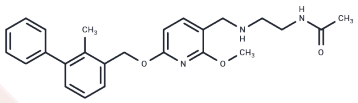
Data Sheet (Cat.No.T3146)

TargetMol

BMS-202

Chemical Properties



CAS No. : 1675203-84-5

Formula: C25H29N3O3

Molecular Weight: 419.52

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BMS-202 (PD1-PDL1 inhibitor 2) is an inhibitor of the PD-1 (Programmed death- 1) /PD-Ll (Programmed death-ligand 1) protein/protein interaction.
Targets(IC50)	Apoptosis,PD-1/PD-L1
In vitro	BMS-202 inhibits PD-1/PD-Ll interaction, and may augment therapeutic immune response to a number of histologically distinct tumors. Blockade of the PD-1/PD-Ll ligation using antibodies to PD-Ll has been shown to restore and augment T cell activation in many systems[1].
Kinase Assay	All binding studies are performed in an HTRF assay buffer consisting of dPBS supplemented with 0.1% (with v) bovine serum albumin and 0.05% (v/v) Tween-20. For the PD-l-Ig/PD-Ll-His binding assay, inhibitors are pre-incubated with PD-Ll-His (10 nM final) for 15 m in 4 μL of assay buffer, followed by addition of PD-l-Ig (20 nM final) in 1 μL of assay buffer and further incubation for 15 m. PD-L1 from either human, cyno, or mouse are used. HTRF detection is achieved using europium crypate-labeled anti- Ig (1 nM final) and allophycocyanin (APC) labeled anti-His (20 nM final). Antibodies are diluted in HTRF detection buffer and 5 μL is dispensed on top of binding reaction. The reaction mixture is allowed to equilibrate for 30 minutes and signal (665 nm/620 nm ratio) is obtained using an En Vision fluorometer. Additional binding assays are established between PD-1-Ig/PD-L2-His (20, 5 nM, respectively), CD80-His/PD-Ll-Ig (100, 10 nM, respectively) and CD80-His/CTLA4-Ig (10, 5 nM, respectively).

Solubility Information

Solubility	DMSO: 45 mg/mL (107.27 mM),Sonication is recommended. Ethanol: 83 mg/mL (197.85 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4.5 mg/mL (10.73 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0477 mL	0.2384 mL	0.4767 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Louis S. Chupak, et al. Compounds useful as immunomodulators. WO 2015034820 A1
Dai W, Wu J, Peng X, et al. CDK12 orchestrates super-enhancer-associated CCDC137 transcription to direct hepatic metastasis in colorectal cancer. Clinical and Translational Medicine. 2022, 12(10): e1087.
Yang X, Cheng B, Xiao Y, et al. Discovery of Novel CA-4 Analogs as Dual Inhibitors of Tubulin Polymerization and PD-1/PD-L1 Interaction for Cancer Treatment[J]. European Journal of Medicinal Chemistry. 2020: 113058.
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Zhang H, Zhou S, Plewka J, et al.Design, Synthesis, and Antitumor Activity Evaluation of 2-Arylmethoxy-4-(2, 2′-dihalogen-substituted biphenyl-3-ylmethoxy) Benzylamine Derivatives as Potent PD-1/PD-L1 Inhibitors.Journal of Medicinal Chemistry.2023
Zhang H, Zhou S J, Shen C F, et al.PD-L1 dimerisation induced by biphenyl derivatives mediates anti-breast cancer activity via the non-immune PD-L1–AKT–mTOR/Bcl2 pathway.Journal of Enzyme Inhibition and Medicinal Chemistry.2023, 38(1): 2230388.
Zhang F, Zhang H, Zhou S, et al.Design, synthesis, and evaluation of antitumor activity of 2-arylmethoxy-4-(2-fluoromethyl-biphenyl-3-ylmethoxy) benzylamine derivatives as PD-1/PD-L1 inhibitors.European Journal of Medicinal Chemistry.2024: 116683.