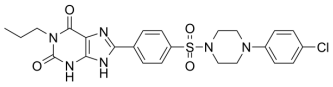
PSB-603

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:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PSB-603 is a potent and selective adenosine A_{2B} receptor antagonist exhibiting a K_i value of 0.553 nM at the human A_{2B} receptor 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 inhibits human A_{2B} receptor in Jurkat T cells with an IC_{50} of 1.13 nM[1].
PSB-603 inhibits human, rat, and mouse A_{2B} receptors with K_i values of 0.553, 0.355, and 0.265 nM, respectively[2].
PSB-603 (100 nM; 24 hours) antagonizes NECA (an adenosine receptor agonist; 1μM) inhibited interferon-γ and stimulated interleukin-6 production[3].
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(13):3994-4006.

[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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