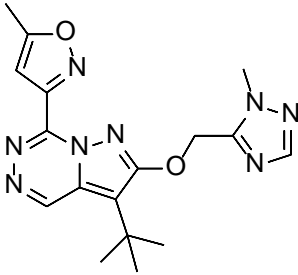


Product data sheet



MedKoo Cat#: 526625 Name: MRK-016 CAS: 783331-24-8 Chemical Formula: C ₁₇ H ₂₀ N ₈ O ₂ Exact Mass: 368.1709 Molecular Weight: 368.401		
Product supplied as:		Powder
Purity (by HPLC):		≥ 98%
Shipping conditions		Ambient temperature
Storage conditions:		Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

MRK-016 is a selective $\alpha 5$ subunit-containing GABAA negative allosteric modulator that has nootropic properties. It has been found to produce rapid, ketamine-like antidepressant effects in animal models of depression.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
TBD	TBD	TBD

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.71 mL	13.57 mL	27.14 mL
5 mM	0.54 mL	2.71 mL	5.43 mL
10 mM	0.27 mL	1.36 mL	2.71 mL
50 mM	0.05 mL	0.27 mL	0.54 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Chen X, Keramidis A, Lynch JW. Physiological and pharmacological properties of inhibitory postsynaptic currents mediated by $\alpha 5\beta 1\gamma 2$, $\alpha 5\beta 2\gamma 2$ and $\alpha 5\beta 3\gamma 2$ GABAA receptors. *Neuropharmacology*. 2017 Oct;125:243-253. doi: 10.1016/j.neuropharm.2017.07.027. Epub 2017 Jul 27. PMID: 28757051.

In vivo study

1. Troppoli TA, Zanos P, Georgiou P, Gould TD, Rudolph U, Thompson SM. Negative Allosteric Modulation of Gamma-Aminobutyric Acid A Receptors at $\alpha 5$ Subunit-Containing Benzodiazepine Sites Reverses Stress-Induced Anhedonia and Weakened Synaptic Function in Mice. *Biol Psychiatry*. 2022 Aug 1;92(3):216-226. doi: 10.1016/j.biopsych.2021.11.024. Epub 2021 Dec 15. PMID: 35120711; PMCID: PMC9198111.

2. Paine TA, Chang S, Poyle R. Contribution of GABAA receptor subunits to attention and social behavior. *Behav Brain Res*. 2020 Jan 27;378:112261. doi: 10.1016/j.bbr.2019.112261. Epub 2019 Sep 24. PMID: 31560920; PMCID: PMC6948928.

7. Bioactivity

Biological target:

MRK-016 is a selective $\alpha 5$ subunit-containing GABAA negative allosteric modulator that has nootropic properties.

Product data sheet



In vitro activity

This study quantified the effects of four $\alpha 5$ -specific inverse agonists (TB-21007, MRK-016, $\alpha 51A$ and L-655708) on IPSCs (inhibitory postsynaptic currents) mediated by the three isoforms. All compounds selectively inhibited IPSC amplitudes and accelerated IPSC decay rates, albeit with distinct isoform specificities. MRK-016 also significantly accelerated IPSC rise times.

Reference: Neuropharmacology. 2017 Oct;125:243-253. <https://pubmed.ncbi.nlm.nih.gov/28757051/>

In vivo activity

A peripheral injection of the GABA-NAM MRK-016 restored hedonic behavior and AMPA-to-NMDA ratios in wild-type mice. MRK-016 administration increased gamma power over the prefrontal cortex in wild-type mice but not $\alpha 5$ knockout mice, whereas ketamine promoted gamma power in both genotypes. Hedonic behavior and AMPA-to-NMDA ratios were only restored by MRK-016 in stressed wild-type mice but not $\alpha 5$ knockout mice.

Reference: Biol Psychiatry. 2022 Aug 1;92(3):216-226. <https://pubmed.ncbi.nlm.nih.gov/35120711/>

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.