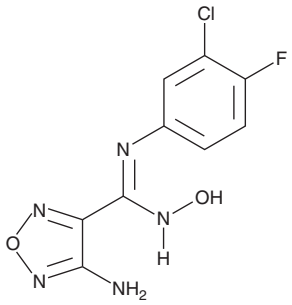
PRODUCT INFORMATION

INCB024360 analog

Item No. 18265

CAS Registry No.:	914471-09-3
Formal Name:	4-amino-N'-(3-chloro-4-fluorophenyl)-N-hydroxy-1,2,5-oxadiazole-3-carboximidamide
Synonym:	IDO5L
MF:	$C_9H_7ClFN_5O_2$
FW:	271.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 246, 285 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

INCB024360 is supplied as a crystalline solid. A stock solution may be made by dissolving the INCB024360 in the solvent of choice. INCB024360 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of INCB024360 in these solvents is approximately 30 mg/ml.

INCB024360 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, INCB024360 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. INCB024360 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Indoleamine 2,3-dioxygenase (IDO) metabolizes tryptophan to kynurenine, leading to the production of NAD^+ *via* the kynurenine pathway. It has been implicated in mediating pathological immunosuppression associated with certain diseases, including cancer. INCB024360 analog is a potent IDO1 inhibitor that demonstrates IC_{50} values of 67 and 19 nM for human IDO in enzymatic activity and HeLa cell assays, respectively.[1-3] It is inactive against tryptophan 2,3-dioxygenase (IC_{50} > 10 µM).[2] At 25-75 mg/kg, subcutaneous administration of INCB024360 analog to mice significantly decreased kynurenine levels in plasma and dose-dependently reduced the growth of GM-CSF-secreting B16 tumor xenografts.[2] A fluorine-18 moiety has been used to label this compound for use as a probe for imaging IDO1 expression *via* positron emission tomography.[4]

Reference

1. Liu, X., Shin, N., Koblish, H.K., *et al. Blood* **115(17)**, 3520-3530 (2010).
2. Yue, E.W., Douty, B., Wayland, B., *et al. J. Med. Chem.* **52(23)**, 7364-7367 (2009).
3. Tomek, P., Palmer, B.D., Flanagan, J.U., *et al. Anal. Bioanal. Chem.* **405(8)**, 2515-2524 (2013).
4. Huang, X., Gillies, R.J., and Tian, H. *J. Labelled Comp. Radiopharm.* **58(4)**, 156-162 (2015).

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