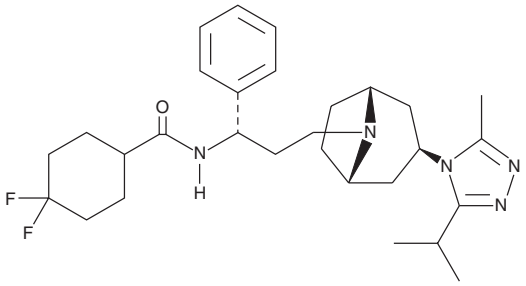
PRODUCT INFORMATION

Maraviroc

Item No. 14641

CAS Registry No.: 376348-65-1
Formal Name: 4,4-difluoro-N-[(1S)-3-[(3-exo)-3-[3-methyl-5-(1-methylethyl)-4H-1,2,4-triazol-4-yl]-8-azabicyclo[3.2.1]oct-8-yl]-1-phenylpropyl]-cyclohexanecarboxamide
Synonym: UK 427857
MF: $C_{29}H_{41}F_2N_5O$
FW: 513.7
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Maraviroc is supplied as a crystalline solid. A stock solution may be made by dissolving the maraviroc in the solvent of choice, which should be purged with an inert gas. Maraviroc is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of maraviroc in these solvents is approximately 25, 3.3, and 5 mg/ml, respectively.

Maraviroc is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, maraviroc should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Maraviroc has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Maraviroc is an antagonist of chemokine (C-C motif) receptor 5 (CCR5; K_i = 0.24 nM for the rhesus monkey recombinant receptor).[1] It inhibits binding of MIP-1α, MIP-1β, and RANTES to HEK293 cell membranes expressing CCR5 (IC_{50}s = 3.3, 7.2, and 5.2 nM, respectively) and inhibits HIV-1 binding to CCR5 *via* glycoprotein 120 (gp120) and gp160 (IC_{50}s = 11 and 0.22 nM, respectively).[2] Maraviroc is selective for CCR5 over CCR1, -2, -3, -4, -7, and -8, and chemokine (C-X-C motif) receptor 1 (CXCR1) and CXCR2 in a panel of immunological assays for ligand-induced cell chemotaxis and ligand-receptor binding (IC_{50}s = >10 µM for all). It has antiviral activity against laboratory and clinical isolates of CCR5-tropic (IC_{50}s = 0.1-1.1 nM), but not CXCR4-tropic or dual tropic (IC_{50}s = >10 µM), HIV-1 in isolated human peripheral blood mononuclear cells (PBMCs). Maraviroc prevents infection upon exposure to HIV-1 in humanized RAG-hum mice when administered at a dose of 62 mg/kg.[3]

References

1. Yuan, Y., Arnatt, C.K., El-Hage, N., *et al. Medchemcomm.* **4(5)**, 847-851 (2013).
2. Dorr, P., Westby, M., Dobbs, S., *et al. Antimicrob. Agents Chemother.* **49(11)**, 4721-4732 (2005).
3. Neff, C.P., Ndolo, T., Tandon, A., *et al. PLoS One* **5(12)**, e15257 (2010).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM