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Product Information

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

Product Number **B 1807**

Storage Temperature $-20\text{ }^{\circ}\text{C}$

Product Description

Molecular Formula: $\text{C}_{38}\text{H}_{60}\text{N}_7\text{O}_{13}\text{SF}$

Molecular Weight: 874.0

Biotin-Asp(OMe)-Glu(OMe)-Val-Asp(OMe) Fluoromethyl Ketone is the methylated, cell permeable derivative of the caspase inhibitor Biotin-Asp-Glu-Val-Asp Fluoromethyl Ketone (Biotin-DEVD-FMK).

Biotin-DEVD-FMK is a specific and potent inhibitor of caspase-3, which can suppress induced caspase-3 activation and apoptosis.¹ Activation of caspase-3 is the first step in the execution phase of apoptosis. DEVD-FMK can block increases in caspase-3 activity and significantly reduce apoptosis. Caspase-3 plays a role in CD95-mediated apoptosis and this action is blocked by pretreatment of cells with DEVD-FMK.² In UV-accelerated apoptosis studies, inhibition of caspase-3 activity partially protects oxidant production in apoptotic cells.³ Biotin-DEVD-FMK is also an inhibitor of caspase-6, -7, -8, and -10.

Methylation of the acidic amino acids Asp and Glu enhances the cell membrane permeability of Biotin-DEVD-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 for selective isolation of the complex for further study.

Biotin-Asp(OMe) Glu(OMe)-Val-Asp(OMe) FMK is supplied as a powder.

Preparation Instructions

Stock solutions may be prepared in DMSO at a concentration of 2 mM. The product is also soluble in dimethylformamide at a concentration of 10 mg/ml.

Storage/Stability

It is recommended to store the product at $-20\text{ }^{\circ}\text{C}$. The product is stable for a minimum of one year. Stock solutions in DMSO are stable for a minimum of one year when stored at $-20\text{ }^{\circ}\text{C}$.

References

1. Akasaka Y, et al., Enhanced expression of caspase-3 in hypertrophic scars and keloid: induction of caspase-3 and apoptosis in keloid fibroblasts *in vitro*. *Lab Invest.*, **80**, 345-357 (2000).
2. Wrono-Smith, T., et al., Transfection of caspase-3 in the caspase-3-deficient Hodgkin's disease cell line, KMH2, results in enhanced sensitivity to CD95-, TRAIL-, and ARA-C-induced apoptosis. *Exp Hematol.*, **29**, 572-581 (2001).
3. Sweeney, J. F., et al., Caspase-3 inhibition partially protects oxidant production in apoptotic human neutrophils. *J Surg Res.*, **98**, 66-70 (2001).

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