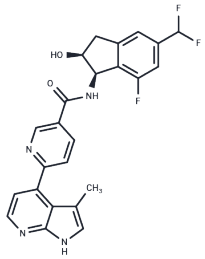
Data Sheet (Cat.No.T60170)

TargetMol

BLU2864

Chemical Properties



CAS No. : 2810747-89-6

Formula: C24H19F3N4O2

Molecular Weight: 452.43

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	BLU2864 is an orally active, highly selective, ATP-competitive PRKACA inhibitor with an IC50 of 0.3 nM, exhibiting anti-tumor activity and potential applications in cancer and polycystic kidney disease research [1] [2].
Targets(IC50)	Others,PKA
In vitro	BLU2864 (40 nM and 200 nM; 5 d) inhibits forskolin-induced cystogenesis in vitro, reducing cyst formation in mIMCD3 cells cultured in Matrigel by 72% and 100% at 40 nM and 200 nM, respectively, compared to control[1].
In vivo	BLU2864 administered via oral gavage at doses of 45 mg/kg and 30 mg/kg once daily for 5 days showed significant inhibition of renal PKA activity and amelioration of polycystic kidney disease (PKD) in Pkd1 RC/RC mice, indicated by reduced kidney basal and total PKA activities, decreased kidney weights, volumes relative to body weights, and cyst indices. Kidney basal and total PKA activities were suppressed significantly in treated mice compared to controls, with a noted increase in urine output and improved PKD symptoms at a dosage of 30 mg/kg. Additionally, BLU2864 treatment at dosages of 30 mg/kg and 75 mg/kg once daily for 34 days effectively retarded FLC tumor growth in vivo in mice bearing FLC PDX tumors, achieving tumor growth inhibition rates of 48.5% and 45.3%, respectively.

Solubility Information

Solubility	DMSO: 150 mg/mL (331.54 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (22.1 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (22.1 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2103 mL	11.0514 mL	22.1029 mL
5 mM	0.4421 mL	2.2103 mL	4.4206 mL
10 mM	0.221 mL	1.1051 mL	2.2103 mL
50 mM	0.0442 mL	0.221 mL	0.4421 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

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