



Product Information

Biotin-Val-Ala-Asp(OMe) Fluoromethyl Ketone

Product Number **B 2057**
Storage Temperature $-20\text{ }^{\circ}\text{C}$

Product Description

Molecular Formula: $\text{C}_{24}\text{H}_{38}\text{FN}_5\text{O}_7\text{S}$
Molecular Weight: 559.7

Biotin-Val-Ala-Asp(OMe) Fluoromethyl Ketone is the methylated, cell permeable derivative of the caspase inhibitor Biotin-Val-Ala-Asp Fluoromethyl Ketone (Biotin-VAD-FMK) that is useful for histochemical analysis of protease activity.¹

Biotin-VAD-FMK is a potent irreversible pan caspase inhibitor. It can inhibit most, if not all, known caspases. Because of this interaction, there may be potential for affinity labeling of active caspases². Caspases are a group of cysteine aspartate proteases, that play a role in apoptosis³.

Methylation of the acidic amino acid Asp enhances the cell membrane permeability of Biotin-VAD-FMK. Once in the cell, endogenous esterase activity hydrolyzes the methyl groups to form the biological active form. For *in vitro* studies an esterase needs to be included in the reaction mix to generate the active form of the molecule.

FMK is a trapping group responsible for irreversible inhibition but is non-cytotoxic. Inhibition occurs when the FMK group covalently bonds to the $-\text{SH}$ of an adjacent cysteine residue on the target protein.

The biotin group allows for detection of the peptide-caspase complex by standard procedures or to selectively isolate the complex for further study.

Biotin-Val-Ala-Asp(OMe) Fluoromethyl Ketone is supplied as a brown solid.

Preparation Instructions

Prepare stock 20 mM solutions in dry ($\geq 99.9\%$) DMSO to maintain solution stability.

Storage/Stability

Store at $-20\text{ }^{\circ}\text{C}$

Store stock solutions at $-20\text{ }^{\circ}\text{C}$ for 6-8 months. Allow the material to warm to room temperature before use to ensure stability.

References

1. Van Noorden, C. J., The history of Z-VAD-FMK, a tool for understanding the significance of caspase inhibition. *Acta Histochem.*, **103**, 241-51 (2001).
2. Li, J., et al., Nitric oxide suppresses apoptosis via interrupting caspase activation and mitochondrial dysfunction in cultured hepatocytes. *J. Biol. Chem.*, **274**, 17325-17333 (1999).
3. Thornberry, N. A., and Laxebnik, Y., Caspases: enemies within. *Science*, **281**, 1312 (1998).
4. Hu, S., et al., Caspase-14 is a novel developmentally regulated protease. *J. Biol. Chem.*, **273**, 29648-29653 (1998).

JMZ/JWM 11/01

Sigma brand products are sold through Sigma-Aldrich, Inc.

Sigma-Aldrich, Inc. warrants that its products conform to the information contained in this and other Sigma-Aldrich publications. Purchaser must determine the suitability of the product(s) for their particular use. Additional terms and conditions may apply. Please see reverse side of the invoice or packing slip.