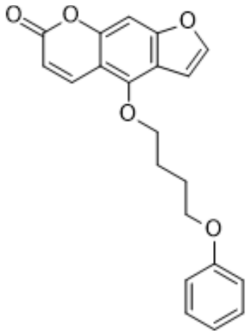
PAP-1



Cat. No.:	HY-10015
CAS No.:	870653-45-5
Molecular Formula:	$C_{21}H_{18}O_5$
Molecular Weight:	350.36
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (142.71 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8542 mL	14.2710 mL	28.5421 mL
	5 mM	0.5708 mL	2.8542 mL	5.7084 mL
	10 mM	0.2854 mL	1.4271 mL	2.8542 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.14 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (7.14 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PAP-1 (5-(4-Phenoxybutoxy)psoralen) is a potent, selective, and orally active Kv1.3 blocker (EC_{50}=2 nM). 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 (EC_{50}=45 nM), and further displays 33- to 125-fold selectivity over all other Kv1-family channels. PAP-1 does not exhibit cytotoxic or phototoxic effects[1][2].

IC_{50} & Target

EC50: 2 nM (Kv1.3), 45 nM (Kv1.5)[1]

In Vitro

PAP-1 (2-100 nM; 30 minutes) suppresses the proliferation of CCR7-TEM cells with IC_{50} of 10 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	CCR7-TEM cells (anti-CD3 Ab stimulated)
Concentration:	2, 10, 25, 100 nM
Incubation Time:	30 minutes
Result:	Suppresses the Proliferation of CCR7-TEM cells with IC50 of 10 nM.

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	9- to 11- week-old female Lewis rats[1]
Dosage:	Intraperitoneal injection; three times daily for 48 hours
Administration:	0.3, 1, 3 mg/kg
Result:	Dose-dependently suppressed the DTH reaction.

CUSTOMER VALIDATION

- Cell Mol Immunol. 2020 Mar;17(3):283-299.
- Nat Commun. 2022 Jun 21;13(1):3544.
- Int J Mol Sci. 2022 Oct 19;23(20):12565.
- J Ethnopharmacol. 2023 May 12;116624.
- Exp Neurol. 2020 Oct;332:113399.

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REFERENCES

[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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