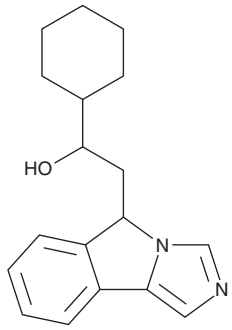
PRODUCT INFORMATION

NLG-919 analog

Item No. 21509



CAS Registry No.:	1402836-58-1
Formal Name:	α-cyclohexyl-5H-imidazo[5,1-a]isoindole-5-ethanol
MF:	$C_{18}H_{22}N_2O$
FW:	282.4
Purity:	≥98% (mixture of enantiomers)
UV/Vis.:	λ_{max}: 213, 274 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

NLG-919 analog is supplied as a crystalline solid. A stock solution may be made by dissolving the NLG-919 analog in the solvent of choice, which should be purged with an inert gas. NLG-919 analog is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of NLG-919 analog in ethanol is approximately 14 mg/ml and approximately 16 mg/ml in DMSO and DMF.

NLG-919 analog is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, NLG-919 analog should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. NLG-919 analog has a solubility of approximately 0.3 mg/ml in a 1:10 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

NLG-919 analog is an inhibitor of indoleamine 2,3-dioxygenase 1 (IDO1) and tryptophan 2,3-dioxygenase (TDO; IC_{50}s = 79 and 25 nM, respectively, in cell-free assays).[1] It decreases kynurenine levels in cells expressing human IDO1 (EC_{50} = 83 nM).[2] NLG-919 analog (0.025-1 µM) decreases the percentage of anti-CD3- and anti-CD28-activated Jurkat T cells that are positive for programmed cell death protein 1 (PD-1).[3] *In vivo*, NLG-919 analog (100 mg/kg) decreases tumor volume and weight and the percentage of intratumoral $CD4^+CD25^+$ regulatory T cells (Tregs) in a B16/F10 murine melanoma model when administered alone or in combination with paclitaxel (Item No. 10461).[4]

Reference

1. Seegers, N., van Doornmalen, A.M., Uitdehaag, J.C., *et al.* High-throughput fluorescence-based screening assays for tryptophan-catabolizing enzymes. *J. Biomol. Screen.* **19(9)**, 1266-1274 (2014).
2. Kumar, S., Waldo, J.P., Jaipuri, F.A., *et al.* Discovery of clinical candidate (1R,4r)-4-((R)-2-((S)-6-fluoro-5 H-imidazo[5,1-a]isoindol-5-yl)-1-hydroxyethyl)cyclohexan-1-ol (navoximod), a potent and selective inhibitor of indoleamine 2,3-dioxygenase 1. *J. Med. Chem.* **62(14)**, 6705-3766 (2019).
3. Qin, R., Zhao, C., Wang, C.J., *et al.* Tryptophan potentiates $CD8^+$ T cells against cancer cells by TRIP12 tryptophanylation and surface PD-1 downregulation. *J. Immunother. Cancer* **9(7)**, e002840 (2021).
4. Meng, X., Du, G., Ye, L., *et al.* Combinatorial antitumor effects of indoleamine 2,3-dioxygenase inhibitor NLG919 and paclitaxel in a murine B16-F10 melanoma model. *Int. J. Immunopathol. Pharmacol.* **30(3)**, 215-226 (2017).

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