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产品名称：AMG 232
产品别名：AMG 232

生物活性：

Description	AMG 232 is a potent, selective and orally available inhibitor of p53-MDM2 interaction, with an IC_{50} of 0.6 nM. AMG 232 binds to MDM2 with a K_d of 0.045 nM.	
IC_{50} & Target	IC50: 0.6 nM (p53-MDM2 interaction)[1] Kd: 0.045 nM (MDM2)[1]	
In Vitro	AMG 232 (10 μM) induces p53 signaling and inhibits tumor cell proliferation in three p53 wild-type tumor cell lines[1]. AMG 232 potently inhibits proliferation of non-MDM2-amplified HCT116 colorectal cells (IC50=10 nM)[3].	
	Cell Viability Assay[1]	
	Cell Line:	SJSA-1, HCT116, ACHN, NCI-H460, MOLM-13, RKO, MCF7, 22RV1, HT-29, PC-3, NCI-H82, NCI-SNU1, MG-63, NCI-H2452, SW982, C32, SK-HEP-1, A375, RT4, RPMI2650, MDA-MB-134-VI, NCI-H2347 and A427 cells.
	Concentration:	0-10 μM.
	Incubation Time:	72 hours.
	Result:	Induced p53 signaling and inhibits tumor cell proliferation in three p53 wild-type tumor cell lines (SJSA-1, HCT116, and ACHN). Caused robust p21 mRNA induction between 9.76 and 34.9 fold with IC50 values ranging from 12.8 to 46.8 nM.
In Vivo	AMG 232 (10, 25, 75 mg/kg, once daily, p.o.) activates p53 pathway activity in vivo[1]. AMG 232 (10, 25, 75 mg/kg, once daily, p.o.) potently inhibits growth of tumor xenografts in mice[1]. AMG 232 (10, 25, 75 mg/kg, once daily, p.o.) blocks DNA synthesis and induces apoptosis in vivo[1]. AMG 232 causes a dose-dependent tumor growth inhibition with an ED50 of 16 mg/kg[2].	
	Animal Model:	Female athymic nude mice (n=10/group) based cancer models[1].
	Dosage:	10, 25, 75 mg/kg.
	Administration:	Once daily by oral gavage.
	Result:	Resulted in significant tumor growth inhibition across all models. SJSA-1, an MDM2 amplified osteosarcoma model, was the most sensitive to AMG 232 treatment with an $ED_{50}$of 9.1 mg/kg. In the highest dose group of 75 mg/kg, 10/10 tumors completely regressed and were undetectable after 10 days of treatment.

In Vitro:

DMSO :≥ 50 mg/mL (**87.94 mM**)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Solvent&Solubility	*请根据产品在不同溶剂中的溶解度，选择合适的溶剂配制储备液；该产品在溶液状态不稳定，建议您现用现配，即刻使用。 ***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (4.40 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.40 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.40 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.40 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. 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 Mar;14(3):649-58. [2]. Rew Y, et al. Discovery of a small molecule MDM2 inhibitor (AMG 232) for treating cancer. J Med Chem. 2014 Aug 14;57(15):6332-41.