

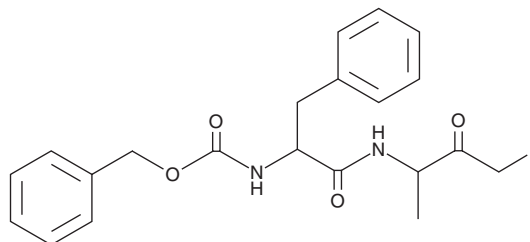
PRODUCT INFORMATION



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: C₂₁H₂₃FN₂O₄
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 ($K_i = 1.5 \mu\text{M}$).¹ It also is an inhibitor of caspase-2, -3, -6, -7, and -9 ($\text{IC}_{50} = 6.147, 15.41, 32.45, 9.077, \text{ and } 110.7 \mu\text{M}$, 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 ($\text{IC}_{50} = 11.39 \mu\text{M}$).³ It inhibits SARS-CoV-2 replication in infected Vero E6 cells ($\text{EC}_{50} = 0.13 \mu\text{M}$) without inducing cytotoxicity in the same cells with a 50% cytotoxic concentration (CC_{50}) value of $>20 \mu\text{M}$. Z-FA-FMK ($50 \mu\text{M}$) 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-1 β in PU5-1.8 macrophages and NF- κB transactivation in Mf4/4 macrophages when used at a concentration of $50 \mu\text{M}$.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).

WARNING

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

SAFETY DATA

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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