Data Sheet

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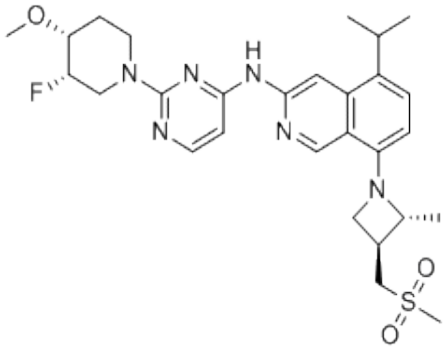
Product Name :BLU-945
Cat.No. :URK-V2327
CAS No. :2660250-10-0
Molecular Formula :$C_{28}H_{37}FN_6O_3S$
Molecular Weight :556.701
Target :EGFR
Solubility :



Biological Activity

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

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

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