

DIVISION DE NUEVAS CREACIONES

RADICACIÓN EXPEDIENTE No 03-021895

EL EXTRACTO DE LA PRESENTE SOLICITUD DE **PATENTE DE INVENCION**

FUE PUBLICADO EN LA GACETA DE PROPIEDAD INDUSTRIAL No **545** DE

FECHA **29 DE OCTUBRE DE 2004** EL TERMINO HABIL EÑALADO POR LA

LEY EXPIRA EL **27 DE ENERO DEL 2005**

NOTA: Dentro del plazo de los seis (6) meses siguientes a partir de la fecha de publicación en la gaceta de Propiedad Industrial, deberá solicitar y cancelar el examen de patentabilidad so pena de que la solicitud caiga en abandono, de acuerdo con lo establecido en el Artículo 44 de la Decisión 486/2000.

SE PRESENTARON OPOSICIONES POR PARTE DE TERCEROS.

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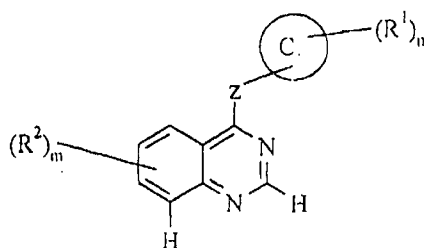
NO ☒ _ _ _ _ _



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : C07D 403/12, 401/14, 405/14, 403/14, A61K 31/517	A1	(11) International Publication Number: WO 00/21955 (43) International Publication Date: 20 April 2000 (20.04.00)
(21) International Application Number: PCT/GB99/03295 (22) International Filing Date: 5 October 1999 (05.10.99) (30) Priority Data: 98402496.8 8 October 1998 (08.10.98) EP (71) Applicants (for all designated States except US): ZENECA LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB). ZENECA-PHARMA S.A. [FR/FR]; "Le Galien", 1, rue des Chauffours, Boîte postale 127, F-95022 Cergy Cedex (FR). (72) Inventors; and (75) Inventors/Applicants (for US only): HENNEQUIN, Laurent, François, André [FR/FR]; Z.I. La Pompelle, Boîte postale 1050, F-51689 Reims Cedex 2 (FR). PASQUET, Georges [FR/FR]; Z.I. La Pompelle, Boîte postale 1050, F-51689 Reims Cedex 2 (FR). (74) Agent: BRYANT, Tracey; AstraZeneca plc, Global Intellectual Property, Patents, Alderley Park, Mereside, Macclesfield, Cheshire SK10 4TG (GB).		(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>

(54) Title: QUINAZOLINE DERIVATIVES



(I)

(57) Abstract

The invention relates to the use of compounds of formula (I), wherein: ring C is a 5-6-membered heterocyclic moiety; Z is -O-, -NH-, -S- or -CH₂-; R¹ is hydrogen, C₁₋₄alkyl, C₁₋₄alkoxymethyl, di(C₁₋₄alkoxy)methyl, C₁₋₄alkanoyl, trifluoromethyl, cyano, amino, C₂₋₃alkenyl, C₂₋₃alkynyl, carboxy, C₃₋₇cycloalkyl, C₃₋₇cycloalkyl C₁₋₃alkyl, or an optionally substituted group selected from phenyl, benzyl, phenylC₂₋₄alkyl and a 5-6-membered heterocyclic group; n is an integer from 0 to 5; m is an integer from 0 to 3; R² represents hydrogen, hydroxy, halogeno, cyano, nitro, trifluoromethyl, C₁₋₃alkyl, C₁₋₃alkoxy, C₁₋₃alkylsulphonyl, -NR³R⁴ (wherein R³ and R⁴, which may be the same or different, each represents hydrogen or C₁₋₃alkyl), or R⁵X¹- (wherein X¹ represents a direct bond, -CH₂-, or a heteroatom linker group and R⁵ is an alkyl, alkenyl or alkynyl chain optionally substituted by for example hydroxy, amino, nitro, alkyl, cycloalkyl, alkoxyalkyl, or an optionally substituted group selected from pyridone, phenyl and a heterocyclic ring, which alkyl, alkenyl or alkynyl chain may have a heteroatom linker group, or R⁵ is an optionally substituted group selected from pyridone, phenyl and a heterocyclic ring, and salts thereof, in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, processes for the preparation of such compounds, pharmaceutical compositions containing a compound of formula (I) or a pharmaceutically acceptable salt thereof as active ingredients and compounds of formula (I). The compounds of formula (I) and the pharmaceutically acceptable salts thereof inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis.



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : C07D 239/48, 401/12, 239/50, A61K 31/505, A61P 35/00	A1	(11) International Publication Number: WO 00/39101 (43) International Publication Date: 6 July 2000 (06.07.00)
(21) International Application Number: PCT/GB99/04325 (22) International Filing Date: 20 December 1999 (20.12.99) (30) Priority Data: 9828511.7 24 December 1998 (24.12.98) GB (71) Applicant (for all designated States except US): ASTRAZENECA UK LIMITED [GB/GB]; 15 Stanhope Gate, London W1Y 6LN (GB). (72) Inventors; and (75) Inventors/Applicants (for US only): BRADBURY, Robert, Hugh [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). BREAUULT, Gloria, Anne [US/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). JEWSEBURY, Philip, John [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). PEASE, Janet, Elizabeth [GB/GB]; Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). (74) Agent: BRYANT, Tracey; AstraZeneca UK Limited, Global Intellectual Property, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB).		(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>With international search report.</i>

(54) Title: PYRIMIDINE COMPOUNDS**(57) Abstract**

A pyrimidine derivative of formula (I); wherein: R^1 is an optional substituent as defined within; R^x is selected from halo, hydroxy, nitro, amino, cyano, mercapto, carboxy, sulphonyl, formamido, ureido or carbamoyl or a group of formula (Ib); A-B-C as defined within; Q_1 and Q_2 are independently selected from aryl, a 5- or 6-membered monocyclic moiety; and a 9- or 10-membered bicyclic heterocyclic moiety; and one or both of Q_1 and Q_2 bears on any available carbon atom one substituent of formula (Ia) as defined within; and Q_1 and Q_2 are optionally further substituted; or a pharmaceutically acceptable salt or *in vivo* hydrolysable ester thereof; are useful as anti-cancer agents; and processes for their manufacture and pharmaceutical compositions containing them are described.

