Small Molecules

Rucaparib

Poly ADP ribose polymerase (PARP) inhibitor

Catalog #100-1168

25 mg

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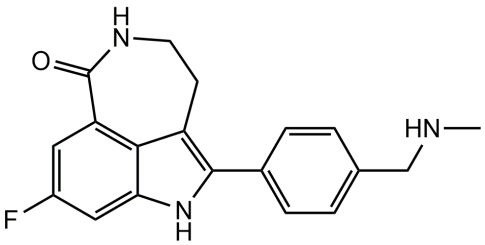
Product Description

Rucaparib is a cell-permeable poly ADP ribose polymerase (PARP) inhibitor (PARP1 K_i < 5 nM; Thomas et al.) which also inhibits PARP2, 3, 4, 12, 15, and 16, as well as tankyrase 1 and 2 (Musella et al.). Rucaparib is a selective inhibitor of PARP in human cancer cells with BRCA-1 or BRCA-2 mutations (Musella et al.). DNA breaks activate PARP, which promotes repair of DNA damage through the relaxation of chromatin and recruitment of other repair proteins. Rucaparib inhibits this activity, which leads to DNA damage and cancer cell death (Javle & Curtin).

Alternative Names:	AG014699; PF01367338
CAS Number:	283173-50-2
Chemical Formula:	$C_{19}H_{18}FN_3O$
Molecular Weight:	323.4 g/mol
Purity:	≥ 98%
Chemical Name:	8-fluoro-1,3,4,5-tetrahydro-2-[4-[(methylamino)methyl]phenyl]-6H-pyrrolo[4,3,2-ef][2]benzazepin-6-one
Structure:	

Properties

Physical Appearance:	A yellow powder
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 ≤ 75 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 3.09 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 concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

· Antagonizes multidrug resistance (MDR) in doxorubicin and paclitaxel resistance cervical cancer cell lines by binding to the active site of ATP-binding cassette (ABC) transporters (Chen et al.).

· Cytotoxic to human cell lines with mutated BRCA1/2 (MDA-MB-436, HCC1937, and CAPAN-1) and to UACC3199 cells with epigenetically silenced BRCA1, but not to cell lines without BRCA1/2 mutations (MCF7, MDA-MB-231, HCC1937-BRCA1, and OSEC-2), or heterozygous for BRCA2 mutation (OSEC-1) (Drew et al.).

· Reduces growth of mouse xenograft tumors with BRCA1/2 mutations or with epigenetically silenced BRCA1 (Drew et al.).

· Concentration-dependent antiproliferative effects in many ovarian cancer cell lines with and without BRCA1/2 mutations (Ihnen et al.).

References

Chen Z et al. (2020) Rucaparib antagonize multidrug resistance in cervical cancer cells through blocking the function of ABC transporters. Gene 759: 145000.

Drew Y et al. (2011) Therapeutic potential of poly (ADP-ribose) polymerase inhibitor AG014699 in human cancers with mutated or methylated BRCA1 or BRCA2. JNCI J Natl Cancer Inst 103(4): 334–46.

Ihnen M et al. (2013) Therapeutic potential of the poly (ADP-ribose) polymerase inhibitor rucaparib for the treatment of sporadic human ovarian cancer. Mol Cancer Ther 12(6): 1002–15.

Javle M & Curtin NJ. (2011) The potential for poly (ADP-ribose) polymerase inhibitors in cancer therapy. Ther Adv Med Oncol 3(6): 257–67.

Musella A et al. (2018) Rucaparib: an emerging parp inhibitor for treatment of recurrent ovarian cancer. Cancer Treat Rev 66: 7–14.

Thomas HD et al. (2007) Preclinical selection of a novel poly (ADP-ribose) polymerase inhibitor for clinical trial. Mol Cancer Ther 6(3): 945–56.

Related Small Molecules

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).