Small Molecules

MK-4827 (Tosylate)

PARP1 and PARP2 inhibitor

Catalog #100-1160

10 mg

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Scientists Helping Scientists™ | WWW.STEMCELL.COM
TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
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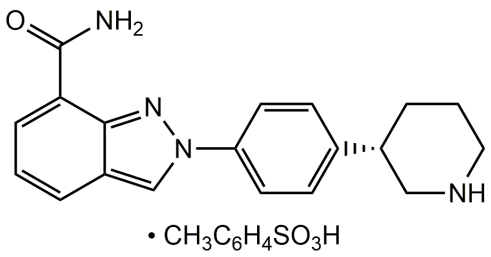
Product Description

MK-4827 (Tosylate) is a potent, selective poly ADP ribose polymerase (PARP) inhibitor with affinity for both PARP1 and PARP2 (IC_{50} = 3.8 and 2.1 nM; Jones et al.). MK-4827 demonstrates antiproliferative effects on cancer cells with BRCA1 or BRCA2 mutations (Yuan et al.). PARPs are a family of enzymes that repair DNA breaks through the base excision repair (BER); MK-4827 inhibits this activity, leading to DNA damage and cell death (Yuan et al.).

Alternative Names:	Not applicable
CAS Number:	1038915-73-9
Chemical Formula:	$C_{19}H_{20}N_4O \bullet C_7H_8O_3S$
Molecular Weight:	492.6 g/mol
Purity:	≥ 98%
Chemical Name:	2-[4-(3S)-3-piperidinylphenyl]-2H-indazole-7-carboxamide, 4-methylbenzenesulfonate
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.
Solubility:	• DMSO ≤ 60 mM • Absolute ethanol ≤ 2 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.03 mL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Antiproliferative effect against MDA-MB-436 and HeLa cells expressing BRCA1 mutation (Jones et al., 2009).
· Inhibits growth in human MDA-MB-436 tumor cells with BRCA 1 mutant (Jones et al., 2015).

References

Jones P et al. (2009) Discovery of 2-{4-[(3 S)-Piperidin-3-yl]phenyl}-2 H -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 52(22): 7170–85.

Jones P et al. (2015) Niraparib: a poly (ADP-ribose) polymerase (PARP) inhibitor for the treatment of tumors with defective homologous recombination. J Med Chem 58(8): 3302–14.

Yuan Y et al. (2011) Novel targeted therapeutics: inhibitors of MDM2, ALK and PARP. J Hematol Oncol 4(1): 16.

Related Small Molecules

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