

# Z-DEVD-FMK

## Technical Data

<b>Molecular Weight</b>	668.66	<b>Storage</b>	3 years    -20°C    powder
<b>Formula</b>	C <sub>30</sub> H <sub>41</sub> FN <sub>4</sub> O <sub>12</sub>		2 years    -80°C    in solvent
<b>CAS No.</b>	210344-95-9	<b>Synonyms</b>	Caspase-3 Inhibitor
<b>Chemical Name</b>	L-Valinamide, N-[(phenylmethoxy)carbonyl]-L-α-aspartyl-L-α-glutamyl-N-[(1S)-3-fluoro-1-(2-methoxy-2-oxoethyl)-2-oxopropyl]-, 1,2-dimethyl ester		
<b>Solubility (25°C) *</b>	In vitro	DMSO	100 mg/mL (149.55 mM)
		Water	Insoluble
		Ethanol	Insoluble
	In vivo (should be freshly prepared each time)	2% DMSO+30% PEG 400+5% Tween 80+ddH <sub>2</sub> O	1mg/mL
* <1 mg/ml means slightly soluble or insoluble.			
* Please note that Selleck tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.			

## Preparing Stock Solutions

Volume Concentration	Mass	1 mg	5 mg	10 mg
1 mM		1.4955 mL	7.4776 mL	14.9553 mL
5 mM		0.2991 mL	1.4955 mL	2.9911 mL
10 mM		0.1496 mL	0.7478 mL	1.4955 mL
50 mM		0.0299 mL	0.1496 mL	0.2991 mL

## Biological Activity

<b>Description</b>	Z-DEVD-FMK is a specific, irreversible <b>Caspase-3</b> inhibitor, and also shows potent inhibition on caspase-6, caspase-7, caspase-8, and caspase-10.
<b>Targets</b>	Caspase-3 <sup>[1]</sup>
<b>In vitro</b>	Z-DEVD-FMK (1–200 μM) inhibits D4-GDI cleavage and apoptosis in a concentration-dependent manner. <sup>[1]</sup> Z-DEVD-FMK reduces ceramide-induced cardiomyocyte death and significantly inhibits the activation of caspase 3. <sup>[3]</sup> Z-DEVD-FMK (100μM) attenuates OxyHb-induced cell detachment, reduced caspase-2 and -3 activities, abolishes OxyHb-induced DNA ladders, and prevents OxyHb-induced cleavage of PARP in cultured brain microvessel endothelial cells. <sup>[4]</sup> Z-DEVD-FMK (100 μM) blocks MPP+-induced increases in caspase-3 enzyme activity. Z-DEVD-FMK dose dependently blocks 6-OHDA-induced apoptotic cell death with IC <sub>50</sub> of 18 μM. <sup>[5]</sup>
<b>In vivo</b>	Z-DEVD-FMK, before and after injury, markedly reduces post-traumatic apoptosis, and significantly improved neurological recovery. <sup>[2]</sup>
<b>Features</b>	S7312

## Protocol (Only for Reference)

### Kinase Assay: <sup>[5]</sup>

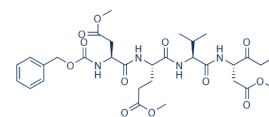
<b>Caspase activity assay</b>	Caspase-3 and caspase-9 activities are measured using fluorescent-based substrate. After treatment, the cells are resuspended in lysis buffer (50 mM Tris HCl, 1 mM EDTA, and 10 mM EGTA) containing 10 mM digitonin for 20 min at 37°C. Supernatants are treated with either of the fluorogenic substrates Ac-DEVD-AFC for caspase-3 or Ac-LEHD-AFC for caspase-9 for 1 h at 37°C and fluorescence is measured at excitation at 400 nm and emission at 505 nm using a Gemini XS fluorescence plate reader.
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### Cell Assay: <sup>[5]</sup>

<b>Cell lines</b>	N27 cells
<b>Concentrations</b>	~50 μM
<b>Incubation Time</b>	24 hours
<b>Method</b>	N27 cells are incubated with 100 μM 6-OHDA for 24 h or 300 μM MPP+ for 36 h in the presence or absence of 50 μM Z-DEVD-FMK and cell death is determined by MTT (3-(4,5-dimethylthiazol-3-yl)-2,5-diphenyl tetrazolium bromide) assay, which is widely used to assess cell viability. After treatment, the cells are incubated in serum-free medium containing 0.25 mg/ml MTT for 3 h at 37°C. Formation of formazan from tetrazolium is measured at 570 nm with a reference wavelength at 630 nm using a SpectraMax microplate reader.

