

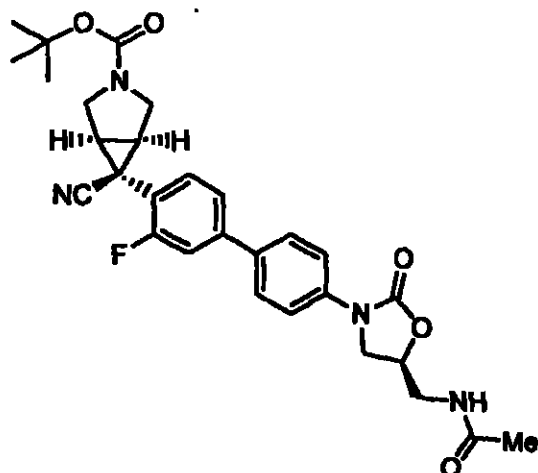
373 ~~22~~
376

The title compound 9 (278 mg) was prepared from 1-[5(R)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole (500 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 446 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₃H₂₁FN₇O₇ (MH⁺): calcd, 446.1741; found, 446.1733.

EXAMPLE 10



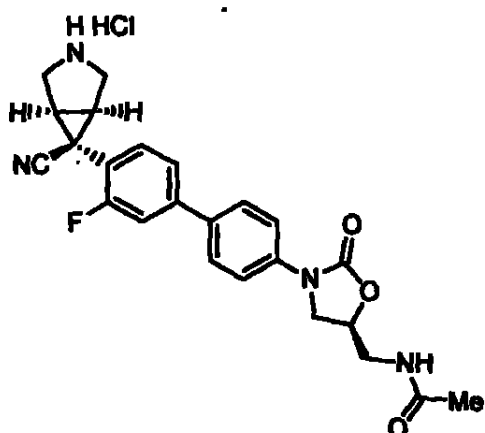
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 10 (24.9 mg) was prepared from N-[5(S)-3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (18.9 mg) and 1-bromo-4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorobenzene (20.0 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 535 (MH⁺).

HRMS (FAB⁺) for C₂₉H₃₂FN₄O₅ (MH⁺): calcd, 535.2357; found, 535.2375.

EXAMPLE 11



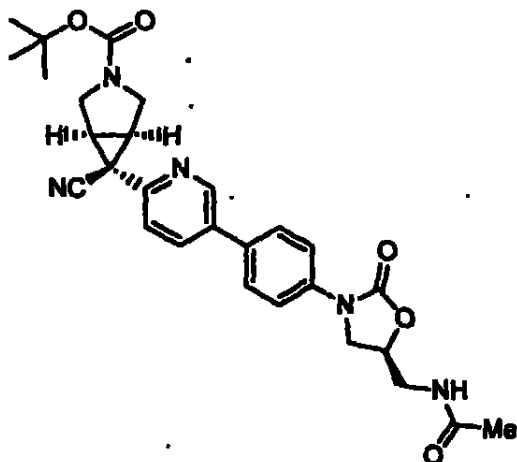
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

The title compound 11 (281 mg) was prepared from N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (360 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 435 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₄H₂₄FN₄O₃ (MH⁺): calcd, 435.1832; found, 435.1821.

EXAMPLE 12



N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-*t*-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

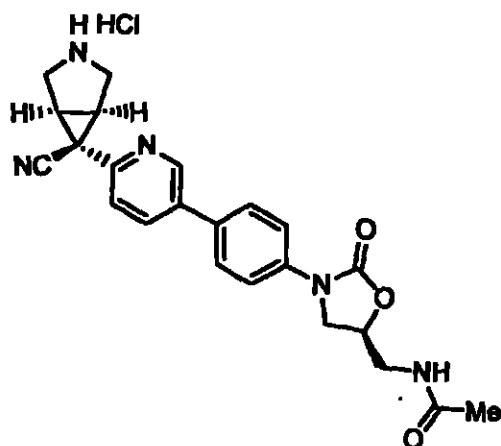
37A 23
37A

The title compound 12 (20.3 mg) was prepared from N-[5(S)-3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (20.0 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (20.2 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 518 (MH⁺).

