

Niraparib hydrochloride

Chemical Properties

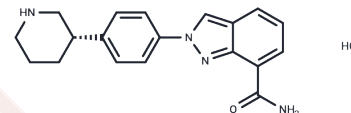
CAS No. : 1038915-64-8

Formula: C₁₉H₂₁ClN₄O

Molecular Weight: 356.85

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Niraparib hydrochloride (MK-4827 hydrochloride) is an inhibitor of poly (ADP-ribose) polymerase (PARP) with potential antineoplastic activity. By inhibiting PARP activity, niraparib hydrochloride increases DNA strand breaks, leading to genomic instability and apoptosis. The PARP family of proteins detects and repairs single-strand DNA breaks via the base-excision repair (BER) pathway.
Targets(IC50)	Apoptosis,PARP
In vitro	MK-4827 significantly enhances the effectiveness of radiation on human tumor xenografts (whether p53 wild-type or p53 mutant), demonstrating good tolerability in vivo. When used alone, it also exhibits efficacy against BRCA-1 deficient xenograft models.
In vivo	In cell assays, MK-4827 exhibits inhibitory effects on PARP activity (EC ₅₀ : 4 nM) and suppresses the proliferation of cancer cells carrying BRCA-1/2 mutations (IC ₅₀ : 10-100 nM). It effectively inhibits PARP-1/2 (IC ₅₀ : 3.8/2.1 nM) but shows significantly lower selectivity (over 100-fold) against PARP-3, V-PARP, and tankyrase-1 (IC ₅₀ : 1300/330/570 nM). In MDA-MB-436 human breast adenocarcinoma cells with a BRCA-1 mutation, MK-4827 has a CC ₅₀ of 18 nM; in CAPAN-1 human pancreatic cancer cells with a BRCA-2 deficiency, the CC ₅₀ is 90 nM. Normal human prostatic and breast epithelial cells exhibit resistance to MK-4827. This indicates that PARP inhibitors like MK-4827 have selective cytotoxicity in cancer cells with BRCA-1/2 mutations, minimizing the impact on surrounding tissue.

Solubility Information

Solubility	H ₂ O: 180 mg/mL (504.41 mM),Sonication is recommended. DMSO: 50 mg/mL (140.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.6 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8023 mL	14.0115 mL	28.023 mL
5 mM	0.5605 mL	2.8023 mL	5.6046 mL
10 mM	0.2802 mL	1.4011 mL	2.8023 mL
50 mM	0.056 mL	0.2802 mL	0.5605 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Wang L, et al. Invest New Drugs. 2012, 30(6):2113-20.

Jones P, et al. J Med Chem. 2009, 52(22):7170-85.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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