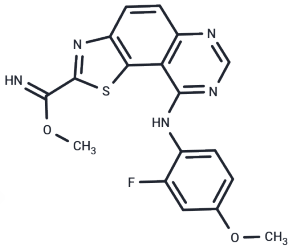
Data Sheet (Cat.No.T15204)

TargetMol

EHT 1610

Chemical Properties



CAS No. :	1425945-60-3
Formula:	C18H14FN5O2S
Molecular Weight:	383.4
Storage:	Powder: -20°C for 3 years Actual storage temperature shall be subject to the COA.

Biological Description

Description	EHT 1610 (EHT 5372) is a potent inhibitor of DYRK, with an IC50 of 0.36 nM and 0.59 nM for DYRK1A and DYRK1B, respectively. EHT 1610 has an inhibitory effect on leukemia, regulating cell cycle and inducing cell apoptosis.
Targets(IC50)	NF-κB,CDK,DYRK,GSK-3,Tyrosinase
In vitro	EHT 1610 triggers apoptosis in primary ALL cells that exhibit resistance to cytarabine treatment.[2] EHT 1610 demonstrates a dose-dependent induction of apoptosis in B- and T-cell lines as well as primary human pediatric cells.[2] Treatment with EHT 1610 for a duration of 72 hours leads to the inhibition of DYRK1A, resulting in the disruption of DYRK1A-mediated FOXO1 and STAT3 signaling pathways. This disruption ultimately leads to selective cell death in leukemic B cells.[3] Exposure to EHT 1610 at concentrations ranging from 2.5 to 10 μM for a period of 4-5 hours results in the inhibition of phosphorylation of FOXO1, STAT3, and cyclin D3. This inhibition leads to the regulation of late cell-cycle progression, mitochondrial ROS levels, and DNA damage, respectively.[3]
In vivo	In a murine model of aggressive leukemia, EHT 1610 (20 mg/kg/d; i.p.; twice a day; 3 weeks) demonstrates antileukemia activity when administered intraperitoneally.[3]

Solubility Information

Solubility	DMSO: 4.5 mg/mL (11.74 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.6082 mL	13.0412 mL	26.0824 mL
5 mM	0.5216 mL	2.6082 mL	5.2165 mL
10 mM	0.2608 mL	1.3041 mL	2.6082 mL
50 mM	0.0522 mL	0.2608 mL	0.5216 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

Chaikuad A, et al. An Unusual Binding Model of the Methyl 9-Anilinothiazolo[5,4-f] quinazoline-2-carbimidates (EHT 1610 and EHT 5372) Confers High Selectivity for Dual-Specificity Tyrosine Phosphorylation-Regulated Kinases. J Med Chem. 2016;59(22):10315-1032

Benjamin J, et al. The Chromosome 21 Kinase DYRK1A Controls Cell Cycle Exit and Survival During Lymphoid Development and Is a Novel Therapeutic Target In Acute Lymphoblastic Leukemia. Blood. 2013;21(122): 814.

Bhansali RS, et al. DYRK1A regulates B cell acute lymphoblastic leukemia through phosphorylation of FOXO1 and STATJ Clin Invest. 2021;131(1):e135937.

Foucourt A, et al. Design and synthesis of thiazolo[5,4-f]quinazolines as DYRK1A inhibitors, part II. Molecules. 2014;19(10):15411-15439.