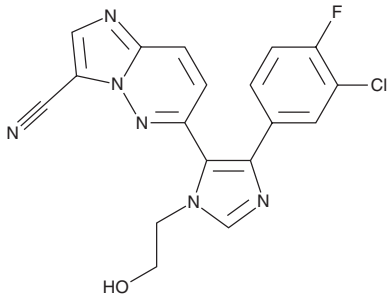
PRODUCT INFORMATION

BMS 986260

Item No. 42042

CAS Registry No.:	2001559-19-7
Formal Name:	6-[4-(3-chloro-4-fluorophenyl)-1-(2-hydroxyethyl)-1H-imidazol-5-yl]-imidazo[1,2-b]pyridazine-3-carbonitrile
MF:	$C_{18}H_{12}ClFN_6O$
FW:	382.8
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

BMS 986260 is supplied as a solid. A stock solution may be made by dissolving the BMS 986260 in the solvent of choice, which should be purged with an inert gas. BMS 986260 is sparingly soluble (1-10 mg/ml) in DMSO and slightly soluble (0.1-1 mg/ml) in ethanol.

Description

BMS 986260 is an inhibitor of activin receptor-like kinase 5 (ALK5), also known as TGF-β receptor type I (TGF-βRI; IC_{50} = 0.0015 µM).[1] It is selective for ALK5 over TGF-βRII (IC_{50} = >15 µM) and a panel of 213 additional kinases at 1 µM. BMS 986260 inhibits TGF-β-induced increases in the nuclear translocation of phosphorylated SMAD2/3 in Mv 1 Lu mink lung epithelial cells (IC_{50} = 0.35 µM). It decreases tumor growth in an MC-38 murine colon carcinoma model of tumor rechallenge when administered at a dose of 3.75 mg/kg per day in combination with an antibody against programmed cell death protein 1 (PD-1). BMS 986260 (10 mg/kg per day) induces hyperproliferation of chondrocytes in the femoral epiphysis, thickening of the cardiac atrioventricular valve, and inflammation in the aortic vasculature in rats.[2]

References

1. Velaparthi, U., Darne, C.P., Warrier, J., *et al.* Discovery of BMS-986260, a potent, selective, and orally bioavailable TGFβR1 inhibitor as an immuno-oncology agent. *ACS Med. Chem. Lett.* **11(2)**, 172-178 (2020).
2. Rak, G.D., White, M.R., Augustine-Rauch, K., *et al.* Intermittent dosing of the transforming growth factor beta receptor 1 inhibitor, BMS-986260, mitigates class-based cardiovascular toxicity in dogs but not rats. *J. Appl. Toxicol.* **40(7)**, 931-946 (2020).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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