

ENX-101

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage:

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	ENX-101 is an orally active partial positive allosteric modulator (PAM) of the (GABAA) receptor. It selectively targets the $\alpha 2\beta 2\gamma 2L$ (EC50= 0.76 nM), $\alpha 2\beta 3\gamma 2L$ (EC50= 0.61 nM), $\alpha 3$ (EC50= 1.97 nM), and $\alpha 5$ (EC50= 0.85 nM) subunits of the GABA receptor. ENX-101 demonstrates anticonvulsant activity in various animal models.
Targets(IC50)	GABA Receptor
In vitro	ENX-101 (0.01 nM-10 μ M) effectively enhances currents generated by GABA in Chinese hamster ovary (CHO) cells with receptors containing $\alpha 2$, $\alpha 3$, or $\alpha 5$ subunits, while its potentiation effect on receptors with $\alpha 1$ subunits is minimal.
In vivo	Administering ENX-101 (30-300 mg/mL, intraperitoneally, 0.25 hours before electrical stimulation) in the hybrid adult male CF-1 mouse model (20-35 g) effectively protects most animals stimulated with 32 mA current, though fewer at 44 mA. In an epileptic rat model, ENX-101 (1-100 mg/kg, orally, 2 hours before stimulation) markedly reduces behavioral seizures in a dose-dependent manner and shortens the mean post-discharge duration in the amygdala and cortex. For male Strasbourg Wistar genetic absence epilepsy rats (GAERS), ENX-101 (0.075-100 mg/kg, orally, single dose) significantly decreases total spike-wave discharges (SWD) during the post-treatment observation period (10-130 minutes). Furthermore, a single oral dose of ENX-101 (10-100 mg/kg) in a rat model of epilepsy does not cause any motor impairments at anticonvulsant doses.

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