Z-Leu-Glu(OMe)-Glu(OMe)-Asp(OMe) Fluoromethyl Ketone

Product Number C 8859
Storage Temperature –20 °C

Product Description
Molecular Formula: C_{32}H_{45}FN_{4}O_{12}
Molecular weight: 696.7

Z-Leu-Glu(OMe)-Glu(OMe)-Asp(OMe) Fluoromethyl Ketone is the methylated, cell permeable derivative of the caspase inhibitor Z-Leu-Glu-Glu-Asp Fluoromethyl Ketone (Z-LEED-FMK, Z = benzylloxycarbonyl).

Z-LEED-FMK is an inhibitor of caspase-13. Caspase-13 has also been called ERICE (Evolutionarily Related Interleukin-1β Converting Enzyme) and is related to caspase-1, -4, and -5. At least 14 different caspases have been identified which differ in their substrate specificities.

Apoptosis, or programmed cell death, plays an essential role in development, homeostasis, and defense of multicellular organisms. Among the many known effectors of apoptosis the interleukin-converting enzyme (ICE)-related, cysteine aspartic-specific proteases, or caspases, play a crucial role in apoptosis in almost every cell type. At least 14 different caspases have been identified which differ in their substrate specificities.

Methylation of the acidic amino acids Glu and Asp enhances the cell membrane permeability of Z-LEED-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 and is also non-cytotoxic. Inhibition occurs when the the FMK group covalently bonds to the –SH of an adjacent cysteine residue on the target protein.

Z-LEED-FMK is supplied as a white lyophilized powder.

Preparation Instructions
Prepare 20 mM stock solutions in dry (≥ 99.9 %) DMSO to maintain product stability. Also soluble in DMF.

Storage/Stability
Store at –20 °C. The product is reported to be stable at room temperature for one year in a desiccator. Allow container to warm to room temperature before opening to ensure stability.

Store stock solutions at –20 °C for 6-8 months.

References

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