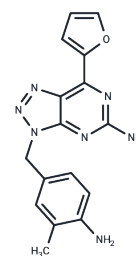


Vipadenant

Chemical Properties

CAS No. :	442908-10-3
Formula:	C16H15N7O
Molecular Weight:	321.34
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	Vipadenant (CEB-4520)(BIIB-014) is an adenosine A2a antagonist with Ki of 1.3 nM; less potent for A1(Ki=69 nM).
Targets(IC50)	Adenosine Receptor
In vivo	Vipadenant, administered at doses ranging from 0.3 to 30 mg/kg, effectively reduces catalepsy in a dose-dependent manner without inducing statistically significant dyskinetic episodes in 6-OHDA-lesioned rats at a dosage of 10 mg/kg through a 19-day treatment protocol. Moreover, in models of haloperidol-induced hypolocomotion in mice and rats, the minimum effective doses of vipadenant are 0.1 mg/kg and 1 mg/kg, respectively. Additionally, oral administration of vipadenant at 3 and 10 mg/kg is shown to increase contralateral rotations in 6-OHDA lesioned rats, indicating its potential in modulating motor functions.
Kinase Assay	Peptide substrate phosphorylation assays with GST-Abl kinase domains: The effect of AP24534 (0-320 nM) on GST-Abl kinase activity is assessed by using a synthetic peptide substrate (Abtide: EAIYAAPFAKKK). Assays are carried out at 30 °C for 15 min in 25 µL reaction mixture: 8 mM MOPS (pH 7), 0.2 mM EDTA, 50 µM Abtide, 30 mM MgCl ₂ , 10 mM β-glycerol phosphate, 1 mM EGTA, 0.002% Brij-35, 0.4 mM DTT, 0.2 mg/mL BSA, 0.4 mM sodium orthovanadate, 10 nM WT or mutant GST-Abl kinase, and 100 µM ATP/γ- ³² [P]ATP (5000 cpm/pmol). Reactions are terminated by transferring a portion of the reaction mixture onto a p81 phosphocellulose filter and immersing in 0.75% phosphoric acid. Filters are washed 3 times in 0.75% phosphoric acid, rinsed in acetone, and air dried; phosphate incorporation is determined by scintillation counting. All results are corrected for background binding to the filters, as determined by omitting peptide substrate from the kinase reaction. Time course experiments to establish the linear range of enzymatic activity precedes kinase assays.

Solubility Information

Solubility	DMSO: 55 mg/mL (171.16 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.112 mL	15.5598 mL	31.1197 mL
5 mM	0.6224 mL	3.112 mL	6.2239 mL
10 mM	0.3112 mL	1.556 mL	3.112 mL
50 mM	0.0622 mL	0.3112 mL	0.6224 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

Jones N, et al. A2A receptor antagonists do not induce dyskinesias in drug-naive or L-dopa sensitized rats. *Brain Res Bull.* 2013 Sep;98:163-9.

Shook B C , Jackson P F . Adenosine A2A Receptor Antagonists and Parkinson's Disease[J]. *ACS Chemical Neuroscience*, 2011, 2(10):555-567.

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