

Product Data Sheet

Product Name: L 006235

Cat. No.: GC17989

Chemical Properties

Cas. No. 294623-49-7

Chemical Name N-[1-(cyanomethylcarbamoyl)cyclohexyl]-4-[2-(4-methylpiperazin-1-yl)-1,3-thiazol-4-yl]benzamide

SMILES CN1CCN(CC1)C2=NC(=CS2)C3=CC=C(C=C3)C(=O)NC4(CCCCC4)C(=O)NCC#N

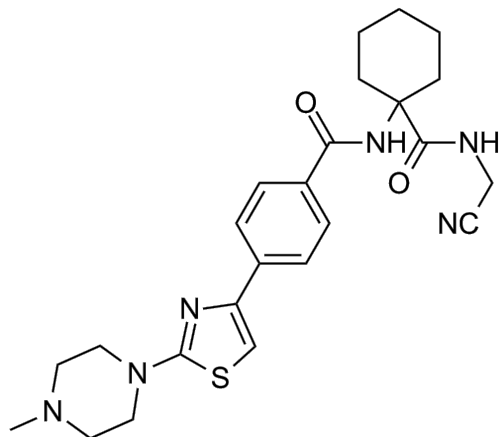
Formula $C_{24}H_{30}N_6O_2S$ M.Wt 466.6

Solubility <46.66mg/ml in DMSO Storage Store at 4°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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IC50: 0.25 nM

L-006235 is a potent and selective inhibitor of Cathepsin K.

In vitro: After dilution of L-006235 to 0.05 nM, the cathepsin K enzyme activity was initially inhibited, but slowly recovered with a first-order rate constant of 0.023 s⁻¹. The final steady-state enzyme activity was 80-90% that of control, suggesting the complete reversibility of the L-006235-cathepsin K complex. L-006235 was found to be not a substrate for the nitrilase activity of Cat K [1].

In vivo: L-006235 was orally bioavailable in rats, with a terminal half-life of over 3 h. L-006235 was orally dosed in ovariectomized rhesus monkeys once per day for 7 days. Results showed that collagen breakdown products were dose-dependently reduced by up to 76%. Plasma concentrations of L-006235 above the bone resorption IC50 after 24 h indicated a correlation between functional cellular and in vivo assays. These findings suggested that the inhibition of collagen breakdown by cathepsin K inhibitors, such as L-006235, might be useful in osteoporosis and other indications involving bone resorption [1].

Clinical trial: N/A

Reference:

[1] Palmer JT, Bryant C, Wang DX et al. Design and synthesis of tri-ring P3 benzamide-containing aminonitriles as potent, selective, orally effective inhibitors of cathepsin K. J Med Chem. 2005 Dec 1;48(24):7520-34.

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