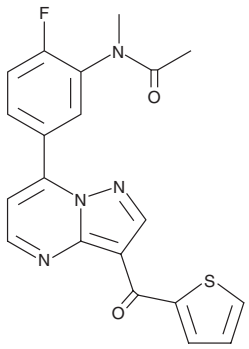
PRODUCT INFORMATION

Lorediplon

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:	$C_{20}H_{15}FN_4O_2S$
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

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

Lorediplon is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lorediplon should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Lorediplon 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

Lorediplon is a ligand for α_1 subunit-containing $GABA_A$ receptors.[1] *In vivo*, lorediplon inhibits spontaneous motor activity and increases duration of sleep in mice (ED_{50}s = 0.13 and 1.2 mg/kg, respectively). It selectively inhibits spontaneous motor activity, which is driven by α_1 subunit-containing $GABA_A$ receptors, over modification of muscular tone in mice, an α_2 subunit-containing $GABA_A$ receptor-stimulated activity.[2] Lorediplon (0.13 and 1.2 mg/kg) also decreases latency to slow wave sleep (SWS) and paradoxical sleep (PS) in mice.[3] Formulations containing lorediplon 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. Anaclet, 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).

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