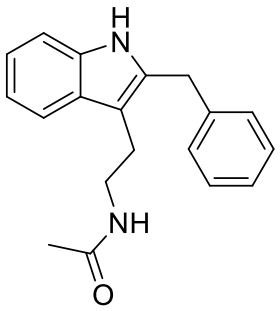
Product data sheet

MedKoo Cat#: 329876 Name: Luzindole CAS: 117946-91-5 (free base) Chemical Formula: $C_{19}H_{20}N_2O$ Exact Mass: 292.1576 Molecular Weight: 292.382	
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:
Luzindole, also known as N-0774, and N-acetyl-2-benzyltryptamine, is a melatonin receptor antagonist that preferentially targets MT1B over MT1A (Ki values are = 10-27 and 158-513 nM, respectively). Luzindole induces the activation of cellular stress responses and decreases viability of rat pancreatic stellate cells. Luzindole inhibits the transient outward K+ current in rat cerebellar granule cells. Luzindole suppresses experimental autoimmune encephalomyelitis.

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
DMF	30.0	102.61
DMSO	51.81	177.20
Ethanol	39.08	133.66
Ethanol:PBS (pH 7.2) (1:1)	0.5	1.71

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	3.42 mL	17.10 mL	34.20 mL
5 mM	0.68 mL	3.42 mL	6.84 mL
10 mM	0.34 mL	1.71 mL	3.42 mL
50 mM	0.07 mL	0.34 mL	0.68 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. Estaras M, Marchena AM, Fernandez-Bermejo M, Mateos JM, Vara D, Roncero V, Salido GM, Gonzalez A. The melatonin receptor antagonist luzindole induces the activation of cellular stress responses and decreases viability of rat pancreatic stellate cells. J Appl Toxicol. 2020 Nov;40(11):1554-1565. doi: 10.1002/jat.4018. Epub 2020 Jun 22. PMID: 32567733.
2. Winczyk K, Fuss-Chmielewska J, Lawnicka H, Pawlikowski M, Karasek M. Luzindole but not 4-phenyl-2- propionamidotetralin (4P-PDOT) diminishes the inhibitory effect of melatonin on murine Colon 38 cancer growth in vitro. Neuro Endocrinol Lett. 2009;30(5):657-62. PMID: 20035258.

In vivo study

1. Matos RS, Oriá RB, Bruin PFC, Pinto DV, Viana AFSC, Santos FA, Duarte ASG, Bruin VMS. Acute blockade of endogenous melatonin by Luzindole, with or without peripheral LPS injection, induces jejunal inflammation and morphological alterations in

Swiss mice. Braz J Med Biol Res. 2021 Aug 20;54(11):e11215. doi: 10.1590/1414-431X2021e11215. PMID: 34431873; PMCID: PMC8389610.
2. Luo Y, Yang Y, Shen Y, Li L, Huang J, Tang L, Zhang L. Luzindole attenuates LPS/d-galactosamine-induced acute hepatitis in mice. Innate Immun. 2020 May;26(4):319-327. doi: 10.1177/1753425919890912. Epub 2019 Nov 28. PMID: 31779498; PMCID: PMC7251793.

7. Bioactivity

Biological target:

Luzindole (N-0774) is a selective melatonin receptor antagonist. Luzindole preferentially targets MT2 (Mel1b) over MT1 (Mel1a) with K_i values of 10.2 and 158 nM for human MT2 and MT1, respectively.

In vitro activity

This study examined the effects of luzindole, a melatonin receptor-antagonist, on cultured pancreatic stellate cells. Luzindole induced a concentration-dependent increase in ROS generation, both in the cytosol and in the mitochondria. In the presence of luzindole the phosphorylation of p44/42 and p38 MAPKs was increased, whereas no changes in the phosphorylation of JNK could be noted. Moreover, the detection of the endoplasmic reticulum stress-sensor BiP was increased in the presence of luzindole. Finally, viability was decreased in cells treated with luzindole.

Reference: J Appl Toxicol. 2020 Nov;40(11):1554-1565. https://pubmed.ncbi.nlm.nih.gov/32567733/

In vivo activity

Mice treated with Luzindole, LPS, and Luzindole+LPS showed villus height shortening. The Luzindole group showed an increase in NP-SHs, an effect related to compensatory GSH activity. The acute blockade of endogenous MLT with Luzindole induced early changes in inflammatory markers with altered intestinal morphology.

Reference: Braz J Med Biol Res. 2021 Aug 20;54(11):e11215. https://pubmed.ncbi.nlm.nih.gov/34431873/