EHT 5372

Cat. No.: HY-111379

CAS No.: 1425945-63-6

Molecular Formula: $C_{17}H_{11}Cl_2N_5OS$

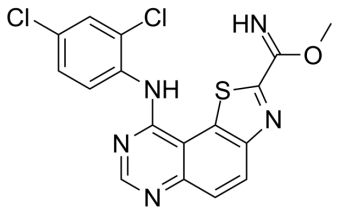
Molecular Weight: 404.27

Target: DYRK; CDK; GSK-3

Pathway: Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage; PI3K/Akt/mTOR; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.67 mg/mL (16.50 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4736 mL	12.3680 mL	24.7359 mL
5 mM	0.4947 mL	2.4736 mL	4.9472 mL
10 mM	0.2474 mL	1.2368 mL	2.4736 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

EHT 5372 is a highly potent and selective inhibitor of DYRK's family kinases with IC_{50}s of 0.22, 0.28, 10.8, 93.2, 22.8, 88.8, 59.0, 7.44, and 221 nM for DYRK1A, DYRK1B, DYRK2, DYRK3, CLK1, CLK2, CLK4, GSK-3α, and GSK-3β, respectively[1][2].

IC_{50} & Target

DYRK1A 0.22 nM (IC_{50})	DYRK1B 0.28 nM (IC_{50})	DYRK2 10.8 nM (IC_{50})	DYRK4 93.2 nM (IC_{50})
CLK1 22.8 nM (IC_{50})	CLK2 88.8 nM (IC_{50})	CLK4 59.0 nM (IC_{50})	GSK-3α 7.44 nM (IC_{50})
GSK-3β 221 nM (IC_{50})			

In Vitro

EHT 5372 (0.1-10 μM; 24 hours) dose-dependently reduces pS396-Tau levels with an IC_{50} of 1.7 μM whereas cell viability remains over 87% in all conditions[1].

EHT 5372 (0.01-1 μM) inhibits the direct phosphorylation of Tau by DYRK1A[1]

EHT 5372 reduces Aβ production in a dose-dependent reduction with an IC_{50} of 1.06 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HEK293 cells
Concentration:	0.1, 0.5, 1, 5, 10 μM
Incubation Time:	24 hours
Result:	Cell viability remained over 87% in all conditions.

Western Blot Analysis[1]

Cell Line:	HEK293 cells
Concentration:	0.01, 0.03, 0.1, 0.3 , 1 μM
Incubation Time:	
Result:	Potently and dose-dependently inhibited Tau phosphorylation at pS396.

REFERENCES

[1]. Séverine Coutadeur, et al. A novel DYRK1A (dual specificity tyrosine phosphorylation-regulated kinase 1A) inhibitor for the treatment of Alzheimer's disease: effect on Tau and amyloid pathologies in vitro. J Neurochem. 2015 May;133(3):440-51.

[2]. Apirat Chaikuad, 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 Nov 23;59(22):10315-10321.

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