Product Data Sheet

Product Name: Tuspetinib
Cat. No.: GC69233

Chemical Properties

Cas. No.	2294874-49-8		
Formula	$C_{29}H_{33}ClN_6$	M.Wt	501.07
Solubility	DMSO : 125 mg/mL (249.47 mM; Need ultrasonic)	Storage	4°C, protect from light
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Tuspetinib		

Background

Tuspetinib (HM43239) is an orally active and selective **apoptosis** of leukemic cells[1][2][3].

Tuspetinib potently inhibits the growth of acute myeloid leukemia cell lines harboring FLT3 ITD mutation, such as MV4-11 (IC_{50}: 1.3 nM), MOLM-13 (IC_{50}: 5.1 nM), and MOLM-14 (IC_{50}: 2.9 nM). Tuspetinib also inhibits KG1a cells (CD34+/CD38- cells) proliferation[1].
Tuspetinib induces the caspase 3/7-dependent apoptosis of leukemic stem cell (LSC) marker-expressing KG1a cells (CD34+/CD38- cells)[1].
Tuspetinib potently inhibits phosphorylation of SYK, STAT3, and STAT5 in KG1a cells[3].

Tuspetinib shows the excellent dose proportional antitumor activity in mouse models xenografted with both MV4-11 and MOLM-13 cell lines without any significant toxicity[1].
Tuspetinib prolongs survival in FLT3 ITD/TKD double mutated xenograft mouse models[3].

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[1]. Miyoung Lee, et.al. Abstract 804: Antitumor activity of the potent and novel FLT3 inhibitor HM43239 in acute myeloid leukemia. Cancer Res July 1 2018 (78) (13 Supplement) 804.
[2]. Naval G. Daver, et.al. HM43239, a Novel Potent Small Molecule FLT3 Inhibitor, in Acute Myeloid Leukemia (AML) with FMS-like Tyrosine Kinase 3 (FLT3) Mutations: Phase 1 /2 Study. Blood 2019; 134 (Supplement_1): 1331.
[3]. JiSook Kim, et.al. Abstract 1293: HM43239, a novel FLT3 inhibitor in overcoming resistance for acute myeloid leukemia. Cancer Res July 1 2019 (79) (13 Supplement) 1293.

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