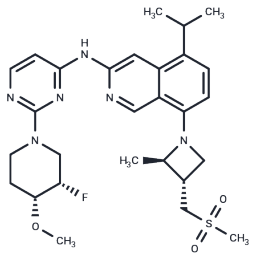
Data Sheet (Cat.No.T9754)

BLU-945

Chemical Properties



CAS No. :	2660250-10-0
Formula:	C28H37FN6O3S
Molecular Weight:	556.7
Storage:	Store at low temperature,Keep away from direct sunlight 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	BLU-945 is a reversible, potent, highly selective, and orally available epidermal growth factor receptor tyrosine kinase inhibitor (TKIs). BLU-945 inhibits EGFR phosphorylation in EGFR L858R/T790M/C797S and EGFR ex19del/T790M/C797S mutant cell lines. BLU-945 can be used in lung cancer research, including non-small cell lung cancer (NSCLC).
Targets(IC50)	EGFR
In vitro	BLU-945 exhibits subnanomolar activity against EGFR+/T790M and EGFR+/T790M/C797S mutants and maintains activity against EGFR activating mutations (L858R, ex19del), especially EGFR L858R; BLU-945 exhibits excellent inhibitory activity against the pEGFR H1975 cell line (IC50 = 1.1 nM), which is approximately 500-fold more potent than pEGFR A431 (EGFR-WT amplified cell line); in addition, BLU-945 can effectively inhibit EGFR phosphorylation in the Ba/F3 engineered cell line (L858R/T790M/C797S IC50 = 3.2 nM, ex19del/T790M/C797S IC50 = 4.0 nM)[1]; BLU-945 (1-10000μM) inhibits EGFR phosphorylation in EGFR L858R/T790M/C797S and EGFR ex19del/T790M/C797S mutant cell lines. [3]
In vivo	**METHODS**: BLU-945 (30, 100 mg/kg, twice daily) was administered to mice bearing NCI-H1975 xenografts. **RESULTS** BLU-945 at 100 mg/kg induced tumor stasis in mice bearing NCI-H1975 xenografts. [1]

Solubility Information

Solubility	DMSO: 250 mg/mL (449.07 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7963 mL	8.9815 mL	17.963 mL
5 mM	0.3593 mL	1.7963 mL	3.5926 mL
10 mM	0.1796 mL	0.8981 mL	1.7963 mL
50 mM	0.0359 mL	0.1796 mL	0.3593 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

Eno MS, et al. Discovery of BLU-945, a Reversible, Potent, and Wild-Type-Sparing Next-Generation EGFR Mutant Inhibitor for Treatment-Resistant Non-Small-Cell Lung Cancer. J Med Chem. 2022 Jul 28;65(14):9662-9677.
Liang J, Bi G, Sui Q, et al.Transcription factor ZNF263 enhances EGFR-targeted therapeutic response and reduces residual disease in lung adenocarcinoma.Cell Reports.2024, 43(2).
John Emmerson Campbell, et al. Inhibitors of mutant forms of egfr. Patent WO2021133809A1.
Sun Min Lim, et al. BLU-945, a fourth-generation, potent and highly selective epidermal growth factor receptor tyrosine kinase inhibitor with intracranial activity, demonstrates robust in vivo anti-tumor activity in models of osimertinib-resistant non-small cell lung cancer.
Elaine Shum. et al. A phase 1/2 study of BLU-945 in patients with common activating EGFRmutant non-small cell lung cancer (NSCLC) (SYMPHONY trial-in-progress)