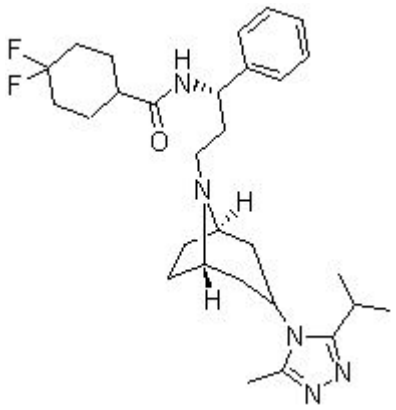
Product Introduction

Maraviroc

Maraviroc is a CCR5 antagonist for **MIP-1α**, **MIP-1β** and **RANTES** with **IC50** of 3.3 nM, 7.2 nM and 5.2 nM, respectively.

Technical Data:

Molecular Weight (MW):	513.67	
Formula:	$C_{29}H_{41}F_2N_5O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	376348-65-1	

Biological Activity

Maraviroc inhibits MIP-1β-stimulated γ-S-GTP binding to HEK-293 cell membranes, indicating its ability to inhibit chemokine-dependent stimulation of GDP-GTP exchange at the CCR5/G protein complex. Maraviroc also inhibits the downstream event of chemokine-induced intracellular calcium redistribution, with IC50s ranging from 7 to 30 nM obtained against MIP-1β, MIP-1α and RANTES. In the same experiments, Maraviroc does not trigger release of intracellular calcium at concentrations up to 10 μM, indicating that it is devoid of CCR5 agonist activity. Consistent with this, Maraviroc fails to induce CCR5

internalization. Maraviroc is active at low nanomolar concentrations against HIV-1 Ba-L. Maraviroc inhibits all 200 pseudotyped viruses with a geometric mean IC90 of 13.7 nM. [1]

The half-life values of Maraviroc are 0.9 hour in the rat and 2.3 hours in the dog. Following oral administration (2 mg/kg) to the dog, the Cmax (256 ng/ml) occurred 1.5 hours post-dose, and the bioavailability is 40%. For the rat, approximately 30% of the administered dose is absorbed from the intestinal tract. [1] Female RAG-hu mice are challenged vaginally with HIV-1 an hour after intravaginal application of the Maraviroc gel. Maraviroc gel treated mice are fully protected against vaginal HIV-1 challenge in contrast to placebo gel treated mice which all became infected. Vaginal administration of Maraviroc fully protects mice against HIV-1 vaginal challenge. While there is a clear pattern of CD4 T cell decline in placebo-gel treated and viral challenged mice, their levels are stable in mice receiving Maraviroc gel. [2]

References

[1] Dorr P, et al. Antimicrob Agents Chemother. 2005, 49(11), 4721-4732.
[2] Neff CP, et al. PLoS One. 2011, 6(6), e20209.

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