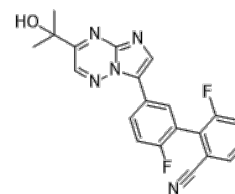


Product Name : TPA023B
Cat. No. : PC-25648
CAS No. : 425377-76-0
Molecular Formula : C₂₁H₁₅F₂N₅O
Molecular Weight : 391.38
Target : GABA Receptor
Solubility : 10 mM in DMSO



CAS: 425377-76-0

Biological Activity

TPA023B (TPA-023B) is selective partial agonist / positive allosteric modulator of **GABAA receptor $\alpha 2/\alpha 3$ subtype** with K_i of 0.73 nM/2 nM respectively, also is an $\alpha 1$ subtype antagonist with K_i of 1.8 nM.

TPA023B has partial agonistic activity at the benzodiazepine binding site of $\alpha 2\beta 3\gamma 2$ and $\alpha 3\beta 3\gamma 2$ GABAARs, but does not potentiate $\alpha 1\beta 2\gamma 2$ GABAARs and had very weak potentiating effects on $\alpha 5\beta 2\gamma 2$ GABAARs.

TPA023B (1 mg kg⁻¹, p.o.) exerts strong antipruritic actions in wild-type mice.

TPA023B reduces acute itch mainly through spinal $\alpha 2$ GABAARs.

TPA023B shows antipruritic actions in a dog model of allergic itch.

References

Atack JR, et al. *J Psychopharmacol*. 2011 Mar;25(3):329-44.

Ralvenius WT, et al. *Nat Commun*. 2018 Aug 13;9(1):3230.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com