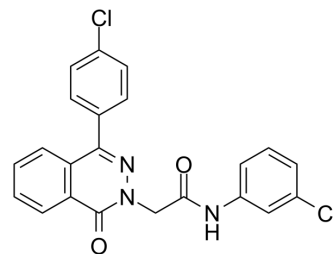


## PARP-1-IN-2

|                    |  |
|--------------------|--|
| Cat. No.:          | HY-147027  |
| CAS No.:           | 684234-55-7  |
| Molecular Formula: | C <sub>22</sub> H <sub>15</sub> Cl <sub>2</sub> N <sub>3</sub> O <sub>2</sub>                  |
| Molecular Weight:  | 424.28   |
| Target:            | PARP; Caspase; Apoptosis   |
| Pathway:           | Cell Cycle/DNA Damage; Epigenetics; Apoptosis  |
| Storage:           | 4°C, protect from light<br>* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light) |



### SOLVENT & SOLUBILITY

|   |   |                          |      |       |           |            |            |
|---|---|--------------------------|------|-------|-----------|------------|------------|
| In Vitro  | DMSO : 83.33 mg/mL (196.40 mM; Need ultrasonic)   |                          |      |       |           |            |            |
|   | Preparing Stock Solutions   | Solvent<br>Concentration | Mass | 1 mg  | 5 mg      | 10 mg      |            |
|   |   |                          |      | 1 mM  | 2.3569 mL | 11.7847 mL | 23.5693 mL |
|   |   |                          |      | 5 mM  | 0.4714 mL | 2.3569 mL  | 4.7139 mL  |
|   |   |                          |      | 10 mM | 0.2357 mL | 1.1785 mL  | 2.3569 mL  |
| Please refer to the solubility information to select the appropriate solvent. |   |                          |      |       |           |            |            |
| In Vivo   | 1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)<br>Solubility: 2.08 mg/mL (4.90 mM); Suspended solution; Need ultrasonic |                          |      |       |           |            |            |
|   | 2. Add each solvent one by one: 10% DMSO >> 90% corn oil<br>Solubility: ≥ 2.08 mg/mL (4.90 mM); Clear solution                                    |                          |      |       |           |            |            |

### BIOLOGICAL ACTIVITY

|                           |  |           |           |
|---------------------------|--|-----------|-----------|
| Description               | PARP-1-IN-2 (compound 11g) is a potent and BBB-penetrated PARP1 inhibitor, with an IC <sub>50</sub> of 149 nM. PARP1-IN-2 shows significantly potent anti-proliferative activity against Human lung adenocarcinoma epithelial cell line A549. PARP1-IN-2 can induce A549 cells apoptosis <sup>[1]</sup> .  |           |           |
| IC <sub>50</sub> & Target | PARP-1<br>149 ± 11.0 nM (IC <sub>50</sub> )  | Caspase-3 | Caspase-9 |
| In Vitro                  | PARP-1-IN-2 (compound 11g) (0-10 μM, 24-48 h) shows significantly potent anti-proliferative activity against A549 cells <sup>[1]</sup> . PARP-1-IN-2 (0-10 μM, 24 h) decreases the expression of pro-caspase-3 and phosphorylated AKT, increases the expression of caspase-3, caspase-9 protein and the cleaved PARP-1 <sup>[1]</sup> .<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |           |           |

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#### Cell Proliferation Assay

|                  |   |
|------------------|---|
| Cell Line:       | A549, HFF cells <sup>[1]</sup>  |
| Concentration:   | 0, 0.1, 1, 10 $\mu$ M   |
| Incubation Time: | 24, 48 h  |
| Result:          | Showed significantly potent anti-proliferative activity against A549 cells, and didn't display any significant cytotoxicity on HFF cells. |

#### Western Blot Analysis

|                  |   |
|------------------|---|
| Cell Line:       | A549 cells <sup>[1]</sup>   |
| Concentration:   | 0, 0.1, 1, 10 $\mu$ M   |
| Incubation Time: | 24 h  |
| Result:          | Reduced expression of pro-caspase-3 and phosphorylated AKT, significantly increased the expression of caspase-3 and caspase-9 protein, and enhanced expression of the cleaved PARP-1. |

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## REFERENCES

[1]. Almahli H, et al. Development of novel synthesized phthalazinone-based PARP-1 inhibitors with apoptosis inducing mechanism in lung cancer. Bioorg Chem. 2018 Apr;77:443-456.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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