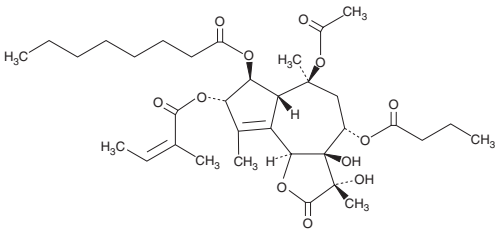
PRODUCT INFORMATION

Thapsigargin

Item No. 10522

CAS Registry No.:	67526-95-8
Formal Name:	(3S,3aS,4R,6R,7S,8R)-6-acetoxy-4-(butyryloxy)-3,3a-dihydroxy-3,6,9-trimethyl-8-(((Z)-2-methylbut-2-enoyl)oxy)-2-oxo-2,3,3a,4,5,6,6a,7,8,9b-decahydro-1H-cyclopenta[e]azulen-7-yl octanoate
MF:	$C_{34}H_{50}O_{12}$
FW:	650.8
Purity:	≥97%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years
Item Origin:	Plant/*Thapsia garganica*



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

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

Thapsigargin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, thapsigargin should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Thapsigargin has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Thapsigargin is an inhibitor of sarcoplasmic/endoplasmic reticulum Ca^{2+}-ATPase (SERCA; IC_{50} = ~30 nM for the rat liver microsomal enzyme), an ER stress inducer, and a sesquiterpene lactone that has been found in *Thapsia*.[1-3] It increases intracellular calcium levels in isolated rat hepatocytes (EC_{50} = ~80 nM) and protein levels of the ER stress markers DNA damage-inducible transcript 3 (DDIT3), also known as CHOP, and glucose-regulated protein 78 kDa (GRP78), as well as phosphorylation of protein kinase R-like ER kinase (PERK) and eukaryotic translation initiation factor 2α subunit (eIF2α) in SH-SY5Y cells when used at a concentration of 1 µM. Acute exposure of thapsigargin (2 µg/ml for 1 h) to primary mouse bone marrow-derived macrophages (BMDMs) protects against TNF- and zVAD-induced necroptosis and prolonged exposure of thapsigargin (2-16 µM for 48 h) induces apoptosis in SW-13 adrenocortical carcinoma cells.[3,4] Thapsigargin (3 µM) induces autophagosome accumulation in mouse embryonic fibroblasts (MEFs).[5] It reduces viral titers in Vero E6 cells co-infected with severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) and influenza A strain H1N1 when used at a concentration of 0.5 µM.[6] Thapsigargin (1 mg/kg) reduces tumor volume in an SW-13 mouse xenograft model.[3]

References

1. Thastrup, O., Cullen, P.J., Drøbak, B.K., *et al. Proc. Natl. Acad. Sci. USA* **87(7)**, 2466-2470 (1990).
2. Chen, G., Ma, C., Bower, K.A., *et al. J. Neurosci. Res.* **86**, 937-946 (2008).
3. Wu, L., Huang, X., Kuang, Y., *et al. Drug Des. Devel. Ther.* **13**, 2787-2798 (2019).
4. Place, D.E., Samir, P., Malireddi, R.K.S., *et al. Life Sci. Alliance* **5(1)**, e202101260 (2021).
5. Ganley, I.G., Wong, P.-M., Gammoh, N., *et al. Mol. Cell* **42(6)**, 731-743 (2011).
6. Al-Beltagi, S., Preda, C.A., Goulding, L.V., *et al. Viruses* **13(2)**, 234 (2021).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM