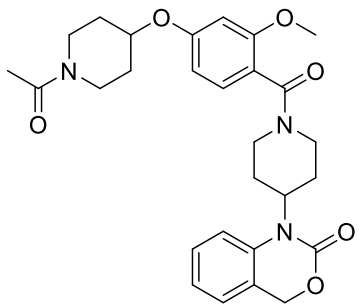
Product data sheet

MedKoo Cat#: 532059 Name: L-371,257 CAS: 162042-44-6 Chemical Formula: $C_{28}H_{33}N_3O_6$ Exact Mass: 507.2369 Molecular Weight: 507.587	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
L-371,257 is a potent, high affinity human oxytocin (OT) receptor antagonist (Ki = 4.6 nM) that displays > 800-fold selectivity over human arginine vasopressin receptors V1a and V2. L-371,257 antagonizes oxytocin-induced contractions in isolated rat uterine tissue (pA2 = 8.44) and in anesthetised rats following intravenous and intraduodenal administration.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	5.44	10.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.97 mL	9.85 mL	19.70 mL
5 mM	0.39 mL	1.97 mL	3.94 mL
10 mM	0.20 mL	0.99 mL	1.97 mL
50 mM	0.04 mL	0.20 mL	0.39 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Alanazi MM, Havranek T, Bakos J, Cubeddu LX, Castejon AM. Cell proliferation and anti-oxidant effects of oxytocin and oxytocin receptors: role of extracellular signal-regulating kinase in astrocyte-like cells. Endocr Regul. 2020 Jul 1;54(3):172-182. doi: 10.2478/enr-2020-0020. PMID: 32857718.

2. Sun LH, Tzeng WY, Liao YH, Deng WT, Cherng CG, Yu L. Relevance of number and physiological status of conspecifics in preventing stress-induced decreases in newly proliferated cells and neuroblasts. Psychopharmacology (Berl). 2019 Nov;236(11):3329-3339. doi: 10.1007/s00213-019-05290-4. Epub 2019 Jun 14. PMID: 31201477.

In vivo study

1. Sinclair MS, Perea-Martinez I, Dvoryanchikov G, Yoshida M, Nishimori K, Roper SD, Chaudhari N. Oxytocin signaling in mouse taste buds. PLoS One. 2010 Aug 5;5(8):e11980. doi: 10.1371/journal.pone.0011980. PMID: 20700536; PMCID: PMC2916830.

2. Ring RH, Malberg JE, Potestio L, Ping J, Boikess S, Luo B, Schechter LE, Rizzo S, Rahman Z, Rosenzweig-Lipson S. Anxiolytic-like activity of oxytocin in male mice: behavioral and autonomic evidence, therapeutic implications. Psychopharmacology (Berl). 2006 Apr;185(2):218-25. doi: 10.1007/s00213-005-0293-z. Epub 2006 Jan 18. PMID: 16418825.

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7. Bioactivity

Biological target:

L-371,257 is an orally bioavailable, non-blood-brain barrier penetrant, selective and competitive antagonist of Oxytocin Receptor (pA2=8.4) with high affinity at both the oxytocin receptor (K_i=19 nM) and vasopressin V1a receptor (K_i=3.7 nM).

In vitro activity

Slower growth rates and lower levels of phosphorylated ERK1/2 were observed in OXTR-knockdown cells and in U-87MG cells treated with an OXTR antagonist (L-371,257).

Reference: Endocr Regul. 2020 Jul 1;54(3):172-182. https://pubmed.ncbi.nlm.nih.gov/32857718/

In vivo activity

By immunostaining tissues from OXTR-YFP knock-in mice, this study found that OXTR is expressed in a subset of Glial-like (Type I) taste cells, and also in cells on the periphery of taste buds. Using Ca^{2+} imaging, this study observed that physiologically appropriate concentrations of OXT (oxytocin) evoked $[Ca^{2+}]i$ mobilization in a subset of taste cells (EC50 approximately 33 nM). OXT-evoked responses were significantly inhibited by the OXTR antagonist, L-371,257.

Reference: PLoS One. 2010 Aug 5;5(8):e11980. https://pubmed.ncbi.nlm.nih.gov/20700536/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.