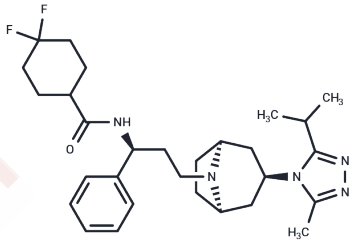
Data Sheet (Cat.No.T6016)

TargetMol

Maraviroc

Chemical Properties



CAS No. : 376348-65-1

Formula: C29H41F2N5O

Molecular Weight: 513.67

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	Maraviroc (UK-427857, Selzentry) belongs to small molecule drugs and is a C-C chemokine receptor 5 antagonist with oral activity and selectivity. This compound is used for the treatment of HIV-1 infection and has also shown anti-inflammatory, neuroprotective, and potential antitumor synergistic effects in research.
Targets(IC50)	HIV Protease,CCR
In vitro	**Methods**: TZM-bl cells were used to incubate serially diluted Maraviroc with HIV-1 Ba-L strain for 48 hours, followed by detection via luciferase assay. **Results**: The EC50 value of Maraviroc was 0.015 μM, with no activity against CXCR4-tropic strains, indicating its specific CCR5 antagonism. [1]
In vivo	**Methods**: A humanized NOD/scid-IL-2Rγc null mouse model was used, with HIV-1ADA infection established via intraperitoneal injection. Maraviroc was administered via intraperitoneal injection at a dose of 120 mg/kg twice daily for 3 weeks, with DMSO:PBS mixture as solvent. **Results**: Maraviroc significantly reduced viral loads in blood and brain tissue, alleviated immunosuppression, decreased Aβ production and Tau protein phosphorylation in the brain, and protected the blood-brain barrier and neurons. [2]
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

Cell Research	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: 255 mg/mL (496.43 mM),Sonication is recommended. Ethanol: 51.4 mg/mL (100.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (9.73 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

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.

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

Xie, Xiong et al. Structure-Based Design of Tropane Derivatives as a Novel Series of CCR5 Antagonists with Broad-Spectrum Anti-HIV-1 Activities and Improved Oral Bioavailability. Journal of medicinal chemistry vol. 65,24 (2022): 16526-16540.

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