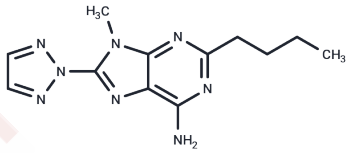
Data Sheet (Cat.No.T28861)

TargetMol

ST-1535

Chemical Properties



CAS No. : 496955-42-1

Formula: C12H16N8

Molecular Weight: 272.31

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	ST 1535 is a potent and orally active antagonist of the A2A adenosine receptor, exhibiting antiparkinsonian activity and antitremorigenic effects, with potential for Parkinson's disease research.
Targets(IC50)	Adenosine Receptor
In vitro	ST-1535 competitively antagonizes the effects of the A2A adenosine agonist NECA on cAMP in cells cloned with the human A2A adenosine receptor (IC50=353+/-30 nM), and the effects of the A1 adenosine agonist CHA on cAMP in cells cloned with the human A1 adenosine receptor (IC50=510+/-38 nM). [1]
In vivo	ST 1535, at oral doses of 5 and 10 mg/kg, antagonizes catalepsy induced by intracerebroventricular administration of the A2A adenosine agonist CGS 21680 (10 microg/5 microl) in mice. At oral doses ranging between 5 and 20 mg/kg, ST 1535 induces hypermotility and antagonizes haloperidol-induced catalepsy in mice up to 7 h. Oral ST 1535, at 1.25 and 2.5 mg/kg, potentiates L-dopa effects in reducing haloperidol-induced catalepsy. [1]

Solubility Information

Solubility	DMSO: 9 mg/mL (33.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (3.67 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6723 mL	18.3614 mL	36.7229 mL
5 mM	0.7345 mL	3.6723 mL	7.3446 mL
10 mM	0.3672 mL	1.8361 mL	3.6723 mL
50 mM	0.0734 mL	0.3672 mL	0.7345 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Maria Antonietta Stasi, et al. ST 1535: a preferential A2Aadenosinereceptor antagonist. Int J Neuropsychopharmacol. 2006 Oct;9(5):575-84.
Giovanni Piersanti, et al. Synthesis and biological evaluation of metabolites of 2-n-butyl-9-methyl-8-[1,2,3]triazol-2-yl-9H-purin-6-ylamine (ST1535), a potent antagonist of the A2A adenosine receptor for the treatment of Parkinson's disease. J Med Chem. 2013 Jul 11;56(13):5456-63.
Lucia Frau, et al. Neuroprotective and anti-inflammatory effects of the adenosine A(2A) receptor antagonist ST1535 in a MPTP mouse model of Parkinson's disease. Synapse. 2011 Mar;65(3):181-8.
Francesca Bartoccini, et al. Direct B-alkyl Suzuki-Miyaura cross-coupling of 2-halopurines. Practical synthesis of ST1535, a potent adenosine A2A receptor antagonist. J Org Chem. 2010 Aug 6;75(15):5398-401.