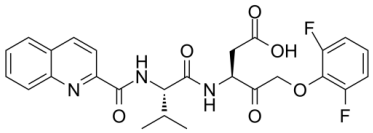
Data Sheet

Product Name:	Q-VD-OPh
Cat. No.:	CS-6252
CAS No.:	1135695-98-5
Molecular Formula:	C26H25F2N3O6
Molecular Weight:	513.49
Target:	Caspase
Pathway:	Apoptosis
Solubility:	DMSO : 93.33 mg/mL (181.76 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Q-VD-OPh is an irreversible **pan-caspase** inhibitor with potent antiapoptotic properties; inhibits caspase 7 with an **IC_{50}** of 48 nM and 25-400 nM for other caspases including caspase 1, 3, 8, 9, 10, and 12. Q-VD-OPh is able to cross the blood-brain barrier. IC50 & Target: IC50: 48 nM (caspase 7), 25-400 nM (caspase 1, 3, 8, 9, 10, and 12)[1] **In Vitro:** Q-VD-OPh is a potent inhibitor of caspase-7 with an IC_{50} of 48 nM utilizing a cell-free assay consisting of human recombinant caspase-7, Q-VD-OPh, and the substrate AMC-DEVD-pNa[1]. Q-VD-OPh fully inhibits caspase-3 and -7 activity at 0.05 μM. Caspase-8 is also inhibited at low Q-VD-OPh concentrations. The cleavage of PARP-1 is fully prevented at 10 μM Q-VD-OPh. DNA fragmentation and disruption of the cell membrane functionality are both prevented at 2 μM Q-VD-OPh[2]. Q-VD-OPh is significantly more effective in preventing apoptosis than the widely used inhibitors, ZVAD-fmk and Boc-D-fmk, and is also equally effective in preventing apoptosis mediated by the three major apoptotic pathways, caspase 9/3, caspase 8/10, and caspase12. Q-VD-OPh is not toxic to cells even at extremely high concentrations[3]. QVD is also able to increase the expression of differentiation markers in acute myeloid leukemia (AmL) blasts. QVD alone or combined with VDDs increases differentiation and HPK1-cJun signaling in AmL cell context-dependent manner[4]. **In Vivo:** Chronic treatment with Q-VD-OPh prevents caspase-7 activation and limits the pathological changes associated with tau, including caspase cleavage. Q-VD-OPh could be a potential therapeutic compound for the treatment of Alzheimer's disease[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: [1]Mouse: Stock solutions of Q-VD-OPh are prepared in DMSO and diluted in sterile PBS solution prior to injection. A final concentration of 10 mg/kg is chosen indicating neuroprotection at this concentration of Q-VD-OPh. Three-month old mice are divided into two groups: control, vehicle (n=3) or treated (n=2). Mice are injected i.p. three times a week with either Q-VD-OPh or vehicle for a total time period of 3 months[1].

References:

[1]. Rohn TT, et al. Caspase activation in transgenic mice with Alzheimer-like pathology: results from a pilot study utilizing the caspase inhibitor, Q-VD-OPh. Int J Clin Exp Med. 2009 Nov 5;2(4):300-8.

[2]. Kuzelová K, et al. Dose-dependent effects of the caspase inhibitor Q-VD-OPh on different apoptosis-related processes. J Cell Biochem. 2011 Nov;112(11):3334-42.

[3]. Caserta TM, et al. Q-VD-OPh, a broad spectrum caspase inhibitor with potent antiapoptotic properties. Apoptosis. 2003 Aug;8(4):345-52.

[4]. Chen-Deutsch X, et al. Leuk Res. 2012 Jul;36(7):884-8. The pan-caspase inhibitor Q-VD-OPh has anti-leukemia effects and can interact with vitamin D

analogs to increase HPK1 signaling in AML cells.

CAIndexNames:

Pentanoic acid, 5-(2,6-difluorophenoxy)-3-[[(2S)-3-methyl-1-oxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-4-oxo-, (3S)-

SMILES:

O=C(O)C[C@H](NC([C@@H](NC(C1=NC2=CC=CC=C2C=C1)=O)C(C)C)=O)C(COC3=C(F)C=CC=C3F)=O

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