

Product Data Sheet

Product Name: Maraviroc

Cat. No.: GC15090

Chemical Properties

Cas. No. 376348-65-1

Chemical Name 4,4-difluoro-N-[(1S)-3-[(1S,5R)-3-(3-methyl-5-propan-2-yl-1,2,4-triazol-4-yl)-8-azabicyclo[3.2.1]octan-8-yl]-1-phenylpropyl]cyclohexane-1-carboxamide

SMILES CC1=NN=C(N1C2CC3CCC(C2)N3CCC(C4=CC=CC=C4)NC(=O)C5CCC(CC5)(F)F)C(C)C

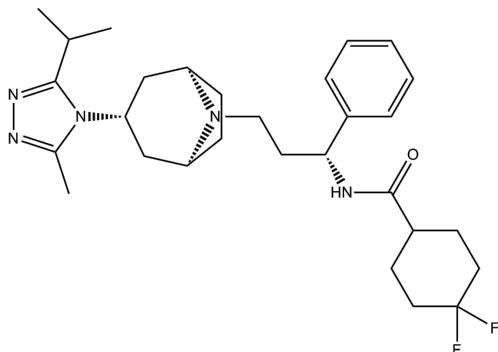
Formula $C_{29}H_{41}F_2N_5O$ M.Wt 513.67

Solubility $\geq 25.7\text{mg/mL}$ in DMSO, $\geq 48\text{ mg/mL}$ in EtOH Storage Desiccate at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines	HeLa P4 C5 cells
Preparation method	CCR5-expressing HeLa P4 C5 cells were cultured at 37°C under CO ₂ in DMEM. All seeded cells were treated with different concentrations of maraviroc (5, 10, and 20 µM) added to the cultured cells, and cells were harvested at different time points (2, 6, 12 and 24 h).
Reaction Conditions	5, 10, and 20µM maraviroc for 2, 6, 12, and 24h
Applications	In HeLa P4 C5 cells, NF-κB activity was observed after maraviroc treatment. Maraviroc can induce NF-κB activity and that NF-κB targets gene expression by CCR5 binding, since the use of TAK779, a CCR5 inhibitor, blocked NF-κB activation and functionality.
Animal experiment [2]:	
Animal models	Female RAG-hu mice
Preparation method	Female RAG-hu mice were administered with maraviroc(62mg/kg) by oral gavage. Maraviroc was freshly dissolved in distilled water each day prior to oral gavage.
Dosage form	62 mg/kg/d, oral gavage

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Applications	Oral administration of maraviroc protects humanized mice against vaginal infection.
References: [1] Madrid-Elena N, García-Bermejo ML, Serrano-Villar S, Díaz-de Santiago A, Sastre B, Gutiérrez C, et al. Maraviroc Is Associated with Latent HIV-1 Reactivation through NF-κB Activation in Resting CD4(+) T Cells from HIV-Infected Individuals on Suppressive Antiretroviral Therapy. J Virol. 2018;92(9). Epub 20180413. doi: 10.1128/jvi.01931-17. PubMed PMID: 29444937. [2] Neff CP, Ndolo T, Tandon A, Habu Y, Akkina R. Oral pre-exposure prophylaxis by anti-retrovirals raltegravir and maraviroc protects against HIV-1 vaginal transmission in a humanized mouse model. PLoS One. 2010;5(12):e15257. Epub 20101221. doi: 10.1371/journal.pone.0015257. PubMed PMID: 21203568.	

Background

Maraviroc, the first CCR5 antagonist, has been approved for the treatment of HIV infection, IC₅₀ on hERG ion channel > 10 μM^[1]. Maraviroc also has been viewed as a new therapeutic strategy to treat neuroinflammatory diseases such as multiple sclerosis, Rasmussen encephalitis^[2].

Maraviro (3 μg/ml for 48 hours) could regulate the release of pro-inflammatory factors related to liver fibrosis in LX-2 cells (a human hepatic stellate cell line). These pro-inflammatory factors led to an increase in the expression levels of cyclin D1 and p21, while the expression of p53 decreases, thereby blocking cell growth in the S phase. Therefore, maraviro may play an important role in the treatment of liver fibrosis^[3].

Maraviroc (20 mg/kg for 3 days) significantly enhanced tissue preservation and improved neurological function in traumatic brain injury (TBI) mice^[4]. Maraviroc treatment (120 mg/kg, 200 μl, twice/day) also significantly reduced blood and brain viral loads and reduced HIV induced increase in amyloid-beta (Aβ)-42, gamma-secretase activating protein (GSAP), and phospho-Tau in NOD/scid-IL-2R^γ null (NSG) mice, thereby reducing viremia, preserving the BBB and neurons, increasing brain Aβ efflux,

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and reducing AD-like neuropathologies^[5].

[1] Dorr P, Westby M, Dobbs S, Griffin P, Irvine B, Macartney M, et al. Maraviroc (UK-427,857), a potent, orally bioavailable, and selective small-molecule inhibitor of chemokine receptor CCR5 with broad-spectrum anti-human immunodeficiency virus type 1 activity. *Antimicrob Agents Chemother.* 2005;49(11):4721-32. doi: 10.1128/aac.49.11.4721-4732.2005. PubMed PMID: 16251317.

[2] Martin-Blondel G, Brassat D, Bauer J, Lassmann H, Liblau RS. CCR5 blockade for neuroinflammatory diseases--beyond control of HIV. *Nat Rev Neurol.* 2016;12(2):95-105. Epub 20160118. doi: 10.1038/nrneurol.2015.248. PubMed PMID: 26782333.

[3] Coppola N, Perna A, Lucariello A, Martini S, Macera M, Carleo MA, et al. Effects of treatment with Maraviroc a CCR5 inhibitor on a human hepatic stellate cell line. *J Cell Physiol.* 2018;233(8):6224-31. Epub 20180312. doi: 10.1002/jcp.26485. PubMed PMID: 29336497.

[4] Liu XL, Sun DD, Zheng MT, Li XT, Niu HH, Zhang L, et al. Maraviroc promotes recovery from traumatic brain injury in mice by suppression of neuroinflammation and activation of neurotoxic reactive astrocytes. *Neural Regen Res.* 2023;18(1):141-9. doi:10.4103/1673-5374.344829. PubMed PMID: 35799534.

[5] Bhargavan B, Woollard SM, McMillan JE, Kanmogne GD. CCR5 antagonist reduces HIV-induced amyloidogenesis, tau pathology, neurodegeneration, and blood-brain barrier alterations in HIV-infected hu-PBL-NSG mice. *Mol Neurodegener.* 2021;16(1):78. Epub 20211122. doi: 10.1186/s13024-021-00500-0. PubMed PMID: 34809709.

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