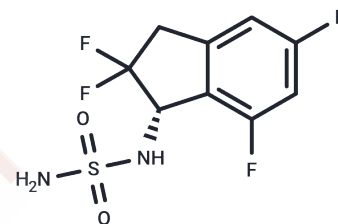


E2730

Chemical Properties

CAS No. :	1520073-91-9
Formula:	C ₉ H ₈ F ₄ N ₂ O ₂ S
Molecular Weight:	284.23
Storage:	Keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	E2730 is an orally active, selective, and non-competitive GABA transporter 1 (GAT1) inhibitor with antiepileptic activity, used in neurological disease research.
Targets(IC50)	GABA Receptor
In vitro	The 50% inhibition concentration (IC50) of E2730 was 1.1 μM for hGAT1, >1000 μM for hGAT2, >1000 μM for hGAT3, and 890 μM for hBG1-1. E2730 (0.0128-1000 μM) inhibited GAT1 in a non-competitive manner, and its inhibition was positively correlated with GABA levels in vitro. E2730 also increases extracellular GABA concentration under overactivation conditions. [1]
In vivo	E2730 (20-400mg/kg orally) showed antiepileptic effects in corneal ignition, 6 Hz-44 mA psychomotor seizures, amygdala ignition, Fragile X syndrome, and Dravet syndrome models. The 50% effective dose (ED50) of E2730 was 7.9 mg/kg in cornea-ignited mice and the 50% toxic dose (TD50) was 350 mg/kg in accelerated rotation tests. The E2730 has a protection index (i.e. the ratio of TD50 to ED50) of 44.3. [1]

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5183 mL	17.5914 mL	35.1828 mL
5 mM	0.7037 mL	3.5183 mL	7.0366 mL
10 mM	0.3518 mL	1.7591 mL	3.5183 mL
50 mM	0.0704 mL	0.3518 mL	0.7037 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Fukushima K, et al. Discovery of E2730, a novel selective uncompetitive GAT1 inhibitor, as a candidate for anti-seizure medication. *Epilepsia Open*. 2023 Sep;8(3):834-845.

Ali I, et al. E2730, an uncompetitive γ -aminobutyric acid transporter-1 inhibitor, suppresses epileptic seizures in a rat model of chronic mesial temporal lobe epilepsy. *Epilepsia*. 2023 Oct;64(10):2806-2817.

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