# PJ34

**Cat. No.:** HY-13688A

**CAS No.:** 344458-19-1

**Molecular Formula:** $C_{17}H_{17}N_3O_2$

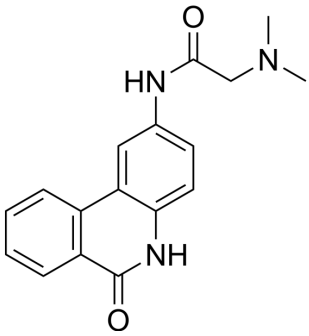
**Molecular Weight:** 295.34

**Target:** PARP

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

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 1 year |
| | -20°C | 6 months |




## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 25 mg/mL (84.65 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 3.3859 mL | 16.9296 mL | 33.8593 mL |
| | 5 mM | 0.6772 mL | 3.3859 mL | 6.7719 mL |
| | 10 mM | 0.3386 mL | 1.6930 mL | 3.3859 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 (7.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.04 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.04 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

PJ34 is a potent specific inhibitor of PARPl/2 with $IC_{50}$ of 110 nM and 86 nM, respectively.

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

| PARP | PARP-2 | PARP-1 |
|---|---|---|
| 110 nM ($IC_{50}$) | 86 nM ($IC_{50}$) | 110 nM ($IC_{50}$) |

**In Vitro**

PJ34 inhibits the PARP enzyme activity with an $IC_{50}$ of 110±1.9 nM. To compare the neuroprotective properties of other PARP inhibitors in PC12 cells, PJ34 is evaluated using by LDH assay. PJ34 treatment also significantly and concentration

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|---|---|
| | dependently attenuates cell death at a concentration ranging from $10^{-7}$ to $10^{-5}$ M[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | To compare the potency and efficacy with other PARP inhibitors, PJ34 is evaluated at the doses of 3.2 and 10 mg/kg, respectively. PJ34 at the dose of 3.2 mg/kg significantly reduces cortical damage by 33%; however, 10 mg/kg dosing shows reversed effect (17% reduction)[1]. PJ34 (25 mg/kg) reduces the levels of TNF-α mRNA in ischemic animals by 70% and these values in treated mice do not differ from that of sham or naive animals. Treatment of ischemic mice with PJ34 reduces the level of E-selectin mRNA by 81% and that of ICAM-1 mRNA by 54%, compared to vehicle-treated ischemic mice[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Kinase Assay** [1] | To assess the PARP-1 or PARP-2 inhibitory activity of FR247304, 3-AB, and PJ34, PARP activity is evaluated with minor modifications. PARP enzyme assay is carried out in a final volume of 100 µL consisting of 50 mM Tris-HCl (pH 8.0), 25 mM $MgCl_2$, 1 mM dithiothreitol, 10 µg activated salmon sperm DNA, 0.1 µCi of [adenylate-$^{32}$P]NAD, 0.2 units of recombinant human PARP for PARP-1 assay or 0.1 units of recombinant mouse PARP-2 for PARP-2 assay, and various concentrations of FR261529 or 3-AB. The reaction mixture is incubated at room temperature (23°C) for 15 min, and the reaction is terminated by adding 200 µL of ice-cold 20% trichloroacetic acid (TCA) and incubated at 4°C for 10 min. The precipitate is transferred onto GF/B filter and washed three times with 10% TCA solution and 70% ethanol. After the filter is dried, the radioactivity is determined by liquid scintillation counting.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Cell Assay** [1] | PC12 cell cultured are grown in Dulbecco's modified Eagle's medium supplemented with 5% (v/v) fetal calf serum, 5% (v/v) horse serum, and a 1% (v/v) penicillin-streptomycin antibiotics mixture. Cells are grown in an atmosphere of 95% air and 5% $CO_2$ at 37°C. For all experiment, cells are seeded at a density of $4\times10^4$ cells/well in 96-well culture plates and allowed to attach overnight. For assessment of cell viability, hydrogen peroxide-induced cytotoxicity is quantified by a standard measurement of LDH release with the use of the LDH assay kit. Briefly, 6 h after hydrogen peroxide exposure, 20 µL of medium of each well is collected, and the solution prepared from LDH assay kit is added. After incubation at room temperature for 30 min, the reaction is stopped by addition of 1 N HCl, and absorbance is measured at 450 nm using a microplate reader.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [1][2] | Rats[1]<br>For transient focal ischemia, 9- to 10-week-old male Wistar rats (weighing 274-380 g) are used. FR247304, PJ34, or 3-AB, which is suspended with 0.5% methylcellulose, is administered at doses of 10 and 32 mg/kg for FR247304, 3.2 and 10 mg/kg for PJ34, or 32 and 100 mg/kg for 3-AB intraperitonially twice at 10 min before MCA occlusion and 10 min before recirculation. The administration volume is adjusted to 2 mL/kg.<br>Mice[2]<br>Male Swiss albino mice (27-32 g) are used. The PARP inhibitor, PJ34 (1.25, 12.5 or 25 mg/kg) is dissolved in isotonic saline (NaCl, 0.9%) and injected intraperitoneally, in a volume of 10 mL/kg, 15 min before ischemia and again 4 h after the onset of ischemia. Control ischemic mice and sham animals are given vehicle (saline). Naive animals are also included in the studies.<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2023 Mar 28;120(13):e2213857120.
- Part Fibre Toxicol. 2020 Jun 8;17(1):23.
- Acta Biomater. 2022 May 25;S1742-7061(22)00310-5.

- Cancer Lett. 2021 Jul 3;S0304-3835(21)00325-6.
- Free Radic Biol Med. 2021 Dec 16;S0891-5849(21)01112-6.

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## REFERENCES

[1]. Iwashita A, et al. A novel and potent poly(ADP-ribose) polymerase-1 inhibitor, FR247304 (5-chloro-2-[3-(4-phenyl-3,6-dihydro-1(2H)-pyridinyl)propyl]-4(3H)-quinazolinone), attenuates neuronal damage in in vitro and in vivo models of cerebral ischemia. J Ph

[2]. Haddad M, et al. Anti-inflammatory effects of PJ34, a poly(ADP-ribose) polymerase inhibitor, in transient focal cerebral ischemia in mice. Br J Pharmacol. 2006 Sep;149(1):23-30.



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