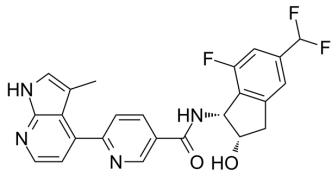
BLU2864

Cat. No.:	HY-150076
CAS No.:	2810747-89-6
Molecular Formula:	$C_{24}H_{19}F_3N_4O_2$
Molecular Weight:	452.43
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BLU2864 is an orally active, highly selective, ATP-competitive PRKACA inhibitor (IC_{50}=0.3 nM). BLU2864 shows anti-tumor activity. BLU2864 can be used in cancer and polycystic kidney disease research[1][2].
IC_{50} & Target	IC50: 0.3 nM (PRKACA)[2]
In Vitro	BLU2864 (40 nM and 200 nM; 5 d) inhibits forskolin (HY-15371)-induced in vitro cystogenesis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	mIMCD3 cells
Concentration:	40 nM and 200 nM
Incubation Time:	5 days
Result:	Inhibited forskolin induced in vitro cystogenesis of mIMCD3 cells cultured in Matrigel by 72% and 100% at 40 and 200 nM concentrations, respectively, relative to control.

In Vivo

BLU2864 (oral gavage; 45 mg/kg; once daily; 5 d) inhibits renal PKA activity in $Pkd1^{RC/RC}$ mice[1].
BLU2864 (oral gavage; 30 mg/kg; once daily; 5 d) inhibits PKA activity and ameliorates PKD in $Pkd1^{RC/RC}$ mice[1].
BLU2864 (oral gavage; 30 mg/kg and 75 mg/kg; once daily; 34 d) reduces FLC tumor growth in vivo[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	$Pkd1^{RC/RC}$ mice[1]
Dosage:	45 mg/kg
Administration:	Oral gavage; 45 mg/kg; once daily; 5 days
Result:	Suppressed kidney basal and total PKA activities by 74% and 87% at 3 hours and by 46% and 56% at 15 hours, respectively, in the BLU2864-treated mice compared with controls.

Animal Model:	$Pkd1^{RC/RC}$ mice[1]
Dosage:	30 mg/kg
Administration:	Oral gavage; 30 mg/kg; once daily; 5 days
Result:	Showed higher urine outputs at 15 weeks in the BLU2864-treated mice than in the controls. Showed lower kidney weights, kidney volumes as percent of body weights, and cyst indices. Showed renal basal and total PKA activities by 69% and 84% lower in the BLU2864-treated mice compared with controls.

Animal Model:	Mice harboring FLC PDX tumors[2]
Dosage:	30 mg/kg and 75 mg/kg
Administration:	Oral gavage; 30 mg/kg and 75 mg/kg; once daily; 34 days
Result:	Inhibited tumor growth by 48.5% (P=0.003) and by 45.3% (P=0.0005), respectively, at day 34.

REFERENCES

[1]. Xiaofang Wang, et al. Protein Kinase A Downregulation Delays the Development and Progression of Polycystic Kidney Disease. J Am Soc Nephrol. 2022 Jun;33(6):1087-1104.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA