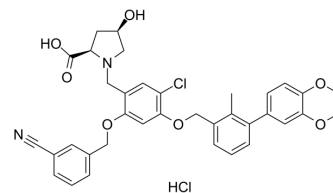


## BMS-1166 hydrochloride

|                           |   |       |         |
|---------------------------|---|-------|---------|
| <b>Cat. No.:</b>          | HY-102011A  |       |         |
| <b>CAS No.:</b>           | 2113650-05-6  |       |         |
| <b>Molecular Formula:</b> | C <sub>36</sub> H <sub>34</sub> Cl <sub>2</sub> N <sub>2</sub> O <sub>7</sub> |       |         |
| <b>Molecular Weight:</b>  | 677.57  |       |         |
| <b>Target:</b>            | PD-1/PD-L1  |       |         |
| <b>Pathway:</b>           | Immunology/Inflammation   |       |         |
| <b>Storage:</b>           | Powder  | -20°C | 3 years |
|                           |   | 4°C   | 2 years |
|                           | In solvent  | -80°C | 2 years |
|                           |   | -20°C | 1 year  |



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 100 mg/mL (147.59 mM)  
 \* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Solvent       | 1 mg      | 5 mg      | 10 mg      |
|---------------------------|---------------|-----------|-----------|------------|
|                           | Concentration |           |           |            |
|                           | 1 mM          | 1.4759 mL | 7.3793 mL | 14.7586 mL |
|                           | 5 mM          | 0.2952 mL | 1.4759 mL | 2.9517 mL  |
|                           | 10 mM         | 0.1476 mL | 0.7379 mL | 1.4759 mL  |

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (3.69 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (3.69 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

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

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 1.4 nM (PD-1/PD-L1 interaction)<sup>[1]</sup>.

#### In Vitro

BMS-1166 is a potent PD-1/PD-L1 interaction inhibitor with an IC<sub>50</sub> of 1.4 nM in a homogenous time-resolved fluorescence binding assay<sup>[1]</sup>. 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<sup>[2]</sup>.

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

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## REFERENCES

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

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