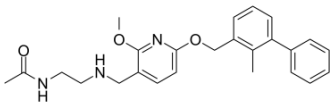
BMS-202

Cat. No.:	HY-19745
CAS No.:	1675203-84-5
Molecular Formula:	$C_{25}H_{29}N_3O_3$
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 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (238.37 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

1. 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
2. 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
3. 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 IC_{50} 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].

IC_{50} & Target

IC50: 18 nM (PD-1/PD-L1)[1]
KD: 8 μM (PD-1/PD-L1)[1]

In Vitro

BMS-202 (0-100 μM; 4 days; SCC-3 or Jurkat cells) treatment inhibits the proliferation of strongly PD-L1-positive SCC-3 cells (IC_{50} of 15 μM) and anti-CD3 antibody-activated Jurkat cells (IC_{50} 10 μM) 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 μM
Incubation Time:	4 days
Result:	Inhibited the proliferation of strongly PD-L1-positive SCC-3 cells (IC_{50} of 15 μM) and anti-CD3 antibody-activated Jurkat cells (IC_{50} 10 μM) 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

- J Med Chem. 2020 Nov 13.
- EBioMedicine. 2019 Mar;41:395-407.
- Oncotargets Ther. 2021 Mar 30;14:2247-2258.

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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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA