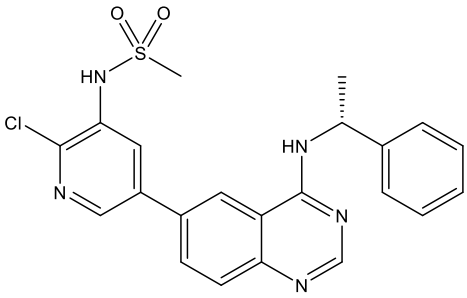
Catalog #10-4802

MTX-531

CAS# 2791417-66-6

(R)-N-(2-Chloro-5-(4-((1-phenylethyl)amino)quinazolin-6-yl)pyridine-3-yl)methanesulfonamide

Lot # FBA9250



MTX-531 is a first-in-class dual EGFR/pan-PI3K inhibitor (IC_{50}s: EGFR = 14.7 nM; PI3kα = 6.4 nM; PI3Kß = 233 nM; PI3Kγ = 8.3 nM; PI3Kδ = 1.1 nM; mTOR = 105 nM; DNA-PK = 5.4 nM). MTX-531 displayed exquisite selectivity for EGFR and PI3K family members (against >400 protein/lipid kinases). It displayed efficacy in the treatment of head and neck squamous cell carcinomas and in combination with RAS inhibitors in BRAF-mutant and KRAS-mutant colorectal and pancreatic cancers. Additionally, it did not produce a hyperglycemic response that is typical for PI3K inhibitors. An interesting new chemical tool for cancer research that targets two key resistance drivers.

1) Whitehead *et al.* (2024), *A first-in-class selective inhibitor of EGFR and PI3K offers a single-molecule approach to targeting adaptive resistance* Nat. Cancer **5** 1250

PHYSICAL DATA

Molecular Weight:	453.95
Molecular Formula:	$C_{22}H_{20}ClN_5O_2S$
Purity:	>98% (HPLC)
	NMR: (Conforms)
Solubility:	DMSO (at least 50 mg/mL)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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