Niraparib (R-enantiomer)

Cat. No.: HY-10619D

CAS No.: 1038915-58-0

Molecular Formula: $C_{19}H_{20}N_4O$

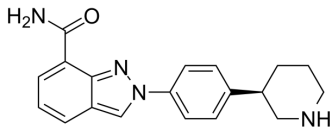
Molecular Weight: 320.39

Target: PARP

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 32 mg/mL (99.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1212 mL	15.6060 mL	31.2120 mL
5 mM	0.6242 mL	3.1212 mL	6.2424 mL
10 mM	0.3121 mL	1.5606 mL	3.1212 mL

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

BIOLOGICAL ACTIVITY

Description

Niraparib R-enantiomer (MK-4827 R-enantiomer) is an excellent PARP1 inhibitor with IC_{50} of 2.4 nM.

IC_{50} & Target

PARP-1

2.4 nM (IC_{50})

In Vitro

Niraparib R-enantiomer (MK-4827 R-enantiomer) resolution of Niraparib R-enantiomer give compounds Niraparib R-enantiomer and Niraparib S-enantiomer, both showing excellent inhibition of PARP-1. Niraparib R-enantiomer has somewhat lower in vitro metabolic clearance than the Niraparib S-enantiomer in rat liver microsomes, but Niraparib S-enantiomer is more potent in cell based assays (PARylation EC_{50}, Niraparib R-enantiomer=30 nM, Niraparib S-enantiomer=4.0 nM; BRCA1-HeLa CC_{50}, Niraparib R-enantiomer=470, Niraparib S-enantiomer=34 nM). Given this improved potency and similar in vitro turnover in human liver microsomes (HLM Cl_{int}, Niraparib R-enantiomer=4, Niraparib S-enantiomer=3 μL/min/mgP), Niraparib S-enantiomer (Niraparib) is focused on[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Jones P, et al. Discovery of 2-{4-[(3S)-piperidin-3-yl]phenyl}-2H-indazole-7-carboxamide (MK-4827): a novel oral poly(ADP-ribose)polymerase (PARP) inhibitor efficacious in BRCA-1 and -2 mutant tumors. J Med Chem. 2009 Nov 26;52(22):7170-85.

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