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: C1CC1C(=O)N2CCN(CC2)C(=C(C=C3)C4=NNC(=O)C5=CC=CC=C54)F
Solubility: \( \geq 21.72 \text{ mg/mL in DMSO}, <2.29 \text{ mg/mL in EtOH}, <2.43 \text{ mg/mL in H}_2\text{O} \)
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: Chromatin/Epigenetics
Pathways: 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 mammary 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:
Joana M. Senra, Brian A. Telfer, Kim E. Cherry, Cian M. McCrudden, David G. Hirst, Mark J.
O’Connor, Stephen R. Wedge, and Ian J. Stratford. Inhibition of poly(ADP-ribose) polymerase-1 by
olaparib (AZD2281) increases the radiosensitivity of a lung tumor xenograft. Mol Cancer Ther.
2011; 10(10): 1949-1958
Bastiaan Evers, Rinske Drost, Eva Schut, Michiel Bruin, Eline vab der Burg, Patrick W.B. Derksen,
Henne Holstege, Xiaoling Liu, Ellen van Drunen, H. Berna Beverloo, Graeme C. M. Smith, Niall M.
B. Martin, Alan Lau, Mark J. O’Connor, and Jos Jonkers. Selective inhibition of BRCA2-deficient

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

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.