Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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 : ≥ 50 mg/mL (87.94 mM); H2O :

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_{50}** of 0.6 nM, and binds

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to MDM2 with a $\mathbf{K_d}$ of 0.045 nM.

IC50 & 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 (SJSA-1, HCT116, and ACHN)[1]. 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)[3].

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[1]. AMG 232 exhibits low clearance (42%), but high clearance (0.74 × Qh) and low oral exposure in dogs (18%)[2]. 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[3].