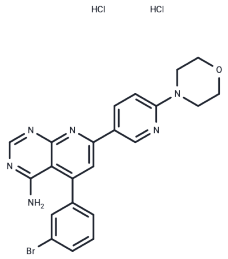
Data Sheet (Cat.No.T4668)

TargetMol

ABT-702 dihydrochloride

Chemical Properties



CAS No. : 1188890-28-9

Formula: C22H21BrCl2N6O

Molecular Weight: 536.25

Appearance: no data available

Storage: store at low temperature,keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	ABT-702 dihydrochloride is a highly potent inhibitor of adenosine kinase (AK).
Targets(IC50)	Adenosine Receptor
In vitro	ABT-702 is an orally effective adenosine kinase inhibitor with a high selectivity over other adenosine (ADO) interaction sites (A1, A2A, A3 receptors, ADO transporter, and ADO deaminase). It demonstrates equipotency (IC50=1.5±0.3 nM) in inhibiting human native AK (placenta), two human recombinant isoforms (AKlong and AKshort), and AK from monkey, dog, rat, and mouse brain. ABT-702 also strongly inhibits AK activity in intact cultured IMR-32 human neuroblastoma cells (IC50=51 nM), indicating its ability to penetrate the cell membrane and inhibit intracellular AK effectively.
In vivo	Rats received an intraperitoneal injection of DPCPX (3 mg/kg), ABT-702 (3 mg/kg), or a control substance 10 minutes before an intravenous dose of 2-18F-fluorodeoxy-D-glucose (FDG) (15.4±0.7 MBq per rat), followed by a 15-minute static PET scan. Images were standardized against an FDG PET template for rats, with standard uptake values (SUVs) calculated. Despite no change in overall brain FDG uptake due to drug treatment, significant regional reductions in metabolism, especially in the cerebellum, were observed in rats treated with DPCPX and ABT-702 compared to those receiving the control substance, indicating a modest effect of endogenous adenosine on FDG accumulation in a non-stimulated state. Body weight and blood glucose levels were consistent across all groups. Vehicle, ABT-702, and DPCPX treatment resulted in similar whole-brain PET SUVs (1.6±0.4, 1.6±0.6, and 1.8±0.6, respectively; F(2,9)=0.298, P=0.75), with statistical parametric mapping analysis identifying significant hypometabolism in the cerebellum and mesencephalon. ABT-702 markedly reduced acute thermal nociception in mice in a dose-dependent manner following both intraperitoneal (ED50=8 μmol/kg) and oral (ED50=65 μmol/kg) administration, as evidenced in the hot-plate test. This antinociceptive effect was further supported by dose-dependent results in the abdominal constriction assay (ED50=2 μmol/kg i.p.).
Animal Research	Rats are fasted for 16 hours prior to use. At the beginning of the experiment, each rat is weighed, and then anesthetized using 5% isoflurane for induction and 2.5% for maintenance. A blood sample from tail vein is collected for a fasting blood glucose determination using a standard glucometer. Rats are then given an intraperitoneal (i.p.) injection of DPCPX (3 mg/kg, n=4), ABT-702 (3 mg/kg, n=4), or an equivalent volume of

vehicle (15% dimethyl sulfoxide, 15% cremophor EL, 70% saline, n=4) to manipulate the effect of endogenous adenosine on neuronal activities. Ten minutes after i.p. injection, rats are administered FDG (15.4±0.7 MBq) in 0.3-0.5 mL saline by intravenous (i.v.) tail vein injection. Rats are allowed to recover from anesthesia after the FDG injection but are reanesthetized for 15-minute-static PET scan with the head in the center of the field of view.

Solubility Information

Solubility	DMSO: 45 mg/mL (83.92 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8648 mL	9.324 mL	18.648 mL
5 mM	0.373 mL	1.8648 mL	3.7296 mL
10 mM	0.1865 mL	0.9324 mL	1.8648 mL
50 mM	0.0373 mL	0.1865 mL	0.373 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.

Reference

Jarvis MF, et al. ABT-702 (4-amino-5-(3-bromophenyl)-7-(6-morpholinopyridin-3-yl)pyrido[2, 3-d]pyrimidine), a novel orally effective adenosine kinase inhibitor with analgesic and 2.anti-inflammatory properties: I. In vitro characterization and acute antinociceptive effects in the mouse. J Pharmacol Exp Ther. 2000 Dec;295(3):1156-64.
Jiang L, Zhou Y, Tang S, et al.Nociceptive adenosine A2A receptor on trigeminal nerves orchestrates CGRP release to regulate the progression of oral squamous cell carcinoma.International Journal of Oral Science.2024, 16(1): 46.
Parkinson FE, et al. The Effect of Endogenous Adenosine on Neuronal Activity in Rats: An FDG PET Study. J Neuroimaging. 2016 Jul;26(4):403-5.