

Catalog Number: CM00937

产品信息

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CM00937

CAS号:
187389-52-2

分子式:
C₂₂H₃₀FN₃O₇

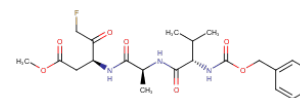
主要靶点:
Caspase

主要通路:
凋亡|蛋白酶体

分子量:
467.49

溶解度:

DMSO:45 mg/mL (96.26 mM);
Ethanol:< 1 mg/mL (insoluble or
slightly soluble); H₂O:< 1 mg/mL
(insoluble or slightly soluble)



体外活性

方法: 人白血病细胞 HL60 用 Z-VAD(OMe)-FMK (50 μM) 和 camptothecin (150 μM) 处理 3 h, 使用电子显微镜观察细胞形态。
结果: 用 camptothecin 处理的细胞表现出典型的凋亡特征包括细胞收缩、染色质浓缩和核碎裂。Z-VAD(OMe)-FMK 联合治疗消除了 camptothecin 诱导的细胞凋亡形态。单独的 Z-VAD(OMe)-FMK 不影响细胞形态。[1] **方法:** 胆管癌细胞 KJU100、KJU213A 和 KJU213B 用 Z-VAD(OMe)-FMK (20 μM) 预处理 1 h, 随后用 CH-CM (0%、50% 和 75%) 处理 24 h, 使用 Flow Cytometry 方法检测细胞凋亡情况。**结果:** Z-VAD(OMe)-FMK 预处理阻止了 CH-MSCs 诱导的细胞凋亡。[2] **方法:** 人卵巢畸胎瘤细胞 PA-1 用 Z-VAD(OMe)-FMK (50 μM) 和 UVB (100 J/m²) 处理 16 h, 使用 Western Blot 方法检测靶点蛋白表达水平。**结果:** Z-VAD(OMe)-FMK 消除了 UVB 引起的 PARP 切割。[3]

体内活性

方法: 为研究 Z-VAD(OMe)-FMK 的体内给药是否能预防感染诱导的早产, 将 Z-VAD(OMe)-FMK (10 mg/kg) 单次腹腔注射给用热致死的 B 组链球菌 (HK-GBS) 诱导早产的 CD1 小鼠。**结果:** Z-VAD(OMe)-FMK 预处理延迟但不能阻止 HK-GBS 在妊娠小鼠模型中诱导的早产。[4] **方法:** 为防止 LPS 引起的急性肺损伤, 将 Z-VAD(OMe)-FMK (LPS 刺激前 15 分钟, 0.25 mg; 每小时三次, 0.1 mg) 静脉注射给 LPS 诱导凋亡和急性肺损伤的 ICR 小鼠。**结果:** Z-VAD(OMe)-FMK 抑制了肺组织中的 caspase-3 活性。Z-VAD(OMe)-FMK 可显著延长小鼠的存活率。细胞凋亡可能在急性肺损伤中发挥重要作用, 因此抑制 caspase 活性可能为治疗该疾病提供一种新的治疗方法。[5]

动物实验

Mice used in this study were 5- to 6-week-old (20 to 22 g) ICR males. Mice were injected with 30 mg/kg LPS from E. coli serotype O111:B4 through the tail vein. Z-VAD.fmk was dissolved at 2 mg/ml in 1% dimethyl sulfoxide in sterile saline, and administered to mice by the method of Rodriguez et al. A single intravenous injection of Z-VAD.fmk (0.25 mg) was made 15 minutes before LPS injection, followed by three intravenous injections of Z-VAD.fmk (0.1 mg each) per hour. Control mice were injected with the same volume of 1% DMSO in sterile saline [4].

细胞实验

The human monocytic tumour cell line, THP.1 and the leukaemic T-cell line, Jurkat (clone E-6) were maintained in RPMI 1640 supplemented with 10% (v/v) heat-inactivated fetal calf serum, 100 units/ml penicillin and 100 μg/ml streptomycin in an atmosphere of 5% CO₂ in air at 37 °C. The cells were maintained in logarithmic growth phase by routine passage every 2–3 days. To induce apoptosis in THP.1 cells, 2 × 10⁶ cells/ml were incubated either alone or in the presence of cycloheximide (25 μM) and TLCK (100 μM) as previously described. In order to assess the possible effects of various ICE-like protease inhibitors, THP.1 cells were also pretreated for 1 h with Z-VAD.FMK (10 μM), Ac-DEVD-CHO (20 μM) and Ac-YVAD-CHO (20 μM) before being exposed to the apoptotic stimulus. To induce apoptosis in Jurkat cells, 2 × 10⁶ cells/ml were stimulated with 200 ng/ml anti-human Fas as described previously [1].

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.