

# Niraparib

**Catalog No: tcsc0780**



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1038915-60-4

**Formula:**

$C_{19}H_{20}N_4O$

**Pathway:**

Epigenetics; Cell Cycle/DNA Damage

**Target:**

PARP; PARP

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 32$  mg/mL (99.88 mM)

**Alternative Names:**

MK-4827

**Observed Molecular Weight:**

320.39

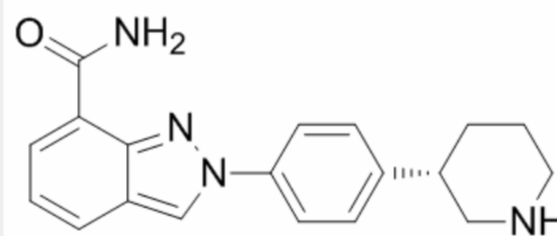
## Product Description

Niraparib (MK-4827) is a highly potent **PARP1** and **PARP2** inhibitor with **IC<sub>50</sub>**s of 3.8 and 2.1 nM, respectively.

IC50 & Target: IC50: 3.8 nM (PARP1), 2.1 nM (PARP2)<sup>[1]</sup>

**In Vitro:** Niraparib (MK-4827) inhibits PARP activity with  $EC_{50}=4$  nM and  $EC_{90}=45$  nM in a whole cell assay. MK-4827 inhibits proliferation of cancer cells with mutant BRCA-1 and BRCA-2 with  $CC_{50}$  in the 10-100 nM range. MK-4827 displays excellent PARP 1 and 2 inhibition with  $IC_{50}=3.8$  and 2.1 nM, respectively, and in a whole cell assay<sup>[1]</sup>. To validate that Niraparib (MK-4827) inhibits PARP in these cell lines, A549 and H1299 cells are treated with 1  $\mu$ M MK-4827 for various times and measured PARP enzymatic activity using a chemiluminescent assay. The results show that Niraparib (MK-4827) inhibits PARP within 15 minutes of treatment reaching about 85% inhibition in the A549 cells at 1 h and about 55% inhibition at 1 h for the H1299 cells<sup>[2]</sup>.

**In Vivo:** Niraparib (MK-4827) is well tolerated and demonstrates efficacy as a single agent in a xenograft model of BRCA-1 deficient cancer. Niraparib (MK-4827) is well tolerated in vivo and demonstrates efficacy as a single agent in a xenograft model of BRCA-1 deficient cancer. Niraparib (MK-4827) is characterized by acceptable pharmacokinetics in rats with plasma clearance of 28 (mL/min)/kg, very high volume of distribution ( $Vd_{ss}=6.9$  L/kg), long terminal half-life ( $t_{1/2}=3.4$  h), and excellent bioavailability,  $F=65\%$ <sup>[1]</sup>. Niraparib (MK-4827) enhances radiation response of p53 mutant Calu-6 tumor in both cases, with the single daily dose of 50 mg/kg being more effective than 25 mg/kg given twice daily<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!