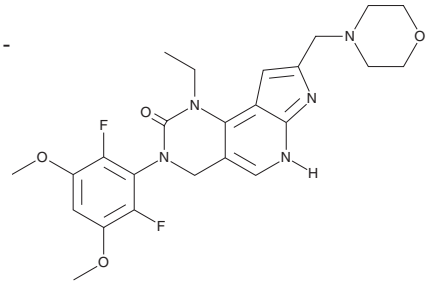
PRODUCT INFORMATION

Pemigatinib

Item No. 33260

CAS Registry No.:	1513857-77-6
Formal Name:	3-(2,6-difluoro-3,5-dimethoxyphenyl)-1-ethyl-1,3,4,7-tetrahydro-8-(4-morpholinylmethyl)-2H-pyrrolo[3′,2′:5,6]pyrido[4,3-d]pyrimidin-2-one
Synonym:	INCB054828
MF:	$C_{24}H_{27}F_2N_5O_4$
FW:	487.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 231, 289 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Pemigatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the pemigatinib in the solvent of choice, which should be purged with an inert gas. Pemigatinib is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of pemigatinib in these solvents is approximately 5 and 15 mg/ml, respectively.

Pemigatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, pemigatinib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Pemigatinib has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Pemigatinib is an inhibitor of FGFR (IC_{50}s = 0.4, 0.5, and 1 nM for FGFR1, FGFR2, and FGFR3, respectively).[1] It is selective for FGFR1, FGFR2, and FGFR3 over FGFR4 and the kinase insert domain receptor (KDR; IC_{50}s = 30 and 70 nM, respectively), as well as over a panel of 56 tyrosine and serine/threonine kinases at 10 µM. Pemigatinib reduces phosphorylation of FGFR, ERK1/2, and STAT5 in KG-1a acute myeloid leukemia cells in a concentration-dependent manner. It inhibits growth in a panel of cancer cell lines expressing constitutively active FGFR, including lung, bladder, and gastric cancer cells, with GI_{50} values ranging from 3 to 362 nM. Pemigatinib (0.3 mg/kg per day) reduces tumor growth in KATO III, KG-1, and RT-112 mouse xenograft models.

Reference

1. Liu, P.C.C., Koblish, H., Wu, L., *et al.* INCB054828 (pemigatinib), a potent and selective inhibitor of fibroblast growth factor receptors 1, 2, and 3, displays activity against genetically defined tumor models. *PLoS One* **15(4)**, e0231877 (2020).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM