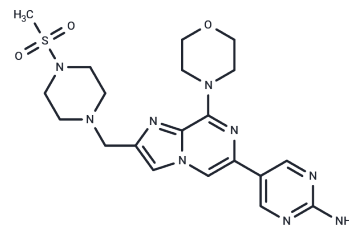


ETP-46321

Chemical Properties

CAS No. : 1252594-99-2
Formula: C₂₀H₂₇N₉O₃S
Molecular Weight: 473.55
Appearance: no data available
Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



Biological Description

Description	ETP-46321 is an effective and orally bioavailable PI3K α /PI3K δ inhibitor (K _i : 2.3/14.2 nM).
Targets(IC ₅₀)	PI3K
In vitro	ETP-46321 has been profiled and shown to be a potent PI3K α and δ inhibitor, highly selective versus mTOR and 288 representative kinases. ETP-46321 is also tested against three of the p110 α mutant enzymes detected in human cancers (E542K, E545K, and H1047R), being equipotent against these mutants when compared to the wild type protein (K _{iapp} =2.33, 1.77 and 1.89 nM for PI3K α -H1047R, PI3K α -E545K and PI3K α -E542K, respectively). ETP-46321 inhibits the phosphorylation of AKT in the U2OS cell line (IC ₅₀ : 8.3 nM).
In vivo	ETP-46321 has been chosen for in vivo studies due to its promising pharmacokinetic profile in BALB-C mice, characterized by low in vivo Clearance (0.6 L/h/Kg) and high oral bioavailability (90%). This selection was further justified for a preliminary in vivo evaluation in a lung tumor mouse model influenced by a K-RasG12V oncogenic mutation, where it exhibited notable tumor growth inhibition.
Animal Research	BALB/C mice are treated daily with ETP-46321 (50 mg/kg, p.o.) for three weeks. Tumor volumes of four mice in each treatment group are measured and compared to the starting volume at the beginning of the treatment.

Solubility Information

Solubility	DMSO: 30 mg/mL (63.35 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1117 mL	10.5585 mL	21.1171 mL
5 mM	0.4223 mL	2.1117 mL	4.2234 mL
10 mM	0.2112 mL	1.0559 mL	2.1117 mL
50 mM	0.0422 mL	0.2112 mL	0.4223 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.

Reference

Martínez González S, et al. Identification of ETP-46321, a potent and orally bioavailable PI3K α , δ inhibitor. Bioorg Med Chem Lett. 2012 May 15;22(10):3460-6.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel: 781-999-4286 E_mail: info@targetmol.com Address: 36 Washington Street, Wellesley Hills, MA 02481