BLU-945

Cat. No.: HY-144680

CAS No.: 2660250-10-0

Molecular Formula: $C_{28}H_{37}FN_6O_3S$

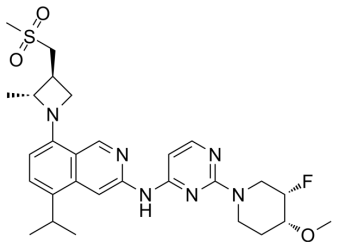
Molecular Weight: 556.7

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (179.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7963 mL	8.9815 mL	17.9630 mL
	5 mM	0.3593 mL	1.7963 mL	3.5926 mL
	10 mM	0.1796 mL	0.8981 mL	1.7963 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (4.49 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.74 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

BLU-945 is a potent, highly selective, reversible and orally active epidermal growth factor receptor (EGFR) tyrosine kinase inhibitor (TKIs). BLU-945 can effectively inhibit EGFR with L858R and/or exon 19 deletion mutation, T790M mutation and C797S mutation. BLU-945 can be used for the research of lung cancer including non-small cell lung cancer (NSCLC)[1][2][3].

In Vitro

BLU-945 has inhibitory activity against the EGFRm/T790M double and EGFRm/T790M/C797Striple mutants with IC_{50} value range from 1.2-4.4 nM[2].

BLU-945 (0- 10 mM, 4 h) inhibit EGFR phosphorylation in the EGFR L858R/T790M/C797S, and EGFR ex19del/T790M/C797S mutant cell lines[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BLU-945 (oral, 0-100 mg/kg; bid) demonstrates potent, robust EGFR pathway inhibition and anti-tumor activity in triple-

mutant Osimertinib (HY-15772)-resistant Ba/F3 CDX and PDCX models[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	triple-mutant osimertinib-resistant Ba/F3 CDX and PDCX models[2]
Dosage:	0-100 mg/kg
Administration:	oral, twice daily
Result:	Showed significant tumor regression in an osimertinib-resistant EGFR ex19del/T790M/C797S PDCX.

REFERENCES

[1]. 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.

[2]. Meredith S Eno, 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.

[3]. 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)

[4]. John Emmerson Campbell, et al. Inhibitors of mutant forms of egfr. Patent WO2021133809A1.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA