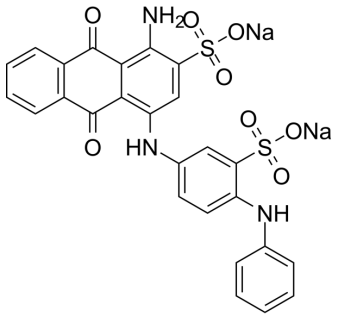
PSB-0739

Cat. No.:	HY-108660
CAS No.:	1052087-90-7
Molecular Formula:	$C_{26}H_{17}N_3Na_2O_8S_2$
Molecular Weight:	609.54
Target:	P2Y Receptor
Pathway:	GPCR/G Protein
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 3.33 mg/mL (5.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6406 mL	8.2029 mL	16.4058 mL
5 mM	0.3281 mL	1.6406 mL	3.2812 mL
10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

PSB-0739 is a high-affinity potent, competitive, nonselective platelet $P2Y_{12}$ receptor antagonist with a K_i values of 24.9 nM. The $P2Y_{12}$ receptor plays a crucial role in platelet aggregation. Antithrombotic effect[1].

IC_{50} & Target

P2Y12 Receptor

In Vitro

PSB-0739 is a potent competitive non-nucleotide antagonist at the human $P2Y_{12}$ receptor with a pA_2 value of 9.8[2]. PSB-0739 inhibits ADP-evoked Ca^{2+} responses with an EC_{50} of 5.4±1.8 μM and causes a rightward parallel shift in the ADP concentration–response curve in THP-1 cells[3].

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

Cell Viability Assay[3]

Cell Line:	THP-1 monocytic cell line
Concentration:	10 nM, 100 nM, 1 μM, 10 μM
Incubation Time:	

Result:	Attenuated ADP-evoked responses (IC_{50}=5.4±1.8 μM).

In Vivo

PSB-0739 (0.01-0.3 mg/kg, intrathecally) has dose-dependent and significant antihyperalgesic effect in low doses. The minimal effective dose (mED) is 0.1 mg/kg[4].

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Animal Model:	Male Wistar rats, 150-250 g, 6-8/group[4]
Dosage:	0.01, 0.03, 0.1, 0.3 mg/kg
Administration:	Intrathecal injection (i.t.)
Result:	Displayed a dose-dependent inhibitory effect on mechanical hyperalgesia in the range of 0.01–0.1 mg/kg.

REFERENCES

[1]. Younis Baqi, et al. High-affinity, non-nucleotide-derived competitive antagonists of platelet $P2Y_{12}$ receptors. J Med Chem. 2009 Jun 25;52(12):3784-93.

[2]. Kristina Hoffmann, et al. Interaction of new, very potent non-nucleotide antagonists with Arg256 of the human platelet $P2Y_{12}$ receptor. J Pharmacol Exp Ther. 2009 Nov;331(2):648-55.

[3]. J J Micklewright,et al. P2Y 12 receptor modulation of ADP-evoked intracellular Ca^{2+} signalling in THP-1 human monocytic cells. Br J Pharmacol.2018 Jun;175(12):2483-2491.

[4]. Gergely Horváth, et al. Central $P2Y_{12}$ receptor blockade alleviates inflammatory and neuropathic pain and cytokine production in rodents. Neurobiol Dis. 2014 Oct;70(100):162-78.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA