Product Data Sheet

Product Name: Vicriviroc Malate
Cat. No.: GC17920

Chemical Properties

Cas. No.	541503-81-5		
Chemical Name	(4,6-dimethylpyrimidin-5-yl)-[4-[(3S)-4-[(1R)-2-methoxy-1-[4-(trifluoromethyl)phenyl]ethyl]-3-methylpiperazin-1-yl]-4-methylpiperidin-1-yl]methanone;2-hydroxybutanedioic acid		
SMILES	CC1CN(CCN1C(COC)C2=CC=C(C=C2)C(F)(F)F)C3(CCN(CC3)C(=O)C4=C(N=CN=C4C)C)C.C(C(C(=O)O)O)C(=O)O		
Formula	$C_{28}H_{38}F_3N_5O_2.C_4H_6O_5$	M.Wt	667.72
Solubility	≥ 18mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Vicriviroc Malate		

Background

Vicriviroc is an inhibitor of CCR5 signaling with IC50 value of 0.91nM [1].

The first step of HIV-1 infection is that the viral envelope, gp120, will interact with cellular coreceptor CCR5. As a second CCR5 antagonist, Vicriviroc can block this interaction and has the antivirus potency. In the chemotaxis assay, Vicriviroc can innhibit chemokine-mediated migration of a mouse Ba/F3 cell line stably expressing recombinant human CCR5 with IC50 value below 1 nM. In the calcium flux assay, Vicriviroc inhibit intracellular calcium release induced by receptor stimulation. Vicriviroc is also proved to inhibit GTPgammaS binding induced by RANTES with mean IC50 of 4.2±1.3nM in a GTPgammaS exchange assay. In a PBMC infection assay with 30 R5-tropic HIV-1 isolates, Vicriviroc potently inhibits all the viral isolates with geometric mean EC50s ranging between 0.04 nM and 2.3 nM. Activity of vicriviroc against drug-

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resistant viruses has also been tested. Vicriviroc is effective against all the viruses with defined RTI, PRI, or fusion inhibitor resistance patterns. Furthermore, engineered viruses containing mutations in the gp41 gene associated with enfuvirtide resistance are completely sensitive to vicriviroc. So far, Vicriviroc has shown good tolerance and partial therapeutic success in phase II clinical trials for HIV [1,2].

References:

[1] Julie M. Strizki, Cecile Tremblay, Serena Xu, Lisa Wojcik , Nicole Wagner, Waldemar Gonsiorek, R. William Hipkin, Chuan-Chu Chou, Catherine Pugliese-Sivo, Yushi Xiao, Jayaram R. Tagat, Kathleen Cox, Tony Priestley, Steve Sorota, Wei Huang, Martin Hirsch, Gregory R. Reyes and Bahige M. Baroudy. Antimicrobial Agents and Chemotherapy. 2005, 49(12):4911-4919.

[2] Marco Velasco-Velázquez, Xuanmao Jiao, Marisol De La Fuente, et al. CCR5 Antagonist Blocks Metastasis of Basal Breast Cancer Cells. Cancer Research. 2012 (72): 3839-3850.