Product Name: PRIMA-1

Revision Date: 6/30/2016

Product Data Sheet

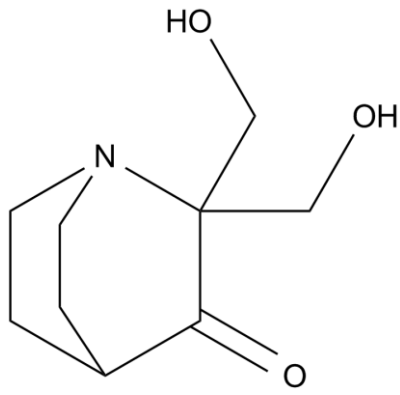
Chemical Properties

Product Name: PRIMA-1

Cas No.: 5608-24-2

M.Wt: 185.22

Formula: C9H15NO3



Chemical Name: 2,2-bis(hydroxymethyl)-1-azabicyclo[2.2.2]octan-3-one

Canonical SMILES: C1CN2CCC1C(=O)C2(CO)CO

Solubility: >9.1mg/mL in DMSO

Storage: Store at 4°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 : Apoptosis

Pathways: p53

Description:

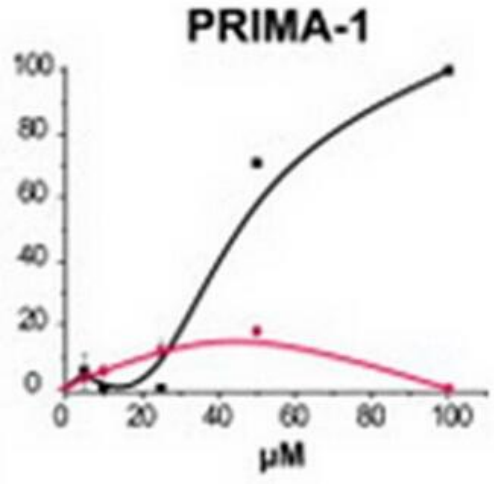
IC50: The value varied among different tumor type. In Saos-2-His-273 cells, PRIMA-1 induced cell death with an IC50 of over 15 M.
PRIMA-1, a novel low molecular weight compound, rescues the tumor-suppressing function of mutant p53 proteins and shows anti-tumor activity in vivo. P53 severs as a crucial tumor suppressor and mutant p53 is expressed at high levels in many tumors. PRIMA-1 is considered as a lead compound for the development of anticancer drugs targeting mutant p53. [1]

In vitro: The substantial increase in Saos-2-His-273-cells death could be noticed after being treated with 125 μM PRIMA-1 for 48 hours. TUNEL staining revealed that such tumor-cell death was primarily triggered by apoptosis. PRIMA-1 could also restore the transcriptional transactivation function to mutant p53 in vitro. [2]
In vivo: To assess the effect of PRIMA-1 on human tumor xenografts, mice were inoculated with Saos-2-His-273 cells expressing mutant p53. The mice then received PRIMA-1 treatment at intra-tumor does of 20 mg/kg or i.v. doses of 20 and 100 mg/kg twice a day for three days. Compared with the control group, the average tumor volume decreased from 555.7 mm3 to 11.7 (100 mg/kg) and 53 (20 mg/kg) mm3 after i.v. injections of PRIMA-1. Intra-tumor injections of PRIMA-1 also decreased the average tumor volume to 5.3 mm3. [2]
Clinical trial: The methylated form of PRIMA-1, PRIMA-1MET was tested on 22 patients with hematologic malignancies and prostate cancer. Based on the clinical data, PRIMA-1MET was safe at predicted therapeutic dose, had a favorable pharmacokinetic profile and could lead to apoptosis of tumor cells in the p53–dependent manner. [3]

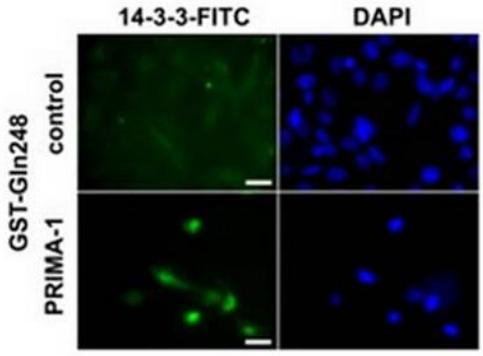
***Reference**:*

[1] Bykov V, Issaeva N, Zache N, Shilov A, Hultcrantz M, Bergman J, Selivanova G, Wiman KG. Reactivation of mutant p53 and induction of apoptosis in human tumor cells by maleimide analogs. J Biol Chem. 2005 Aug; 280(34): 30384–91.
[2] Bykov V, Issaeva N, Shilov A, Hultcrantz M, Pugacheva E, Chumakov P, Bergman J, Wiman KG, Selivanova G. Restoration of the tumor suppressor function to mutant p53 by a low-molecular-weight compound. Nat Med. 2002 Mar; 8(3):282-8.
[3] Lehmann S, Bykov V, Ali D, Andren O, Cherif H, Tidefelt U, Uggla B, Yachnin J, Juliusson G, Moshfegh A, Paul C, Wiman KG, Andersson PO. Targeting p53 in vivo: A first-in-human study with p53-targeting compound APR-246 in refractory hematologic malignancies and prostate cancer. J Clin Oncol. 2012. DOI: 10.1200/JCO.2011.40.7783.

Product Validation



Cytotoxicity of PRIMA-1



Induction of 14-3-3 protein by PRIMA-1 treatment

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. 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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