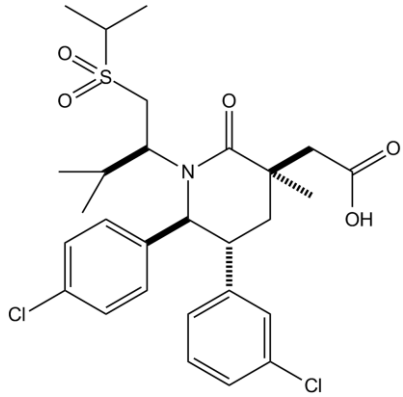
Product Name: AMG232

Revision Date: 6/30/2016

Product Data Sheet

Chemical Properties



Product Name: AMG232

Cas No.: 1352066-68-2

M.Wt: 568.55

Formula: C28H35Cl2NO5S

Synonyms: AMG 232;AMG-232

Chemical Name: 2-((3R,5R,6S)-5-(3-chlorophenyl)-6-(4-chlorophenyl)-1-((S)-1-(isopropylsulfonyl)-3-methylbutan-2-yl)-3-methyl-2-oxopiperidin-3-yl)acetic acid

Canonical SMILES: CC(S(C[C@@H](N([C@H](C1=CC=C(Cl)C=C1)[C@@H](C2=CC=CC(Cl)=C2)C[C@]3(C)CC(O)=O)C3=O)C(C)C)(=O)=O)C

Solubility: Soluble 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 : Apoptosis

Pathways: p53

Description:

AMG-232 is a novel inhibitor of p53-MDM2 with IC50 value of 9.2 nM [1].
Tumor protein p53 (p53) is a very unstable protein with a half-life ranging from 5 to 30 min and participates in a variety of anticancer processes, such as inducing cell apoptosis and inhibiting

angiogenesis. Mouse double minute 2 homolog (MDM2), also named as E3 ubiquitin-protein ligase Mdm2, involves in mediating p53 tumor suppressor. It has been conclusively demonstrated p53 is under-expressed in tumor cells [2].
AMG-232 is a potent p53-MDM2 interaction inhibitor and is regarded as a promising drug in clinic. When tested with SJSA-1 tumor cell line, AMG-232 treatment resulted in cell-cycle arrest and inhibition of tumor cell proliferation via binding to MDM2 protein and robustly inducing p53 activity. It was shown that p53-MDM2 bond rang from a Kd of 60 to 700 nM Depending on the length of p53 peptide [3].
In mouse model with SJSA-1 tumor cells subcutaneous xenograft, co-administration of AMG-232 and chemotherapies induced DNA damage and p53 activity which resulted in significantly superior antitumor efficacy and regression through arresting cell growth and inducting apoptosis [3].

***Reference**:*

[1]. Rew, Y., et al., Discovery of AM-7209, a potent and selective 4-amidobenzoic acid inhibitor of the MDM2-p53 interaction. J Med Chem, 2014. 57(24): p. 10499-511.
[2]. Moll, U.M. and O. Petrenko, The MDM2-p53 interaction. Mol Cancer Res, 2003. 1(14): p. 1001-8.
[3]. Canon, J., et al., The MDM2 Inhibitor AMG 232 Demonstrates Robust Antitumor Efficacy and Potentiates the Activity of p53-Inducing Cytotoxic Agents. Mol Cancer Ther, 2015. 14(3): p. 649-58.

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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