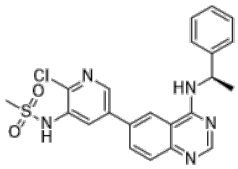
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Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MTX-531
Cat. No.	:	PC-22758
CAS No.	:	2791417-66-6
Molecular Formula	:	$C_{22}H_{20}ClN_5O_2S$
Molecular Weight	:	453.95
Target	:	EGFR
Solubility	:	10 mM in DMSO



CAS: 2791417-66-6

Biological Activity

MTX-531 (MTX531) is a first-in-class, potent and selective inhibitor of **EGFR** and **PI3K** with IC50 of 15 nM and 6.4 nM (PI3Kα), respectively.
MTX-531 is exquisitely selective for HER (human EGFR) and PI3K family members, only 9 protein kinases outside of the HER family are inhibited by >80% at 10 uM in a broad panel of >400 protein and lipid kinases.
MTX-531 demonstrates concentration-dependent inhibition of EGFR, PI3K and mTOR as measured by lower levels of phosphorylated (p)EGFR, protein kinase B (Akt) and eukaryotic translation initiation factor 4E-binding protein 1 (4E-BP1) in CAL-33 HNSCC model.
MTX-531 (100 mg/ kg, oral daily administration) inhibits EGFR and PI3K-mTOR signaling and tumor growth in HNSCC PDX models, potentiates MEK inhibition in CRC, and is synergistic with KRAS-G12C inhibition.
MTX-531 acts as a weak agonist of PPARγ, an attribute that likely mitigates hyperglycemia induced by PI3K inhibition.

References

Whitehead CE, et al. ***Nat Cancer.*** 2024 Jul 11. doi: 10.1038/s43018-024-00781-6.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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