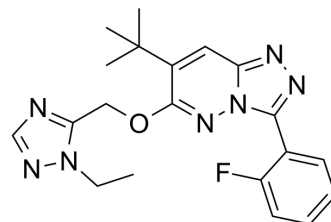


## TPA 023

<b>Cat. No.:</b>	HY-101640		
<b>CAS No.:</b>	252977-51-8		
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>22</sub> FN <sub>7</sub> O		
<b>Molecular Weight:</b>	395.43		
<b>Target:</b>	GABA Receptor		
<b>Pathway:</b>	Membrane Transporter/Ion Channel; Neuronal Signaling		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



## BIOLOGICAL ACTIVITY

<b>Description</b>	TPA 023 is a GABAA $\alpha$ 2/ $\alpha$ 3 subtype-selective agonist, with K <sub>i</sub> of 0.19-0.41 nM.
<b>IC<sub>50</sub> &amp; Target</b>	Ki: 0.19-0.41 nM (GABAA) <sup>[1]</sup>
<b>In Vivo</b>	<p>TPA023 displays good receptor occupancy, when administered orally to rats. The dose of TPA023 resulting in 50% occupancy of rat brain GABAA receptors is 0.42 mg/kg, with the corresponding plasma concentration being 25 ng/mL. TPA023 is also efficacious in the mouse pentylenetetrazole-induced seizure model, providing full seizure protection at a dose of 10 mg/kg i.p. (84% occupancy), with the ED<sub>50</sub> of 0.19-0.41 nM, for protection against tonic convulsions (1.4 mg/kg i.p.) corresponding to around 50% occupancy. TPA023 (3 mg/kg p.o. in 0.5% methyl cellulose) shows anxiolytic-like effect on rats<sup>[1]</sup>. TPA023 (0.7, 2.0, and 5 mg/kg, p.o.) blocks ketamine's cognitive-impairing ability but does not influence the behavioral symptoms of rhesus monkeys<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

## REFERENCES

- [1]. Atack JR. Subtype-selective GABA(A) receptor modulation yields a novel pharmacological profile: the design and development of TPA023. *Adv Pharmacol.* 2009;57:137-85
- [2]. Castner SA, et al. Reversal of ketamine-induced working memory impairments by the GABAA $\alpha$ 2/3 agonist TPA023. *Biol Psychiatry.* 2010 May 15;67(10):998-1001.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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