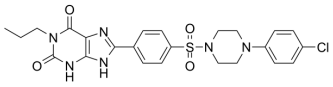
PSB-603

Cat. No.:	HY-103166
CAS No.:	1092351-10-4
Molecular Formula:	$C_{24}H_{25}ClN_6O_4S$
Molecular Weight:	529.01
Target:	Adenosine Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 32.5 mg/mL (61.44 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8903 mL	9.4516 mL	18.9032 mL
5 mM	0.3781 mL	1.8903 mL	3.7806 mL
10 mM	0.1890 mL	0.9452 mL	1.8903 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 1.67 mg/mL (3.16 mM); Suspended solution; Need ultrasonic and warming and heat to 42°C

BIOLOGICAL ACTIVITY

Description

PSB-603 is a potent and highly selective A_{2B} adenosine receptor antagonist exhibiting a K_i value of 0.553 nM and virtually no affinity for the human and rat A_1 and A_{2A} and the human A_3 receptors up to a concentration of 10 μM[1].

In Vitro

PSB-603 is a potent and selective adenosine A2B receptor antagonist exhibiting a Ki value of 0.553 nM at the human A2B receptor and virtually no affinity for the human and rat A1 and A2A and the human A3 receptors up to a concentration of 10 μM[1].

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

Cell Viability Assay[3]

Cell Line:	Peripheral T cells
Concentration:	100 nM

Incubation Time:	24 hours
Result:	Significantly inhibited NECA stimulated IL-6 release ≈3-fold.

In Vivo

PSB-603 shows anti-inflammatory effect in local and systemic inflammation models. PSB-603 (5 mg/kg b.w. ip) significantly reduces inflammation in two mice models of inflammation (local and systemic). PSB-603 significantly decreases levels of the inflammatory cytokines IL-6, TNF-α and of ROS in the inflamed paw and reduces inflammation of the peritoneum by significantly decreasing the infiltration of leukocytes[4]. PSB-603 is administered as suspensions in 1 % Tween 80[4].

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

Animal Model:	Adult male Albino Swiss mice, CD-1, weighing 25-30 g[4]
Dosage:	1, 5 or 10 mg/kg
Administration:	Administered intraperitoneally (ip), prior to carrageenan injection
Result:	Carrageenan-induced edema model. The increase in paw oedema was significantly inhibited in all groups receiving PSB-603. The dose of 5 mg/kg turned out to be the most active.

REFERENCES

[1]. Thomas Borrmann, et al. 1-alkyl-8-(piperazine-1-sulfonyl)phenylxanthines: development and characterization of adenosine A_{2B} receptor antagonists and a new radioligand with subnanomolar affinity and subtype specificity. J Med Chem. 2009 Jul 9;52

[2]. Mohamad Wessam Alnouri, et al. Selectivity is species-dependent: Characterization of standard agonists and antagonists at human, rat, and mouse adenosine receptors. Purinergic Signal. 2015 Sep;11(3):389-407.

[3]. Nadine Borg, et al. CD73 on T Cells Orchestrates Cardiac Wound Healing After Myocardial Infarction by Purinergic Metabolic Reprogramming. Circulation. 2017 Jul 18;136(3):297-313.

[4]. Magdalena Kotańska, et al. PSB 603 - a known selective adenosine A_{2B} receptor antagonist-has anti-inflammatory activity in mice. Biomed Pharmacother. 2021 Mar;135:111164.

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