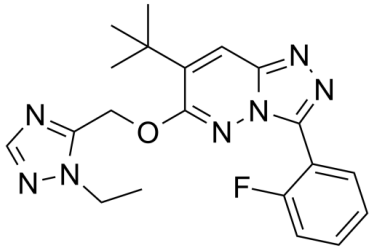
Data Sheet

Product Name:	TPA 023
Cat. No.:	CS-6795
CAS No.:	252977-51-8
Molecular Formula:	C20H22FN7O
Molecular Weight:	395.43
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

TPA 023 is a **GABAA α2/α3** subtype-selective agonist, with **K_i** of 0.19-0.41 nM. IC50 & Target: Ki: 0.19-0.41 nM (GABAA)[1] **In Vivo:** 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_{50} 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[1]. 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[2].

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 GABAAalpha2/3 agonist TPA023. Biol Psychiatry. 2010 May 15;67(10):998-1001.

CAIndexNames:

1,2,4-Triazolo[4,3-b]pyridazine, 7-(1,1-dimethylethyl)-6-[(1-ethyl-1H-1,2,4-triazol-5-yl)methoxy]-3-(2-fluorophenyl)-

SMILES:

FC1=CC=CC=C1C2=NN=C3C=C(C(C)(C)C)C(OCC4=NC=NN4CC)=NN32

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

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