Web: www.taiclone.com
Tel: +886-2-2735-9682
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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 : ≥ 490 mg/mL (994.74 mM); H2O : 1 mg/mL (2.03 mM; heat to 50°C)

Alternative Names:
MK-4827 (tosylate)

Observed Molecular Weight:
492.59

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Product Description

Niraparib tosylate (MK-4827 tosylate) is an excellent **PARP1** and **PARP2** inhibitor with an **IC_{50}** of 3.8 and 2.1 nM, respectively.

IC50 & Target: IC50: 3.8 nM (PARP1), 2.1 nM (PARP2)[1]

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[1]. 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[2].

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%[1]. 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[3].