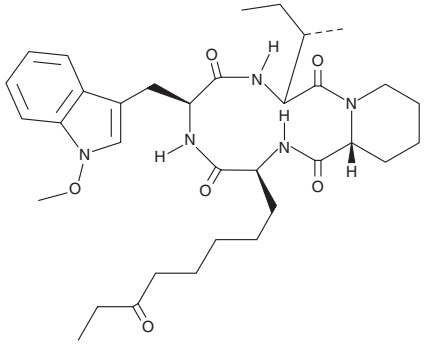
PRODUCT INFORMATION

Apicidin

Item No. 10575

CAS Registry No.:	183506-66-3
Formal Name:	cyclo[(2S)-2-amino-8-oxodecanoyl-1-methoxy-L-tryptophyl-L-isoleucyl-(2R)-2-piperidinecarbonyl]
Synonym:	OSI 2040
MF:	$C_{34}H_{49}N_5O_6$
FW:	623.8
Purity:	≥90%
UV/Vis.:	λ_{max}: 221 nm
Supplied as:	A crystalline 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

Apicidin is supplied as a crystalline solid. A stock solution may be made by dissolving the apicidin in the solvent of choice, which should be purged with an inert gas. Apicidin is soluble in organic solvents such as DMSO and acetonitrile. The solubility of apicidin in these solvents is approximately 1 mg/ml.

Apicidin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, apicidin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Apicidin 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

Apicidin is a cyclic tetrapeptide that has been found in *F. pallidoroseum* and has diverse biological activities.[1-4] It is active against *E. tenella*, *T. gondii*, *P. falciparum*, *C. parvum*, *N. caninum*, *B. jellisoni*, and *C. bigenetica* (MICs = 62, 8, 125, 30, 15, 4, and 8 ng/ml, respectively) and decreases the percentage of *P. berghei*-infected erythrocytes in a mouse model of malaria when administered at a dose of 25 mg/kg.[1] Apicidin inhibits histone deacetylase (HDAC) activity in *E. tenella* homogenates (IC_{50} = 0.7 nM) and recombinant human HDAC2 and HDAC3 (EC_{50}s = 120 and 43 nM, respectively).[1,2] It inhibits the proliferation of SKOV3 ovarian cancer cells (EC_{50} = 1-2.5 µM) and induces cell cycle arrest at the G_0/G_1 phase in SKOV3 cells when used at a concentration of 50 nM.[3] Apicidin (3.5 mg/kg every two days, i.p.) prevents decreases in freezing time in contextual fear conditioning tests, indicating a reversal of memory deficits, and accumulation of amyloid-β (1-40) (Aβ40) and Aβ42 in the hippocampus and cortex in an APPswe/PSEN1dE9 transgenic mouse model of Alzheimer's disease.[4]

References

1. Darkin-Rattray, S.J., Gurnett, A.M., Myers, R.W., *et al. Proc. Natl. Acad. Sci. USA* **93(23),** 13143-13147 (1996).
2. Khan, N., Jeffers, M., Kumar, S., *et al. Biochem. J.* **409(2),** 581-589 (2008).
3. Ueda, T., Takai, N., Nishida, M., *et al. Int. J. Mol. Med.* **19,** 301-308 (2007).
4. Luo, B., Chen, J., Zhou, G.-F., *et al. CNS Neurosci. Ther.* **(0)0,** 1-12 (2023).

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