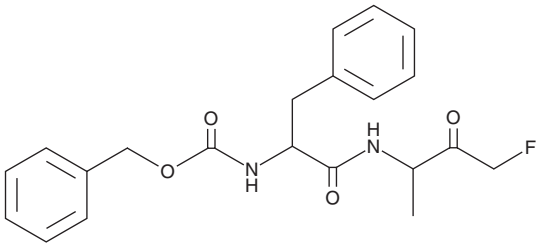
PRODUCT INFORMATION

Z-FA-FMK

Item No. 42444

CAS Registry No.: 96922-64-4
Formal Name: [2-[(3-fluoro-1-methyl-2-oxopropyl) amino]-2-oxo-1-(phenylmethyl)ethyl]-carbamic acid, phenylmethyl ester
Synonyms: Cathepsin B Inhibitor, Z-Phe-Ala-Fluoromethyl Ketone
MF: $C_{21}H_{23}FN_2O_4$
FW: 386.4
Purity: ≥90%
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

Z-FA-FMK is supplied as a solid. A stock solution may be made by dissolving the Z-FA-FMK in the solvent of choice, which should be purged with an inert gas. Z-FA-FMK is soluble (≥10 mg/ml) in DMSO.

Description

Z-FA-FMK is an inhibitor of cathepsin B (K_i = 1.5 µM).[1] It also is an inhibitor of caspase-2, -3, -6, -7, and -9 (IC_{50} = 6.147, 15.41, 32.45, 9.077, and 110.7 µM, respectively).[2] Z-FA-FMK inhibits severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) main protease (M^{pro}), also known as 3C-like protease ($3CL^{pro}$), in a cell-free assay (IC_{50} = 11.39 µM).[3] It inhibits SARS-CoV-2 replication in infected Vero E6 cells (EC_{50} = 0.13 µM) without inducing cytotoxicity in the same cells with a 50% cytotoxic concentration (CC_{50}) value of >20 µM. Z-FA-FMK (50 µM) decreases the proliferation of, and levels of glutathione (GSH) in, and increases levels of reactive oxygen species (ROS) in anti-CD3-stimulated primary human peripheral blood mononuclear cells (PBMCs).[4] It inhibits LPS-induced increases in levels of IL-1β in PU5-1.8 macrophages and Nf-κB transactivation in Mf4/4 macrophages when used at a concentration of 50 µM.[5]

References

1. Smith, R.A., Copp, L.J., Donnelly, S.L., *et al.* Inhibition of cathepsin B by peptidyl aldehydes and ketones: Slow-binding behavior of a trifluoromethyl ketone. *Biochemistry* **27(17),** 6568-6573 (1988).
2. Lopez-Hernandez, F.J., Ortiz, M.A., Bayon, Y., *et al.* Z-FA-fmk inhibits effector caspases but not initiator caspases 8 and 10, and demonstrates that novel anticancer retinoid-related molecules induce apoptosis via the intrinsic pathway. *Mol. Cancer Ther.* **2(3),** 255-263 (2003).
3. Zhu, W., Xu, M., Chen, C.Z., *et al.* Identification of SARS-CoV-2 3CL protease inhibitors by a quantitative high-throughput screening. *ACS Pharmacol. Transl. Sci.* **3(5),** 1008-1016 (2020).
4. Rajah, T. and Chow, S.C. Suppression of human T cell proliferation mediated by the cathepsin B inhibitor, z-FA-FMK is due to oxidative stress. *PLoS One* **10(4),** e0123711 (2015).
5. Schotte, P., Schauvliege, R., Janssens, S., *et al.* The cathepsin B inhibitor z-FA.fmk inhibits cytokine production in macrophages stimulated by lipopolysaccharide. *J. Biol. Chem.* **276(24),** 21153-21157 (2001).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM