitor, on Osteoclasts and Collagen-Induced Arthritis in Mice. *Arthritis Res. Ther.* **2010**, *12* (R92), 1–11.
- (121) Liang, C.; Li, Z.-G. Preparation of PI3K Isoform Selective Inhibitors. WO2010005558A2, 2010.
- (122) Ivashchenko, A. V.; Tkachenko, S. E.; Okun, I. Y. M.; Savchuk, N. F.; Ivashchenko, A. A.; Kravchenko, D. V.; Shmidt-Kittler, O.; Rago, K.; Papadopoulos, N.; Velcuelsu, V.; Vogelstein, B.; Kinzler, K. W.; Trifilenkov, A. S.; Rizhova, E. A.; Jiuxiang, Z. Annelated Azaheterocycles Comprising Pyrimidine Fragment, Method for the Production Thereof and (PI3Ks) Kinase Inhibitors. WO 2009011617A2, 2009.
- (123) Gaillard, P.; Quattropani, A.; Pomel, V.; Rueckle, T.; Klicic, J.; Church, D. Preparation of Pyrazine Derivatives, Particularly N-[3-(Oxyphenylamino)quinoxalin-2-yl]sulfonamides, as PI3K Inhibitors. WO2007023186A1, 2007.
- (124) Ren, P.; Liu, Y.; Li, L.; Chan, K.; Wilson, T. E. Preparation of Quinolinylmethylpyrazolopyrimidine Derivatives and Analogs for Use as Kinase Inhibitors. WO2010036380A1, 2010.
- (125) Takahashi, F.; Imada, S.; Shiwa, M.; Kato, K.; Fukahori, H. Preparation of 2-(Difluoromethyl)-1-(morpholinoheterocycl)-benzimidazole Derivatives as Selective Phosphatidyl Inositol-3-kinase (PI3K δ) Inhibitors. WO2010092962A1, 2010.
- (126) Shuttleworth, S. J.; Silva, F. A.; Cecil, A. R. L.; Hill, T. J. Preparation of Benzo[1,3]oxazinone Derivatives as PI3 Kinase Inhibitors. WO2011012883A1, 2011.
- (127) Shuttleworth, S. J.; Silva, F. A. Preparation of Naphthyridine Derivatives as PI3K Inhibitors for the Treatment of Cancer and Immune-Inflammatory Diseases. WO2011135351A1, 2011.

- (128) Karus Therapeutics. <http://www.karustherapeutics.com/>.
- (129) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Jones, K. L.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Smethurst, C. A. P.; Washio, Y. Preparation of 4-Carboxamide Indazole Derivatives Useful as Inhibitors of PI3-Kinases. WO2009147187A1, 2009.
- (130) Hamblin, J. N.; Jones, P. S.; Keeling, S. E.; Le, J.; Mitchell, C. J.; Parr, N. J. Preparation of Oxazole-Substituted Indazole Derivatives for Use as PI3-Kinase Inhibitors. WO2010125082A1, 2010.
- (131) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Robinson, J. E.; Simpson, J. K.; Smethurst, C. A. P. Preparation of N-Indazolyl Carboxamides as PI3-Kinase Inhibitors. WO2011067364A1, 2011.
- (132) Hamblin, J. N.; Jones, P. S.; Keeling, S. E.; Le, J.; Mitchell, C. J.; Parr, N. J.; Willacy, R. D. Preparation of Polymorphs and Salts of *cis*-N-[5-[4-[5-[[2,6-Dimethyl-4-morpholinyl]methyl]-2-oxazolyl]-1H-indazol-6-yl]-2-methoxy-3-pyridinyl]-methanesulfonamide as PI3Kδ Inhibitors. WO2012032067A1, 2012.
- (133) National Institutes of Health. Identifier: NCT01462617. ClinicalTrials.gov.
- (134) Muthuppalaniappan, M.; Viswanadha, S.; Babu, G.; Vakkalanka, S. K. V. S. Preparation of Chromen-4-one Compounds as Therapeutic PI3K Kinase Modulators. WO2011055215A2, 2011.
- (135) King-Underwood, J.; Ito, K.; Murray, P. J.; Hardy, G.; Brookfield, F. A.; Brown, C. J. Preparation of Pyrazolopyrimidine Compounds as Phosphoinositide 3-Kinase (PI3 Kinase) Inhibitors. WO2011048111A1, 2011.
- (136) Li, Y.-L.; Metcalf, B. W.; Combs, A. P. Preparation of Pyrimidinones as PI3K Inhibitors. WO2011008487A1, 2011.
- (137) Combs, A. P.; Li, Y.-L.; Yue, E. W.; Sparks, R. B. Preparation of Substituted Heteroaryl Fused Derivatives as PI3K Inhibitors. WO2011075643A1, 2011.
- (138) Combs, A. P.; Sparks, R. B.; Yue, E. W. Preparation of Imidazopyridinylethylpurinamine Derivatives and Analogs for Use as PI3K Inhibitors. WO2011130342A1, 2011.
- (139) (a) Li, Y.-L.; Combs, A. P. Fused Pyrrole Derivatives as PI3K Inhibitors U.S. Pat. Appl. 20110312979A1, 2011. (b) Li, Y.-L.; Combs, A. P.; Yue, E. W.; Li, H.-Y. Preparation of Substituted Fused Aryl and Heteroaryl Derivatives as PI3K Inhibitors. WO2011075630A1, 2011.
- (140) Pathway Therapeutics. <http://www.pathwaytx.com/>.
- (141) Mattheakis, L.; Kearney, P.; Jaegar, C.; Plonowski, A.; Zhang, J.; Yu, P. Presented at the 22nd EORTC-NCI-AACR Symposium on Molecular Targets and Cancer Therapeutics, Berlin, Germany, November 16–19, 2010; Abstract 219.
- (142) Kearney, P. Preparation of Heterocycl-Substituted Purine Derivatives as Inhibitors of PI3K-δ for the Treatment of Cancer. WO2012037226A1, 2012.
- (143) Leahy, J. W. Preparation of Heterocycl-Substituted Purine Derivatives as Inhibitors of PI3K-δ for the Treatment of Cancer. WO2012037204A1, 2012.
- (144) Fowler, K. W.; Huang, D.; Kesicki, E. A.; Ooi, H. C.; Oliver, A. R.; Ruan, F.; Treiberg, J. Preparation of Purine Quinazolinones as Inhibitors of Human Phosphatidylinositol 3-Kinase δ. WO2005113556A1, 2005.
- (145) Ikeda, H.; Hideshima, T.; Fulciniti, M.; Perrone, G.; Miura, N.; Yasui, H.; Okawa, Y.; Kiziltepe, T.; Santo, L.; Vallet, S.; Cristea, D.; Calabrese, E.; Gorgun, G.; Raju, N. S.; Richardson, P.; Munshi, N. C.; Lannutti, B. J.; Puri, K. D.; Giese, N. A.; Anderson, K. C. PI3K/p110δ Is a Novel Therapeutic Target in Multiple Myeloma. *Blood* **2010**, *116*, 1460–1468.
- (146) Gallatin, M. W.; Ulrich, R. G.; Giese, N. Use of Quinazoline Derivatives for the Treatment of Hematologic Malignancies, Inflammatory and Autoimmune Disorders. WO2010057048A1, 2010.
- (147) Flinn, I. W.; Byrd, J. C.; Furman, R. R.; Brown, J. R.; Benson, D. M.; Coutre, S. E.; Kahl, B. S.; Smith, B. D.; Wagner-Johnston, N. D.; Spurgeon, S. E.; Giese, N. A.; Yu, A. S. Presented at the American Society of Hematology Annual Meeting, New Orleans, LA, U.S., 2009; Abstract 922.
- (148) Webb, H. K.; Chen, H.; Yu, A. S.; Peterman, S.; Holes, L.; Lannutti, B.; Miller, L. L.; Ulrich, R. G. Presented at the American Society of Hematology Annual Meeting, Orlando, FL, U.S., 2010; Abstract 1774.
