

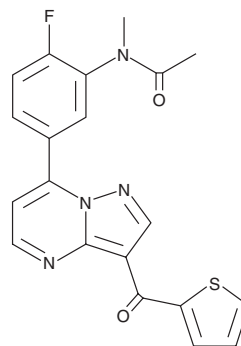
PRODUCT INFORMATION



Lore diplon

Item No. 23868

CAS Registry No.: 917393-39-6
Formal Name: N-[2-fluoro-5-[3-(2-thienylcarbonyl)pyrazolo[1,5-a]pyrimidin-7-yl]phenyl]-N-methyl-acetamide
MF: C₂₀H₁₅FN₄O₂S
FW: 394.4
Purity: ≥98%
UV/Vis.: λ_{max}: 230, 312, 343 nm
Supplied as: A crystalline 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

Lore diplon is supplied as a crystalline solid. A stock solution may be made by dissolving the lore diplon in the solvent of choice, which should be purged with an inert gas. Lore diplon is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of lore diplon in these solvents is approximately 14 and 25 mg/ml, respectively.

Lore diplon is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lore diplon should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Lore diplon has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Lore diplon is a ligand for α₁ subunit-containing GABA_A receptors.¹ *In vivo*, lore diplon inhibits spontaneous motor activity and increases duration of sleep in mice (ED₅₀s = 0.13 and 1.2 mg/kg, respectively). It selectively inhibits spontaneous motor activity, which is driven by α₁ subunit-containing GABA_A receptors, over modification of muscular tone in mice, an α₂ subunit-containing GABA_A receptor-stimulated activity.² Lore diplon (0.13 and 1.2 mg/kg) also decreases latency to slow wave sleep (SWS) and paradoxical sleep (PS) in mice.³ Formulations containing lore diplon have been used in the treatment of insomnia.

References

1. Stienen, P., Pérez, C., Alcántara, A.M., *et al.* *In vivo* pharmacological profile of GF-015535-00, a novel nonbenzodiazepine sedative-hypnotic. *2009 Neurosci. Mtg. Planner* (2009).
2. Stienen, P., Pérez, C., Alcántara, A., *et al.* CNS pharmacology of a novel non-benzodiazepine hypnotic, GF-015535-00, for the treatment of insomnia. *2010 Neurosci. Mtg. Planner* (2010)
3. Anacleit, C., Zhang, M., Zhao, C., *et al.* Effects of GF-015535-00, a novel α₁ GABA_A receptor ligand, on the sleep-wake cycle in mice, with reference to zolpidem. *Sleep* **35**(1), 103-111 (2012).

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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