Product Name: Olaparib (AZD2281, Ku-0059436)
Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties

Product Name: Olaparib (AZD2281, Ku-0059436)
Cas No.: 763113-22-0
M.Wt: 434.46
Formula: C24H23FN4O3
Synonyms: AZD 2281, AZD 2281
Chemical Name: 4-[[3-[4-(cyclopropanecarbonyl)piperazine-1-carbonyl]-4-fluorophenyl]methyl]-2H-phthalazin-1-one
Canonical SMILES: C1CC1(=O)N2CCN(CC2)(=O)C3=C(C(=C=C3)CC4=NNC(=O)C5=CC=C(C=C54)F
Solubility: >21.7mg/mL in DMSO
Storage: Store at -20°C
General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: PARP
Pathways: Chromatin/Epigenetics >> PARP
Description: Olaparib (4-(3-4-fluorophenyl) methyl-1(2H)-one), as known as AZD2281 or KU0059436, is a novel, selective and potent inhibitor of both poly adenosine diphosphate-ribose polymeras-1 (PARP-1) and poly adenosine diphosphate-ribose polymeras-2 (PARP-2). Having been successfully used in the treatment of tumors harboring BRCA mutations, olaparib strongly inhibits the growth of BRCA2-deficient mouse mannary tumor cell lines demonstrating cytotoxicity. In
previous researches treating non-small cell lung carcinoma (NSCLC), olaparib increased the radiation sensitivity of NSCLC cells, grown as xenografts in nude mice, following radiation and increased vascular perfusion in Calu-6 tumors established in a dorsal window chamber (DWC) model.

Reference:

Protocol

Cell experiment:

Cell lines
Normal LCL cells ATM-null LCL cells

Preparation method
The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting conditions
10 μM, 1 hour

Applications
The sensitivity of cells to olaparib is mediated by absence of Ataxia Telangiectasia Mutated (ATM) activity. Immunoblot analysis revealed that in ATM wild-type LCLs, but not ATM null LCLs, phosphorylation of the ATM-dependent targets ATM S1981 and SMC1 S966 was induced in a dose-dependent manner by olaparib.

Animal experiment [3]:

Animal models
Granta-519–engrafted NOD/SCID mice

Dosage form
Intraperitoneal injection, 50 mg/kg/d, for 14 days

Applications
Analysis of the percentage of human CD45 staining by FACS analysis revealed a significant reduction in the percentage of Granta-519 cells in the bone marrow and a trend toward reduced tumor cell load in the spleen of mice treated with olaparib compared with those receiving vehicle alone.
Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

Product Citations


Product Validation

γ-H2AX zccumulation after treatment with olaparib ± 17-AAG. Indicated cells were treated with olaparib ± 17-AAG for 24 hrs before evaluation of γ-H2AX by immunoblotting. Total H2AX was served as loading control for these experiment.*indicates p<0.05.
ATM mutant lymphoid cells are sensitive to olaparib

**Caution**

**FOR RESEARCH PURPOSES ONLY.**

**NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.**

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

---

ApexBio Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com