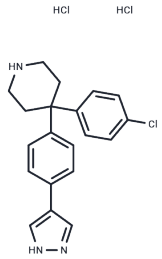
Data Sheet (Cat.No.T21624)

TargetMol

AT7867 dihydrochloride

Chemical Properties



CAS No. : 1431697-86-7

Formula: C20H22Cl3N3

Molecular Weight: 410.77

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	AT7867 dihydrochloride is a potent ATP-competitive inhibitor of Akt1/Akt2/Akt3 and p70S6K/PKA kinase, with IC50 values of 32 nM/17 nM/47 nM and 85 nM/20 nM, respectively. It induces pharmacodynamic changes and inhibits human tumor xenograft growth.
Targets(IC50)	Others,Akt,PKA,S6 Kinase
In vitro	AT7867 ATP-competitive inhibited AKT2 with the Ki of 18nM. AT7867 also shows potent activity against the structurally related AGC kinases p70S6K and PKA, but shows a clear window of selectivity against kinases from other kinase sub-families. In vitro growth inhibition studies show that AT7867 blocks proliferation in a number of human cancer cell lines. AT7867 appears to be most potent at inhibiting proliferation in MES-SA uterine, MDA-MB-468 and MCF-7 breast, and HCT116 and HT29 colon lines (IC 50 values range from 0.9-3 μM), and least effective in the two prostate lines tested (IC 50 values range from 10-12 μM) [1].
In vivo	After oral administration of AT7867 at a dosage of 20 mg/kg, its elimination from plasma mirrors that observed with intravenous (i.v.) administration. Plasma concentrations of AT7867 exceed 0.5 μM for a minimum of 6 hours post-oral dosing at 20 mg/kg. Based on the assumption of linear pharmacokinetics from i.v. administration, the oral bioavailability of AT7867 is estimated at 44%. Consequently, in vivo pharmacodynamic (PD) biomarker studies utilize this model. Subsequent to pharmacokinetic and tolerability assessments, AT7867 doses (90 mg/kg orally or 20 mg/kg intraperitoneally) are given to athymic mice harboring MES-SA tumors, with a focus on monitoring the phosphorylation levels of GSK3β and S6RP within the tumors over time. A significant reduction in the phosphorylation of these two pathway markers is observed at both 2 and 6 hours post-AT7867 administration. By the 24-hour mark, the total levels of GSK3β and S6RP have substantially decreased [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4345 mL	12.1723 mL	24.3445 mL
5 mM	0.4869 mL	2.4345 mL	4.8689 mL
10 mM	0.2434 mL	1.2172 mL	2.4345 mL
50 mM	0.0487 mL	0.2434 mL	0.4869 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.

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