### Animal Study: <sup>[2]</sup>

## Chemical Structure



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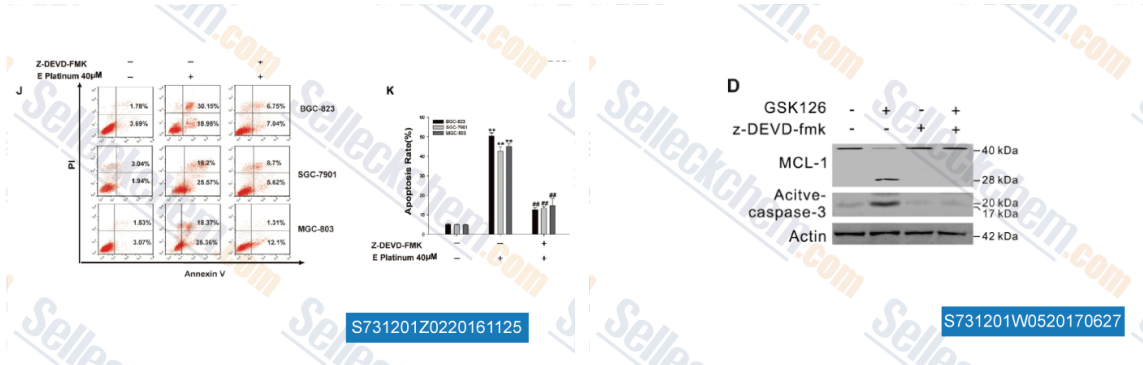
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<b>Animal Models</b>	Male Sprague Dawley rats with Brain trauma.
<b>Formulation</b>	DMSO
<b>Dosages</b>	160 ng
<b>Administration</b>	Intracerebroventricular administration

#### References:

- [1] Rickers A, et al. *Eur J Immunol.* 1998, (1), 296-304.
- [2] Yakovlev AG, et al. *J Neurosci.* 1997, 17(19), 7415-7424.
- [3] Wang J, et al. *J Card Fail.* 2000, 6(3), 243-249.
- [4] Meguro T, et al. *Stroke.* 2001, 32(2), 561-566.
- [5] Kanthasamy AG, et al. *Free Radic Biol Med.* 2006, 41(10), 1578-1589.

#### Customer Product Validation



, , *Mol Carcinog*, 2016, 56(1):218-231  
(J,K)After pre-treated with Caspase-3 inhibitor Z-DEVD-FMK 50μM, the apoptotic rates of cells induced by E Platinum in BGC-823, MGC-803, and SGC-7901 cells were detected by Annexin V/PI double-staining assay. Ann.V-/PI- as healthy cells, Ann.+/-PI- as early apoptotic cells, Ann.+/-PI+ probably as late apoptotic cells. Data were shown as means SD for three independent experiments (\*P<0.05 and \*\*P<0.01 compared with control, ##P<0.01 compared to Z-DEVD-FMK+40 μM E Platinum group with treatment of 40 μM E Platinum).

Data from [Data independently produced by , , *Oncotarget*, 2017, 8(2):3396-3411]  
Immunoblotting analysis was performed for the active-caspase-3 and cleavage of MCL-1 in MM.1S cells treated with z-DEVD-fmk (20 μM, 1 h) and following GSK126 (25 μM, 24 h).

#### Z-DEVD-FMK has been referenced in publications.

- Dioscin inhibits the growth of human osteosarcoma by inducing G2/M-phase arrest, apoptosis, and GSDME-dependent cell death in vitro and in vivo. [ *J Cell Physiol*, 2020, 235(3):2911-2924] [PubMed: 31535374](#)
- Combination of Hypoglycemia and Metformin Impairs Tumor Metabolic Plasticity and Growth by Modulating the PP2A-GSK3β-MCL-1 Axis. [ *Cancer Cell*, 2019, 35(5):798-815] [PubMed: 31031016](#)
- Combination of Hypoglycemia and Metformin Impairs Tumor Metabolic Plasticity and Growth by Modulating the PP2A-GSK3β-MCL-1 Axis. [ *Cancer Cell*, 2019, 35(5):798-815.e5] [PubMed: 31031016](#)
- Sinularin exerts anti-tumor effects against human renal cancer cells relies on the generation of ROS. [ *J Cancer*, 2019, 10(21):5114-5123] [PubMed: 31602264](#)
- Caspase-1-dependent mechanism mediating the harmful impacts of the quorum-sensing molecule N-(3-oxo-dodecanoyl)-L-homoserine lactone on the intestinal cells. [ *J Cell Physiol*, 2019, 234(4):3621-3633] [PubMed: 30471106](#)
- Palbociclib triggers apoptosis in bladder cancer cells by Cdk2-induced Rad9-mediated reorganization of the Bak.Bcl-xl complex. [ *Biochem Pharmacol*, 2019, 163:133-141] [PubMed: 30772267](#)
- Enhancement of sorafenib-mediated death of Hepatocellular carcinoma cells by Carnosic acid and Vitamin D2 analog combination. [ *J Steroid Biochem Mol Biol*, 2019, 197:105524] [PubMed: 31704246](#)
- The molecular mechanisms underlying BCR/ABL degradation in chronic myeloid leukemia cells promoted by Beclin1-mediated autophagy. [ *Cancer Manag Res*, 2019, 11:5197-5208] [PubMed: 31239774](#)
- Isobavachalcone isolated from *Psoralea corylifolia* inhibits cell proliferation and induces apoptosis via inhibiting the AKT/GSK-3β/β-catenin pathway in colorectal cancer cells. [ *Drug Des Devel Ther*, 2019, 13:1449-1460] [PubMed: 31118579](#)
- The effects and mechanism of peiminine-induced apoptosis in human hepatocellular carcinoma HepG2 cells [ *PLoS One*, 2019, 14(1):e0201864] [PubMed: 30615617](#)

#### PLEASE KEEP THE PRODUCT UNDER -20°C FOR LONG-TERM STORAGE. NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

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