

Product Datasheet

NVP-CGM097

Catalog No. **BP-02486** · CAS **1313363-54-0** · Form **free base** · Physical state **solid** · Color **Off-white to yellow** · Version **1.0** · Revision **2026-09-10**

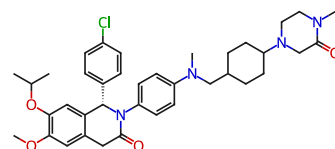
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Target MDM-2/p53; E1/E2/E3 Enzyme	Research area Cancer
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Molecular formula **C₃₈H₄₇ClN₄O₄**

Molecular weight **659.2570**

STRUCTURE



DESCRIPTION

NVP-CGM097 is a potent and selective MDM2 inhibitor with IC₅₀ of 1.7±0.1 nM for hMDM2.

BIOLOGICAL ACTIVITY

In Vitro

NVP-CGM097 binds to human MDM2 with an IC₅₀ of 1.7 nM and shows high selectivity over MDM4 (IC₅₀=2000 nM). NVP-CGM097 is about four times more potent than Nutlin-3a (IC₅₀=8 nM). In addition, NVP-CGM097 shows no significant activity against Bcl-2:Bak, Bcl-2:Bad, Mcl-1:Bak, Mcl-1:NOXA, XIAP:BIR3, and c-IAP:BIR3 protein-protein interactions. NVP-CGM097 significantly inhibits the proliferation of cells expressing wild-type p53, while sparing the p53 null cells with a 35-58-fold difference. NVP-CGM097 is able to significantly redistribute wild-type p53 into the cell nucleus with an IC₅₀ of 0.224 μM, demonstrating its ability to inhibit the p53:MDM2 interaction in living cells. In addition, NVP-CGM097 activity against the p53:MDM2 interaction is assessed in proliferation assays using either wild-type p53 or p53 null cells. NVP-CGM097 significantly inhibits the proliferation of cells expressing wild-type p53, while sparing the p53 null cells with a 35-58-fold difference. NVP-CGM097 inhibits HCT116 (p53WT/WT) with IC₅₀ of 454±136 nM.

In Vivo

NVP-CGM097 is able to inhibit the interaction between p53 and MDM2 and reactivate the p53 pathway in vivo in a MDM2-amplified SJSA-1 human tumor model, as judged by elevation of p21 mRNA levels, a pharmacodynamic (PD) indicator for p53 activity. p21 mRNA levels are found to increase concomitantly with levels of NVP-CGM097 in tumor-bearing rats dosed at 30 mg/kg. The PD response is biphasic and prolonged up to 24 h. Additional p53 target genes such as MDM2 and PUMA mRNA levels are assessed in the tumor samples as well and showed a similar behavior. Daily treatment with NVP-CGM097 dose dependently and significantly inhibits SJSA-1 tumor growth in rats. It promotes stable disease at 20 mg/kg, which is associated with a plasma AUC₀₋₂₄ of 163 μM h. After iv administration, the total blood clearance (CL) of NVP-CGM097 is 5 mL/min per kg for mouse, 7 mL/min per kg for rat, 3 mL/min per kg for dog, and 4 mL/min per kg for monkey. The apparent terminal half-life (t_{1/2}) is long in

rodents and monkey (6-12 h) but is comparatively longer in dogs (20 h). After oral dosing, NVP-CGM097 is well absorbed with Tmax occurring between 1 and 4.5 h in all species tested.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Holzer P, et al. Discovery of a Dihydroisoquinolinone Derivative (NVP-CGM097): A Highly Potent and Selective MDM2 Inhibitor Undergoing Phase 1 Clinical Trials in p53wt Tumors. J Med Chem. 2015 Aug 27;58(16):6348-58

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