

ETP-46321

## Chemical Properties

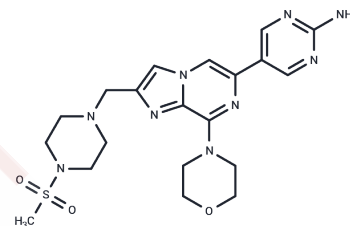
CAS No. : 1252594-99-2

Formula: C<sub>20</sub>H<sub>27</sub>N<sub>9</sub>O<sub>3</sub>S

Molecular Weight: 473.55

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	ETP-46321 is an effective and orally bioavailable PI3K $\alpha$ /PI3K $\delta$ inhibitor (K <sub>i</sub> : 2.3/14.2 nM).
Targets(IC <sub>50</sub> )	PI3K
In vitro	ETP-4632 has been profiled and shown to be a potent PI3K $\alpha$ and $\delta$ inhibitor, highly selective versus mTOR and 288 representative kinases. ETP-46321 is also tested against three of the p110 $\alpha$ mutant enzymes detected in human cancers (E542K, E545K, and H1047R), being equipotent against these mutants when compared to the wild type protein (K <sub>iapp</sub> =2.33, 1.77 and 1.89 nM for PI3K $\alpha$ -H1047R, PI3K $\alpha$ -E545K and PI3K $\alpha$ -E542K, respectively). ETP-46321 inhibits the phosphorylation of AKT in the U2OS cell line (IC <sub>50</sub> : 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), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

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	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

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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

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

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