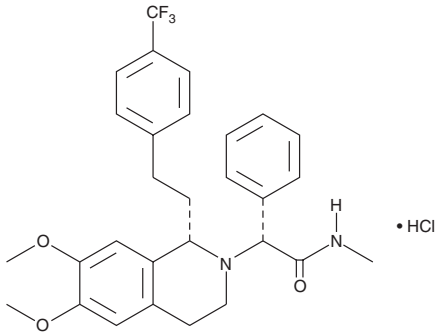
PRODUCT INFORMATION

Almorexant (hydrochloride)

Item No. 13638

CAS Registry No.:	913358-93-7
Formal Name:	(αR,1S)-3,4-dihydro-6,7-dimethoxy-N-methyl-α-phenyl-1-[2-[4-(trifluoromethyl) phenyl]ethyl]-2(1H)-isoquinolineacetamide, monohydrochloride
MF:	$C_{29}H_{31}F_3N_2O_3$ • HCl
FW:	549.0
Purity:	≥98%
UV/Vis.:	λ_{max}: 285 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

Almorexant (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the almorexant (hydrochloride) in the solvent of choice. Almorexant (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of almorexant (hydrochloride) in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Almorexant (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, almorexant (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Almorexant (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Almorexant is a dual antagonist of orexin 1 receptor (OX1R) and OX2R (K_is = 4.7 and 0.9 nM, respectively, in a radioligand binding assay).[1] It decreases the latency to persistent non-rapid eye movement (NREM) sleep and increases the duration of NREM and REM sleep in male rats when administered at a dose of 100 mg/kg.[2] Almorexant (300 mg/kg) does not impair spatial learning and memory in the Morris water maze and does not reverse spatial memory impairments induced by scopolamine in rats.[3]

References

1. Malherbe, P., Borroni, E., Pinard, E., *et al.* Biochemical and electrophysiological characterization of almorexant, a dual orexin 1 receptor (OX_1)/orexin 2 receptor (OX_2) antagonist: Comparison with selective OX_1 and OX_2 antagonists. *Mol. Pharmacol.* **76(3)**, 618-631 (2015).
2. Brisbare-Roch, C., Dingemanse, J., Koberstein, R., *et al.* Promotion of sleep by targeting the orexin system in rats, dogs and humans. *Nat. Med.* **13(2)**, 150-155 (2007).
3. Dietrich, H. and Jenck, F. Intact learning and memory in rats following treatment with the dual orexin receptor antagonist almorexant. *Psychopharmacology (Berl.)* **212(2)**, 145-154 (2010).

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.

WARRANTY AND LIMITATION OF REMEDY
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