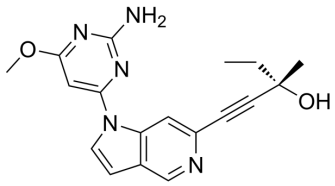
TTBK1-IN-1

Cat. No.:	HY-134968
CAS No.:	2735015-60-6
Molecular Formula:	$C_{18}H_{19}N_5O_2$
Molecular Weight:	337.38
Target:	Tau Protein
Pathway:	Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

Ethanol : 33.33 mg/mL (98.79 mM; ultrasonic and adjust pH to 3 with HCl)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9640 mL	14.8201 mL	29.6402 mL
	5 mM	0.5928 mL	2.9640 mL	5.9280 mL
	10 mM	0.2964 mL	1.4820 mL	2.9640 mL

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

BIOLOGICAL ACTIVITY

Description

TTBK1-IN-1 is a potent, selective and brain-penetrant tau tubulin kinase 1 (TTBK1) inhibitor with an IC_{50} of 2.7 nM. TTBK1-IN-1 can be used for the research of alzheimer's disease and related tauopathies[1]. TTBK1-IN-1 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

In Vitro

In primary mouse neuronal cultures at DIV14, and analysis of neurite branching is used as a surrogate of neuron health. At acute timepoints (up to 1 h following administration), TTBK1-IN-1 (0.0625-100 μM; 1 hour) has no effect on neurite length when tested up to 100 μM. At chronic effects test, TTBK1-IN-1 (0.0625-100 μM; 6-24 hours) cause a significant decrease in neurite length at the 50 and 100 μM concentrations beginning at 12–18 h following treatment[1].

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

In Vivo

TTBK1-IN-1 (intraperitoneal injection; 2.5, 7, 20, 60, and 180 mg/kg; 5 min prior to isoflurane treatment) significantly decreases in vivo tau phosphorylation at disease-relevant sites at 180 mg/kg. And there is a trend indicating a dose-responsive effect on tau phosphorylation levels at Ser 422 (20 mg/kg, 21% decrease and 60 mg/kg, 60% decrease, respectively, in tau phosphorylation at Ser 422 vs vehicle-treated control) in isoflurane-induced hypothermia mice model[1].

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

CUSTOMER VALIDATION

- SLAS Discov. 2023 Jul 1;S2472-5552(23)00049-7.

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REFERENCES

[1]. Tamara Halkina, et al. Discovery of Potent and Brain-Penetrant Tau Tubulin Kinase 1 (TTBK1) Inhibitors that Lower Tau Phosphorylation In Vivo. J Med Chem. 2021 May 13;64(9):6358-6380.

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