Product Data Sheet

Product Name: EHT 5372
Cat. No.: GC38330

Chemical Properties

Cas. No.	1425945-60-3		
SMILES	N=C(C(S1)=NC2=C1C3=C(NC4=CC=C(OC)C=C4F)N=CN=C3C=C2)OC		
Formula	$C_{18}H_{14}FN_5O_2S$	M.Wt	383.4
Solubility	DMSO: 25 mg/mL (65.21 mM); Water: < 0.1 mg/mL (insoluble)	Storage	Store at -20°C,unstable in solution, ready to use.
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	EHT 5372		

Background

EHT 5372 is a strong inhibitor of DYRK's family kinases, with IC50s of 0.22, 0.28 nM for DYRK1A and DYRK1B, respectively.

EHT 5372 is a strong inhibitor of DYRK's family kinases, with IC50s of 0.22, 0.28 nM for DYRK1A and DYRK1B, respectively[1][2]. IC50 for DYRK2 and DYRK3 were higher (10.8 and 93.2 nM, respectively) and there was no inhibition on DYRK4. EHT 5372 displayed minimal impact on the CDC2-like kinase (CLK) family, with more than 100x selectivity over CLK1 (CLK1: IC50=22.8 nM; CLK2: IC50=88.8 nM; CLK3: IC50>10 lM; CLK4: no inhibition) and on the glycogen synthase kinase 3 (GSK3) family (GSK3α: IC50=7.44 nM; GSK3β: IC50=221 nM). EHT 5372 inhibits the direct phosphorylation of Tau by DYRK1A. EHT 5372 also normalizes both Aβ-induced Tau phosphorylation and DYRK1A-stimulated Aβ production[2].

[1]. 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 Nov

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

23;59(22):10315-10321. [2]. Coutadeur S, 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.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA