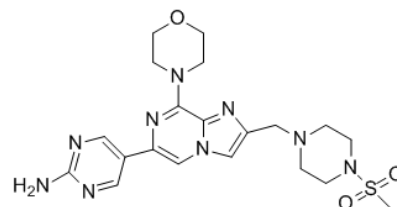


Product Name : ETP-46321
Cat. No. : PC-42989
CAS No. : 1252594-99-2
Molecular Formula : C₂₀H₂₇N₉O₃S
Molecular Weight : 473.5519
Target : PI3K
Solubility : DMSO: ≥ 33 mg/mL



Biological Activity

ETP-46321 is a potent, selective, orally bioavailable dual **PI3K α/δ** inhibitor with IC₅₀ of 2.3/14.2 nM, weakly inhibits p110β and p110γ with IC₅₀ of 170 and 179 nM.

ETP-46321 shows highly selectivity versus mTOR and 288 representative kinases, also potently inhibits mutants PI3K α E542K, E545K, and H1047R with IC₅₀ of ~2 nM.

ETP-46321 inhibits phosphorylation of AKT Ser473 in the U2OS cell line with IC₅₀ of 8.3 nM.

ETP-46321 shows significant tumor growth inhibition and reduction of the tumor metabolic activity in a lung tumor mouse model driven by a K-RasG12V oncogenic mutation.

References

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Granda TG, et al. *Invest New Drugs*. 2013 Feb;31(1):66-76.

Aragoneses-Fenoll L, et al. *Biochem Pharmacol*. 2016 Apr 15;106:56-69.

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

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