- (149) National Institutes of Health. Identifier: NCT00836914, ClinicalTrials.gov.
- (150) National Institutes of Health. Identifier: NCT01066611. ClinicalTrials.gov.
- (151) Ulrich, R. G. Treatment of Liver Disorders with PI3K Inhibitors. WO2011011550A1, 2011.
- (152) Sadhu, C.; Dick, K.; Tino, W. T.; Staunton, D. E. Selective Role of PI3Kδ in Neutrophil Inflammatory Responses. *Biochem. Biophys. Res. Commun.* **2003**, *308*, 764–769.
- (153) Quattropani, A.; Dorbais, J.; Covini, D.; Desforges, G.; Rueckle, T. Thiazole Derivatives and Use Thereof. U.S. Pat. Appl. 20080188531A1, 2008.
- (154) Barvian, N. C.; Kolz, C. N.; Para, K. S.; Patt, W. C.; Visnick, M. Preparation of Benzoxazin-3-ones and Derivatives as Inhibitors of PI3K Kinase for Treating Inflammations, Cardiovascular Diseases and Cancers. WO2004052373A1, 2004.
- (155) Connolly, M.; Gogliotti, R. D.; Lee, H. T.; Plummer, M. S.; Sexton, K. E.; Visnick, M. Preparation of N-Tetrazolyl Benzo[b]-thiophenecarboxamides as Phosphoinositide-3-kinase (PI3K) Inhibitors for the Treatment of Cancer, Inflammatory and Cardiovascular Diseases. WO2004108713A1, 2004.
- (156) Connolly, M. K.; Gogliotti, R. D.; Plummer, M. S.; Visnick, M. Preparation of Morpholinyl-Pyrimidine Derivatives as Inhibitors of Phosphoinositide-3-kinases. WO2005042519A1, 2005.
- (157) Wilson, F.; Ramsden, N.; Bell, K.; Cansfield, A.; Burckhardt, S.; Taylor, J.; Sunose, M.; Middlemiss, D. Preparation of (Aryltriazolopyridinyl)amine Derivatives for Use as Kinase Inhibitors. WO2008025821A1, 2008.
- (158) Wang, T.; Green, J.; Cornebise, M.; Ledford, B.; Parsons, J.; Tanner, A.; Westcott, J. Preparation of Thiazolyl Furan-2-carboxylate Derivatives as Inhibitors of Phosphatidylinositol 3-Kinase. WO2008027584A2, 2008.
- (159) Aronov, A.; Bandarage, U. K.; Cottrell, K.; Davies, R.; Krueger, E.; Ledboer, M.; Ledford, B.; Le, T. A.; Liao, Y.; Messersmith, D.; Wang, T.; Xu, J. Preparation of Tetrahydrothiazolopyridine Derivatives for Use as Phosphatidylinositol 3-Kinase Inhibitors. WO2010096389A1, 2010.
- (160) Wang, T.; Aronov, A.; Cornebise, M.; Maltais, F.; Ledboer, M.; Le, T. A.; Marone, V.; Messersmith, D.; Cottrell, K. Pyridinylbenzothiazole-urea Derivatives as Phosphatidylinositol 3-Kinase Inhibitors and Their Preparation, Pharmaceutical Compositions and Use in the Treatment of Diseases. WO2009129211A1, 2009.
- (161) Aronov, A.; Come, J.; Cottrell, K.; Grey, R.; LeTiran, A.; Marone, V.; Martinez-Botella, G.; Messersmith, D.; Huck, E. P. Preparation of Benzothiazole Derivatives for Use as PI3K Inhibitors and Useful in the Treatment of Autoimmune Diseases. WO2010135014A1, 2010.
- (162) Bruce, I.; Hayler, J. F.; Bloomfield, G. C.; Edwards, L.; Cox, B.; Howsham, C. Preparation of Pyrazolo[3,4-d]pyrimidine Derivatives for Treating Respiratory Disorders. WO2007134828A1, 2007.
