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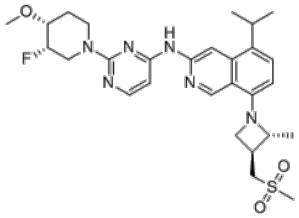
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BLU-945
Cat. No.	:	PC-72903
CAS No.	:	2660250-10-0
Molecular Formula	:	$C_{28}H_{37}FN_6O_3S$
Molecular Weight	:	556.701
Target	:	EGFR
Solubility	:	10 mM in DMSO



Biological Activity

BLU-945 (ZL-2313, BLU945, BLU 945) is a potent, mutant-selective inhibitor of **EGFR** T790M/C797S and EGFR+/T790M mutations (IC50<1 nM).
BLU-945 inhibits EGFRex19del/T790M/C797S, EGFRL858R/T790M/C797S, EGFRex19del/T790M, and EGFRL858R/T790M mutants with sub-nanomolar IC50 values in an enzyme assay, with >1000-fold selectivity over EGFR WT.
BLU-945 achieves potent EGFR pathway inhibition in NCI-H1975 EGFRL858R/T790M, Ba/F3 EGFRL858R/T790M/C797S, and Ba/F3 EGFRex19del/T790M/C797S cell lines and a large window relative to EGFRWT inhibition.
Oral administration of BLU-945 to tumor-bearing mice demonstrated potent EGFR pathway inhibition and anti-tumor activity at well-tolerated doses in the subcutaneous NCI-H1975 CDX model, and osimertinib-resistant CDX and PDX models.

References

Sun Min Lim, et al. ***Cancer Res*** (2021) 81 (13_Supplement): 1467.

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