HRMS (FAB⁺) for C₂₈H₃₂N₅O₃ (MH⁺): calcd, 518.2403; found, 518.2412.

EXAMPLE 13



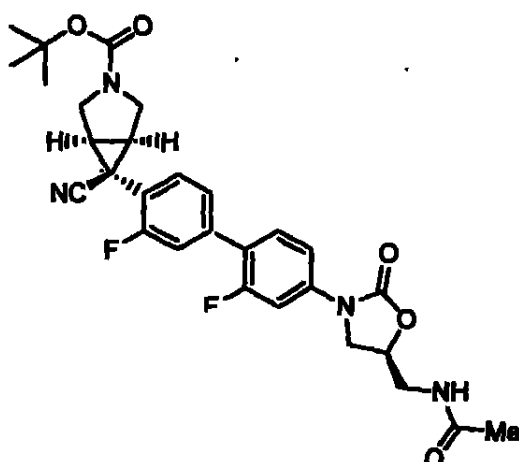
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

The title compound 13 (254 mg) was prepared from N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (366 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 418 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₃H₂₄N₅O₃ (MH⁺): calcd, 418.1879; found, 418.1885.

EXAMPLE 14



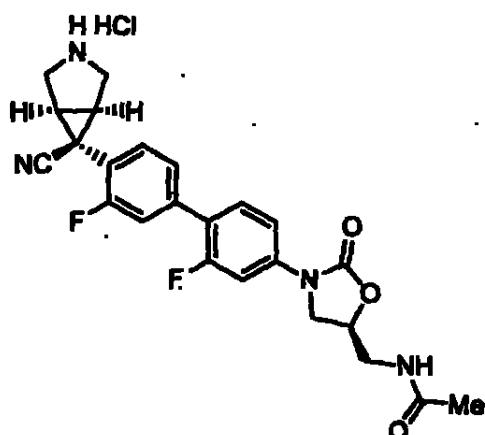
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 14 (24.9 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (20.0 mg) and 1-bromo-4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorobenzene (20.2 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 553 (MH⁺).

HRMS (FAB⁺) for C₂₈H₃₁F₂N₄O₅ (MH⁺): calcd, 553.2263; found, 553.2250.

EXAMPLE 15



N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

376 34
370

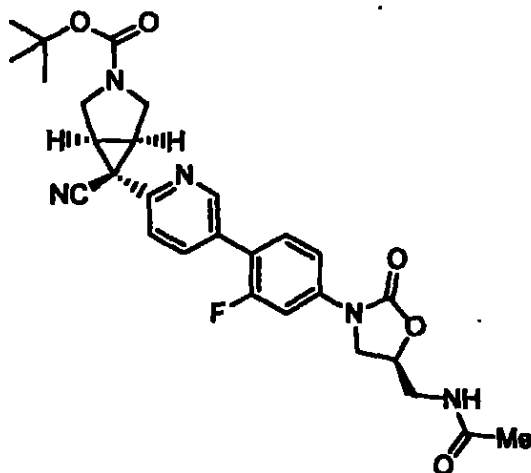
The title compound 15 (290 mg) was prepared from N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]-3-fluorophenyl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (367 mg)

in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 453 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₄H₂₃F₂N₄O₃ (MH⁺): calcd, 453.1738; found, 453.1747.

EXAMPLE 16



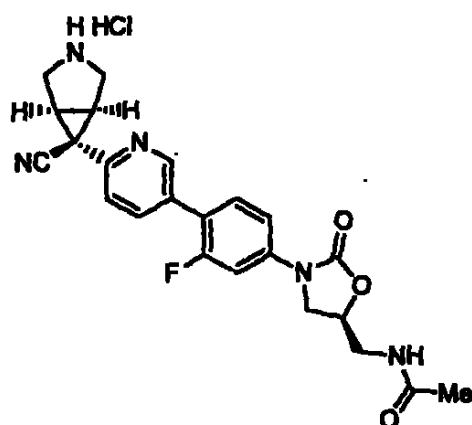
N-[5(S)-3-[4-[4-[(1 α ,5 α ,6 β)-3-t-Butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

The title compound 16 (354 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (350 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-3-t-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (337 mg) in the same manner as described for EXAMPLE 1.

