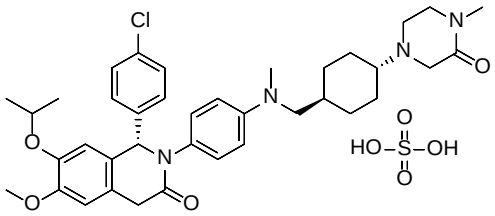
Product data sheet

MedKoo Cat#: 462431 Name: NVP-CGM097 sulfate CAS: 1313367-56-4 Chemical Formula: $C_{38}H_{49}ClN_4O_8S$ Exact Mass: 756.2960 Molecular Weight: 757.34	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
NVP-CGM097 sulfate is a potent and selective MDM2 inhibitor.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.0	132.04
Water	100.0	132.04

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.32 mL	6.60 mL	13.20 mL
5 mM	0.26 mL	1.32 mL	2.64 mL
10 mM	0.13 mL	0.66 mL	1.32 mL
50 mM	0.03 mL	0.13 mL	0.26 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Zhang M, Chen XY, Dong XD, Wang JQ, Feng W, Teng QX, Cui Q, Li J, Li XQ, Chen ZS. NVP-CGM097, an HDM2 Inhibitor, Antagonizes ATP-Binding Cassette Subfamily B Member 1-Mediated Drug Resistance. Front Oncol. 2020 Jul 23;10:1219. doi: 10.3389/fonc.2020.01219. PMID: 32793491; PMCID: PMC7390918.
2. Reuther C, Heinzle V, Nölting S, Herterich S, Hahner S, Halilovic E, Jeay S, Wuerthner JU, Aristizabal Prada ET, Spöttl G, Maurer J, Auernhammer CJ. The HDM2 (MDM2) Inhibitor NVP-CGM097 Inhibits Tumor Cell Proliferation and Shows Additive Effects with 5-Fluorouracil on the p53-p21-Rb-E2F1 Cascade in the p53wild type Neuroendocrine Tumor Cell Line GOT1. Neuroendocrinology. 2018;106(1):1-19. doi: 10.1159/000453369. Epub 2016 Nov 21. PMID: 27871087.

In vivo study

1. Weisberg E, Halilovic E, Cooke VG, Nonami A, Ren T, Sanda T, Simkin I, Yuan J, Antonakos B, Barys L, Ito M, Stone R, Galinsky I, Cowens K, Nelson E, Sattler M, Jeay S, Wuerthner JU, McDonough SM, Wiesmann M, Griffin JD. Inhibition of Wild-Type p53-Expressing AML by the Novel Small Molecule HDM2 Inhibitor CGM097. Mol Cancer Ther. 2015 Oct;14(10):2249-59. doi: 10.1158/1535-7163.MCT-15-0429. Epub 2015 Jul 23. PMID: 26206331; PMCID: PMC4596780.
2. Jeay S, Gaulis S, Ferretti S, Bitter H, Ito M, Valat T, Murakami M, Ruetz S, Guthy DA, Rynn C, Jensen MR, Wiesmann M, Kallen J, Furet P, Gessier F, Holzer P, Masuya K, Würthner J, Halilovic E, Hofmann F, Sellers WR, Graus Porta D. A distinct p53 target gene set predicts for response to the selective p53-HDM2 inhibitor NVP-CGM097. Elife. 2015 May 12;4:e06498. doi: 10.7554/eLife.06498. Erratum in: Elife. 2016 Nov 17;5:null. PMID: 25965177; PMCID: PMC4468608.

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7. Bioactivity

Biological target:

NVP-CGM097 sulfate is a potent and selective MDM2 inhibitor with IC_{50} of 1.7±0.1 nM for hMDM2.

In vitro activity

This study evaluated whether NVP-CGM097 could reverse ABCB1-mediated MDR. The results of reversal experiment showed that NVP-CGM097 remarkably reversed ABCB1-mediated MDR but not ABCG2-mediated MDR. The results of Western blot and immunofluorescence suggested that the level of expression and subcellular localization of ABCB1 protein were not significantly altered by NVP-CGM097. Mechanism studies indicated that NVP-CGM097 could reverse ABCB1-mediated MDR by directly blocking the ABCB1-mediated drug efflux and raising the accumulation of chemotherapeutic drugs in cancer cells.

Reference: Front Oncol. 2020 Jul 23;10:1219. https://pubmed.ncbi.nlm.nih.gov/32793491/

In vivo activity

A single oral dose of NVP-CGM097, 100 mg/kg, led to stabilization of p53 and elevation of p53 target genes such as CDKN1A (p21) at the mRNA level (Figure 5A, left) and at the protein level (Figure 5A, right). Treatment of SJSA-1 xenografted tumors with NVP-CGM097 led to dose-dependent tumor growth inhibition with 65% tumor regression at 100 mg/kg daily (Figure 5B, left) and was well tolerated as measured by body weight (Figure 5B, right). The anti-tumor activity of NVP-CGM097 correlated well with a dose-dependent induction in tumors of p21 and HDM2 at the mRNA level and/or protein levels (Figure 5C,D).

Reference: Elife. 2015 May 12;4:e06498. https://pubmed.ncbi.nlm.nih.gov/25965177/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.