

Product Data Sheet

Product Name: CFI-402257 hydrochloride

Cat. No.: GC35662

Chemical Properties

Cas. No. 1610677-37-6

SMILES C[C@@]1(O)C[C@@H](C1)CNC2=CC(OC3=CN=CC=C3)=NC4=C(C5=CC(C)=C(C(NC6CC6)=O)C=C5)C=NN24.[H]Cl

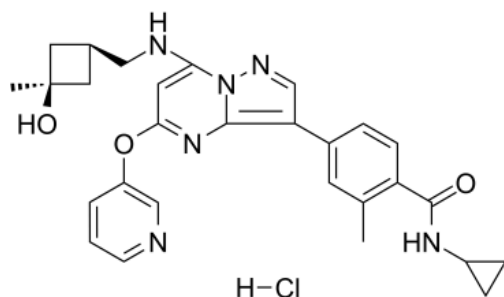
Formula $C_{28}H_{31}ClN_6O_3$ M.Wt 535.04

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Caution: Product has not been fully validated for medical applications. For research use only.

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Background

CFI-402257 hydrochloride is a highly selective and orally bioavailable TTK/Mps1 inhibitor with an IC₅₀ of 1.7 nM for TTK in vitro. CFI-402257 hydrochloride has anti-cancer activity[1]. IC₅₀: 1.7 nM (TTK in vitro)[1].

CFI-402257 is highly selective to TTK. CFI-402257 is tested against a panel of human kinases at 1 μ M and inhibits none of the 262 kinases tested. CFI-402257 is a potent inhibitor of cell growth[1]. CFI-402257 (200 nM, 6 h) causes a massive increase in chromosome missegregations[2]. CFI-402257 (0, 50 or 100 nM) induces a dose-dependent dysregulation of the cell cycle, resulting in an increase in the frequency of cells exhibiting an aneuploid DNA content[2]. CFI-402257 exhibits effects consistent with Mps1 kinase inhibition, specifically SAC inactivation, leading to chromosome missegregation, aneuploidy, and ultimately cell death[2]. Cell Cycle Analysis[2] Cell Line: HCT116 cells.

CFI-402257 given orally QD shows dose-dependent activity in mice with established tumors from xenografted MDA-MB-231 human TNBC cells and MDA-MB-468 human TNBC cells in mice. CFI-402257 demonstrates antitumor activity in a platinum-resistant PDX model of high-grade serous ovarian cancer[2]. Animal Model: Xenografted MDA-MB-231 human TNBC cells and MDA-MB-468 human TNBC cells in mice[2].

[1]. Liu Y, et al. Discovery of Pyrazolo[1,5-a]pyrimidine TTK Inhibitors: CFI-402257 is a Potent, Selective, Bioavailable Anticancer Agent. ACS Med Chem Lett. 2016 May 6;7(7):671-5. [2]. Mason JM, et al. Functional characterization of CFI-402257, a potent and selective Mps1/TTK kinase inhibitor, for the treatment of cancer. Proc Natl Acad Sci U S A. 2017 Mar 21;114(12):3127-3132.

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