

# Preladenant

Catalog No: tcsc0999



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

377727-87-2

**Formula:**

$C_{25}H_{29}N_9O_3$

**Pathway:**

GPCR/G Protein

**Target:**

Adenosine Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 5 mg/mL (9.93 mM; Need ultrasonic); H2O :

**Alternative Names:**

SCH-420814

**Observed Molecular Weight:**

503.56

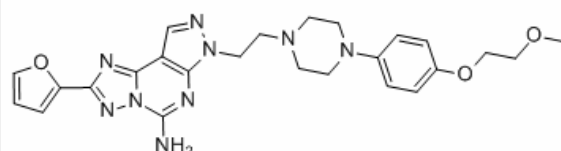
## Product Description

Preladenant is a potent competitive antagonist of the human **A<sub>2A</sub>** receptor (**K<sub>i</sub>**=1.1 nM) and has >1000-fold selectivity over all other adenosine receptors.

IC50 & Target: K<sub>i</sub>: 1.1 nM (Adenosine A<sub>2A</sub> receptor)<sup>[1]</sup>

**In Vitro:** Preladenant also completely antagonizes cAMP in cells expressing the recombinant human A<sub>2A</sub> receptor. Preladenant is determined to have K<sub>B</sub> values of 1.3 nM at the A<sub>2A</sub> receptor; the value is in good agreement with the K<sub>i</sub> value determined in the radioligand binding assay. A similar functional assay with A<sub>2B</sub> receptor-expressing cells is used to demonstrate selectivity over A<sub>2B</sub> receptors. In this assay, the K<sub>B</sub> value for Preladenant is 1.2 μM, indicating that Preladenant is 923-fold selective for the A<sub>2A</sub> receptor over the A<sub>2B</sub> receptor<sup>[1]</sup>.

**In Vivo:** Preladenant (1 mg/kg) inhibits L-Dopa-induced behavioral sensitization after repeated daily administration, which suggests a reduced risk of the development of dyskinesias. Preladenant exhibits antidepressant-like profiles in models of behavioral despair, namely the mouse tail suspension test and the mouse and rat forced swim test<sup>[1]</sup>. Preladenant produces a dose-dependent reduction in parkinsonian scores at doses of 1 mg/kg (min score: 9.0) and 3 mg/kg (min score: 6.5). A subthreshold dose of Preladenant reduces minimum and mean parkinsonian scores in animals treated with 3 mg/kg of L-Dopa to 5.25 and 6.88 respectively. A Wilcoxon test is used to compare individual treatments against vehicle. Preladenant (3 mg/kg), L-Dopa (3, 6, and 12 mg/kg), and the combination of Preladenant and L-Dopa (1 or 3 mg/kg+3 mg/kg) are all significantly improved on the minimum parkinsonian score. In addition, both the 12 mg/kg L-Dopa and L-Dopa+Preladenant groups are significantly improved on both minimum and mean parkinsonian scores relative to the 3 mg/kg L-Dopa group<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!