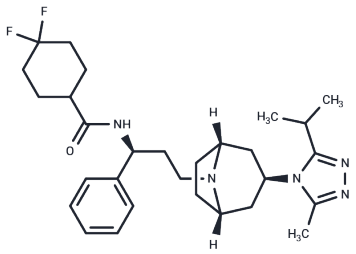
Data Sheet (Cat.No.T6016)

TargetMol
YOUR TARGET MOLECULES

Maraviroc

Chemical Properties



CAS No. : 376348-65-1

Formula: C29H41F2N5O

Molecular Weight: 513.67

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Maraviroc (Selzentry) is a C-C Chemokine Receptor Type 5 (CCR5) antagonist, and for MIP-1α(IC50=3.3 nM), MIP-1β (IC50=7.2 nM) and RANTES(IC50=5.2 nM).Maraviroc inhibits HIV-1 entry via CCR5 coreceptor interaction.
Targets(IC50)	HIV Protease,CCR
In vitro	In canines, oral administration of Maraviroc at a dosage of 2 mg/kg resulted in peak concentrations of 256 ng/ml at 1.5 hours with a bioavailability of 40%. In rats, the half-life of Maraviroc was approximately 0.9 hours, with around 30% of the administered dose being absorbed from the intestine. Experiments in female RAG-hu mice demonstrated that Maraviroc provided complete protection against HIV-1 infection.
In vivo	Maraviroc (IC50=7-30 nM) inhibits the downstream intracellular calcium redistribution induced by chemokines in MIP-1β, MIP-1α, and RANTES.
Kinase Assay	Inhibition of chemokine binding to CCR5: Binding of 125I-labeled MIP-1α, MIP-1β, and RANTES to CCR5 is measured using intact HEK-293 cells stably expressing the receptor or membrane preparations thereof. Briefly, cells are resuspended in binding buffer (50 mM HEPES containing 1 mM CaCl2, 5 mM MgCl2, and 0.5% bovine serum albumin [BSA] and adjusted to pH 7.4) to a density of 2 × 106 cells/ml. For membrane preparations, phosphate-buffered saline (PBS)-washed cells are resuspended in lysis buffer (20 mM HEPES, 1 mM CaCl2, 1 tablet COMPLETE per 50 mL, pH 7.4) prior to homogenization in a Polytron hand-held homogenizer, ultracentrifugation (40,000× g for 30 min), and resuspension in binding buffer to a protein concentration of 0.25 mg/mL (12.5 µg of membrane protein is used in each well of a 96-well plate). 125I-radiolabeled MIP-1α, MIP-1β, and RANTES are prepared and diluted in binding buffer to a final concentration of 400 pM in the assay. Maraviroc dilutions are added to each well to a final volume of 100 µL, the assay plates incubate for 1 hour, and the contents filter through preblocked and washed Unifilter plates which are counted following overnight drying.
Cell Research	Drug susceptibility assays are performed in 24-well tissue culture plates. Duplicate eight-point dilution series of Maraviroc are prepared in DMSO and medium to yield a final DMSO concentration of 0.1% (vol/vol) in the assay. PHA-stimulated PBMC or PM-1 cells are infected with virus for 1 hour at 37 °C. Cells are subsequently washed once, and 3.6 × 105 PBMC or 2.0 × 105 PM-1 cells are added to each well of assay plates containing diluted Maraviroc. Plates are incubated for 5 days (lab-adapted strains) or 7 days (primary isolates) at 37 °C in a humidified 5% CO2 (vol/vol)

	atmosphere.(Only for Reference)

Solubility Information

Solubility	DMSO: 55 mg/mL (107.07 mM), Ethanol: 51.4 mg/mL (100 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9468 mL	9.7339 mL	19.4678 mL
5 mM	0.3894 mL	1.9468 mL	3.8936 mL
10 mM	0.1947 mL	0.9734 mL	1.9468 mL
50 mM	0.0389 mL	0.1947 mL	0.3894 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.

Reference

Dorr P, et al. Antimicrob Agents Chemother. 2005, 49(11), 4721-4732.
Yang J Y, Zhang J, Lu R, et al. T cell-derived exosomes induced macrophage inflammatory protein-1α/β drive the