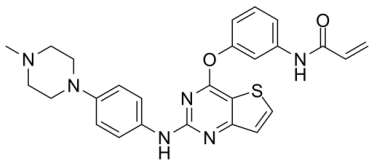
Data Sheet

Product Name:	Olmutinib
Cat. No.:	CS-5413
CAS No.:	1353550-13-6
Molecular Formula:	$C_{26}H_{26}N_6O_2S$
Molecular Weight:	486.59
Target:	EGFR
Pathway:	JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : ≥ 44 mg/mL (90.43 mM)



BIOLOGICAL ACTIVITY:

Olmutinib (HM61713; BI-1482694) is an orally active and irreversible third **EGFR tyrosine kinase** inhibitor that binds to a cysteine residue near the kinase domain. Olmutinib is used for NSCLC[1][2]. IC50 & Target: IC50: 9.2 nM (HCC827) and 10 nM (H1975) [1] **In Vitro:** Olmutinib potently inhibits EGFR in HCC827 cells expressing $EGFR^{DEL19}$ (IC_{50}=9.2 nM) and H1975 cells expressing $EGFR^{L858R/T790M}$ (IC_{50}=10 nM). In contrast, the IC_{50} of olmutinib against cells expressing $EGFR^{WT}$ is 2225 nM[1].

References:

[1]. Kim ES, et al. Olmutinib: First Global Approval. Drugs. 2016 Jul;76(11):1153-7.

[2]. Mohamed W. Attwa, et al. Detection and characterization of olmutinib reactive metabolites by LC‐MS/MS: Elucidation of bioactivation pathways. Journal of Separation science. 18 November 2019.

CAIndexNames:

2-Propenamide, N-[3-[[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]thieno[3,2-d]pyrimidin-4-yl]oxy]phenyl]-

SMILES:

C=CC(NC1=CC=CC(OC2=C3C(C=CS3)=NC(NC4=CC=C(N5CCN(C)CC5)C=C4)=N2)=C1)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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