

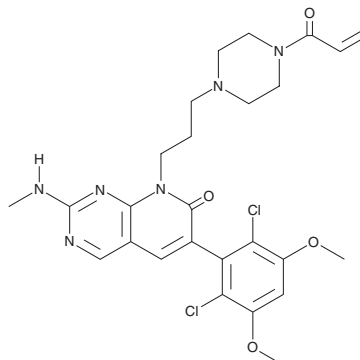
PRODUCT INFORMATION



PRN-1371

Item No. 33787

CAS Registry No.: 1802929-43-6
Formal Name: 6-(2,6-dichloro-3,5-dimethoxyphenyl)-2-(methylamino)-8-[3-[4-(1-oxo-2-propen-1-yl)-1-piperazinyl]propyl]-pyrido[2,3-d]pyrimidin-7(8H)-one
MF: C₂₆H₃₀Cl₂N₆O₄
FW: 561.5
Purity: ≥98%
UV/Vis.: λ_{max}: 343 nm
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

PRN-1371 is supplied as a solid. A stock solution may be made by dissolving the PRN-1371 in the solvent of choice, which should be purged with an inert gas. PRN-1371 is soluble in the organic solvent DMSO at a concentration of approximately 15 mg/ml.

Description

PRN-1371 is an irreversible covalent pan-FGFR inhibitor (IC₅₀s = 0.7, 1.3, 4.4, and 19.3 nM for FGFR-1, -2, -3, and -4, respectively).¹ It is selective for FGFR over VEGFR2 (IC₅₀ = 705 nM) and a panel of 250 kinases (IC₅₀s = >1 μM for all) but does inhibit colony stimulating factor 1 receptor (CSF1R) activity by greater than 90% at 1 μM. PRN-1371 inhibits proliferation in a panel of ten cancer cell lines containing various FGFR mutants (IC₅₀s = 2-231 nM) and induces apoptosis in SNU-16 gastric and RT4 bladder cancer cells (EC₅₀s = 15.9 and 11.8 nM, respectively). It reduces tumor growth in an SNU-16 mouse xenograft model and a patient-derived xenograft (PDX) mouse model of liver cancer when administered at a dose of 15 mg/kg twice per day.

Reference

1. Venetsanakos, E., Brameld, K.A., Phan, V.T., *et al.* The irreversible covalent fibroblast growth factor receptor inhibitor PRN1371 exhibits sustained inhibition of FGFR after drug clearance. *Mol. Cancer Ther.* **16**(12), 2668-2676 (2017).

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.

WARRANTY AND LIMITATION OF REMEDY

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