# Mobocertinib

**Cat. No.:** HY-135815

**CAS No.:** 1847461-43-1

**Molecular Formula:** $C_{32}H_{39}N_7O_4$

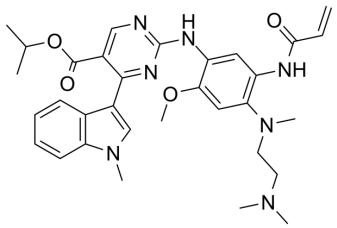
**Molecular Weight:** 585.7

**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 : 25 mg/mL (42.68 mM; ultrasonic and warming and heat to 80°C)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.7074 mL | 8.5368 mL | 17.0736 mL |
| | 5 mM | 0.3415 mL | 1.7074 mL | 3.4147 mL |
| | 10 mM | 0.1707 mL | 0.8537 mL | 1.7074 mL |

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

**In Vivo**

1. Add each solvent one by one: 0.5% CMC/saline water
   Solubility: 25 mg/mL (42.68 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.13 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

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

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

**In Vitro**

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

Mobocertinib (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 (0.1 nM-1 μM; 6 h) inhibits pEGFR and pERK1/2 in CUTO14 (ASV) cells[1].
Mobocertinib (0.3 nM-1 μM; 6 h) inhibits EGFR and downstream signaling[1].
Mobocertinib (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 (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: | 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.<br>Induced a 76% tumor regression relative to the pretreatment tumor size at 30 mg/kg. |

## CUSTOMER VALIDATION

- Acta Pharm Sin B. 2023 Mar 10.
- Cells. 2021, 10(12), 3561.
- Lung Cancer. 2023 Jul, 181, 107250.
- Mol Pharm. 2022 Oct 21.
- JTO Clin Res Rep. 2023 Nov 27, 100614.

See more customer validations on www.MedChemExpress.com

## 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.



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