



上海源叶生物科技有限公司  
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产品名称: **Mobocertinib**  
CAS 号: **1847461-43-1**  
产品货号: **S89012**

生物活性:

| Description      | Mobocertinib (TAK-788) 是一种口服有效并且不可逆的 EGFR/HER2 抑制剂。Mobocertinib 能选择性 (相较于野生型 EGFR) 抑制含有 EGFRex20ins 的致癌突变体。Mobocertinib 可用于 NSCLC 的研究。 |                        |           |                                                                                                                                                                           |
|------------------|----------------------------------------------------------------------------------------------------------------------------------------|------------------------|-----------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| IC50 & Target[1] | EGFR (WT)                                                                                                                              | EGFR exon 20 insertion | HER2      |                                                                                                                                                                           |
| Cellular Effect  | Cell Line                                                                                                                              | Type                   | Value     | Description                                                                                                                                                               |
|                  | A-431                                                                                                                                  | EC <sub>50</sub>       | 1676 nM   | Cytotoxicity against human A-431 cells expressing wild type EGFR assessed as reduction in cell viability incubated for 96 hrs by CellTiter-Glo assay                      |
|                  | A-431                                                                                                                                  | GI <sub>50</sub>       | 26 nM     | Antiproliferative activity against human A-431 cells harboring wildtype EGFR assessed as cell growth inhibition incubated for 72 hrs by CellTiter Glo assay               |
|                  | BaF3                                                                                                                                   | EC <sub>50</sub>       | 1.1 nM    | Cytotoxicity against mouse BaF3 cells expressing EGFR L858R mutant assessed as reduction in cell viability incubated for 96 hrs by CellTiter-Glo assay                    |
|                  | BaF3                                                                                                                                   | EC <sub>50</sub>       | 2711 nM   | Cytotoxicity against mouse BaF3 cells expressing EGFR L858R/C797S mutant assessed as reduction in cell viability incubated for 96 hrs by CellTiter-Glo assay              |
|                  | BaF3                                                                                                                                   | GI <sub>50</sub>       | 9 nM      | Antiproliferative activity against mouse BaF3 cells harboring EGFR D770_N771ins_SVD mutant assessed as cell growth inhibition incubated for 72 hrs by CellTiter Glo assay |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 1.9 nM    | Antiproliferative activity against mouse BaF3 cells expressing L858R assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                          |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 10.1 nM   | Antiproliferative activity against mouse BaF3 cells expressing Ex20 insertion GV mutant assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay       |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 1062.9 nM | Antiproliferative activity against mouse BaF3 cells expressing De119/C797S assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                    |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 1133.7 nM | Antiproliferative activity against mouse BaF3 cells expressing L858R/C797S assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                    |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 2168.1 nM | Antiproliferative activity against mouse BaF3 cells expressing L858R/T790M/C797S assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay              |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 27.1 nM   | Antiproliferative activity against mouse BaF3 cells expressing L858R/T790M assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                    |
|                  | BaF3                                                                                                                                   | IC <sub>50</sub>       | 34.5 nM   | Antiproliferative activity against mouse BaF3 cells harboring                                                                                                             |



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|-------------------------|----------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-----------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 3814.5 nM | wild type EGFR incubated for 3 days by Cell Titer-Glo assay<br>Antiproliferative activity against mouse BaF3 cells expressing De119/T790M/C797S assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 3946 nM   | Anticancer activity against parental mouse BaF3 cells assessed as cell viability incubated for 3 days by Cell Titer-Glo assay                                                                                               |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 4.3 nM    | Antiproliferative activity against mouse BaF3 cells harboring EGFR-D770-N771insNPG mutant incubated for 3 days by Cell Titer-Glo assay                                                                                      |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 47.6 nM   | Antiproliferative activity against mouse BaF3 cells expressing Ex20 insertion SVD mutant assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                                                        |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 5 nM      | Antiproliferative activity against mouse BaF3 cells expressing Del19 assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                                                                            |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 8.3 nM    | Antiproliferative activity against mouse BaF3 cells expressing EGFR WT assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                                                                          |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 8.9 nM    | Antiproliferative activity against mouse BaF3 cells expressing De119/T790M assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                                                                      |
|                         | BaF3     | IC <sub>50</sub>                                                                                                                                                                                                                                                                                                                                                                                                                                                                      | 9 nM      | Antiproliferative activity against mouse BaF3 cells expressing Ex20 insertion NPG mutant assessed as cell viability measured after 72 hrs hrs by CellTiter Glo assay                                                        |
|                         | In Vitro | Mobocertinib (1.5 nM-10 μM; 7 天) 以 21 nM 的 IC50 抑制 LU0387 (NPH) 细胞[1]。<br>Mobocertinib (2 小时) 对具有常见激活突变的 EGFR (HCC827 (D)、HCC4011 (L)) 或 T790M 突变 (H1975 (LT)) 的抑制效力明显强于 WT EGFR (A431 (WT)) [1]。<br>Mobocertinib (0.1 nM-1 μM; 6 小时) 在 CUTO14 (ASV) 细胞中抑制 pEGFR 和 pERK1/2[1]。<br>Mobocertinib (0.3 nM-1 μM; 6 小时) 抑制 EGFR 及其下游信号传导[1]。<br>Mobocertinib(0.01、0.1 和 1 μM; 6 小时)抑制 H1781 (HER2 Exon 20G776>VC) 和 Ba/F3 (HER2 exon 20YVMA) 细胞中的 HER2 信号传导[2]。<br>Cell Viability Assay[1] |           |                                                                                                                                                                                                                             |
| Cell Line:              |          | LU0387 (NPH) cells                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |           |                                                                                                                                                                                                                             |
| Concentration:          |          | 1.5 nM-10μM                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |           |                                                                                                                                                                                                                             |
| Incubation Time:        |          | 7 days                                                                                                                                                                                                                                                                                                                                                                                                                                                                                |           |                                                                                                                                                                                                                             |
| Result:                 |          | Showed good inhibition activity for LU0387 (NPH) cells with IC <sub>50</sub> 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 <sub>50</sub> s of 4, 1.3 and 9.8 nM respectively, which more potently than WT EGFR (A431 (WT); IC <sub>50</sub> of 35 nM). |           |            |
|                    | Western Blot Analysis[1]                                                          |                                |                                                                                                                                                                                                                                                       |           |            |
|                    | Cell Line:                                                                        |                                | CUTO14 (ASV) cells                                                                                                                                                                                                                                    |           |            |
|                    | Concentration:                                                                    |                                | 0.1 nM-1μM                                                                                                                                                                                                                                            |           |            |
|                    | Incubation Time:                                                                  |                                | 6 h                                                                                                                                                                                                                                                   |           |            |
|                    | Result:                                                                           |                                | Robustly inhibited EGFR signaling, reaching 80% and 100% inhibition of phosphorylated EGFR (pEGFR) at concentrations of 100 nM and 1μM, respectively.                                                                                                 |           |            |
|                    | Western Blot Analysis[1]                                                          |                                |                                                                                                                                                                                                                                                       |           |            |
|                    | Cell Line:                                                                        |                                | HCC827 (D), HCC4011 (L), H1975 (LT) cells                                                                                                                                                                                                             |           |            |
|                    | Concentration:                                                                    |                                | 0.3 nM-1μM                                                                                                                                                                                                                                            |           |            |
|                    | 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 <sup>G776&gt;VC</sup> ), Ba/F3 (HER2 exon 20 <sup>YVMA</sup> ) cells                                                                                                                                                              |           |            |
|                    | Concentration:                                                                    |                                | 0.01, 0.1 and 1μM                                                                                                                                                                                                                                     |           |            |
|                    | Incubation Time:                                                                  |                                | 6 h                                                                                                                                                                                                                                                   |           |            |
|                    | Result:                                                                           |                                | Inhibited HER2 signaling in H1781 and Ba/F3-HER2 exon 20YVMA mutant cells at 0.1μM with significantly decreased phosphorylations of HER2, AKT, and ERK1/2 in a dose-dependent manner.                                                                 |           |            |
| In Vivo            | Mobocertinib（3、10、30 mg/kg；口服；每日一次，持续 20 天）显著诱导肿瘤生长抑制[1].                         |                                |                                                                                                                                                                                                                                                       |           |            |
|                    | Animal Model:                                                                     |                                | Female Athymic Nude-Foxn1nu 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.                                                                                                                                                                   |           |            |
| Solvent&Solubility | 细胞实验:                                                                             |                                |                                                                                                                                                                                                                                                       |           |            |
|                    | DMSO 中的溶解度：25 mg/mL (42.68 mM); 超声助溶 (<80°C); 吸湿的 DMSO 对产品的溶解度有显著影响，请使用新开封的 DMSO) |                                |                                                                                                                                                                                                                                                       |           |            |
|                    | 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  |



