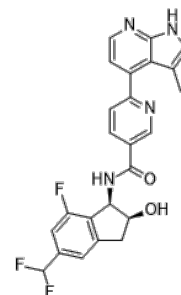


Product Name : BLU2864
Cat. No. : PC-26712
CAS No. : 2810747-89-6
Molecular Formula : C₂₄H₁₉F₃N₄O₂
Molecular Weight : 452.43
Target : Protein Kinase A (PKA)
Solubility : 10 mM in DMSO



Biological Activity

BLU2864 is a potent, selective and orally active protein kinase A (PKA) alpha catalytic subunit (PRKACA) inhibitor with IC₅₀ of 0.3 nM and K_d of 3.3 nM.

BLU2864 exhibits good to moderate selectivity against closely related AGC kinase family members and excellent overall kinome selectivity profiles.

BLU2864 exhibits cellular IC₅₀ value of 36.6 nM for inhibition of VASP Ser157 phosphorylation in forskolin-stimulated Huh7 cells.

BLU2864 treatment led to a dose-dependent reduction in phosphorylated VASP in FLC PDX spheroid cultures.

BLU2864 at 30 mg/kg once daily (QD) inhibits PRKACA in vivo, inhibits tumor growth in mice harboring FLC PDX tumors.

References

Schalm SS, et al. Gastro Hep Adv. 2022 Nov 8;2(3):307-321.

Stefanie S. Schalm, et al. bioRxiv 2022.01.31.477690.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com