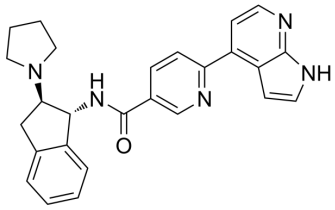
BLU0588

Cat. No.:	HY-153967
CAS No.:	2810747-78-3
Molecular Formula:	$C_{26}H_{25}N_5O$
Molecular Weight:	423.51
Target:	PKA
Pathway:	Stem Cell/Wnt; TGF-beta/Smad
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (196.76 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

BLU0588 is an orally active, potent and selective PRKACA (protein kinase cAMP-activated catalytic subunit alpha) kinase inhibitor, with an IC_{50} of 1 nM and dissociation constant (K_d) of 4 nM. BLU0588 can be used for fibrolamellar carcinoma (FLC) research[1].

IC_{50} & Target

IC50: 1 nM (PRKACA)[1]

In Vitro

BLU0588 (1.5 μM, 1 day or 14 days) reverses a FLC-specific gene signature, leading to downregulation of genes that are overexpressed in FLC (CPS1 and G6PC, top) and upregulation of genes that are underexpressed in FLC[1].

BLU0588 (0-312.5 nM) reduces pVASP in FLC PDX cells in a dose-dependent manner[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BLU0588 (30-75 mg/kg, orally, once) can inhibit PRKACA, effectively inhibits downstream signaling of PRKACA, phosphorylated VASP levels returns to baseline levels by 24 hours[1].

The highest tolerated dose of BLU0588 for more than 3 weeks of continuous dosing was established as 30 mg/kg QD in mice [1].

BLU0588 (30 mg/kg, orally, once daily, 34 days) can inhibits tumor growth in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	female NOD-SCID mice harboring FLC PDX tumors (6-8-week-old, FLC PDX shRNA cell lines or Hep3B cells were implanted)[1]
Dosage:	30 mg/kg
Administration:	Orally, once daily, 34 days
Result:	Inhibited tumor growth in mice, by day 34 tumor growth was inhibited by 48.5%.

CUSTOMER VALIDATION

- Mol Cell Proteomics. 2023 Oct 16:100667.

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REFERENCES

[1]. Stefanie S. Schalm, et al. Evaluation of Protein Kinase cAMP-Activated Catalytic Subunit Alpha as a Therapeutic Target for Fibrolamellar Carcinoma. Gastro Hep Advances. Volume 2, Issue 3, 2023, Pages 307-321.

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