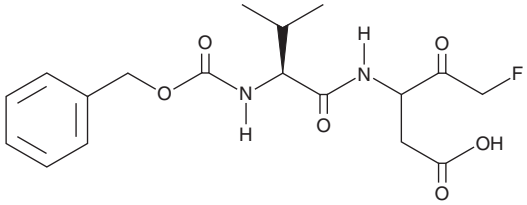
PRODUCT INFORMATION

MX1013

Item No. 27904

CAS Registry No.: 582316-00-5
Formal Name: 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-pentanoic acid
Synonyms: Z-Val-Asp-Fluoromethyl Ketone, Z-VD-FMK
MF: $C_{18}H_{23}FN_2O_6$
FW: 382.4
Purity: ≥98%
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

MX1013 is supplied as a solid. A stock solution may be made by dissolving the MX1013 in the solvent of choice, which should be purged with an inert gas. MX1013 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MX1013 in ethanol is approximately 10 mg/ml and approximately 30 mg/ml in DMSO and DMF.

MX1013 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MX1013 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MX1013 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MX1013 is a dipeptide pan-caspase inhibitor that inhibits caspase-1 (IC_{50} = 20 nM), caspase-3 (IC_{50} = 30 nM), and caspase-6, -7, -8, and -9 (IC_{50}s = 5-18 nM).[1] It is selective for caspases over calpain I, cathepsin B, cathepsin D, renin, thrombin, and Factor Xa (IC_{50}s = >10 µM). MX1013 (0.05 µM) inhibits anti-Fas antibody-induced caspase-3 processing and poly(ADP)ribose polymerase (PARP) cleavage in Jurkat cells, indicating inhibition of apoptosis. It increases survival in a mouse model of anti-Fas antibody-induced liver failure when administered at doses of greater than or equal to 0.25 mg/kg. MX1013 reduces infarct size in rat models of acute myocardial infarction-reperfusion or transient focal brain ischemia-reperfusion injury when administered intravenously as a 20 mg/kg bolus dose followed by a 5 mg/kg per hour infusion.

Reference

1. Yang, W., Guastella, J., Huang, J.-C., *et al.* MX1013, a dipeptide caspase inhibitor with potent *in vivo* antiapoptotic activity. *Br. J. Pharmacol.* **140(2),** 402-412 (2003).

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