PRODUCT INFORMATION



JNJ-38158471

Item No. 41361

CAS Registry No.:	951151-97-6	
Formal Name:	N-[4-[[6-amino-5-[(methoxyimino)	
	methyl]-4-pyrimidinyl]oxy]-2- chlorophenyl]-N'-ethyl-urea	H ₂ N N O
MF:	$C_{15}H_{17}CIN_6O_3$	
FW:	364.8	
Purity:	≥98%	—о Н
Supplied as:	A solid	CI
Storage:	-20°C	
Stability:	≥4 years	
Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis		

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Laboratory Procedures

JNJ-38158471 is supplied as a solid. A stock solution may be made by dissolving the JNJ-38158471 in the solvent of choice, which should be purged with an inert gas. JNJ-38158471 is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

JNJ-38158471 is an inhibitor of VEGFR2 (IC_{50} = 42 nM).¹ It is selective for VEGFR2 over the PDGFR family kinases CSF-1 receptor tyrosine kinase (FMS), PDGFR α , FMS-related tyrosine kinase 3 (FLT3), and KIT (IC₅₀s = 624, 1,109, 4,810, and 511 nM, respectively) and VEGFR family kinases VEGFR1 and VEGFR3 (IC_{50}° s = 4,451 and 1,112 nM, respectively) but does inhibit RET (IC_{50} = 183 nM). JNJ-38158471 (10, 100, or 500 nM) decreases VEGF-induced phosphorylation of VEGFR2 in serum-starved human umbilical vein endothelial cells (HUVECs). It inhibits VEGF-induced migration in HUVECs when used at a concentration of 1 μM. JNJ-38158471 (100 mg/kg) reduces Vegf-induced corneal neovascularization in mice. It decreases tumor size in an HCT116 colorectal cancer mouse xenograft model when administered at doses ranging from 10 to 200 mg/kg per day. JNJ-38158471 (100 mg/kg per day) reduces polyp number in an Apc^{+/Min-FCCC} mouse model of spontaneous colorectal adenoma.

Reference

1. LaMontagne, K.R., Butler, J., Borowski, V.B., et al. A highly selective, orally bioavailable, vascular endothelial growth factor receptor-2 tyrosine kinase inhibitor has potent activity in vitro and in vivo. Angiogenesis 12(3), 287-296 (2009).

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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