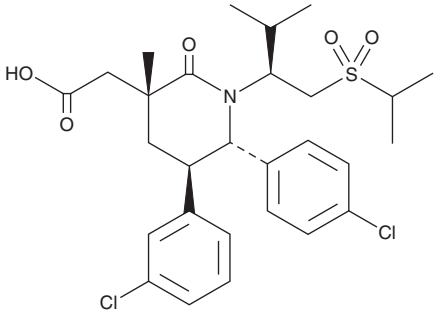
PRODUCT INFORMATION

AMG 232

Item No. 25667

CAS Registry No.: 1352066-68-2
Formal Name: (3R,5R,6S)-5-(3-chlorophenyl)-6-(4-chlorophenyl)-3-methyl-1-[(1S)-2-methyl-1-[[(1-methylethyl) sulfonyl]methyl]propyl]-2-oxo-3-piperidineacetic acid
MF: $C_{28}H_{35}Cl_2NO_5S$
FW: 568.6
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

AMG 232 is supplied as a crystalline solid. A stock solution may be made by dissolving the AMG 232 in the solvent of choice, which should be purged with an inert gas. AMG 232 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of AMG 232 in these solvents is approximately 30 mg/ml.

AMG 232 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, AMG 232 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. AMG 232 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

AMG 232 is an inhibitor of the murine double minute 2 (MDM2) interaction with the tumor suppressor p53 (K_D = 0.045 nM; IC_{50} = 0.6 nM in a cell-free binding assay).[1] It inhibits proliferation of HCT116 p53 wild-type, but not $p53^{-/-}$, cells (IC_{50}s = 10 nM and >25 µM, respectively).[2] It reduces tumor growth in an SJSA-1 osteosarcoma mouse xenograft model (ED_{50} = 9.1 mg/kg) and induces complete regression of tumors in the same model when administered at a dose of 75 mg/kg per day for 10 days.[1,2] It induces apoptosis of SJSA-1 mouse xenograft tumor cells, decreasing BrdU-labeled cells, increasing cleaved caspase-3, and halting the cell cycle.[1]

References

1. Canon, J., Osgood, T., Olson, S.H., *et al.* The MDM2 inhibitor AMG 232 demonstrates robust antitumor efficacy and potentiates the activity of p53-inducing cytotoxic agents. *Mol. Cancer Ther.* **14(3)**, 649-658 (2015).
2. Sun, D., Li, Z., Rew, Y., *et al.* Discovery of AMG 232, a potent, selective, and orally bioavailable MDM2-p53 inhibitor in clinical development. *J. Med. Chem.* **57(4)**, 1454-1472 (2014).

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