

PRODUCT INFORMATION

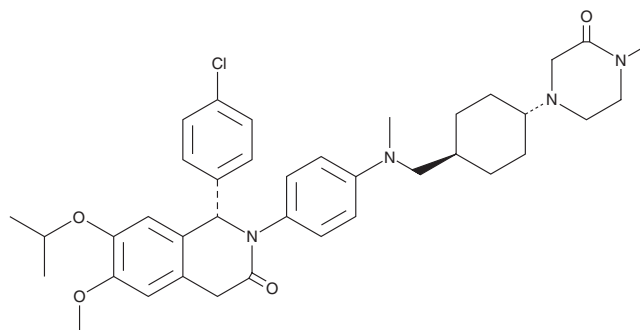


NVP-CGM097

Item No. 29519

CAS Registry No.: 1313363-54-0
Formal Name: (1S)-1-(4-chlorophenyl)-1,4-dihydro-6-methoxy-7-(1-methylethoxy)-2-[4-[methyl[[trans-4-(4-methyl-3-oxo-1-piperazinyl)cyclohexyl]methyl]amino]phenyl]-3(2H)-isoquinolinone

MF: C₃₈H₄₇ClN₄O₄
FW: 659.3
Purity: ≥98%
UV/Vis.: λ_{max}: 267 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

NVP-CGM097 is supplied as a crystalline solid. A stock solution may be made by dissolving the NVP-CGM097 in the solvent of choice, which should be purged with an inert gas. NVP-CGM097 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of NVP-CGM097 in ethanol and DMF is approximately 30 mg/ml and approximately 25 mg/ml in DMSO.

NVP-CGM097 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NVP-CGM097 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. NVP-CGM097 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NVP-CGM097 is an inhibitor of the protein-protein interaction between murine double minute 2 (MDM2) and p53 (IC₅₀ = 1.7 nM for human MDM2 in a TR-FRET assay).¹ It is selective for human MDM2 (K_i = 1.3 nM) over dog, mouse, and rat Mdm2 (K_is = 20.5, 65.9, and 47.4 nM, respectively).² NVP-CGM097 selectively inhibits proliferation of acute myeloid leukemia (AML) cells expressing wild-type p53 (IC₅₀s = 50-1,000 nM) over AML cells expressing mutant p53 (IC₅₀s = >1,000 nM).¹ It induces tumor regression in a patient-derived xenograft (PDX) mouse model of AML when administered at a dose of 100 mg/kg per day.¹

References

1. Weisberg, E., Halilovic, E., Cooke, V.G., *et al.* Inhibition of wild-type p53-expressing AML by the novel small molecule HDM2 inhibitor CGM097. *Mol. Cancer Ther.* **14**(10), 2249-2259 (2015).
2. Holzer, P., Masuya, K., Furet, 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.* **58**(16), 6348-6358 (2015).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM