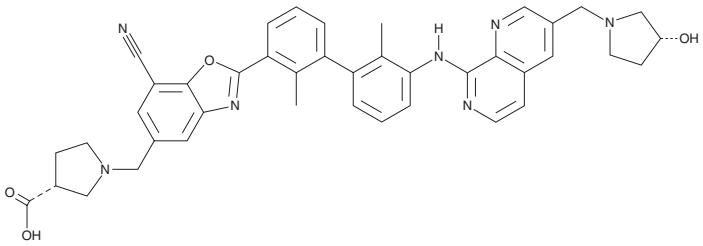
PRODUCT INFORMATION

INCB 086550

Item No. 38781

CAS Registry No.: 2230911-59-6
Formal Name: (3R)-1-[[7-cyano-2-[3′-[[3-[[(3R)-3-hydroxy-1-pyrrolidinyl]methyl]-1,7-naphthyridin-8-yl]amino]-2,2′-dimethyl[1,1′-biphenyl]-3-yl]-5-benzoxazolyl]methyl]-3-pyrrolidinecarboxylic acid
MF: $C_{41}H_{39}N_7O_4$
FW: 693.8
Purity: ≥98%
Supplied as: A 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

INCB 086550 is supplied as a solid. A stock solution may be made by dissolving the INCB 086550 in the solvent of choice, which should be purged with an inert gas. INCB 086550 is soluble in organic solvents such as ethanol and methanol. It is also soluble in water. We do not recommend storing the aqueous solution for more than one day.

Description

INCB 086550 is an inhibitor of the protein-protein interaction between programmed cell death 1 (PD-1) and its ligand PD-L1.[1] It inhibits PD-1 binding to PD-L1 in CHO cells expressing human PD-L1 (EC_{50} = 13.2 nM). INCB 086550 (1 µM) induces dimerization and internalization of PD-L1 in CHO cells expressing human PD-L1. It decreases the levels of unoccupied cell-surface PD-L1 in mouse MBT-2 bladder cancer cells expressing human PD-L1 at low, medium, or high levels (EC_{50} s = 3.7, 0.4, and 0.1 nM, respectively). It prevents PD-1-induced recruitment of Src homology region 2 domain-containing phosphatase (SHP) in a reporter assay using Jurkat T cells co-cultured with U2OS cells expressing human PD-L1 (IC_{50} = 6.3 nM) and induces activation of nuclear factor of activated T cells (NFAT) in a reporter assay using Jurkat T cells co-cultured with CHO-K1 cells (IC_{50} = 21.4 nM). *In vivo*, INCB 086550 (20 or 200 mg/kg per day) reduces tumor volume and intratumoral cell-surface levels of PD-L1, as well as increases $CD8^+$ T cell tumor infiltration, in an MC-38 murine allograft model of colon carcinoma overexpressing human PD-L1 (MC-38-hPD-L1).

Reference

1. Koblish, H.K., Wu, L., Wang, L.S., *et al.* Characterization of INCB086550: A potent and novel small-molecule PD-L1 inhibitor. *Cancer Discov.* **12(6)**, 1482-1499 (2022).

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