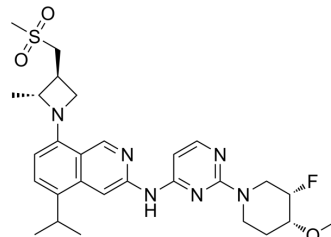


## BLU-945

**Cat. No.:** HY-144680  
**CAS No.:** 2660250-10-0  
**Molecular Formula:** C<sub>28</sub>H<sub>37</sub>FN<sub>6</sub>O<sub>3</sub>S  
**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                                                                                                                                   | <div>Solvent<br/>Concentration</div> <div>Mass</div> | 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<br>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)<br>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) <sup>[1][2][3]</sup> .                                       |
| In Vitro    | BLU-945 has inhibitory activity against the EGFRm/T790M double and EGFRm/T790M/C797S triple mutants with IC <sub>50</sub> value range from 1.2-4.4 nM <sup>[2]</sup> .<br>BLU-945 (0- 10 mM, 4 h) inhibit EGFR phosphorylation in the EGFR L858R/T790M/C797S, and EGFR ex19del/T790M/C797S mutant cell lines <sup>[2]</sup> .<br>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<sup>[2]</sup>.

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 <sup>[2]</sup>                   |
| 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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