

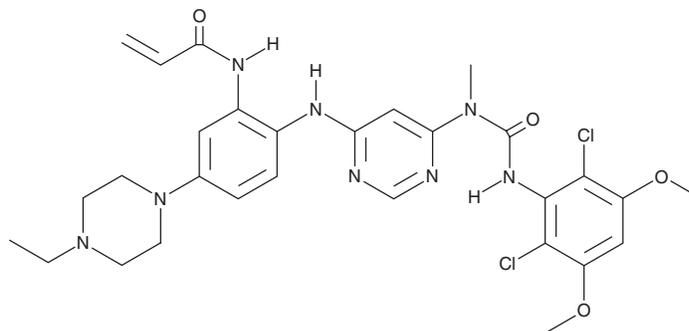
PRODUCT INFORMATION



H3B-6527

Item No. 26072

CAS Registry No.: 1702259-66-2
Formal Name: N-[2-[[[6-[[[(2,6-dichloro-3,5-dimethoxyphenyl)amino]carbonyl]methylamino]-4-pyrimidinyl]amino]-5-(4-ethyl-1-piperazinyl)phenyl]-2-propenamamide
MF: C₂₉H₃₄Cl₂N₈O₄
FW: 629.5
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

H3B-6527 is supplied as a solid. A stock solution may be made by dissolving the H3B-6527 in the solvent of choice, which should be purged with an inert gas. H3B-6527 is soluble in the organic solvent DMSO.

Description

H3B-6527 is an inhibitor of FGF receptor 4 (FGFR4; IC₅₀ = <1.2 nM).¹ It is selective for FGFR4 over a panel of 395 kinases, including FGFR1, FGFR2, and FGFR3 (IC₅₀s = 320, 1,290, and 1,060 nM, respectively), as well as TAOK2, JNK2, and CSF1R (IC₅₀s = 690, >10,000, and >10,000 nM, respectively). H3B-6527 increases the expression of the cytochrome P450 (CYP) isoform CYP7A1 and decreases phosphorylation of ERK1/2 in Hep3B hepatocellular carcinoma (HCC) cells in a concentration-dependent manner, indicating inhibition of the FGF19-FGFR4 signaling pathway. It also decreases cell growth (GI₅₀ = 25 nM) and increases caspase-3/7 activity in Hep3B HCC cells. H3B-6527 selectively inhibits cell growth in HCC cell lines that express high levels of FGF19 and have high FGF19 protein levels. It reduces tumor growth in a Hep3B HCC mouse xenograft model, when administered at doses of 100 and 300 mg/kg, and in patient-derived xenograft models with high FGF19 expression. H3B-6527, in combination with PD 0332991 (palbociclib; Item No. 16273), induces tumor stasis in a JHH-7 mouse xenograft model.

Reference

1. Joshi, J.J., Coffey, H., Corcoran, E., *et al.* H3B-6527 is a potent and selective inhibitor of FGFR4 in FGF19-driven hepatocellular carcinoma. *Cancer Res.* **77(24)**, 6999-7013 (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.

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