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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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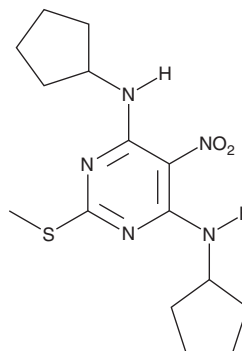
PRODUCT INFORMATION



GS-39783

Item No. 29482

CAS Registry No.: 39069-52-8
Formal Name: N⁴,N⁶-dicyclopentyl-2-(methylthio)-5-nitro-4,6-pyrimidinediamine
MF: C₁₅H₂₃N₅O₂S
FW: 337.4
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 358 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

GS-39783 is supplied as a crystalline solid. A stock solution may be made by dissolving the GS-39783 in the solvent of choice, which should be purged with an inert gas. GS-39783 is soluble in organic solvents such as ethanol and DMSO.

Description

GS-39783 is a positive allosteric modulator of GABA_B receptors that potentiates GABA-stimulated [³⁵S]GTPγS binding to recombinant human GABA_B receptors and rat cortical membranes (EC₅₀s = 2.1 and 3.1 μM, respectively).¹ It amplifies GABA_B receptor-mediated transient calcium signaling in HEK293 cells expressing human receptors (EC₅₀ = 2.4 μM). GS-39783 (25-100 mg/kg) suppresses alcohol self-administration, but has no effect on lever-responding for food, in Indiana alcohol-preferring, Sardinian alcohol-preferring, and Alko Alcohol rats.² It reduces amphetamine- or MK-801-induced hyperactivity in rats and the number of DOI-induced head twitches in mice, indicating antipsychotic-like activity.³ GS-39783 also reduces the incidence of sound-induced tonic convulsions in juvenile mice (ED₅₀ = 55.38 mg/kg).⁴

References

1. Urwyler, S., Pozza, M.F., Lingenhoehl, K., *et al.* N,N'-Dicyclopentyl-2-methylsulfanyl-5-nitro-pyrimidine-4,6-diamine (GS39783) and structurally related compounds: novel allosteric enhancers of γ-aminobutyric acid_B receptor function. *J. Pharmacol. Exp. Ther.* **307**(1), 322-330 (2003).
2. Maccioni, P., Zaru, A., Loi, B., *et al.* Comparison of the effect of the GABA_B receptor agonist, baclofen, and the positive allosteric modulator of the GABA_B receptor, GS39783, on alcohol self-administration in 3 different lines of alcohol-preferring rats. *Alcohol Clin. Exp. Res.* **36**(10), 1748-1766 (2012).
3. Wierońska, J.M., Kusek, M., Tokarski, K., *et al.* The GABA_B receptor agonist CGP44532 and the positive modulator GS39783 reverse some behavioural changes related to positive syndromes of psychosis in mice. *Br. J. Pharmacol.* **163**(5), 1034-1047 (2011).
4. Brown, J.W., Moeller, A., Schmidt, M., *et al.* Anticonvulsant effects of structurally diverse GABA_B positive allosteric modulators in the DBA/2J audiogenic seizure test: Comparison to baclofen and utility as a pharmacodynamic screening model. *Neuropharmacology* **101**, 358-369 (2016).

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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