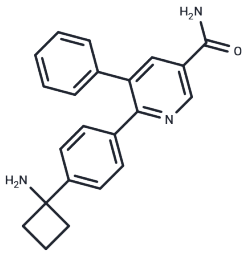
Data Sheet (Cat.No.T4489)

TargetMol

AKT-IN-1

Chemical Properties



CAS No. : 1357158-81-6

Formula: C22H21N3O

Molecular Weight: 343.42

Appearance: no data available

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

Biological Description

Description	AKT-IN-1 (AZD-26) is an allosteric AKT inhibitor (IC50: 1.04 μM).
Targets(IC50)	Akt
In vitro	AZD-26 is able to potently inhibit phosphorylation of AKT in cells at both Thr308 (IC50: 0.422 μM) and Ser473 (IC50: 0.322 μM). AZD-26 inhibits the phosphorylation of ribosomal protein S6, a downstream effector of the PI3K-AKT pathway. AZD-26 potently inhibits the phosphorylation of PRAS40 [1].
In vivo	The effects of AZD-26 in vivo are characterized by measuring the pharmacodynamic activity of AZD-26 in a BT474c breast adenocarcinoma xenograft model. Following acute doses of 100 and 200 mg/kg, AZD-26 potently inhibits the phosphorylation of its downstream substrate GSK3β as well as the phosphorylation of AKT (Ser473), with a potency consistent with its pharmacokinetic profile. The in vivo activity of AZD-26 is further characterized by measuring the effects on the growth of tumour cell xenografts. Continuous (daily) oral dosing of AZD-26 (100 and 200 mg/kg) to nude mice bearing BT474c breast adenocarcinoma xenografts results in inhibition of tumour growth in a dose-dependent manner. When dosed at 200 mg/kg daily, AZD-26 causes significant tumour growth inhibition[1].

Solubility Information

Solubility	DMSO: 11 mg/mL (32.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9119 mL	14.5594 mL	29.1189 mL
5 mM	0.5824 mL	2.9119 mL	5.8238 mL
10 mM	0.2912 mL	1.4559 mL	2.9119 mL
50 mM	0.0582 mL	0.2912 mL	0.5824 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

Kettle JG, et al. Diverse heterocyclic scaffolds as allosteric inhibitors of AKT. J Med Chem. 2012 Feb 9;55(3):1261-73.