
Product Data Sheet

Product Name: KT195
Cat. No.: GC13367

Chemical Properties

Cas. No. 1402612-58-1

Chemical Name [4-(4'-methoxy[1,1'-biphenyl]-4-yl)-1H-1,2,3-triazol-1-yl](2-phenyl-1-piperidiny)-methanone

SMILES O=C(N1N=NC(C2=CC=C(C3=CC=C(OC)C=C3)C=C2)=C1)N4C(C5=CC=CC=C5)CCCC4

Formula $C_{27}H_{26}N_4O_2$ M.Wt 438.5

Solubility $\leq 10\text{mg/ml}$ in DMSO; 5mg/ml in dimethyl formamide Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

IC50: 10 nM

KT195 is an α/β -hydrolase domain-containing protein 6 (ABHD6) inhibitor.

AMPA receptors are major postsynaptic receptors mediating fast excitatory neurotransmission and synaptic plasticity. The proper functioning of AMPA receptors is critical for brain function, and AMPA receptor dysfunction can result in multiple neurologic disorders. AMPA receptors are macromolecular complexes associated with various auxiliary proteins, including α/β -hydrolase domain-containing 6 (ABHD6).

In vitro: KT195 acted as a potent and selective inhibitor of ABHD6 with negligible activity against DAGL β . KT195 also had a comparable selectivity profile to its analogs of KT109 and KT172 against other serine hydrolases. KT195 also showed negligible activity against DAGL β while completely inactivating ABHD6. KT195 blocked ABHD6 activity with no activity against other serine hydrolases [1].

In vivo: Mice were treated with KT195, KT172 and KT109 at various doses for 4 h, sacrificed, and thioglycollate-elicited peritoneal macrophages were collected and analyzed. Results showed that both KT172 and KT109 could completely inactivate DAGL β at doses as low as 0.5 mg/kg. Whereas,

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KT195 showed no activity against DAGL β at any tested dose. Moreover, time-course studies revealed that both KT109 and KT172 produced complete inhibition of macrophage DAGL β , and this inhibition was maintained for 6 h, but however, KT195 showed no evidence of DAGL β inhibition [1].

Clinical trial: Up to now, KT195 is still in the preclinical development stage.

Reference:

[1] K. L. Hsu, K. Tsuboi, A. Adibekian, et al. DAGL β inhibition perturbs a lipid network involved in macrophage inflammatory responses. *Nature Chemical Biology*. 8(12), 999-1007 (2012).

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