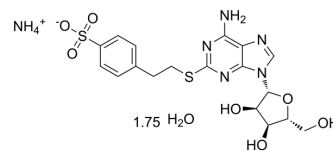


PSB 0777 ammonium hydrate

Cat. No.:	HY-136233A
Molecular Formula:	$C_{18}H_{20}N_5O_7S_2 \cdot NH_4 \cdot 1.75H_2O$
Molecular Weight:	532.09
Target:	Adenosine 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)



BIOLOGICAL ACTIVITY

Description	PSB 0777 ammonium hydrate 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 hydrate has K _i values of ≥10000 nM, 541 nM for rat and human A ₁ receptors, respectively. PSB 0777 ammonium hydrate shows poor brain penetrant and perorally non-absorbable effect. PSB 0777 ammonium hydrate has the potential for inflammatory bowel disease (IBS) research research ^{[1][2][3]} .
IC₅₀ & 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	<p>PSB 0777 ammonium hydrate (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 A₃ receptor, respectively). PSB 0777 ammonium hydrate acts as a full agonist at A_{2A}AR with an EC₅₀ value of 117 nM in CHO-K1 cells^[1].</p> <p>PSB-0777 ammonium binds human β₁ (K_i=4.4 μM) and β₃ (K_i=3.3 μM) adrenergic receptors^[2].</p> <p>PSB 0777 ammonium hydrate (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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>PSB 0777 ammonium hydrate (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].</p> <p>PSB 0777 ammonium hydrate (0.03, 0.3, 3 mg/kg; i.p.) causes dose-dependent hypothermia and hypoactivity in C57BL/6J mice^[2].</p> <p>PSB 0777 ammonium hydrate cannot be absorbed systemically by the digestive mucosa once administered by the oral route. PSB 0777 ammonium hydrate (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 hydrate (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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

- [1]. Ali El-Tayeb, et al. Development of Polar Adenosine A_{2A} Receptor Agonists for Inflammatory Bowel Disease: Synergism with A_{2B} 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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