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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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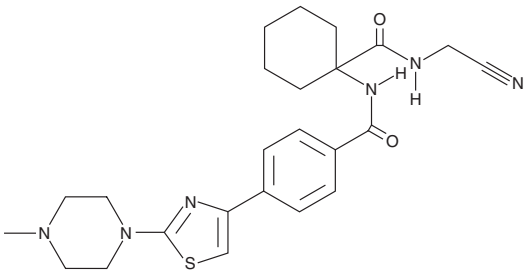
PRODUCT INFORMATION

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%
UV/Vis.:	λ_{max}: 257, 313 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 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 µM, 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 P_3 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 estrogen-deficient rabbits. *J. Bone Miner. Res.* **26(2),** 252-262 (2011).
3. 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).

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