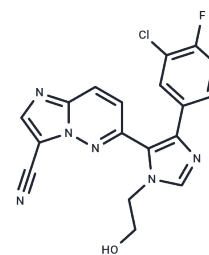


BMS986260

Chemical Properties

CAS No. : 2001559-19-7
 Formula: C₁₈H₁₂ClFN₆O
 Molecular Weight: 382.78
 Storage: 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-986260 is a selective, and orally bioavailable TGFβ1 inhibitor (IC ₅₀ = 1.6 nM).
Targets (IC ₅₀)	TGF-beta/Smad
In vitro	BMS-986260 demonstrated functional activity in multiple TGFβ-dependent cellular assays, excellent kinome selectivity, favorable pharmacokinetic properties, and curative in vivo efficacy in combination with anti-PD-1 antibody in murine colorectal cancer (CRC) models. Since daily dosing of TGFβ1 inhibitors is known to cause class-based cardiovascular (CV) toxicities in preclinical species, a dosing holiday schedule in the anti-PD-1 combination efficacy studies was explored. An intermittent dosing regimen of 3 days on and 4 days off allowed mitigation of CV toxicities in one month dog and rat toxicology studies and also provided similar efficacy as once daily dosing.

Solubility Information

Solubility	DMSO: 25 mg/mL (65.31 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: 2 mg/mL (5.22 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6125 mL	13.0623 mL	26.1247 mL
5 mM	0.5225 mL	2.6125 mL	5.2249 mL
10 mM	0.2612 mL	1.3062 mL	2.6125 mL
50 mM	0.0522 mL	0.2612 mL	0.5225 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

Discovery of BMS-986260, a Potent, Selective, and Orally Bioavailable TGF β R1 Inhibitor as an Immuno-oncology Agent[J]. ACS Medicinal Chemistry Letters, 2020, 11(2):172-178.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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