

Product Data Sheet

Chemical Properties

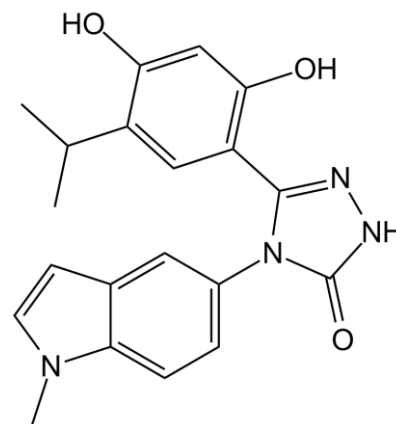
Product Name: GanetespiB (STA-9090)

Cas No.: 888216-25-9

M.Wt: 364.4

Formula: C₂₀H₂₀N₄O₃

Synonyms: STA9090, STA 9090



Chemical Name: (5Z)-5-(4-hydroxy-6-oxo-3-propan-2-ylcyclohexa-2,4-dien-1-ylidene)-4-(1-methylindol-5-yl)-1,2,4-triazolidin-3-one

Canonical SMILES: CC(C)C1=CC(=C2NNC(=O)N2C3=CC4=C(C=C3)N(C=C4)C)C(=O)C=C1O

Solubility: ≥ 18.22 mg/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 : Proteases

Pathways: HSP

Description:

GanetespiB (also known as STA-9090), 5-[2,4-dihydroxy-5-(1-methylethyl)phenyl]-2,4-dihydro-4-(1-methyl-1H-indol-5-yl)-3H-1,2,4-triazole-3-one, is a potent small-molecule inhibitor of heat shock protein 90 (Hsp90), which binds to the ATP pocket in the N-terminus of Hsp90 resulting in down-regulation of Hsp90 client protein levels. Being structurally unrelated to geldanamycin-derived Hsp90 inhibitors (17-AAG, 17-DMAG, and IPI-504), ganetespiB has a

unique triazolone-containing chemical structure and stands out other Hsp90 inhibitors in terms of potency, antitumor activity, and safety profile. Results of previous studies indicate that ganetespib exhibits antitumor activity against a broad range of human cancers, including lung cancer, prostate cancer, colon cancer, breast cancer, melanoma and leukemia.

Reference:

Weiwen Ying, Zhenjian Du, Lijun Sun, Kevin P. Foley, David A. Proia, Ronald K. Blackman, Dan Zhou, Takayo Inoue, Noriaki Tatsuta, Jim Sang, Shuxia Ye, Jamie Acquaviva, Luisa Shin Ogawa, Yumiko Wada, James Barsoum, and Keizo Koya. Ganetespib, a unique triazolone-containing Hsp90 inhibitor, exhibits potent antitumor activity and a superior safety profile for cancer therapy. Mol Cancer Ther 2012; 11: 475-484

Jonathan W Goldman, Robert N Raju, Gregory A Gordon, Iman El-Hariry, Florentina Teofilivivi, Vojo M Vukovic, Robert Bradley, Michael D Karol, Yu Chen, Wei Guo, Takayo Inoue and Lee Rosen. A first in human, safety, pharmacokinetics, and clinical activity phase I study of once weekly administration of the Hsp90 inhibitor ganetespib (STA-9090) in patients with solid malignancies. BMC Cancer 2013; 13:152

Protocol

Cell experiment:

Cell lines	NCI-H1975 and HCC827 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	0.001 ~ 1 µM; 5, 15 or 60 mins or 24 hrs
Applications	In NCI-H1975 and HCC827 cells, exposure to Ganetespib for only 60 mins resulted in cytotoxicity with IC50 values of 510 and 800 nM, respectively. In NCI-H1975 cells, a 5-minute exposure to Ganetespib still resulted in an IC50 value < 1 µM.

Animal experiment [3]:

Animal models	SCID mice bearing NCI-H1395 NSCLC xenografts
Dosage form	150 mg/kg; i.v.; once weekly
Applications	Ganetespib induced NCI-H1395 tumor regression, with a T/C value of -49%.
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]. Weiwen Ying, Zhenjian Du, Lijun Sun, Kevin P. Foley, David A. Proia, Ronald K. Blackman, Dan Zhou, Takayo Inoue, Noriaki Tatsuta, Jim Sang, Shuxia Ye, Jamie Acquaviva, Luisa Shin Ogawa, Yumiko Wada, James Barsoum, and Keizo Koya. *Ganetespib, a unique triazolone-containing Hsp90 inhibitor, exhibits potent antitumor activity and a superior safety profile for cancer therapy.* *Mol Cancer Ther* 2012; 11: 475-484.

Product Citations

1. Karney-Grobe S, Russo A, et al. "HSP90 is a chaperone for DLK and is required for axon injury signaling." *Proc Natl Acad Sci U S A.* 2018 Oct 16;115(42):E9899-E9908. PMID:30275300
2. Khurana N, Kim H, et al. "Multimodal actions of the phytochemical sulforaphane suppress both AR and AR-V7 in 22Rv1 cells: Advocating a potent pharmaceutical combination against castration-resistant prostate cancer." *Oncol Rep.* 2017 Aug 30. PMID:28901514
3. Li QQ, Hao JJ, et al. "Proteomic analysis of proteome and histone post-translational modifications in heat shock protein 90 inhibition-mediated bladder cancer therapeutics." *Sci Rep.* 2017 Mar 15;7(1):201. PMID:28298630

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.

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