

Product Specification Sheet

Product Name: TAS-116

Catalog Number: C8271

Technical information:

Chemical Formula: $C_{25}H_{26}N_8O$

CAS #: 1260533-36-5

Molecular Weight: 454.53

Purity: > 98%

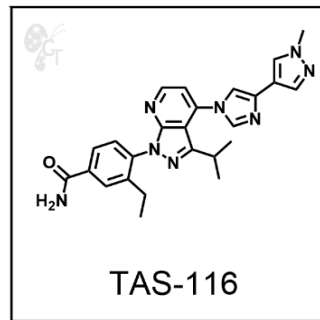
Appearance: White solid

Solubility: Soluble in DMSO up to 275 mM

Chemical Name: 3-ethyl-4-(3-isopropyl-4-(4-(1-methyl-1H-pyrazol-4-yl)-1H-imidazol-1-yl)-1H-pyrazolo[3,4-b]pyridin-1-yl)benzamide

Storage: For longer shelf life, store solid powder or DMSO solution at -20°C desiccated.

Shelf Life: In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.



- Handling:**
- To make 10 mM stock solution, add 0.22mL of DMSO for each mg of TAS-116.
 - For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity: TAS-116 is an orally bioavailable HSP90 inhibitor that showed potent anti-tumor activity in many in vitro and in vivo models. TAS-116 is a selective inhibitor of cytosolic HSP90 α and β that does not inhibit HSP90 paralogs such as endoplasmic reticulum GRP94 or mitochondrial TRAP1 [1]. Multiple clinical trials are on-going testing the synergistic anti-tumor effect of combined therapy strategy with TAS-116 for treatment of advanced solid tumors. TAS-116 has a potential to enhancing antitumor activity while minimizing adverse effects that are observed with other compounds of this class [2,3].

- Reference:**
1. Ohkubo S, et al. TAS-116, a Highly Selective Inhibitor of Heat Shock Protein 90 α and β , Demonstrates Potent Antitumor Activity and Minimal Ocular Toxicity in Preclinical Models. *Mol Cancer Ther* 2014; 14(1): 14–22. Pubmed ID: 25416789
 2. Shimomura A, et al. First-in-Human Phase I Study of an Oral HSP90 Inhibitor, TAS-116, in Patients with Advanced Solid Tumors. *Mol Cancer Ther.* 2019; 18(3):531-540 Pubmed ID: 30679388
 3. Kawazoe A, et al. TAS-116, an oral HSP90 inhibitor, in combination with nivolumab in patients with colorectal cancer and other solid tumors: An open-label, dose-finding, and expansion phase Ib trial (EPOC1704). *J Clin Oncol* 2020; 38 (15) suppl:4044 Pubmed ID: DOI: 10.1200/JCO.2020.38.15_suppl.4044

To reorder: <http://www.cellagentech.com/TAS-116/>

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.