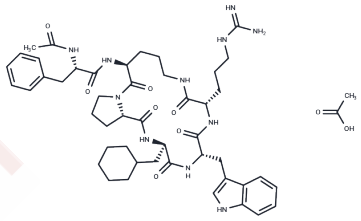
Data Sheet (Cat.No.TP2334)

TargetMol

PMX 53 acetate(219639-75-5 free base)

Chemical Properties



CAS No. : 852629-88-0

Formula: C49H69N11O9

Molecular Weight: 956.16

Storage: Keep away from moisture
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	PMX-53 is a potent and orally active CD88 (C5aR) antagonist (IC50: 20 nM) and inhibits C5a-induced neutrophil myeloperoxidase release and chemotaxis with IC50 values of 22 nM and 75 nM, respectively. PMX-53 is also an agonist of Mas-related gene 2 (MrgX2).
Targets(IC50)	Endogenous Metabolite,Complement System
In vitro	In HMC-1 cells, PMX-53 (10 nM) inhibits C5a-induced Ca2+ mobilization, but at higher concentrations(≥30 nM) it causes degranulation in LAD2 mast cells, CD34+ cell-derived mast cells, and RBL-2H3 cells stably expressing MrgX2. Replacement of Trp with Ala and Arg with dArg eliminates the ability of PMX-53 to inhibit C5a-induced Ca2+ mobilization in HMC-1 cells and to cause degranulation in RBL-2H3 cells expressing MrgX2[1].
In vivo	Local pretreatment of rats with PMX-53 (60-180?μg per paw) inhibits zymosan-, carrageenan-, lipopolysaccharide (LPS)- and antigen-induced hypernociception[2]. Pharmacokinetic analyses demonstrate that PMX-53 appears in the plasma within 5 min of oral administration (3 mg/kg) to rats, with peak blood levels of approximately 0.3 μM being reached within 20 min. The plasma elimination half-life was approximately 70 min in this case[3].

Solubility Information

Solubility	H2O: 95.6 mg/mL (99.98 mM),Sonication and heating are recommended. DMSO: 250 mg/mL (261.46 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: 10 mg/mL (10.46 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (10.46 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	1.0459 mL	5.2293 mL	10.4585 mL
5 mM	0.2092 mL	1.0459 mL	2.0917 mL
10 mM	0.1046 mL	0.5229 mL	1.0459 mL
50 mM	0.0209 mL	0.1046 mL	0.2092 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

Subramanian H, et al. PMX-53 as a dual CD88 antagonist and an agonist for Mas-related gene 2 (MrgX2) in human mast cells. Mol Pharmacol. 2011 Jun;79(6):1005-13.
Ting E, et al. Role of complement C5a in mechanical inflammatory hypernociception: potential use of C5a receptor antagonists to control inflammatory pain. Br J Pharmacol. 2008 Mar;153(5):1043-53.
Holland MC, et al. Synthetic small-molecule complement inhibitors. Curr Opin Investig Drugs. 2004 Nov;5(11):1164-73.
Finch AM, et al. Low-molecular-weight peptidic and cyclic antagonists of the receptor for the complement factor C5a. J Med Chem. 1999 Jun 3;42(11):1965-74.