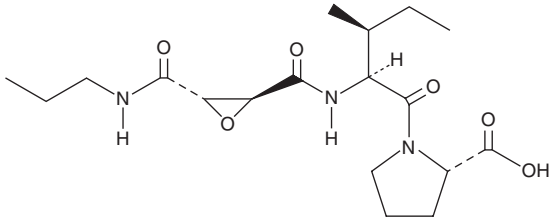
PRODUCT INFORMATION

CA-074

Item No. 24679

CAS Registry No.: 134448-10-5
Formal Name: N-[[3S-[(propylamino)carbonyl]-2S-oxiranyl]carbonyl]-L-isoleucyl-L-proline
MF: $C_{18}H_{29}N_3O_6$
FW: 383.4
Purity: ≥95%
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

CA-074 is supplied as a solid. A stock solution may be made by dissolving the CA-074 in the solvent of choice, which should be purged with an inert gas. CA-074 is soluble in the organic solvent methanol at a concentration of approximately 1 mg/ml.

Description

CA-074 is a selective epoxysuccinyl peptide inhibitor of the cysteine protease cathepsin B (K_is = 0.0087, 75, and 233 µM for rat cathepsin B, H, and L, respectively).[1] It inhibits degradation of DQ-collagen IV and DQ-collagen I in 4T1.2 breast cancer cells in a concentration-dependent manner and reduces the number of lung and bone metastases in a 4T1.2 murine mammary cancer model, without affecting primary tumor growth, when administered at a dose of 50 mg/kg.[2] CA-074 (0.1 and 0.2 mg/animal per day) reduces mercury-induced increases in expression of TNF-α, IL-1β, and the inflammasome component NRLP3 and decreases the severity of mercury-induced skin induration in mice.[3] CA-074 also reduces ischemia-induced hippocampal neuron cell death in monkeys.[4]

References

1. Murata, M., Miyashita, S., Tokoo, C., *et al.* Novel epoxysuccinyl peptides. Selective inhibitors of cathepsin B, *in vitro*. *FEBS Lett.* **280(2)**, 307-310 (1991).
2. Withana, N.P., Blum, G., Sameni, M., *et al.* Cathepsin B inhibition limits bone metastasis in breast cancer. *Cancer Res.* **72(5)**, 1199-1209 (2012).
3. Toomey, C.B., Cauvi, D.M., Hamel, J.C., *et al.* Cathepsin B regulates the appearance and severity of mercury-induced inflammation and autoimmunity. *Toxicol. Sci.* **142(2)**, 339-349 (2014).
4. Yamashima, T., Kohda, T., Tsuchiya, K., *et al.* Inhibition of ischaemic hippocampal neuronal death in primates with cathepsin B inhibitor CA-074: A novel strategy for neuroprotection based on 'calpain-cathepsin hypothesis'. *Eur. J. Neurosci.* **10(5)**, 1723-1733 (1998).

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