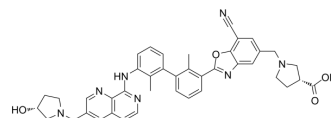


INCB086550

Cat. No.:	HY-134884		
CAS No.:	2230911-59-6		
Molecular Formula:	C ₄₁ H ₃₉ N ₇ O ₄		
Molecular Weight:	693.79		
Target:	PD-1/PD-L1		
Pathway:	Immunology/Inflammation		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H₂O : 83.33 mg/mL (120.11 mM; ultrasonic and adjust pH to 3 with HCl)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	1.4414 mL	7.2068 mL	14.4136 mL
5 mM	0.2883 mL	1.4414 mL	2.8827 mL
10 mM	0.1441 mL	0.7207 mL	1.4414 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

INCB086550 is a potent, oral, small-molecule PD-L1 inhibitor with IC_{50s} value of 3.1, 4.9 and 1.9 nM for human, cynomolgus, and rat, respectively. INCB086550 promotes the dimerization of cell-surface PD-L1 and induces PD-L1 entry into Golgi vesicles then traffick to the nucleus. INCB086550 can be used for multiple cancers research^[1].

In Vitro

INCB086550 (0.1-10000 nM, 20 h) has no overt toxicity to pleural effusion fluids cells^[1].
 INCB086550 (1 μmol/L, 24 h) induces the dimerization of cell-surface PD-L1 and resulting in internalization^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Cell Viability Assay^[1]

Cell Line:	Pleural effusion fluids cells
Concentration:	0.1-10000 nM
Incubation Time:	20 h
Result:	Had no overt toxicity to pleural effusion fluids cells.

	Immunofluorescence ^[1]								
Cell Line:	CHO PD-L1 cells								
Concentration:	1 μ mol/L								
Incubation Time:	24 h								
Result:	Decreased overall PD-L1 in CHO PD-L1 cells. Demonstrated rapid internalization of PD-L1 that appeared maximal about 4 hours.								
In Vivo	<p>INCB086550 (15, 200 mg/kg for Oral gavage, once or twice) inhibits greater than 90% of unoccupied cell surface PD-L1 in MDA-MB-231 mice model^[1].</p> <p>INCB086550 (2, 20, or 200 mg/kg for Oral gavage, b.i.d) inhibits tumor growth in MC38 huPD-L1 mice model^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
	<table border="1"> <tr> <td>Animal Model:</td> <td>mice bearing MDA-MB-231 xenografts^[1]</td> </tr> <tr> <td>Dosage:</td> <td>15, 200 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Oral gavage (p.o.)</td> </tr> <tr> <td>Result:</td> <td>Reduced unoccupied cell-surface PD-L1 on MDA-MB-231 tumors at 24 hours.</td> </tr> </table>	Animal Model:	mice bearing MDA-MB-231 xenografts ^[1]	Dosage:	15, 200 mg/kg	Administration:	Oral gavage (p.o.)	Result:	Reduced unoccupied cell-surface PD-L1 on MDA-MB-231 tumors at 24 hours.
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Administration:	Oral gavage (p.o.)								
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REFERENCES

- [1]. Koblisch HK, et al. Characterization of INCB086550: A Potent and Novel Small-Molecule PD-L1 Inhibitor. *Cancer Discov.* 2022 Jun 2;12(6):1482-1499.
- [2]. WU LIANGXING, et al. BENZOXAZOLE DERIVATIVES AS IMMUNOMODULATORS. WO2018119266A1.

Caution: Product has not been fully validated for medical applications. For research use only.

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