Olmutinib

Cat. No.: HY-19730

CAS No.: 1353550-13-6

Molecular Formula: $C_{26}H_{26}N_6O_2S$

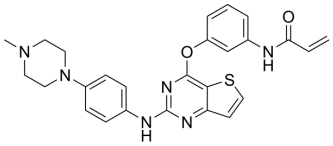
Molecular Weight: 486.59

Target: EGFR

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (256.89 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0551 mL	10.2756 mL	20.5512 mL
5 mM	0.4110 mL	2.0551 mL	4.1102 mL
10 mM	0.2055 mL	1.0276 mL	2.0551 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 6.25 mg/mL (12.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 6.25 mg/mL (12.84 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 6.25 mg/mL (12.84 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

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].

IC_{50} & Target

$EGFR^{Exon\ 19\ deletion}$	$EGFR^{L858R/T790M}$
9.2 nM (IC_{50}, Cell Assay)	10 nM (IC_{50}, Cell Assay)

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2018 Jul;8(4):563-574.
- Mol Syst Biol. 2023 Dec 18.
- J Pharm Anal. 2021 Jun 19.
- Biomedicines. 2024 Jan 7, 12(1), 123.
- J Biomol Struct Dyn. 2021 Nov 12;1-11.

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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.

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