Small Molecules

Olaparib

PARP1 and PARP2 inhibitor

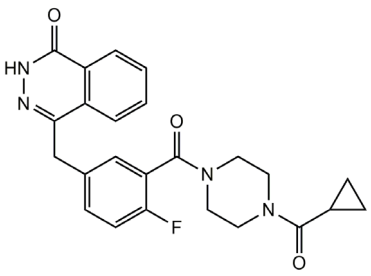
Catalog #100-1169

100 mg

STEMCELL™ TECHNOLOGIES
Scientists Helping Scientists™ | WWW.STEMCELL.COM
TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

Product Description

Olaparib is a potent, cell-permeable, poly ADP ribose polymerase (PARP) inhibitor with affinity for both PARP1 and PARP2 (IC_{50} = 5 and 1 nM; Menear et al.). Olaparib is an inhibitor of PARPs in cancer cells with BRCA1 or BRCA2 mutations (Menear et al.). DNA breaks activate PARPs, which promote repair of DNA damage through the relaxation of chromatin and recruitment of other repair proteins. Olaparib inhibits this activity, leading to DNA damage and cancer cell death (Davar et al.).

Alternative Names:	AZD-2281; KU-59436
CAS Number:	763113-22-0
Chemical Formula:	$C_{24}H_{23}FN_4O_3$
Molecular Weight:	434.5 g/mol
Purity:	≥ 98%
Chemical Name:	4-(3-(4-(cyclopropanecarbonyl)piperazine-1-carbonyl)-4-fluorobenzyl)phthalazine-1(2H)-one
Structure:	

Properties

Physical Appearance:	An off-white 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 • Absolute ethanol ≤ 3.9 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 2.30 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
· Inhibits tumor growth and overall survival in ATM-deficient mantle cell lymphoma cells (Williamson et al.).
· Inhibits growth of esophageal squamous cell carcinoma cells by cell cycle arrest at G2/M (Nasuno et al.).
· Induces anti-proliferative effects in specific endometrial cancer cell lines (Miyasaka et al.).
· Reported as a potentially effective therapeutic agent against epithelial ovarian cancer (Chen & Du).
· Prevents or partially reverts EMT Induced by TGF-β in NMuMG Cells (Schacke et al.).
· Reported to prevent OVA-induced airway inflammation and remodeling through modulation of inflammasome signaling in mice (Sethi et al.).

References

Chen Y & Du H. (2018) The promising PARP inhibitors in ovarian cancer therapy: from olaparib to others. Biomed Pharmacother 99: 552–60.

Davar D et al. (2012) Role of PARP inhibitors in cancer biology and therapy. Curr Med Chem 19(23): 3907–21.

Menear KA et al. (2008) 4-[3-(4-Cyclopropanecarbonylpiperazine-1-carbonyl)-4-fluorobenzyl]-2 H-phthalazin-1-one: A novel bioavailable inhibitor of poly (ADP-ribose) polymerase-1. J Med Chem 51(20): 6581–91.

Miyasaka A et al. (2014) Anti-tumor activity of olaparib, a poly (ADP-ribose) polymerase (PARP) inhibitor, in cultured endometrial carcinoma cells. BMC Cancer 14(1): 179.

Nasuno T et al. (2014) Effect of a poly (ADP-ribose) polymerase-1 inhibitor against esophageal squamous cell carcinoma cell lines. Cancer Sci 105(2): 202–10.

Schacke M et al. (2019) PARP-1/2 inhibitor olaparib prevents or partially reverts EMT induced by TGF-β in NMuMG cells. Int J Mol Sci 20(3): 518.

Sethi GS et al. (2019) PARP inhibition by olaparib alleviates chronic asthma-associated remodeling features via modulating inflammasome signaling in mice. IUBMB Life: iub.2048.

Williamson CT et al. (2010) ATM deficiency sensitizes mantle cell lymphoma cells to poly (ADP-Ribose) polymerase-1 inhibitors. Mol Cancer Ther 9(2): 347–57.

Related Small Molecules

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules, or contact us at techsupport@stemcell.com.

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