

PRODUCT INFORMATION

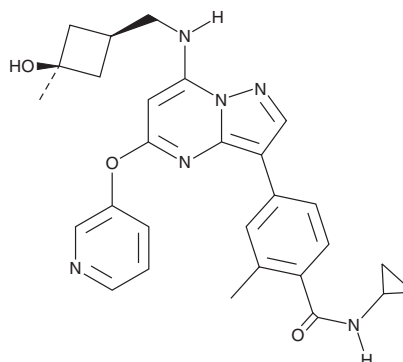


CFI-402257

Item No. 21960

CAS Registry No.: 1610759-22-2
Formal Name: N-cyclopropyl-4-[7-[[[*cis*-3-hydroxy-3-methylcyclobutyl)methyl]amino]-5-(3-pyridinyloxy)pyrazolo[1,5-a]pyrimidin-3-yl]-2-methyl-benzamide

MF: C₂₈H₃₀N₆O₃
FW: 498.6
Purity: ≥98%
UV/Vis.: λ_{max}: 313 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

CFI-402257 is supplied as a crystalline solid. A stock solution may be made by dissolving the CFI-402257 in the solvent of choice. CFI-402257 is soluble in the organic solvent dimethyl formamide, which should be purged with an inert gas, at a concentration of approximately 0.1 mg/ml.

Description

CFI-402257 is an orally bioavailable inhibitor of monopolar spindle 1 (MPS1/TTK) kinase (IC₅₀ = 1.7 nM).¹ It is selective for MPS1/TTK over a panel of 262 kinases at a concentration of 1 μM. CFI-402257 increases aneuploidy in HCT116 cells when used at concentrations greater than 100 nM.² It inhibits cell growth in a panel of breast, ovarian, prostate, pancreatic, lung, and colon cancer cell lines (GI₅₀s = 0.001-1.3 μM).¹ CFI-402257 (6.5 mg/kg) reduces tumor growth in HCT116 and HT29 mouse xenograft models as well as platinum-resistant and -sensitive high-grade serous ovarian cancer patient-derived xenograft (PDX) mouse models.^{1,2} It also inhibits the cytochrome P450 (CYP) isoforms CYP2C9 and CYP2C19 (IC₅₀s = 13 and 8 μM, respectively) as well as CYP3A4 metabolism of 7-benzyloxy-4-trifluoromethylcoumarin (BFC) and dibenzylfluorescein (DBF; IC₅₀s = 0.51 and 14 μM, respectively).¹

References

1. Liu, Y., Laufer, R., Patel, N.K., *et al.* Discovery of pyrazolo[1,5-a]pyrimidine TTK inhibitors: CFI-402257 is a potent, selective, bioavailable anticancer agent. *ACS Med. Chem. Lett.* **7**(7), 671-675 (2016).
2. Mason, J.M., Wei, X., Fletcher, G.C., *et al.* Functional characterization of CFI-402257, a potent and selective Mps1/TTK kinase inhibitor, for the treatment of cancer. *Proc. Natl. Acad. Sci. USA* **114**(12), 3127-3132 (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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