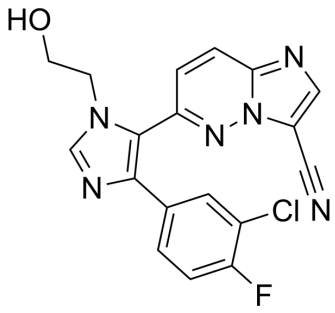
BMS-986260

Cat. No.:	HY-W107024
CAS No.:	2001559-19-7
Molecular Formula:	$C_{18}H_{12}ClFN_6O$
Molecular Weight:	382.78
Target:	TGF-β Receptor
Pathway:	TGF-beta/Smad
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 : 125 mg/mL (326.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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

BIOLOGICAL ACTIVITY

Description

BMS-986260, an immuno-oncology agent, is a potent, selective, and orally active TGFβR1 inhibitor (IC_{50}=1.6 nM). BMS-986260 displays exquisite selectivity for TGFβR1 over its isozyme TGFβR2, as well as in a panel of more than 200 kinases examined. BMS-986260 inhibits TGFβ mediated nuclear translocation of pSMAD2/3 in MINK and NHLF cells lines with an IC_{50} of 350 nM and 190 nM, respectively[1].

In Vitro

BMS-986260 is a highly potent TGFβR1 inhibitor in both human (K_{iapp}=0.8 nM) and mouse (K_{iapp}= 1.4 nM) biochemical assays. BMS-986260 also inhibits TGFβ induced SMAD phosphorylation in NIH3T3 cell line, primary human T cells, and mouse and human whole blood. BMS-986260 inhibits TGF-β mediated induction of regulatory T cell (Treg) by downregulation of FOXP3 expression and a repression of CD25 with an IC_{50} of 230 nM[1].

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

REFERENCES

[1]. Velaparthi U, et al. Discovery of BMS-986260, a Potent, Selective, and Orally Bioavailable TGFβR1 Inhibitor as an Immuno-oncology Agent. ACS Med Chem Lett. 2020;11(2):172-178. Published 2020 Jan 28.

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