Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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

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Product Description

Preladenant is a potent competitive antagonist of the human **A_{2A}** receptor (**K_i**=1.1 nM) and has >1000-fold selectivity over all other adenosine receptors.

IC50 & Target: Ki: 1.1 nM (Adenosine A_{2A} receptor)[1]

In Vitro: Preladenant also completely antagonizes cAMP in cells expressing the recombinant human A_{2A} receptor. Preladenant is determined to has K_B values of 1.3 nM at the A_{2A} receptor; the value is in good agreement with the K_i value determined in the radioligand binding assay. A similar functional assay with A_{2B} receptor-expressing cells is used to demonstrate selectivity over A_{2B} receptors. In this assay, the K_B value for Preladenant is 1.2 μM, indicating that Preladenant is 923-fold selective for the A_{2A} receptor over the A_{2B} receptor[1].

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[1]. 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 Wilcoxin 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[2].