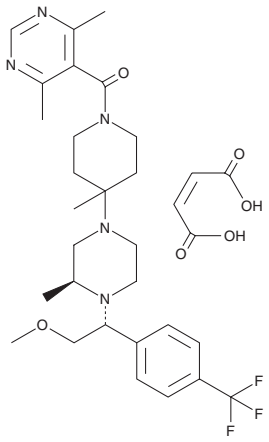
PRODUCT INFORMATION

Vicriviroc (maleate)

Item No. 28453



CAS Registry No.:	599179-03-0
Formal Name:	1-[(4,6-dimethyl-5-pyrimidinyl) carbonyl]-4-[(3S)-4-[(1R)-2-methoxy-1-[4-(trifluoromethyl) phenyl]ethyl]-3-methyl-1-piperazinyl]-4-methyl-piperidine, 2Z-butenedioate
Synonym:	SCH 417690
MF:	$C_{28}H_{38}F_3N_5O_2 \bullet C_4H_4O_4$
FW:	649.7
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

Vicriviroc (maleate) is supplied as a crystalline solid. A stock solution may be made by dissolving the vicriviroc (maleate) in the solvent of choice, which should be purged with an inert gas. Vicriviroc (maleate) is slightly soluble in chloroform, methanol, and DMSO (warmed).

Description

Vicriviroc is a chemokine (C-C motif) receptor 5 (CCR5) antagonist (K_i = 2.5 nM).[1] It selectively inhibits CCR5 over M_1 and M_2 muscarinic acetylcholine receptors (K_is = >10,000 nM for both) and human-ether-a-go-go (hERG) potassium channels (IC_{50} = 5.8 µM).[1] Vicriviroc decreases chemotaxis induced by chemokine (C-C motif) ligand 3 (CCL3) in Ba/F3 cells expressing recombinant human CCR5 with an IC_{50} value of 0.91 nM.[2] It inhibits the replication of 30 R5-tropic HIV-1 clinical isolates in peripheral blood mononuclear cells (PBMCs; EC_{50}s = 0.04-1.4 nM) and reduces viral entry of seven drug-resistant strains of HIV-1 in U87 cells expressing CD4 and CCR5 (EC_{50}s = 8.7-32.9 nM).

References

1. Tagat, J.R., McCombie, S.W., Nazareno, D., *et al.* Piperazine-based CCR5 antagonists as HIV-1 inhibitors. IV. Discovery of 1-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4-[4-[2-methoxy-1(R)-4-(trifluoromethyl)phenyl] ethyl-3(S)-methyl-1-piperazinyl]-4-methylpiperidine (Sch-417690/Sch-D), a potent, highly selective, and orally bioavailable CCR5 antagonist. *J. Med. Chem.* **47(10)**, 2405-2408 (2004).
2. Strizki, J.M., Tremblay, C., Xu, S., *et al.* Discovery and characterization of vicriviroc (SCH 417690), a CCR5 antagonist with potent activity against human immunodeficiency virus type 1. *Antimicrob. Agents Chemother.* **49(12)**, 4911-4919 (2005).

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