- (163) Bloomfield, G. C.; Bruce, I.; Hayler, J. F.; Leblanc, C.; Le, G. D. M.; McCarthy, C. Preparation of Phenylthiazolylureas as Inhibitors of Phosphatidylinositol 3-Kinase. WO2005021519A2, 2005.
- (164) Bruce, I.; Budd, E.; Edwards, L.; Howsham, C. Preparation of Pyrazine Compounds as Inhibitors of Phosphatidylinositol 3-Kinase Enzymes in the Treatment of Inflammatory and Allergic Conditions. WO2009115517A2, 2009.
- (165) Moffat, D. C. F.; Davies, S.; Alesso, S. M.; Launay, D. F. M. Preparation of 4-Methyl-5-(alkylsulfonylphenyl)thiazoles, Particularly Amino Acid Derivatives of Thiazoles, as Inhibitors of PI3 Kinase Useful for Treating Autoimmune, Inflammatory and Proliferative Diseases. WO2007129048A1, 2007.
- (166) Grauert, M.; Maier, U.; Hoffmann, M.; Scheuerer, S.; Joergensen, A. T.; Pautsch, A.; Brandl, T.; Hoenke, C.; Breitfelder,

- S.; Erb, K.; Pieper, M.; Pragst, I. Thiazolyl-dihydro-indazoles. U.S. Pat. Appl. 20090093474A1, 2009.
- (167) Rueckle, T.; Quattropiani, A.; Pomel, V.; Dorbais, J.; Covini, D.; Bischoff, A. Preparation of Pyridine Methylene Thioxothiazolidinones as Phosphoinositide Inhibitors. WO2006024666A1, 2006.
- (168) Taniyama, D.; Kano, K.; Ishibashi, K.; Endoh, T. Preparation of Pyrimidine Derivative and Pyridine Derivative Both Having Phosphatidyl Inositol-3 Kinase (PI3K) Inhibitory Activity. WO2010061903A1, 2010.
- (169) Fujioka, M.; Mitsumori, S.; Kugimiya, A.; Taniyama, D. Preparation of 3,4-Dihydro-2H-pyrido[3,2-b]-1,4-oxazine Derivatives as PI3K Inhibitors for Treatment of Inflammation. WO2010027002A1, 2010.
- (170) Leahy, J. W.; Buhr, C. A.; Johnson, H. W. B.; Kim, B. G.; Baik, T.; Cannoy, J.; Forsyth, T. P.; Jeong, J. W.; Lee, M. S.; Ma, S.; Noson, K.; Wang, L.; Williams, M.; Nuss, J. M.; Brooks, E.; Foster, P.; Goon, L.; Heald, N.; Holst, C.; Jaeger, C.; Lam, S.; Loughheed, J.; Nguyen, L.; Plonowski, A.; Song, J.; Stout, T.; Wu, X.; Yakes, M. F.; Yu, P.; Zhang, W.; Lamb, P.; Raeber, O. Discovery of a Novel Series of Potent and Orally Bioavailable Phosphoinositide 3-Kinase γ Inhibitors. *J. Med. Chem.* **2012**, *55*, 5467–5482.
- (171) Alexander, R.; Balasundaram, A.; Batchelor, M.; Brookings, D.; Crépy, K.; Crabbe, T.; Deltent, M.-F.; Driessens, F.; Gill, A.; Harris, S.; Hutchinson, G.; Kulisa, C.; Merriman, M.; Mistry, P.; Parton, T.; Turner, J.; Whitcombe, I.; Wright, S. 4-(1,3-Thiazol-yl)morpholine Derivative as Inhibitors of Phosphoinositide 3-Kinase. *Bioorg. Med. Chem. Lett.* **2008**, *18*, 4316–4320.
