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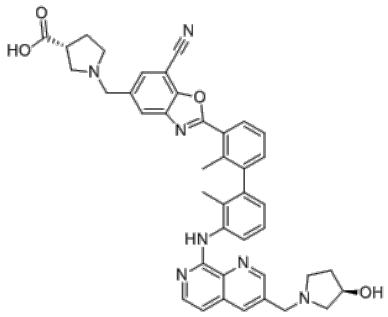
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	INCB086550
Cat. No.	:	PC-72848
CAS No.	:	2230911-59-6
Molecular Formula	:	$C_{41}H_{39}N_7O_4$
Molecular Weight	:	693.808
Target	:	PD-1/PD-L1
Solubility	:	10 mM in DMSO



Biological Activity

INCB086550 (INCB 86550) is a potent, selective small-molecule inhibitor of **PD-L1**, inhibits PD-L1/PD-1 interaction with IC50 of 3.1, 4.9 and 1.9 nM for human, cynomolgus and rat PD-L1 in HTRF-based assays.
INCB086550 inhibited binding of phycoerythrin (PE)-labeled PD-1 (PD-1/PE) to cell surface PD-L1 with IC50 of 13 nM.
INCB086550 blocked binding of the clinical anti–PD-L1 monoclonal antibodies (mAbs), atezolizumab and durvalumab, to PD-L1, potently and selectively binds to PD-L1 at a site that overlaps with the clinical anti-PD-L1 mAbs.
INCB086550 binds to PD-L1 and interrupts its interaction with PD-1 and also induces PD-L1 dimerization and internalization.
INCB086550 effectively restored NFAT pathway activation and abolished SHP recruitment to PD-1, thereby demonstrating functional 346 inhibition of the PD-L1/PD-1 signaling pathway.
INCB086550 dose-dependently reduced unoccupied cell surface PD-L1 on the tumor cell surface in vivo, effectively inhibited tumor growth as a single agent in multiple humanized tumor models and was well tolerated.
INCB086550 reduced tumor growth in CD34+ humanized mice and induced T-cell activation gene signatures, consistent with PD-L1/PD-1 pathway blockade in vivo.
Oral administration of INCB086550 provides dose-related pharmacodynamic immune activation similar to that reported for PD-L1 or PD-1 therapeutic antibodies.

References

Holly K Koblish, et al. ***Cancer Discov.*** 2022 Mar 7;candisc.1156.2021.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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