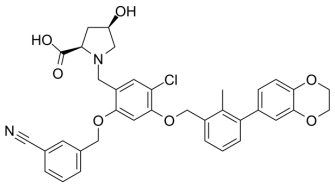
BMS-1166

Cat. No.:	HY-102011
CAS No.:	1818314-88-3
Molecular Formula:	$C_{36}H_{33}ClN_2O_7$
Molecular Weight:	641
Target:	PD-1/PD-L1
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (195.01 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5601 mL	7.8003 mL	15.6006 mL
	5 mM	0.3120 mL	1.5601 mL	3.1201 mL
	10 mM	0.1560 mL	0.7800 mL	1.5601 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: ≥ 2.08 mg/mL (3.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-1166 is a potent PD-1/PD-L1 immune checkpoint inhibitor. BMS-1166 induces dimerization of PD-L1 and blocks its interaction with PD-1, with an IC_{50} of 1.4 nM. BMS-1166 antagonizes the inhibitory effect of PD-1/PD-L1 immune checkpoint on T cell activation[1][2].

IC_{50} & Target

IC50: 1.4 nM (PD-1/PD-L1 interaction)[1].

In Vitro

BMS-1166 is a potent PD-1/PD-L1 interaction inhibitor with an IC_{50} of 1.4 nM in a homogenous time-resolved fluorescence binding assay[1]. BMS-1166 antagonizes the inhibitory effect of PD-1/PD-L1 immune checkpoint on T cell activation. BMS-

1166 dose dependently abolishes the inhibition of ECs stimulation by sPD-L1[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Guzik K, et al. Small-Molecule Inhibitors of the Programmed Cell Death-1/Programmed Death-Ligand 1 (PD-1/PD-L1) Interaction via Transiently Induced Protein States and Dimerization of PD-L1. J Med Chem. 2017 Jul 13;60(13):5857-5867.

[2]. Skalniak L, et al. Small-molecule inhibitors of PD-1/PD-L1 immune checkpoint alleviate the PD-L1-induced exhaustion of T-cells. Oncotarget. 2017 Aug 7;8(42):72167-72181.

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