- (172) Perry, B.; Alexander, R.; Bennett, G.; Buckley, G.; Ceska, T.; Crabbe, T.; Dale, V.; Gowers, L.; Horsley, H.; James, L.; Jenkins, K.; Crépy, K.; Kulisa, C.; Lightfoot, H.; Lock, C.; Mack, S.; Morgan, T.; Nicolas, A.-L.; Pitt, W.; Sabin, V.; Wright, S. Achieving Multi-Isoform PI3K Inhibition in a Series of Substituted 3,4-Dihydro-2H-benzo-[1,4]oxazines. *Bioorg. Med. Chem. Lett.* **2008**, *18*, 4700–4704.
- (173) Perry, B.; Beevers, R.; Bennett, G.; Buckley, G.; Crabbe, T.; Gowers, L.; James, L.; Jenkins, K.; Lock, C.; Sabin, V.; Wright, S. Optimization of a Series of Multi-Isoform PI3 Kinase Inhibitors. *Bioorg. Med. Chem. Lett.* **2008**, *18*, 5299–5302.
- (174) Cardin, D. P.; Gaulin, J. L.; Greenspan, P. D.; Vyskocil, S.; Xu, T.; Renou, C. C. Preparation of Thiophene or Thiazole Derivatives and Their Use as PI3K Inhibitors. WO2009154741A1, 2009.
- (175) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Simpson, J. K.; Smethurst, C. A. P. Preparation of 4-Amino-1H-indazole Compounds as Inhibitors of PI3-Kinases for Treatment of Asthma and COPD. WO2009147190A1, 2009.
- (176) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Jones, P. S.; Le, J.; Parr, N. J.; Ritchie, T. J.; Robinson, J. E.; Simpson, J. K.; Smethurst, C. A. P. Preparation of Indolylindazole Derivatives for Use as PI3-Kinase Inhibitors. WO2009147189A1, 2009.
- (177) Hamblin, J. N.; Harrison, Z. A.; Jones, P. S.; Keeling, S. E.; Le, J.; Lunniss, C. J.; Parr, N. J. Preparation of 4-(Oxadiazol-2-yl)indazoles as Inhibitors of PI3 Kinase. WO2010102958A1, 2010.
- (178) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Robinson, J. E.; Simpson, J. K.; Smethurst, C. A. P. Benzopyrazole Derivatives as PI3 Kinase Inhibitors and Their Preparation, Pharmaceutical Compositions and Use in the Treatment of Diseases. WO2009147188A1, 2009.
- (179) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Jones, K. L.; Jones, P. S.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Robinson, J. E.; Simpson, J. K.; Smethurst, C. A. P. Indazole Derivatives as PI3 Kinase Inhibitors and Their Preparation and Use for the Treatment of PI3 Kinase-Mediated Diseases. WO2011067365A1, 2011.
- (180) Baldwin, I. R.; Down, K. D.; Faulder, P.; Gaines, S.; Hamblin, J. N.; Jones, K. L.; Le, J.; Lunniss, C. J.; Parr, N. J.; Ritchie, T. J.; Robinson, J. E.; Smethurst, C. A. P. Indazole Derivatives as PI3 Kinase Inhibitors and Their Preparation and Use for the Treatment of PI3 Kinase-Mediated Diseases. WO2011067366A1, 2011.
- (181) Williams, O.; Houseman, B. T.; Kunkel, E. J.; Aizenstein, B.; Hoffman, R.; Knight, Z. A.; Shokat, K. M. Discovery of Dual Inhibitors of the Immune Cell PI3Ks P110 δ and P110 γ : A Prototype for New Anti-Inflammatory Drugs. *Chem. Biol.* **2010**, *17*, 123–134.
- (182) Ren, P.; Liu, Y.; Wilson, T. E.; Chan, K.; Rommel, C.; Li, L. Preparation of Pyrazolo Pyrimidines and Other Heterocyclic Compounds as Therapeutic PI3 Kinase Modulators. WO2009088990A1, 2009.
- (183) Infinity Pharmaceuticals. <http://www.infi.com/>.
- (184) Ihle, N. T.; Powis, G. Take Your PIK: Phosphatidylinositol 3-Kinase Inhibitors Race through the Clinic and toward Cancer Therapy. *Mol. Cancer Ther.* **2009**, *8*, 1–9.
