

# AMG 232

Catalog No: tcsc3282



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

**CAS No:**

1352066-68-2

**Formula:**

$C_{28}H_{35}Cl_2NO_5S$

**Pathway:**

Apoptosis

**Target:**

MDM-2/p53

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 50$  mg/mL (87.94 mM); H<sub>2</sub>O :

**Observed Molecular Weight:**

568.55

## Product Description

AMG 232 is an extremely potent, selective and orally available inhibitor of **p53-MDM2** interaction, with an **IC<sub>50</sub>** of 0.6 nM, and binds

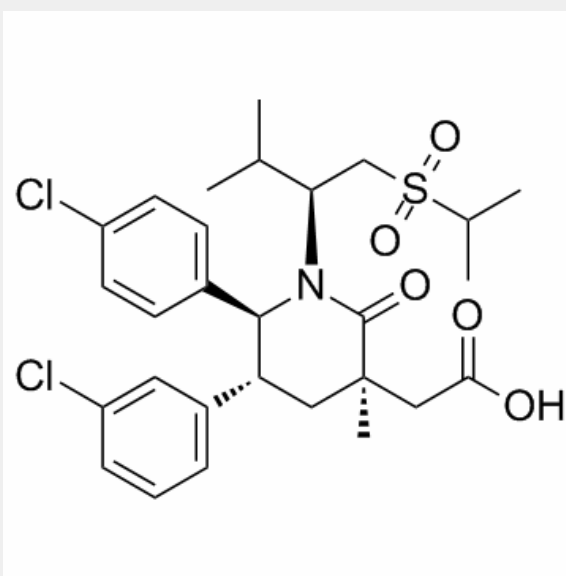
to MDM2 with a  $K_d$  of 0.045 nM.

IC50 & Target: IC50: 0.6 nM (p53-MDM2 interaction)<sup>[1]</sup>

Kd: 0.045 nM (MDM2)<sup>[1]</sup>

**In Vitro:** AMG 232 (10  $\mu$ M) induces p53 signaling and inhibits tumor cell proliferation in three p53 wild-type tumor cell lines (SJSA-1, HCT116, and ACHN)<sup>[1]</sup>. AMG 232 significantly inhibits the human MDM2-p53 interaction in the biochemical HTRF-based assay ( $IC_{50}$  = 0.6 nM). AMG 232 potently inhibits proliferation of non-MDM2-amplified HCT116 colorectal cells in the BrdU assay ( $IC_{50}$  = 10 nM)<sup>[3]</sup>.

**In Vivo:** AMG 232 (10, 25, 75 mg/kg, p.o.) activates p53 pathway activity in vivo. AMG 232 (100 mg/kg, p.o.) results in 86% TGI compared with control, and the  $ED_{50}$  is 31 mg/kg in the HCT116 colorectal cancer model (KRAS mutant), and results in 97% TGI, with an  $ED_{50}$  of 18 mg/kg in an A375sq2 BRAF-mutant melanoma model<sup>[1]</sup>. AMG 232 exhibits low clearance (42%), but high clearance (0.74  $\times$  Qh) and low oral exposure in dogs (18%)<sup>[2]</sup>. AMG 232 displays robust tumor growth inhibition compared to the vehicle, with an  $ED_{50}$  of 9.1 mg/kg q.d. AMG 232 causes a dose-dependent tumor growth inhibition with an  $ED_{50}$  of 16 mg/kg<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!