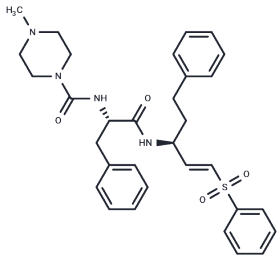
Data Sheet (Cat.No.T15641)

TargetMol

K777

Chemical Properties



CAS No. : 233277-99-1

Formula: C32H38N4O4S

Molecular Weight: 574.73

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	K777 is a potent, orally active, and irreversible inhibitor of cysteine protease, functioning as a potent CYP3A4 inhibitor (IC50 = 60 nM) and a selective CCR4 antagonist, which inhibits chemotaxis. K777 irreversibly inhibits Cruzain, the major cysteine protease of Trypanosoma cruzi, and cathepsins B and L, targeting cathepsin-mediated cell entry and exhibiting broad-spectrum antiviral activity. It inhibits EBOV and SARS-CoV pseudovirus entry with IC50 values of 0.87 nM and 0.68 nM, respectively.
Targets(IC50)	CCR,Parasite,Cysteine Protease,Cytochromes P450,SARS-CoV,Virus Protease
In vitro	K777 is a broad-spectrum antiviral and inhibits SARS-CoV, HCoV-229E, NL63, MERS-CoV, EBOV, SUDV, TAFV, RESTV, BEBOV, MARV and Nipah pseudovirus entry with IC50 values of 0.68 nM, 1.48 nM, 6.78 nM, 46.12 nM, 0.87 nM, 1.14 nM, 2.26 nM, 3.37 nM, 5.91 nM, 1.9 nM and 0.42 nM, respectively. K777 alone demonstrates up to 70% inhibition of 229E-S-mediated transduction in TMPRSS2 expressing cells. Simultaneous treatment with Camostat and K777 increases inhibition to ~ 90%[1]. K777 inhibits both CCL17 binding and CCL17-induced chemotaxis in Hut78 cells with IC50s of 57 and 8.9 nM, respectively. The K777-mediated inhibition of chemotaxis is potent even in the presence of a 10-fold higher concentration of CCL17. K777 induces CCR4 internalization with a 50% reduction of cell surface CCR4[3].
In vivo	In C57BL/6 IFN-γR-KO mice, K777 (35-105 mg/kg; p.o.) rescues mice from otherwise lethal infections[4].

Solubility Information

Solubility	DMSO: 90 mg/mL (156.6 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.74 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7399 mL	8.6997 mL	17.3995 mL
5 mM	0.348 mL	1.7399 mL	3.4799 mL
10 mM	0.174 mL	0.870 mL	1.7399 mL
50 mM	0.0348 mL	0.174 mL	0.348 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Zhou Y, et al. Protease inhibitors targeting coronavirus and filovirus entry. Antiviral Res. 2015 Apr;116:76-84.
Jacobsen W, et al. In vitro evaluation of the disposition of A novel cysteine protease inhibitor. Drug Metab Dispos. 2000 Nov;28(11):1343-51.
Sato T, et al. Internalization of CCR4 and inhibition of chemotaxis by K777, a potent and selective CCR4 antagonist. Pharmacology. 2013;91(5-6):305-13.
Ndao M, et al. A cysteine protease inhibitor rescues mice from a lethal Cryptosporidium parvum infection. Antimicrob Agents Chemother. 2013 Dec;57(12):6063-73.