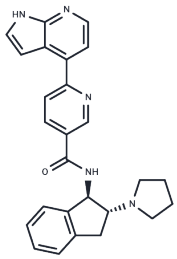
Data Sheet (Cat.No.T60169)

BLU0588

Chemical Properties



CAS No. : 2810747-78-3

Formula: C26H25N5O

Molecular Weight: 423.51

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	BLU0588 is a selective PRKACA inhibitor. BLU0588 inhibits PRKACA catalytic activity with a half-maximal inhibitory concentration (IC50) of 1 nM.
Targets(IC50)	Others,PKA
In vitro	RKACA cellular IC50 values were 25.0 nM with BLU0588, which were determined from inhibition of VASP Ser157 phosphorylation in forskolin-stimulated Huh7 cells[1].
In vivo	For in vivo studies, mice were given either BLU0588 at 30 mg/kg and 75 mg/kg once daily (QD) and monitored for 24 hours. Plasma concentrations peaked within 2-4 hours of QD dosing. For BLU0588-treated mice, phosphorylated VASP was reduced to 19% and 4% of baseline phosphorylation levels with 30 mg/kg QD and 75 mg/kg QD, respectively, 4 hours after dosing; phosphorylated VASP levels fully recovered by 24 hours post administration of 30 mg/kg QD. When mice harboring FLC PDX tumors were treated with BLU0588 given orally at 30 mg/kg QD, by Day 34 tumor growth was inhibited by 48.5% (P = 0.003)[1].

Solubility Information

Solubility	DMSO: 85.8 mg/mL (202.59 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3612 mL	11.8061 mL	23.6122 mL
5 mM	0.4722 mL	2.3612 mL	4.7224 mL
10 mM	0.2361 mL	1.1806 mL	2.3612 mL
50 mM	0.0472 mL	0.2361 mL	0.4722 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

Stefanie S. Schalm, et al. Evaluation of PRKACA as a Therapeutic Target for Fibrolamellar Carcinoma. bioRxiv 2022.01.31.477690.