Product Data Sheet

Chemical Properties

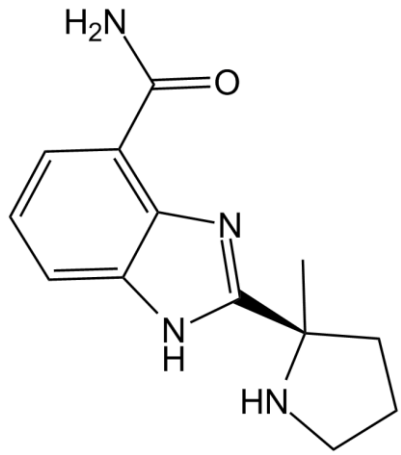
Product Name: ABT-888 (Veliparib)

Cas No.: 912444-00-9

M.Wt: 244.3

Formula: C13H16N4O

Synonyms: ABT-888,ABT 888,ABT888,Veliparib



Chemical Name: 1-[3-[4-amino-3-(4-phenoxyphenyl)pyrazolo[3,4-d]pyrimidin-1-yl]piperidin-1-yl]prop-2-en-1-one

Canonical SMILES: CC1(CCCN1)C2=NC3=C(C=CC=C3N2)C(=O)N

Solubility: ⩾6.1mg/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 : Chromatin/Epigenetics

Pathways: PARP

Description:

ABT-888, also named as Veliparib, is poly (ADP-ribose) polymerase (PARP) inhibitor and has demonstrated excellent in vivo efficacy in a broad spectrum of preclinical tumor models in combination with a variety of cytotoxic agents. PARP is involved in DNA repair and elevated PARP levels can result in resistance to cytotoxic chemotherapy and radiation. So, PARP inhibitors hold

promise as chemotherapy and radiation sensitizers. ABT-888 is also active on microsatellite instability (MSI) cell lines harboring mutations in both MRE11 and RAD50 genes compared to microsatellite stable (MSS) cell lines (wild-type for both genes).

***Reference**:*

Shivaani Kummar, Robert Kinders, Martin E. Gutierrez, Larry Rubinstein, Ralph E. Parchment, Lawrence R. Phillips, Jiuping Ji, Anne Monks, Jennifer A. Low, Alice Chen, Anthony J. Murgo, Jerry Collins, Seth M. Steinberg, Helen Eliopoulos, Vincent L. Giranda, Gary Gordon, Lee Helman, Robert Wiltrout, Joseph E. Tomaszewski and James H. Doroshow. Phase 0 Clinical Trial of the Poly (ADP-Ribose) Polymerase Inhibitor ABT-888 in Patients With Advanced Malignancies. Journal of Clinical Oncology. 2009; 27(16): 2705 – 11.

Xiaofeng Li, Juergen Delzer, Richard Voorman, Sonia M. de Morais and Yanbin Lao. Disposition and Drug-Drug Interaction Potential of Veliparib (ABT-888), a Novel and Potent Inhibitor of Poly (ADP-ribose) Polymerase. DRUG METABOLISM AND DISPOSITION. 2011; 39(7): 1161 – 69.

E. Vilar Sanchez, A. Chow, L. Raskin, M. D. Iniesta, B. Mukherjee and S. B. Gruber. Preclinical testing of the PARP inhibitor ABT-888 in microsatellite instable colorectal cancer. Journal of Clinical Oncology. 2009; 27(15S): 11028A.

Protocol

Cell experiment:

Cell lines	HCT-116 and HT-29 cell lines
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	4 μM; 24 h
Applications	In HCT-116 and HT-29 cell lines, the ability of ABT-888 to synergize the effect of the anti-cancer agents, SN38 or oxaliplatin, was determined using the SRB assay. PARP activity was significantly reduced in samples treated with SN38 in combination with ABT-888 (>4 fold at 24 h).

Animal experiment [3]:

Animal models	Female nude athymic mice
Dosage form	12.5 mg/kg; oral gavage twice daily in 6-hour intervals.
Applications	HCT116 xenografts were established in 5- to 6-week-old female nude athymic mice by subcutaneous flank injections of 200 mL cell

	suspension (5*106cells) per flank. This triple-therapy group (RT, CPT-11, and ABT) showed a significantly longer tumor growth delay (TGD) when compared with the tumors treated with RT and CPT-11 but no ABT-888, which had a mean TGD of 14.21 days.
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**:*
[1] Davidson D, Wang Y, Aloyz R, et al. The PARP inhibitor ABT-888 synergizes irinotecan treatment of colon cancer cell lines[J]. Investigational new drugs, 2013, 31(2): 461-468.
[2] Shelton J W, Waxweiler T V, Landry J, et al. In vitro and in vivo enhancement of chemoradiation using the oral parp inhibitor ABT-888 in colorectal cancer cells[J]. International Journal of Radiation Oncology Biology* Physics, 2013, 86(3): 469-476.*

Product Citations

1. Versano Z, Shany E, et al. "MutT homolog 1 counteracts the effect of anti-neoplastic treatments in adult and pediatric glioblastoma cells." Oncotarget. 2018 Jun 8;9(44):27547-27563. PMID:29938005
2. Wang X, Sekine Y, et al."Inhibition of Poly-ADP-Ribosylation Fails to Increase Axonal Regeneration or Improve Functional Recovery after Adult Mammalian CNS Injury." eNeuro. 2016 Dec 26;3(6). PMID:28032120
3. Yalon M, Tuval-Kochen L, et al. "Overcoming Resistance of Cancer Cells to PARP-1 Inhibitors with Three Different Drug Combinations." PLoS One. 2016 May 19;11(5):e0155711. PMID:27196668
4. Nassour J, Martien S, et al."Defective DNA single-strand break repair is responsible for senescence and neoplastic escape of epithelial cells." Nat Commun. 2016 Jan 29;7:10399. PMID:26822533

Caution

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. Shortterm 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.

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