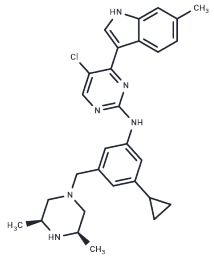
Data Sheet (Cat.No.T9428)

TargetMol

HM43239

Chemical Properties



CAS No. : 2294874-49-8

Formula: C29H33ClN6

Molecular Weight: 501.07

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	HM43239 is an orally active and selective FLT3 inhibitor with IC 50 s of 1.1 nM, 1.8 nM and 1.0 nM for FLT3 WT, FLT3 internal tandem duplication (ITD) and FLT3 D835Y kinases, respectively. HM43239 directly inhibits the kinase activity of FLT3 as a reversible Type I inhibitor and effectively modulates downstream p-STAT5 and p-ERK. HM43239 also demonstrated inhibition of SYK, JAK1/2 and TAK1. HM43239 inhibits the proliferation and induces the apoptosis of leukemic cells [1] [2] [3].
Targets(IC50)	Apoptosis,FLT
In vitro	HM43239 potently inhibits the growth of acute myeloid leukemia cell lines harboring FLT3 ITD mutation like MV4-11 (IC 50 : 1.3 nM), MOLM-13 (IC 50 : 5.1 nM), and MOLM-14 (IC 50 : 2.9 nM). Besides, HM43239 inhibits KG1a cells (CD34+/CD38- cells) proliferation [1]. HM43239 induces the caspase 3/7-dependent apoptosis of leukemic stem cell (LSC) marker-expressing KG1a cells (CD34+/CD38- cells) [1]. HM43239 potently inhibits phosphorylation of SYK, STAT3, and STAT5 in KG1a cells [3].
In vivo	HM43239 exhibits the excellent dose proportional antitumor activity in mouse models xenografted with both MV4-11 and MOLM-13 cell lines without any significant toxicity [1]. HM43239 prolongs survival in FLT3 ITD/TKD double mutated xenograft mouse models [3].

Solubility Information

Solubility	DMSO: 250 mg/mL (498.93 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (4.99 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9957 mL	9.9786 mL	19.9573 mL
5 mM	0.3991 mL	1.9957 mL	3.9915 mL
10 mM	0.1996 mL	0.9979 mL	1.9957 mL
50 mM	0.0399 mL	0.1996 mL	0.3991 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Huang F, Liang J, Lin Y, et al.Repurposing of Ibrutinib and Quizartinib as potent inhibitors of necroptosis. Communications Biology.2023, 6(1): 972.
Waters S, et al. Preclinical Pharmacology of [2-(3-Fluoro-5-Methanesulfonyl-phenoxy)Ethyl](Propyl)amine (IRL790), a Novel Dopamine Transmission Modulator for the Treatment of Motor and Psychiatric Complications in Parkinson Disease. J Pharmacol Exp Ther. 2020 Jul;374(1):113-125.