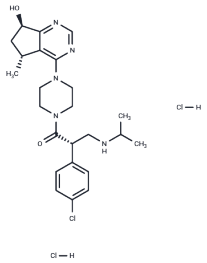
Data Sheet (Cat.No.T15374)

TargetMol
YOUR TARGET MOLECULES

Ipatasertib dihydrochloride

Chemical Properties



CAS No. : 1396257-94-5

Formula: C24H34Cl3N5O2

Molecular Weight: 530.92

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Ipatasertib dihydrochloride (GDC-0068 dihydrochloride) is a highly selective and ATP-competitive inhibitor of pan-Akt (IC50s: 5, 18 and 8 nM for Akt1, Akt2 and Akt3, respectively).
Targets(IC50)	Akt,PKA
In vitro	Ipatasertib displays more than 600 and more than 100-fold selectivity for Akt1 in IC50 against the closely related kinases PKA and p70S6K, respectively. Ipatasertib inhibits only 3 other kinases by more than 70% at 1 μM concentration (PRKG1α, PRKG1β, and p70S6K) when tested at 1 μM in a panel of 230 protein kinases, which includes 36 human AGC family members. IC50s measured for these 3 kinases are 98, 69, and 860 nM, respectively. The relationship between pharmacokinetics (PK) and pharmacodynamics (PD) of Ipatasertib is investigated in 3 xenograft models that showed a dose-dependent response to drug treatment: MCF7-neo/HER2, TOV-21G.x1, and LNCaP. The mean cell viability IC50 of Ipatasertib in these 3 cell lines is 2.56, 0.44, and 0.11 μM, respectively. Ipatasertib shows a more than 100-fold selectivity for Akt1 over the next most potently inhibited non-Akt kinase, p70S6K, in the screening kinase panel with the exception of PKG1 (relative to which Ipatasertib is >10-fold more selective for Akt1) [2].
In vivo	Ipatasertib is typically efficacious in xenograft models in which Akt is activated because of genetic alterations including PTEN loss, PIK3CA mutations/amplification, or HER2 overexpression. The combination of Ipatasertib with RP-56976 or NSC 241240 is tolerated with less than 5% body weight loss when compared with treatment with each chemotherapeutic agent alone. The daily dosing of Ipatasertib in combination with RP-56976 induces tumor regression and stasis in the PC-3 and MCF7-neo/HER2 xenograft models, at doses where every single agent is ineffective or only causes modest tumor growth delay, when tested in vivo. Similarly, enhanced TGI is observed in the OVCAR3 ovarian cancer xenograft model when Ipatasertib is combined with NSC 241240 [2].

Solubility Information

Solubility	DMSO: 125 mg/mL (235.44 mM),Sonication is recommended. H2O: 41 mg/mL (77.22 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8835 mL	9.4176 mL	18.8352 mL
5 mM	0.3767 mL	1.8835 mL	3.767 mL
10 mM	0.1884 mL	0.9418 mL	1.8835 mL
50 mM	0.0377 mL	0.1884 mL	0.3767 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

Blake JF, et al. Discovery and preclinical pharmacology of a selective ATP-competitive Akt inhibitor (GDC-0068) for the treatment of human tumors. J Med Chem. 2012 Sep 27;55(18):8110-27.