# PARP1-IN-5 dihydrochloride

**Cat. No.:** HY-132297A

**CAS No.:** 2823308-89-8

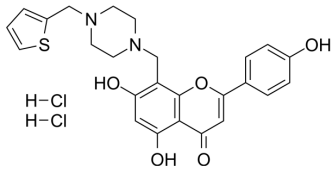
**Molecular Formula:** $C_{25}H_{26}Cl_2N_2O_5S$

**Molecular Weight:** 537.46

**Target:** PARP

**Pathway:** Cell Cycle/DNA Damage; Epigenetics

**Storage:** 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 125 mg/mL (232.58 mM; Need ultrasonic)
$H_2O$ : 1 mg/mL (1.86 mM; ultrasonic and warming and heat to 60°C)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.8606 mL | 9.3030 mL | 18.6060 mL |
| 5 mM | 0.3721 mL | 1.8606 mL | 3.7212 mL |
| 10 mM | 0.1861 mL | 0.9303 mL | 1.8606 mL |

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

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (3.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.87 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

PARP1-IN-5 dihydrochloride is a low toxicity, orally active, potent and selective PARP-1 inhibitor ($IC_{50}$ =14.7 nM). PARP1-IN-5 dihydrochloride can be used for the research of cancer[1].

**$IC_{50}$ & Target**

| PARP-1 | PARP-2 |
|---|---|
| 14.7 nM ($IC_{50}$) | 0.9 μM ($IC_{50}$) |

**In Vitro**

PARP1-IN-5 dihydrochloride (0.1~10 μM; A549 cells) can significantly increase the cytotoxicity of CBP on A549 cells in a dose-dependent manner. PARP1-IN-5 dihydrochloride (0.1~10 μM; SK-OV-3 cells) decreases the expressions of MCM2-7. PARP1-IN-

5 dihydrochloride (0.1~320 μM; A549 cells) has little cytotoxic effects on A549 cells. PARP1-IN-5 dihydrochloride (SK-OV-3 cells) can significantly decrease the PAR level[1].
PARP1-IN-5 dihydrochloride exerts antitumor effects through PARP-1. PARP1-IN-5 dihydrochloride could increase the γ-H2AX expression[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**In Vivo**

PARP1-IN-5 dihydrochloride (1000 mg/kg; p.o.) shows that there is no significant difference in the body weight and blood routine[1].
PARP1-IN-5 dihydrochloride (25 and 50 mg/kg; p.o.; 12 days) significantly enhances the inhibitory effect of carboplatin on A549 cells at 50 mg/kg[1].
PARP1-IN-5 dihydrochloride (50 mg/kg; p.o.) positively correlates with the expression of PARP-1[1].
PARP1-IN-5 dihydrochloride can upregulate the expression of γ-H2AX and decrease the expression of PAR[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Mice[1] |
| Dosage: | 1000 mg/kg |
| Administration: | P.o. |
| Result: | There was no significant difference in the body weight and blood routine. |

| | |
|---|---|
| Animal Model: | Mice[1] |
| Dosage: | 25 and 50 mg/kg |
| Administration: | P.o.; 12 days |
| Result: | Significantly enhanced the inhibitory effect of CBP on A549 cells at 50 mg/kg. |

| | |
|---|---|
| Animal Model: | Male Sprague–Dawley (SD) rats[1] |
| Dosage: | 50 mg/kg (Pharmacokinetic Analysis) |
| Administration: | P.o. |
| Result: | Positively correlated with the expression of PARP-1. |

## CUSTOMER VALIDATION

- Apoptosis. 2022 Feb 4.

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## REFERENCES

[1]. Long H, et al. Discovery of Novel Apigenin-Piperazine Hybrids as Potent and Selective Poly (ADP-Ribose) Polymerase-1 (PARP-1) Inhibitors for the Treatment of Cancer. J Med Chem. 2021;64(16):12089-12108.

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

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