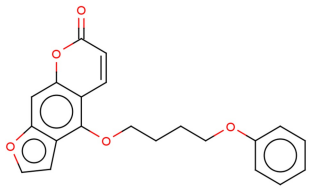
Data Sheet (Cat.No.TQ0179)

PAP-1

Chemical Properties



CAS No.:	870653-45-5
Formula:	C21H18O5
Molecular Weight:	350.36
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	PAP-1 is a selective, and orally active Kv1.3 blocker (EC50: 2 nM).
In vitro	PAP-1 blocks Kv1.3 in a use-dependent manner and acts by preferentially binding to the C-type inactivated state of the channel. PAP-1 exhibits 23-fold selectivity over Kv1.5 (EC50: 45 nM), and further displays 33- to 125-fold selectivity over all other Kv1-family channels [1, 2]. PAP-1 (2-100 nM; 30 minutes) suppresses the proliferation of CCR7-TEM cells (IC50: 10 nM) [1].
In vivo	PAP-1 (0.3-3 mg/kg; i.p.; three times daily for 48 hours) prevents delayed type hypersensitivity (DTH) in lewis rats [1].
Cell Research	Cell Line: CCR7-TEM cells (anti-CD3 Ab stimulated) Concentration: 2, 10, 25, 100 nM Incubation Time: 30 minutes [1]
Animal Research	Animal Model: 9- to 11- week-old female Lewis rats Dosage: I.P.; three times daily for 48 hours Administration: 0.3, 1, 3 mg/kg [1]

Solubility Information

Solubility	DMSO: 48 mg/mL (137 mM) Water: Insoluble (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.854 mL	14.271 mL	28.542 mL
5 mM	0.571 mL	2.854 mL	5.708 mL
10 mM	0.285 mL	1.427 mL	2.854 mL
50 mM	0.057 mL	0.285 mL	0.571 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Schmitz A, et al. Design of PAP-1, a selective small molecule Kv1.3 blocker, for the suppression of effector memory T cells in autoimmune diseases. Mol Pharmacol. 2005 Nov;68(5):1254-70.

2. Pereira LE, et al. Pharmacokinetics, toxicity, and functional studies of the selective Kv1.3 channel blocker 5-(4-phenoxybutoxy)psoralen in rhesus macaques. Exp Biol Med (Maywood). 2007 Nov;232(10):1338-54.

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