

PRODUCT INFORMATION

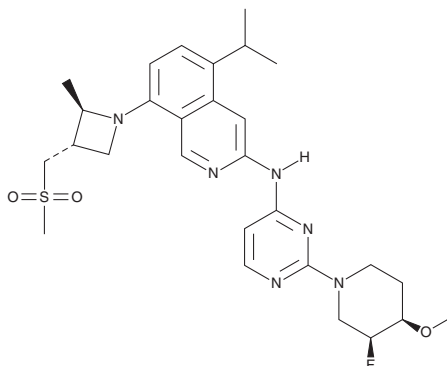


BLU-945

Item No. 43015

CAS Registry No.: 2660250-10-0
Formal Name: N-[2-[(3S,4R)-3-fluoro-4-methoxy-1-piperidinyl]-4-pyrimidinyl]-5-(1-methylethyl)-8-[(2R,3S)-2-methyl-3-[(methylsulfonyl)methyl]-1-azetidinyl]-3-isoquinolinamine

Synonym: Tigozertinib
MF: $C_{28}H_{37}FN_6O_3S$
FW: 556.7
Purity: $\geq 98\%$
Supplied as: A solid
Storage: -20°C
Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BLU-945 is supplied as a solid. A stock solution may be made by dissolving the BLU-945 in the solvent of choice, which should be purged with an inert gas. BLU-945 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

BLU-945 is an inhibitor of mutant EGFR (IC_{50} s = 0.4 and 0.5 nM for EGFR^{L858R/T790M} and EGFR^{L858R/T790M/C797S}, respectively).¹ It is selective for these mutants over wild-type EGFR (IC_{50} = 683 nM) and a panel of greater than 400 kinases at 3 μM . *In vivo*, BLU-945 (100 mg/kg) induces tumor regression in a mouse xenograft model using H1975 non-small cell lung cancer (NSCLC) cells, which express EGFR^{L858R/T790M}. It inhibits tumor growth in an osimertinib-resistant patient-derived xenograft (PDX) mouse model of NSCLC using tumors harboring EGFR^{T790M/C797S} with an exon 19 deletion.

Reference

1. Eno, M.S., Brubaker, J.D., Campbell, J.E., *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.* **65**(14), 9662-9677 (2022).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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