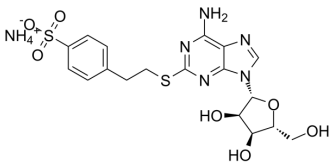
PSB 0777 ammonium

Cat. No.:	HY-136233
CAS No.:	2122196-16-9
Molecular Formula:	$C_{18}H_{24}N_6O_7S_2$
Molecular Weight:	500.55
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 0777 ammonium is a potent and selective adenosine A_{2A} receptor full agonist with K_i values of 44.4 nM, 360 nM for rat and human A_{2A} receptors, respectively. PSB 0777 ammonium has K_i values of ≥10000 nM, 541 nM for rat and human A_1 receptors, respectively. PSB 0777 ammonium shows poor brain penetrant and perorally non-absorbable effect. PSB 0777 ammonium has the potential for inflammatory bowel disease (IBS) research research[1][2][3].
IC_{50} & Target	Ki: 44.4 nM (rat A_{2A}), 360 nM (human A_{2A}), ≥10000 nM (rat A_1) and 541 nM (human A_1)[1]
In Vitro	PSB 0777 ammonium (compound 7) shows high selectivity for the A_{2A}AR (>225-fold) versus the other AR subtypes (K_i values of >10000 nM and ⊠10000 for human A_{2B} receptor and A_3 receptor, respectively). PSB 0777 ammonium acts as an full agonist at A_{2A}AR with an EC_{50} value of 117 nM in CHO-K1 cells[1]. PSB-0777 ammonium binds human β1 (K_i=4.4 μM) and β3 (K_i=3.3 μM) adrenergic receptors[2]. PSB 0777 ammonium (0.1 μM, 1 μM, 10 μM) increases concentration-dependently Acetylcholine (Ach, 1 mM) contractions in untreated and inflamed rat ileum/jejunum preparations in ex vivo experiments[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PSB 0777 ammonium (0.4 mg/kg/day; oral gavage; from the day 5 to 10) causes a marked reduction of inflammatory cell infiltration and an amelioration of colonic mucosal architecture[3]. PSB 0777 ammonium (0.03, 0.3, 3 mg/kg; i.p.) causes dose-dependent hypothermia and hypoactivity in C57BL/6J mice[2]. PSB 0777 ammonium cannot be absorbed systemically by the digestive mucosa once administered by the oral route. PSB 0777 ammonium (0.4 mg/kg/day; PO) has very low plasma concentrations in rats at 30 min (below 5 nM), and there is no plasma concentrations at 60 min after administration. PSB 0777 ammonium (0.4 mg/kg/day; IP) makes plasma concentrations well evident at 30 min, and decreases after 60 min, and is not detectable at 120 and 240 min[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Albino male Sprague-Dawley rats of 200 g with Oxazolone-induced colitis[3]
Dosage:	0.4 mg/kg
Administration:	Oral gavage; daily; from the day 5 to 10
Result:	Caused a marked reduction of inflammatory cell infiltration and an amelioration of colonic mucosal architecture alone or in combination with Dexamethasone (1 mg/kg/day). Counteracted significantly the increment of colonic myeloperoxidase (MPO) levels

	associated with colitis.

REFERENCES

[1]. Ali El-Tayeb, et al. Development of Polar Adenosine A2A Receptor Agonists for Inflammatory Bowel Disease: Synergism with A2B Antagonists. ACS Med Chem Lett. 2011 Oct 10;2(12):890-5.

[2]. Jesse Lea Carlin, et al.Activation of adenosine A 2A or A 2B receptors causes hypothermia in mice. Neuropharmacology. 2018 Sep 1;139:268-278.

[3]. L Antonioli, et al. Anti-inflammatory effect of a novel locally acting A 2A receptor agonist in a rat model of oxazolone-induced colitis. Purinergic Signal. 2018 Mar;14(1):27-36.

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