PRODUCT INFORMATION



L-006,235

Item No. 28843

CAS Registry No.: 294623-49-7

Formal Name: N-[1-[[(cyanomethyl)amino]carbonyl]

cyclohexyl]-4-[2-(4-methyl-1-

piperazinyl)-4-thiazolyl]-benzamide

MF: $C_{24}H_{30}N_6O_2S$

FW: 466.6 **Purity:** ≥98%

 λ_{max} : 257, 313 nm UV/Vis.:

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-006,235 is supplied as a solid. A stock solution may be made by dissolving the L-006,235 in the solvent of choice, which should be purged with an inert gas. L-006,235 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of L-006,235 in these solvents is approximately 15 mg/ml.

L-006,235 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, L-006,235 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. L-006,235 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

L-006,235 is an orally bioavailable reversible inhibitor of cathepsin K ($K_{i(app)}$ = 0.2 nM).¹ It is selective for cathepsin K over cathepsins B, -L, and -S ($K_{i(app)}$ s = 1, 6, and 47 μ M, respectively). In an *in vitro* bone resorption assay, L-006,235 inhibits degradation of bovine bone by isolated rabbit osteoclasts ($IC_{50} = 5$ nM). L-006,235 (10 mg/kg for 27 days) reduces the loss of lumbar vertebral bone mineral density by 13.3% in ovariectomized rabbits compared to control animals. 2 It also increases weight bearing, indicating analgesia, in a rat model of osteoarthritis pain when administered at a dose of 100 mg/kg.³

References

- 1. Palmer, J.T., Bryant, C., Wang, D.-X., et al. Design and synthesis of tri-ring P₃ benzamide-containing aminonitriles as potent, selective, orally effective inhibitors of cathepsin K. J. Med. Chem. 48(24), 7520-7534 (2005).
- 2. Pennypacker, B.L., Duong, L.T., Cusick, T.E., et al. Cathepsin K inhibitors prevent bone loss in estrogendeficient rabbits. J. Bone Miner. Res. 26(2), 252-262 (2011).
- Nwosu, L.N., Growler, P.R.W., Burston, J.J., et al. Analgesic effects of the cathepsin K inhibitor L-006235 in the monosodium iodoacetate model of osteoarthritis pain. Pain Rep. 3(6), e685 (2018).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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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