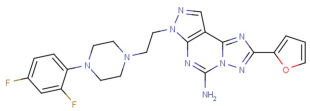
Data Sheet (Cat.No.T12868)

TargetMol
A DRUG SCREENING EXPERT

Sch412348

Chemical Properties



CAS No.:	377727-26-9
Formula:	C22H21F2N9O
Molecular Weight:	465.46
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Sch412348 is a potent competitive the human adenosine A2A receptor antagonist with Ki of 0.6 nM and has >1000-fold selectivity over all other adenosine receptors.
Targets(IC_{50})	Adenosine A2A receptor(ki): ki: 0.6 nM
In vitro	Sch412348 is determined to have KB values of 0.3 nM, respectively at the A2A receptor; the value are in good agreement with the Ki values determined in radioligand binding assays. A similar functional assay with A2B receptor-expressing cells is used to demonstrate selectivity over A2B receptors.Sch412348 also completely antagonizes cAMP in cells expressing the recombinant human A2A receptor. The KB value for Sch412348 is 273 nM, indicating that Sch412348 is 910-fold selective for the A2A receptor over the A2B receptor.
In vivo	Sch412348 (administration Oral;0.1-1 mg/kg) to rats potentiates 3,4-dihydroxy-L-phenylalanine (L-Dopa)-induced contralateral rotations after 6-hydroxydopamine lesions in the medial forebrain bundle and potently attenuates the cataleptic effects of haloperidol. Sch412348 (1 and 3 mg/kg) dose-dependently attenuates haloperidol-induced catalepsy 1 h [F(3,20)=3.9, p<0.05] and 4 h [F(3,20)=7.5, p<0.01] after dosing. Sch412348 [F(2,51) =10.6, p<0.01] (0.1-1 mg/kg) reduces immobility time in the mouse tail suspension test (TST) at 1 mg/kg. Activity levels in the mouse [F(4,27)=2.9, p<0.05] significantly increased by Sch412348 (SCH 412348). Both the 0.3 and 3 mg/kg treatment groups are significantly more active than vehicle-treated mice. The 1 mg/kg group approached significance (p=0.052).

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.148 mL	10.742 mL	21.484 mL
5 mM	0.43 mL	2.148 mL	4.297 mL
10 mM	0.215 mL	1.074 mL	2.148 mL
50 mM	0.043 mL	0.215 mL	0.43 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Hodgson RA, et al. Characterization of the potent and highly selective A2A receptor antagonists preladenant and SCH 412348 [7-[2-[4-2,4-difluorophenyl]-1-piperazinyl]ethyl]-2-(2-furanyl)-7H-pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine] in rodent models of movement disorders and depression. J Pharmacol Exp Ther. 2009 Jul;330(1):294-303.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481