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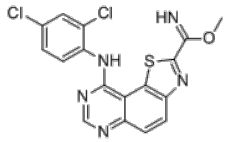
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	EHT 5372
Cat. No.	:	PC-21494
CAS No.	:	1425945-63-6
Molecular Formula	:	$C_{17}H_{11}Cl_2N_5OS$
Molecular Weight	:	404.27
Target	:	DYRK
Solubility	:	10 mM in DMSO



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Biological Activity

EHT 5372 is a highly potent and selective inhibitor of DYRKs family kinases with IC50s of 0.22, 0.28, 10.8, 93.2 nM for DYRK1A, DYRK1B, DYRK2, DYRK3, respectively.
EHT 5372 also inhibits CLK1, CLK2, CLK4, GSK-3α, and GSK-3β with IC50 of 22.8, 88.8, 59.0, 7.44, and 221 nM for CLK1, CLK2, CLK4, GSK-3α, and GSK-3β, respectively.
EHT 5372 demonstrates good selectivity over 339 tested kinases, with inhibitory activities displayed toward the CMGC group only.
EHT 5372 inhibits DYRK1A-induced Tau phosphorylation at multiple AD-relevant sites in biochemical and cellular assays.
EHT 5372 also normalizes both Aβ-induced Tau phosphorylation and DYRK1A-stimulated Aβ production.
EHT 5372 inhibits DYRK1A-induced Tau phosphorylation, Aβ production and Aβ effects on phospho-Tau, including Tau aggregation.

References

Foucourt A, et al. Molecules. 2014 Sep 26;19(10):15411-39.

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