

# Niraparib tosylate

Catalog No: tcsc2283



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1038915-73-9

**Formula:**

$C_{26}H_{28}N_4O_4S$

**Pathway:**

Epigenetics;Cell Cycle/DNA Damage

**Target:**

PARP;PARP

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 490$  mg/mL (994.74 mM); H<sub>2</sub>O : 1 mg/mL (2.03 mM; heat to 50°C)

**Alternative Names:**

MK-4827 (tosylate)

**Observed Molecular Weight:**

492.59

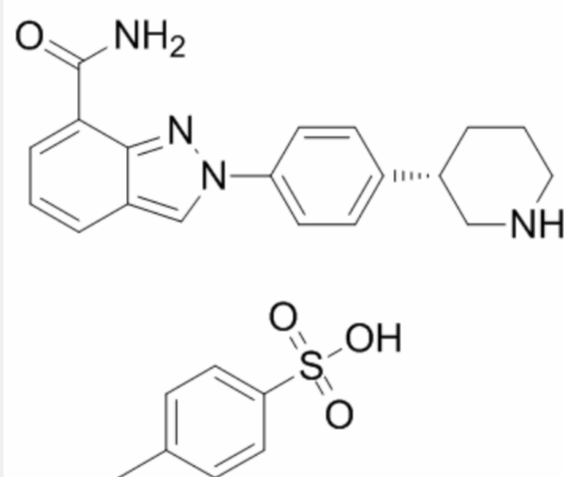
## Product Description

Niraparib tosylate (MK-4827 tosylate) is an excellent **PARP1** and **PARP2** inhibitor with an **IC<sub>50</sub>** 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<sub>50</sub>=4 nM and EC<sub>90</sub>=45 nM in a whole cell assay. MK-4827 inhibits proliferation of cancer cells with mutant BRCA-1 and BRCA-2 with CC<sub>50</sub> in the 10–100 nM range. MK-4827 displays excellent PARP 1 and 2 inhibition with IC<sub>50</sub>=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 μM Niraparib (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<sub>ss</sub>=6.9 L/kg), long terminal half-life (t<sub>1/2</sub>=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!