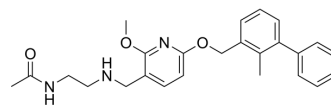


BMS-202

Cat. No.:	HY-19745		
CAS No.:	1675203-84-5		
Molecular Formula:	C ₂₅ H ₂₉ N ₃ O ₃		
Molecular Weight:	419.52		
Target:	PD-1/PD-L1; Apoptosis		
Pathway:	Immunology/Inflammation; Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (238.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	1 mM		2.3837 mL	11.9184 mL	23.8368 mL
	5 mM		0.4767 mL	2.3837 mL	4.7674 mL
	10 mM		0.2384 mL	1.1918 mL	2.3837 mL

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

In Vivo

- Add each solvent one by one: 45% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: 4.05 mg/mL (9.65 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (5.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-202 is a potent and nonpeptidic PD-1/PD-L1 complex inhibitor with an IC₅₀ of 18 nM and a K_D of 8 μM. BMS-202 binds to PD-L1 and blocks human PD-1/PD-L1 interaction. BMS-202 has antitumor activity^{[1][2]}.

IC₅₀ & Target

IC₅₀: 18 nM (PD-1/PD-L1)^[1]

	KD: 8 μ M (PD-1/PD-L1) ^[1]
In Vitro	BMS-202 (0-100 μ M; 4 days; SCC-3 or Jurkat cells) treatment inhibits the proliferation of strongly PD-L1-positive SCC-3 cells (IC ₅₀ of 15 μ M) and anti-CD3 antibody-activated Jurkat cells (IC ₅₀ 10 μ M) in vitro ^[2] . BMS-202 selectively induces thermal stabilization of PD-L1. BMS-202 induces dimerization of PD-L1 in solution. BMS-202 is located at the center of the homodimer filling a deep hydrophobic pocket contributing multiple additional interactions between the monomers. BMS-202 interacts with both PD-L1 molecules using hydrophobic surfaces physiologically involved in the PD-1/PD-L1 interaction ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Proliferation Assay ^[2]
	Cell Line: SCC-3 or Jurkat cells
	Concentration: 0-100 μ M
	Incubation Time: 4 days
	Result: Inhibited the proliferation of strongly PD-L1-positive SCC-3 cells (IC ₅₀ of 15 μ M) and anti-CD3 antibody-activated Jurkat cells (IC ₅₀ 10 μ M) in vitro.
In Vivo	BMS-202 (20 mg/kg; intraperitoneal injection; daily; for 9 days; NOG-dKO mice) treatment shows a clear antitumor effect compared with the controls, in humanized MHC- dKO NOG mice ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
	Animal Model: NOG-dKO mice (8-week-old) injected with SCC-3 cells ^[2]
	Dosage: 20 mg/kg
	Administration: Intraperitoneal injection; daily; for 9 days
	Result: Showed 41% growth inhibitory activity against humanized mouse-transplanted human lymphoma SCC-3 cells.

CUSTOMER VALIDATION

- Nano Today. 2022, 47: 101689.
- ACS Nano. 2024 Feb 20;18(7):5632-5646.
- Nat Commun. 2021 Dec 9;12(1):7155.
- Acta Pharm Sin B. 22 October 2021.
- Biomater Res. 2023 Nov 24;27(1):120.

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REFERENCES

- [1]. Zak KM, et al. Structural basis for small molecule targeting of the programmed death ligand 1 (PD-L1). *Oncotarget*. 2016 May 24;7(21):30323-35.
- [2]. Ashizawa T, et al. Antitumor activity of the PD-1/PD-L1 binding inhibitor BMS-202 in the humanized MHC-double knockout NOG mouse. *Biomed Res*. 2019;40(6):243-250.

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

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