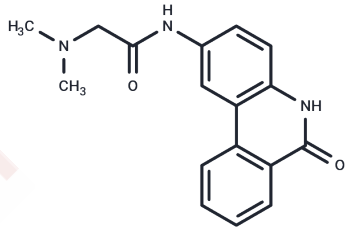
Data Sheet (Cat.No.T6197)

PJ34

Chemical Properties



CAS No. : 344458-19-1

Formula: C17H17N3O2

Molecular Weight: 295.34

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	PJ34 HCl is the hydrochloride salt of PJ34, which is a PARP inhibitor with EC50 of 20 nM and is equally potent to PARP1/2.
Targets(IC50)	PARP
In vitro	PJ34 effectively inhibits PARP enzyme activity, exhibiting an IC50 of 110±1.9 nM. Its neuroprotective properties are assessed in PC12 cells through LDH assay, comparing favorably with other PARP inhibitors. Additionally, PJ34 treatment significantly reduces cell death in a concentration-dependent manner, within the range of 10-7 to 10-5 M[1].
In vivo	To assess PJ34's comparative potency and efficacy against other PARP inhibitors, it was tested at 3.2 and 10 mg/kg doses. The 3.2 mg/kg dose significantly decreased cortical damage by 33%, whereas the 10 mg/kg dose showed a reversed effect, reducing damage by only 17%[1]. Furthermore, a 25 mg/kg dose of PJ34 significantly lowered TNF-α mRNA levels in ischemic animals by 70%, aligning these levels with those found in sham or naive animals. Additionally, this treatment notably diminished E-selectin and ICAM-1 mRNA levels by 81% and 54%, respectively, in ischemic mice compared to those treated with a vehicle[2].
Kinase Assay	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 MgCl2, 1 mM dithiothreitol, 10 µg activated salmon sperm DNA, 0.1 µCi of [adenylate-32P]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.
Cell Research	PJ34 is dissolved in 100% DMSO at 10 mM and then diluted in DMEM without serum[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% CO2 at 37°C. For all experiment, cells are seeded at a density of 4×104 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.

Solubility Information

Solubility	DMSO: 2.95 mg/mL (9.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
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	1.693 mL	3.3859 mL
50 mM	0.0677 mL	0.3386 mL	0.6772 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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

Hussain M, Lu Y, Tariq M, et al. A small-molecule Skp1 inhibitor elicits cell death by p53-dependent mechanism. Iscience. 2022, 25(7): 104591.

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.