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|                                                                                                                                                                                        | <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限：-80℃, 2 years; -20℃, 1 year。 -80℃ 储存时，请在 2 年内使用， -20℃ 储存时，请在 1 年内使用。</p> <p><b>动物实验：</b></p> <p>请根据您的 实验动物和给药方式 选择适当的溶解方案。</p> <p>以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：</p> <p>——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用；</p> <p>以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶</p> <p>方案 一</p> <p>请依序添加每种溶剂： 10% DMSO → 40% PEG300 → 5% Tween-80 → 45% Saline</p> <p>Solubility: ≥ 2.5 mg/mL (4.27 mM); 澄清溶液</p> <p>此方案可获得 ≥ 2.5 mg/mL（饱和度未知）的澄清溶液。</p> <p>以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；再向上述体系中加入 50 μL Tween-80，混合均匀；然后再继续加入 450 μL 生理盐水 定容至 1 mL。</p> <p>生理盐水的配制：将 0.9 g 氯化钠，溶解于 ddH<sub>2</sub>O 并定容至 100 mL，可以得到澄清透明的生理盐水溶液。</p> <p>方案 二</p> <p>请依序添加每种溶剂： 10% DMSO → 90% Corn Oil</p> <p>Solubility: ≥ 1.25 mg/mL (2.13 mM); 澄清溶液</p> <p>此方案可获得 ≥ 1.25 mg/mL（饱和度未知）的澄清溶液，此方案实验周期在半个月以上的动物实验酌情使用。</p> <p>以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。</p> <p>以下溶解方案，请直接配制工作液。建议现用现配，在短期内尽快用完。 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比； 如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶。</p> <p>方案 一</p> <p>请依序添加每种溶剂： 0.5% CMC/saline water</p> <p>Solubility: 25 mg/mL (42.68 mM); 悬浊液；超声助溶。</p> |
| 参考文献                                                                                                                                                                                   | <p>[1]. Gonzalez 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.</p> <p>[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.</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| <p><b>完整储备液配制表：</b></p> <p>请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限：-80° C, 2 years; -20° C, 1 year。 -80° C 储存时，请在 2 年内使用， -20° C 储存时，请在 1 年内使用。</p> |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                               |



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| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg      | 10 mg      | 25 mg      |
|------|------------------|-----------|-----------|------------|------------|
|      |                  |           |           |            |            |
| DMSO | 1 mM             | 1.7074 mL | 8.5368 mL | 17.0736 mL | 42.6840 mL |
|      | 5 mM             | 0.3415 mL | 1.7074 mL | 3.4147 mL  | 8.5368 mL  |
|      | 10 mM            | 0.1707 mL | 0.8537 mL | 1.7074 mL  | 4.2684 mL  |
|      | 15 mM            | 0.1138 mL | 0.5691 mL | 1.1382 mL  | 2.8456 mL  |
|      | 20 mM            | 0.0854 mL | 0.4268 mL | 0.8537 mL  | 2.1342 mL  |
|      | 25 mM            | 0.0683 mL | 0.3415 mL | 0.6829 mL  | 1.7074 mL  |
|      | 30 mM            | 0.0569 mL | 0.2846 mL | 0.5691 mL  | 1.4228 mL  |
|      | 40 mM            | 0.0427 mL | 0.2134 mL | 0.4268 mL  | 1.0671 mL  |



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