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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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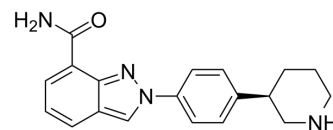
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Niraparib (R-enantiomer)

Cat. No.:	HY-10619D
CAS No.:	1038915-58-0
Molecular Formula:	C ₁₉ H ₂₀ N ₄ O
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.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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 EC₅₀, Niraparib R-enantiomer=30 nM, Niraparib S-enantiomer=4.0 nM; BRCA1-HeLa CC₅₀, 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.

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

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