

PRODUCT INFORMATION



L-371,257

Item No. 42436

CAS Registry No.: 162042-44-6
Formal Name: 1-[1-[4-[(1-acetyl-4-piperidinyl)oxy]-2-methoxybenzoyl]-4-piperidinyl]-1,4-dihydro-2H-3,1-benzoxazin-2-one

MF: C₂₈H₃₃N₃O₆

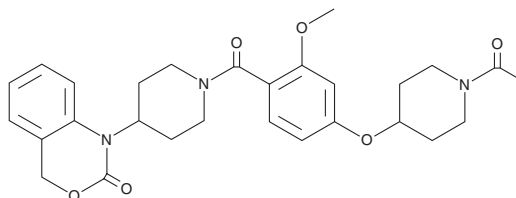
FW: 507.6

Purity: ≥95%

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

L-371,257 is supplied as a solid. A stock solution may be made by dissolving the L-371,257 in the solvent of choice, which should be purged with an inert gas. L-371,257 is slightly soluble (0.1-1 mg/ml) in acetonitrile.

Description

L-371,257 is a nonpeptide oxytocin receptor antagonist ($K_i = 19$ and 4.6 nM for the rat uterine and human uterine receptors, respectively).¹ It is selective for oxytocin receptors over human arginine vasopressin (AVP) receptors V_{1A} and $-V_2$ (K_i s = $3,200$ and $>10,000$ nM for the human platelet and kidney receptors, respectively) and rat AVP receptor V_2 ($K_i = >10,000$ nM for the rat kidney receptor) but also inhibits rat AVP receptor V_{1A} ($K_i = 3.7$ nM for the rat liver receptor). L-371,257 inhibits spontaneous contractions in isolated human myometrial strips ($IC_{50} = 71$ pM).² It inhibits oxytocin-induced uterine contractions in rats ($ED_{50} = 0.55$ mg/kg).¹

References

1. Williams, P.D., Clineschmidt, B.V., Erb, J.M., *et al.* 1-(1-[4-[(N-acetyl-4-piperidinyl)oxy]-2-methoxybenzoyl]piperidin-4-yl)-4H-3,1-benzoxazin-2(1H)-one (L-371,257): A new, orally bioavailable, non-peptide oxytocin antagonist. *J. Med. Chem.* **38(23)**, 4634-4636 (1998).
2. Wilson, R.J., Allen, M.J., Nandi, M., *et al.* Spontaneous contractions of myometrium from humans, non-human primate and rodents are sensitive to selective oxytocin receptor antagonism *in vitro*. *BJOG* **108(9)**, 960-966 (2001).

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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