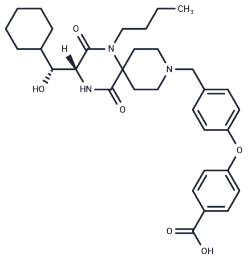
Data Sheet (Cat.No.T14307)

TargetMol

Aplaviroc

Chemical Properties



CAS No. : 461443-59-4

Formula: C33H43N3O6

Molecular Weight: 577.71

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Aplaviroc (AK 602), an SDP derivative, is a CCR5 antagonist with IC50 values of 0.1-0.4 nM for HIV-1Ba-L, HIV-1JRFL, and HIV-1MOKW.
Targets(IC50)	HIV Protease,CCR
In vitro	Aplaviroc showed an IC50 value of 0.1 to 0.4 nM for the three wild-type R5 HIV-1 strains (HIV-1Ba-L, HIV-1JRFL, and HIV-1MOKW) and effectively blocked rgp120/sCD4 and CCR5 with an IC50 value of 2.7 nM; Aplaviroc inhibited the infectivity and replication of the two HIV-1MDR variants, HIV-1MM and HIV-1JSL, at very low concentrations (IC50 value 0.4 to 0.6 nM), while the two R5 HIV-1 variants inhibited zidovudine, nelfinavir, and nafenacil. Aplaviroc inhibits the infectivity and replication of the two HIV-1MDR variants, HIV-1MM and HIV-1JSL, at very low concentrations (IC50 values of 0.4 to 0.6 nM), resulting in AplavirocKd values of 2.9±1.0 nM, respectively; Aplaviroc's potent activity against R5 HIV-1 stems from its high-affinity binding to ECL2B and/or its neighboring regions, which leads to inhibition of the interaction between rgp120/CD4 and CCR5. gp120/CD4 binding to CCR5 [1].
In vivo	The concentration of apraviroc (AK602) reaches maximum concentration immediately after intraperitoneal administration and decreases rapidly [2];Aplaviroc (AK602, 60 mg/kg, bid, daily) inhibits R5 HIV-1 viremia in hu-PBMC-NOG mice[2].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.731 mL	8.6549 mL	17.3097 mL
5 mM	0.3462 mL	1.731 mL	3.4619 mL
10 mM	0.1731 mL	0.8655 mL	1.731 mL
50 mM	0.0346 mL	0.1731 mL	0.3462 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Maeda K, et al. Spirodiketopiperazine-based CCR5 inhibitor which preserves CC-chemokine/CCR5 interactions and exerts potent activity against R5 human immunodeficiency virus type 1 in vitro. J Virol. 2004 Aug;78(16):8654-62.
Nakata H, et al. Potent anti-R5 human immunodeficiency virus type 1 effects of a CCR5 antagonist, AK602/ONO4128/GW873140, in a novel human peripheral blood mononuclear cell nonobese diabetic-SCID, interleukin-2 receptor gamma-chain-knocked-out AIDS mouse model. J Virol. 2005 Feb;79(4):2087-96. doi: 10.1128/JVI.79.4.2087-2096.2005.

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