- (185) Walker, E. H.; Perisic, O.; Ried, C.; Stephens, L.; Williams, R. L. Structural Insights into Phosphoinositide 3-Kinase Catalysis and Signaling. *Nature* **1999**, *402*, 313–320.
- (186) Walker, E. H.; Pacold, M. E.; Perisic, O.; Stephens, L.; Hawkins, P. T.; Wymann, M. P.; Williams, R. L. Structural Determinants of Phosphoinositide 3-Kinase Inhibition by Wortmannin LY294002, Quercetin, Myricetin, and Staurosporine. *Mol. Cell* **2000**, *6*, 909–919.
- (187) Akritopoulou-Zanze, I.; Hajduk, P. J. Kinase-Targeted Libraries: The Design and Synthesis of Novel, Potent, and Selective Kinase Inhibitors. *Drug Discovery Today* **2009**, *14*, 291–297.
- (188) Zhang, X.; Vadas, O.; Perisic, O.; Anderson, K. E.; Clark, J.; Hawkins, P. T.; Stephens, L. R.; Williams, R. L. Structure of Lipid p110 β /p85 β Elucidates an Unusual SH2-Domain-Mediated Inhibitory Mechanism. *Mol. Cell* **2011**, *41*, 567–578.
- (189) Hon, W. C.; Berndt, A.; Williams, R. L. Regulation of Lipid Binding Underlies the Activation Mechanism of Class IA PI3-Kinases. *Oncogene* **2012**, *31*, 3655–3666.
- (190) Huang, C.-H.; Mandelker, D.; Schmidt-Kittler, O.; Samuels, Y.; Velculescu, V. E.; Kinzler, K. W.; Vogelstein, B.; Gabelli, S. B.; Amzel, L. M. The Structure of a Human p110 α /p85 α Complex Elucidates the Effects of Oncogenic PI3K α Mutations. *Science* **2007**, *318*, 1744–1748.
- (191) Mandelker, D.; Gabelli, S. B.; Schmidt-Kittler, O.; Zhu, J.; Cheong, I.; Huang, C.-H.; Kinzler, K. W.; Vogelstein, B.; Amzel, L. M. A Frequent Kinase Domain Mutation That Changes the Interaction between PI3K α and the Membrane. *Proc. Natl. Acad. Sci. U.S.A.* **2009**, *106*, 16996–17001.
- (192) Amzel, L. M.; Huang, C.-H.; Mandelker, D.; Lengauer, C.; Gabelli, S. B.; Vogelstein, B. Structural Comparisons of Class I Phosphoinositide 3-Kinases. *Nat. Rev. Cancer* **2008**, *8*, 665–669.
- (193) Kuang, R.-R.; Qian, F.; Li, Z.; Wei, D.-Z. Study on Improving the Selectivity of Compounds That Inhibit Two PI3Ks (gamma and delta). *J. Mol. Model.* **2006**, *12*, 445–452.
- (194) Zvelebil, M. J.; Waterfield, M. D.; Shuttleworth, S. J. Structural Analysis of PI3-Kinase Isoforms: Identification of Residues Enabling Selective Inhibition by Small Molecule ATP-Competitive Inhibitors. *Arch. Biochem. Biophys.* **2008**, *477*, 404–410.
- (195) Sinnamon, R. H.; McDevitt, P.; Pietrak, B. L.; Leydon, V. R.; Xue, Y.; Lehr, R.; Qi, H.; Burns, M.; Elkins, P.; Ward, P.; Vincentini, G.; Fisher, D.; Grimes, M.; Brandt, M.; Auger, K. R.; Ho, T.; Johanson, K.; Jones, C. S.; Schwartz, B.; Sweitzer, T. D.; Kirkpatrick, R. B. Baculovirus Production of Fully-Active Phosphoinositide 3-Kinase alpha as a p85 α -p110 α Fusion for X-ray Crystallographic Analysis with ATP Competitive Enzyme Inhibitors. *Protein Expression Purif.* **2010**, *73*, 167–176.