



上海源叶生物科技有限公司
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产品名称: TPOP146
产品别名: TPOP146

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| 生物活性: | | | | |
| Description | TPOP146 is a selective CBP/P300 benzoxazepine bromodomain inhibitor with Kd values of 134 nM and 5.02 μ M for CBP and BRD4. | | | |
| IC ₅₀ & Target | IC ₅₀ : 134 nM (CBP); 5.02 μ M (BRD4)[1] | | | |
| In Vitro | Exposure to 1 μ M TPOP146 results in a significant decrease of recovery half-life that is comparable to the construct that contained the bromodomain inactivating mutation N1168F, demonstrating that TPOP146 targets the CBP bromodomain in the nucleus and is capable of competing with acetyl-lysine mediated interactions of the CBP bromodomain in cellular environments[1]. | | | |
| Solvent&Solubility | In Vitro: DMSO : \geq 100 mg/mL (207.65 mM) * " \geq " means soluble, but saturation unknown. | | | |
| | | Solvent Mass Concentration | 1 mg | 5 mg |
| | Preparing | 1 mM | 2.0765 mL | 10.3825 mL |
| | Stock Solutions | 5 mM | 0.4153 mL | 2.0765 mL |
| | | 10 mM | 0.2076 mL | 1.0382 mL |
| | *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。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 | | | |
| | In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。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: ——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; 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 | | | |
| | 1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: \geq 2.5 mg/mL (5.19 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (5.19 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀, 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 生理盐水定容至 1 mL。 | | | |
| | 2.请依序添加每种溶剂: 10% DMSO→ 90% (20% SBE- β -CD in saline) Solubility: \geq 2.5 mg/mL (5.19 mM); Clear solution 此方案可获得 \geq 2.5 mg/mL (5.19 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 20% 的 SBE- β -CD 生理盐水水溶液中, 混合均匀。 | | | |
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| | <p>3.请依序添加每种溶剂: 10% DMSO →90% corn oil</p> <p>Solubility: ≥ 2.5 mg/mL (5.19 mM); Clear solution</p> <p>此方案可获得 ≥ 2.5 mg/mL (5.19 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。</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 900 μL 玉米油中, 混合均匀。</p> |
| References | [1]. Popp TA, et al. Development of Selective CBP/P300 Benzoxazepine Bromodomain Inhibitors. J Med Chem. 2016 Oct 13;59(19):8889-8912. |
| 实验参考: | |
| Kinase Assay | <p>Proteins are buffered in 10 mM HEPES, pH 7.5, 500 mM NaCl and assayed in a 96-well plate at a final concentration of 2 μM in 20 μL volume. Compounds (TPOP146) are added at a final concentration of 10 μM. SYPRO Orange (Molecular Probes) is added as a fluorescence probe at a dilution of 1:1000.</p> <p>Excitation and emission filters for the SYPRO Orange dye are set to 465 and 590 nm, respectively. The temperature is raised with a step of 3°C per minute from 25 to 96°C, and fluorescence readings are taken at each interval[1].</p> |
| References | [1]. Popp TA, et al. Development of Selective CBP/P300 Benzoxazepine Bromodomain Inhibitors. J Med Chem. 2016 Oct 13;59(19):8889-8912. |

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