TPA-023B

Cat. No.: HY-19505

CAS No.: 425377-76-0

Molecular Formula: $C_{21}H_{15}F_2N_5O$

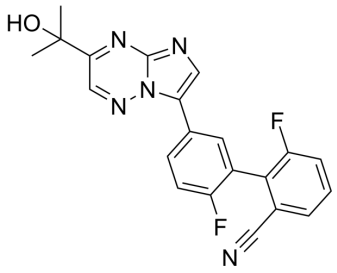
Molecular Weight: 391.37

Target: GABA Receptor

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (255.51 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5551 mL	12.7756 mL	25.5513 mL
	5 mM	0.5110 mL	2.5551 mL	5.1103 mL
	10 mM	0.2555 mL	1.2776 mL	2.5551 mL

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

BIOLOGICAL ACTIVITY

Description

TPA-023B is a high-affinity and orally active $GABA_A$ receptor α2/α3 subtype (K_is of 0.73 nM/2 nM) partial agonist and a α1 subtype (K_i of 1.8 nM) antagonist. TPA-023B has non-sedating anxiolytic-like properties[1].

In Vitro

TPA-023B also has high affinity for α5 subtype (K_i of 1.1 nM) of human recombinant $GABA_A$ receptor, but over 1500-fold lower for the α4- and α6 containing subtypes (K_i > 1000 nM). TPA-023B also has a comparable affinity for native rat $GABA_A$ receptors in different regions of the CNS (K_i of 0.32-0.99 nM in cerebellum, spinal cord and frontal cortex)[1].

TPA-023B antagonizes the ability of chlordiazepoxide to potentiate the GABA EC_{20}-induced current in cells expressing the α1 subtype. More specifically, 3 μM chlordiazepoxide potentiates the GABA EC_{20} current by 105% and this effect could be reduced to 8% in the presence of 100 nM TPA-023B[1].

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

In Vivo

TPA-023B gives dose- and time-dependent occupancy of rat brain $GABA_A$ receptors as measured using an in vivo [^{3}H]flumazenil binding assay, with 50% occupancy corresponding to a respective dose and plasma drug concentration of 0.09 mg/kg and 19 ng/mL[1].

TPA-023B is anxiolytic in rodent and primate (squirrel monkey) models of anxiety (elevated plus maze, fear-potentiated

startle, conditioned suppression of drinking, conditioned emotional response) yet has no significant effects in rodent or primate assays of ataxia and/or myorelaxation (rotarod, chain-pulling, lever pressing), up to doses (10 mg/kg) corresponding to occupancy of greater than 99%[1].

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

REFERENCES

[1]. Atack JR, et al. Preclinical and clinical pharmacology of TPA023B, a GABAA receptor α2/α3 subtype-selective partial agonist. J Psychopharmacol. 2011 Mar;25(3):329-44.

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