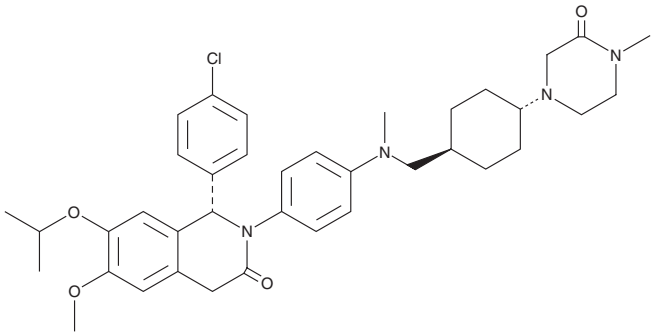
PRODUCT INFORMATION

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:	$C_{38}H_{47}ClN_4O_4$
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 (IC_{50} = 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 (IC_{50}s = 50-1,000 nM) over AML cells expressing mutant p53 (IC_{50}s = >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).

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