Mobocertinib mesylate

Cat. No.: HY-135815B

CAS No.: 2389149-85-1

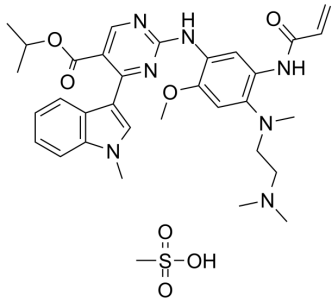
Molecular Formula: $C_{33}H_{43}N_7O_7S$

Molecular Weight: 681.8

Target: EGFR

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Mobocertinib (TAK-788) mesylate is an orally active and irreversible EGFR/HER2 inhibitor. Mobocertinib mesylate potently inhibits oncogenic variants containing activating EGFRex20ins mutations with selectivity over wild-type EGFR. Mobocertinib mesylate can be used in NSCLC research[1][2].

IC_{50} & Target	HER2	EGFR exon 20 insertion	EGFR (WT)

In Vitro

Mobocertinib mesylate (1.5 nM-10 μM; 7 days) inhibits LU0387 (NPH) cells with IC_{50} of 21 nM[1].

Mobocertinib mesylate (2 h) potently inhibits EGFR with common activating mutations (HCC827 (D), HCC4011 (L)) or with a T790M mutation (H1975 (LT)) more potently than WT EGFR (A431 (WT))[1].

Mobocertinib mesylate (0.1 nM-1 μM; 6 h) inhibits pEGFR and pERK1/2 in CUTO14 (ASV) cells[1].

Mobocertinib mesylate (0.3 nM-1 μM; 6 h) inhibits EGFR and downstream signaling[1].

Mobocertinib mesylate (0.01, 0.1 and 1 μM; 6 h) inhibits HER2 signaling in H1781 (HER2 Exon $20^{G776>VC}$), Ba/F3 (HER2 exon 20^{YVMA}) cells[2].

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

Cell Viability Assay[1]

Cell Line:	LU0387 (NPH) cells
Concentration:	1.5 nM-10 μM
Incubation Time:	7 days
Result:	Showed good inhibition activity for LU0387 (NPH) cells with IC_{50} of 21 nM.

Cell Viability Assay[1]

Cell Line:	A431 (WT), HCC827 (D), HCC4011 (L), H1975 (LT) cells
Concentration:	
Incubation Time:	2 h
Result:	Inhibited EGFR with common activating mutations of HCC827 (D), HCC4011 (L) cells and T790M mutation of H1975 (LT) with IC_{50}s of 4, 1.3 and 9.8 nM respectively, which more potently than WT EGFR (A431 (WT); IC_{50} of 35 nM).

Western Blot Analysis[1]

Cell Line:	CUTO14 (ASV) cells
Concentration:	0.1 nM-1 μM
Incubation Time:	6 h
Result:	Robustly inhibited EGFR signaling, reaching 80% and 100% inhibition of phosphorylated EGFR (pEGFR) at concentrations of 100 nM and 1 μM, respectively.

Western Blot Analysis[1]

Cell Line:	HCC827 (D), HCC4011 (L), H1975 (LT) cells
Concentration:	0.3 nM-1 μM
Incubation Time:	6 h
Result:	Potently inhibited EGFR and downstream signaling in HCC827 (D), HCC4011 (L) and H1975 (LT) cells.

Western Blot Analysis[2]

Cell Line:	H1781 (HER2 Exon $20^{G776>VC}$), Ba/F3 (HER2 exon 20^{YVMA}) cells
Concentration:	0.01, 0.1 and 1 μM
Incubation Time:	6 h
Result:	Inhibited HER2 signaling in H1781 and Ba/F3-HER2 exon 20^{YVMA} mutant cells at 0.1 μM with significantly decreased phosphorylations of HER2, AKT, and ERK1/2 in a dose-dependent manner.

In Vivo

Mobocertinib mesylate (3, 10, 30 mg/kg; p.o.; once daily for 20 days) significantly induces tumor growth inhibition[1].

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

Animal Model:	Animal model: Female Athymic Nude-Foxn1^{nu} mice (human NSCLC H1975 LT tumor model)[1].
Dosage:	3, 10, 30 mg/kg
Administration:	Oral; once daily for 20 days.
Result:	Decreased the mean tumor volume by 44% and 92% when at 3 mg/kg and 10 mg/kg, respectively, relative to the tumor size of vehicle group. Induced a 76% tumor regression relative to the pretreatment tumor size at 30 mg/kg.

CUSTOMER VALIDATION

- Cells. 2021, 10(12), 3561.

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REFERENCES

[1]. Gonzalvez F, et al. Mobocertinib (TAK-788): A Targeted Inhibitor of EGFR Exon 20 Insertion Mutants in Non-Small Cell Lung Cancer. Cancer Discov. 2021 Jul;11(7):1672-1687.

[2]. Han H, et al. Targeting HER2 Exon 20 Insertion-Mutant Lung Adenocarcinoma with a Novel Tyrosine Kinase Inhibitor Mobocertinib. Cancer Res. 2021 Oct 15;81(20):5311-5324.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA