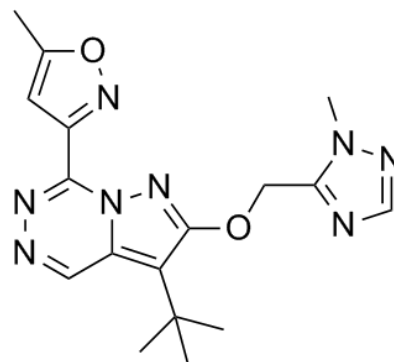


Product Name : MRK-016
Cat. No. : PC-42117
CAS No. : 342652-67-9
Molecular Formula : C₁₇H₂₀N₈O₂
Molecular Weight : 368.3931
Target : GABA Receptor
Solubility : 10 mM in DMSO



Biological Activity

A potent, functionally selective, CNS-penetrating and orally bioavailable inverse agonist of benzodiazepine site of GABAA α 5 receptor with K_i of 0.8-1.5 nM for α 1-, α 2-, α 3-, and α 5-containing GABAA receptors; has inverse agonist efficacy selective for the α 5 subtype, increases long-term potentiation in mouse hippocampal slices; enhances performance in animal models of cognition, does not exhibit the convulsant, proconvulsant, or anxiogenic activity associated with nonselective GABAA inverse agonists.

References

- Chambers MS, et al. J Med Chem. 2004 Nov 18;47(24):5829-32.
Jones P, et al. Bioorg Med Chem Lett. 2006 Feb 15;16(4):872-5.
Atack JR, et al. J Pharmacol Exp Ther. 2009 Nov;331(2):470-84.

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

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