MS (FAB⁺) *m/z*: 536 (MH⁺).

HRMS (FAB⁺) for C₂₈H₃₁FN₅O₅ (MH⁺): calcd, 536.2309; found, 536.2296.

EXAMPLE 17



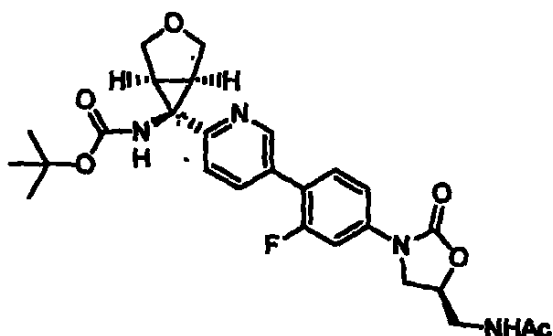
N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide Hydrochloride.

The title compound 17 (259 mg) was prepared from N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-3-*t*-butoxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (400 mg) in the same manner as described for EXAMPLE 2.

MS (FAB⁺) *m/z*: 436 (MH⁺) (as free base).

HRMS (FAB⁺) for C₂₃H₂₃FN₅O₃ (MH⁺): calcd, 436.1785; found, 436.1776.

EXAMPLE 18



N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-*t*-Butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

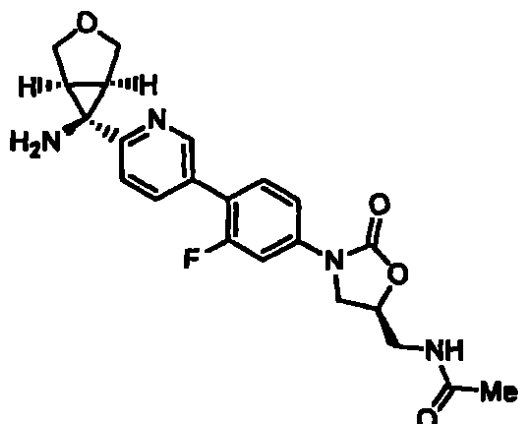
The title compound 18 (62.7 mg) was prepared from N-[5(S)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolyl)phenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (67.2 mg) and 5-bromo-2-[(1 α ,5 α ,6 β)-6-*t*-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridine (63.1 mg) in the same manner as described for EXAMPLE 1.

370 35
379

MS (FAB⁺) *m/z*: 527 (MH⁺).

HRMS (FAB⁺) for C₂₇H₃₂FN₄O₆ (MH⁺): calcd, 527.2306; found, 527.2329.

EXAMPLE 19



N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-Amino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide.

To a solution of N-[5(S)-3-[4-[2-[(1 α ,5 α ,6 β)-6-t-butoxycarbonylamino-3-oxabicyclo[3.1.0]hexan-6-yl]pyridin-5-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]acetamide (52.0 mg) in dichloromethane (1 mL) was added trifluoroacetic acid (0.5 mL) at 0 °C, the mixture was stirred at room temperature for 2 hours. After quenching the reaction by addition of saturated sodium hydrogencarbonate solution, the mixture was extracted with chloroform-methanol (9:1) solution. The organic extracts were dried over anhydrous magnesium sulfate, and then concentrated in vacuo. Flash chromatography (NH silica, dichloromethane : methanol = 20:1) of the residue gave title compound 19 (36.7 mg).

MS (EI⁺) *m/z*: 426 (M⁺).

HRMS (EI⁺) for C₂₂H₂₃FN₄O₄ (M⁺): calcd, 426.1703; found, 426.1741.