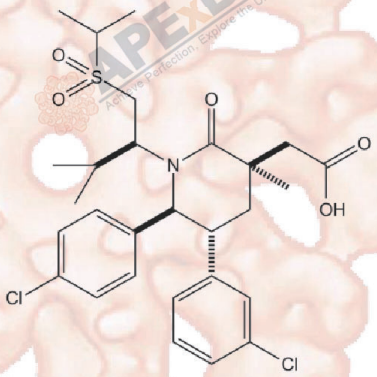
Product Data Sheet

AMG232

Cat. No.:	A8804
CAS No.:	1352066-68-2
Formula:	C28H35Cl2NO5S
M.Wt:	568.55
Synonyms:	AMG 232;AMG-232
Target:	Apoptosis
Pathway:	p53
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥53.3 mg/mL in DMSO; ≥53.1 mg/mL in EtOH; ≥2.59 mg/mL in H2O

Preparing Stock Solutions

Concentration \ Mass / Solvent	1mg	5mg	10mg
1 mM	1.7589 mL	8.7943 mL	17.5886 mL
5 mM	0.3518 mL	1.7589 mL	3.5177 mL
10 mM	0.1759 mL	0.8794 mL	1.7589 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	p53-MDM2 inhibitor, novel
IC_{50} & Target	9.1 nM (in EdU cells) (MDM2−p53 interaction)

In Vitro

Cell Viability Assay

Cell Line:	SJSA-1, HCT116, and ACHN tumor cell lines
Preparation method:	Soluble in DMSO. 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:	0.1, 1, or 10 μmol/L, 24 hours
Applications:	AMG 232 induced p53 signaling with an IC50 of 0.6 ± 0.4 nmol/L. AMG 232 inhibits cell proliferation in p53 WT cell lines. AMG 232 treatment inhibited the

		growth of cells with IC50 values ranging from 0.1 to 1 μmol/L. AMG 232 caused a dose-dependent accumulation of p53 and increased p21, MDM2, and PUMA proteins in both MDM2-amplified SJSA-1 cells and non–MDM2-amplified HCT116 cells. AMG 232 potently inhibited proliferation of non-MDM2-amplified HCT116 colorectal cells.
In Vivo	**Animal experiment**	
	Animal models:	Female athymic nude mice bearing SJSA-1 cells and HCT116 cells
	Dosage form:	10 mg/kg, 25 mg/kg, 75 mg/kg; once per day by oral gavage,
	Applications:	AMG 232 (10 mg/kg, 25 mg/kg, 75 mg/kg, 6 hours) treatment resulted in time- and dose-dependent induction of p21 mRNA in SJSA-1 tumor. AMG 232 treatment also caused a dose-dependent induction of p21, MDM2, and PUMA mRNA in HCT116 tumors. AMG 232 (100 mg/kg, 4 days) treatment caused cell-cycle arrest and induced apoptosis in mice bearing SJSA-1 or HCT116 tumors. AMG 232 (orally once daily) enhanced the antitumor activity of DNA-damaging cytotoxics. AMG 232 displayed robust tumor growth inhibition with an ED50 of 9.1 mg/kg q.d. AMG 232 caused a dose-dependent tumor growth inhibition with an ED50 of 16 mg/kg.
	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.

Product Citations

1. Her NG, Oh JW, et al. "Potent effect of the MDM2 inhibitor AMG232 on suppression of glioblastoma stem cells." Cell Death Dis. 2018 Jul 18;9(8):792.PMID:30022047

2. Chen R, Zhou J, et al. "A Fusion Protein of the p53 Transaction Domain and the p53-Binding Domain of the Oncoprotein MdmX as an Efficient System for High-Throughput Screening of MdmX Inhibitors." Biochemistry. 2017 Jun 27;56(25):3273-3282.PMID:28581721

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References

[1]. Canon J, Osgood T, Olson S H, et al. The MDM2 inhibitor AMG 232 demonstrates robust anti-tumor efficacy and potentiates the activity of p53-inducing cytotoxic agents[J]. Molecular cancer therapeutics, 2015: molcanther. 0710.2014.

[2]. Rew Y, Sun D. Discovery of a small molecule MDM2 inhibitor (AMG 232) for treating cancer[J]. 2014.

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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