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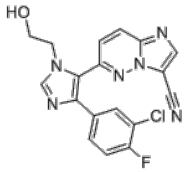
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	BMS-986260
Cat. No.	:	PC-72168
CAS No.	:	2001559-19-7
Molecular Formula	:	$C_{18}H_{12}ClFN_6O$
Molecular Weight	:	382.783
Target	:	TGF beta Receptor (TGFBR)
Solubility	:	10 mM in DMSO



Biological Activity

BMS-986260 (BMS 986260) is a potent, selective, and orally bioavailable **TGFβR1** inhibitor with IC50 of 1.6 nM, negligible inhibition against TGFβR2 (IC50>15 uM).
BMS-986260 is a highly potent TGFβR1 inhibitor in both human (Kiapp=0.8 nM) and mouse (Kiapp=1.4 nM) biochemical assays, and displays exquisite selectivity for TGFβR1 over its isozyme TGFβR2, as well as in a panel of >200 kinases.
BMS-986260 inhibited phosphorylation and subsequent nuclear translocation of SMAD in mink lung epithelial (MvLu1) cells and normal human lung fibroblasts (NHLF) cells with IC50 of 0.35 and 0.19 uM, respectively, also inhibited TGFβ induced SMAD phosphorylation in NIH3T3 cell line, primary human T cells, and mouse and human whole blood, inhibited TGF-β mediated induction of Treg by downregulation of FOXP3 expression and a repression of CD25 with IC50 of 230 nM.
Combination of BMS-986260 with anti-PD-1-antibody demonstrated robust antitumor efficacy, correlated with pSMAD2/3 inhibition and increase in intratumoral CD8+ T-cells, BMS-986260 also inhibited metastasis to the lungs in a 4T1 syngeneic orthotopic mammary tumor model.

References

Velaparthi U, et al. ***ACS Med Chem Lett***. 2020 Jan 28;11(2):172-178.

Parrish KE, et al. ***Biopharm Drug Dispos***. 2021 Apr;42(4):137-149.

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