

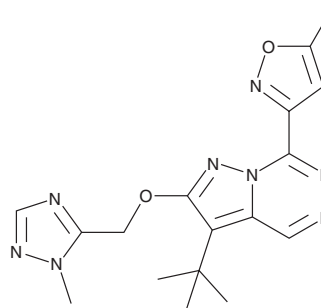
PRODUCT INFORMATION



MRK-016

Item No. 36656

CAS Registry No.: 342652-67-9
Formal Name: 3-(1,1-dimethylethyl)-7-(5-methyl-3-isoxazolyl)-2-[(1-methyl-1H-1,2,4-triazol-5-yl)methoxy]-pyrazolo[1,5-d][1,2,4]triazine
MF: C₁₇H₂₀N₈O₂
FW: 368.4
Purity: ≥98%
UV/Vis.: λ_{max}: 246 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MRK-016 is supplied as a solid. A stock solution may be made by dissolving the MRK-016 in the solvent of choice, which should be purged with an inert gas. MRK-016 is soluble in the organic solvent dimethyl formamide at a concentration of approximately 2 mg/ml. MRK-016 is slightly soluble in ethanol and DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of MRK-016 can be prepared by directly dissolving the solid in aqueous buffers. The solubility of MRK-016 in PBS (pH 7.2) is approximately 0.2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

MRK-016 is a functionally selective inverse agonist of α_5 subunit-containing GABA_A receptors.¹ It selectively binds to α_1 -, α_2 -, α_3 -, and α_5 subunit-containing GABA_A receptors (K_{iS} = 0.83, 0.85, 0.77, and 1.4 nM, respectively) over those containing α_4 or α_6 subunits (K_{iS} = 395 and >4,000 nM, respectively). It also selectively inhibits GABA-induced currents in mouse fibroblast L-M(TK-) cells expressing α_5 subunit-containing GABA_A receptors over those containing α_1 , α_2 , or α_3 subunits. MRK-016 (3 mg/kg) reverses LPS-induced decreases in *Bdnf* expression, but not LPS-induced increases in amyloid- β levels, in the mouse hippocampus.² It reverses LPS-induced inhibition of contextual fear acquisition in the same study, indicating a reversal of memory consolidation deficits.² It enhances the performance of wild-type rats in a delayed matching-to-place water maze when administered at doses of 0.3, 1, and 3 mg/kg, indicating nootropic properties.¹ MRK-016 also reduces immobility in the forced swim test in mice, an effect that can be blocked by the AMPA glutamate receptor antagonist NBQX (Item No. 14914).³ It does not potentiate proconvulsant activity induced by pentylenetetrazole (Item No. 18682) in mice when administered at doses up to 10 mg/kg.¹

References

1. Chambers, M.S., Atack, J.R., Carling, R.W., et al. *J. Med. Chem.* **47**(24), 5829-5832 (2004).
2. Eimerbrink, M.J., Pendry, R.J., Hodges, S.L., et al. *Behav. Brain Res.* **359**, 871-877 (2019).
3. Zanos, P., Nelson, M.E., Highland, J.N., et al. *eNeuro* **4**(1), e0285-16.2017 (2017).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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