

Reported effects of Aeroplysinin-1

Cytotoxic/antitumoral

IC ₅₀ [μM]	BioAssay	Reference
	NCI <i>in vivo</i> anticancer drug screen. Data for tumor model L1210 Leukemia (intraperitoneal) in B6D2F1 (BDF1) mice (active)	a ¹
29	Cytotoxicity against BAEC after 2 days by MTT assay	[1]
8.9	Dose enhancement factor, ratio of IC ₅₀ for mouse EN19 cells after 3 days to IC ₅₀ for buthionine sulfoximine-pretreated mouse EN19 cells after 3 days by MTT assay	[2]
37	Cytotoxicity against mouse EN19 cells after 1 week by clonogenic assay	[2]
1.1	Cytotoxicity against buthionine sulfoximine-pretreated mouse EN19 cells after 2 hours by MTT assay	[2]
8.2	Cytotoxicity against mouse EN19 cells after 2 hours by MTT assay	[2]
5.6	Cytotoxicity against human HeLaS3 cells after 4 days by MTT assay	[2]
27.5	Cytotoxicity against human HeLaS3 cells after 2 weeks by clonogenic assay	[2]
0.7	Cytotoxicity against buthionine sulfoximine-pretreated mouse EN19 cells after 3 days by MTT assay	[2]
18.8	Cytotoxicity against human HeLaS3 cells after 2 hours by MTT assay	[2]
2	Dose enhancement factor, ratio of IC ₅₀ for human HeLaS3 cells after 4 days to IC ₅₀ for buthionine sulfoximine-pretreated human HeLaS3 cells after 4 days by MTT assay	[2]
6.2	Cytotoxicity against mouse EN19 cells after 3 days by MTT assay	[2]
5.4	Cytotoxicity against buthionine sulfoximine-pretreated human HeLaS3 cells after 2 hours by MTT assay	[2]
2.8	Cytotoxicity against buthionine sulfoximine-pretreated human HeLaS3 cells after 4 days by MTT assay	[2]
3.5	Dose enhancement factor, ratio of IC ₅₀ for human HeLaS3 cells after 2 hours to IC ₅₀ for buthionine sulfoximine-pretreated human HeLaS3 cells after 2 hours by MTT assay	[2]
7.5	Dose enhancement factor, ratio of IC ₅₀ for mouse EN19 cells after 2 hours to IC ₅₀ for buthionine sulfoximine-pretreated mouse EN19 cells after 2 hours by MTT assay	[2]
3	<i>In vitro</i> cytotoxicity against HeLa tumor cells	[3]
	Growth inhibition of endothelial cells in culture and induction of endothelial cell apoptosis. Abrogation of capillary tube formation. Dose-dependent inhibitory effect on the <i>in vivo</i> chorioallantoic membrane assay.	[4]

	Growth inhibition and induction of cell apoptosis (BAE cells, HCT116 and HT1080 tumor cells)	[5]
	Ca. 95 % inhibition of growth against U937 cells (lymphoma) at a concentration of 20 μ M	[6]
	Inhibition of Proliferation and the Expression of Key Pro-Inflammatory Molecules in Human Endothelial and Monocyte Cells. IC ₅₀ values [μ M]: 3.0 (EVLC-2), 2.6 (HMEC), 2.8 (RF-24), 4.7 (HUVEC)	[7]
	Antileukemic activity <i>in vivo</i> using the L5178y cell/NMRI mouse system	[8]
	Anticancer activity against L5178y mouse lymphoma cells (ED ₅₀ : 0.5 μ M), Friend erythroleukemia cells (ED ₅₀ : 0.7 μ M), human mamma carcinoma cells (ED ₅₀ : 0.3 μ M) and human colon carcinoma cells (ED ₅₀ : 3.0 μ M) <i>in vitro</i>	[8]
	Anticancer activity against L5178y lymphoma cell line (ED ₅₀ : 0.47 μ M)	[9]
	Reduction of the viability of AML cells in a dose dependent manner with IC ₅₀ of 10-20 μ M. Efficient trigger for apoptosis.	[10]

a¹: PUBMED-entry for Aeropylsinin-1

Antiparasitic

	BioAssay	Reference
c = 5 μ M	Ca. 35 % inhibition of growth against <i>P. falciparum</i>	[6]
c = 10 μ M	Ca. 29 % inhibition of growth against <i>T. cruzi</i>	[6]

Antiviral

	BioAssay	Reference
	Inhibition of the HIV-1 replication in a dose-dependent manner, with a median maximum percentage of inhibition of 74% (20 μ M)	[11]

Antibacterial

	Effect against	Reference
	<i>S. aureus</i> <i>B. subtilis</i> <i>E. coli</i> ATCC 25922 <i>E. coli</i> HB 01	[2]
	<i>S. albus</i> <i>B. cereus</i> <i>B. subtilis</i>	[12]
	<i>B. subtilis</i> <i>S. lentus</i> <i>E. amylovora</i>	[13]
	<i>Alteromonas</i> spec. <i>Cytophaga/Flexibacter</i> spec. <i>Moraxella</i> spec. <i>Vibria</i> spec. <i>P. fluorescens</i> <i>S. plymuthica</i> <i>V. anguillarum</i> <i>P. citreus</i> <i>P. phophoreum</i>	[14]

References

- [1] R. Cordoba, N. S. Tormo, A. F. Medarde, J. Plumet, *Bioorg. Med. Chem.* **2007**, *15*, 5300.
- [2] A. Koulman, P. Proksch, R. Ebel, A. C. Beekman, W. van Uden, A. W. T. Konings, J. A. Pedersen, N. Pras, H. J. Woerdenbag, *J. Nat. Prod.* **1996**, *59*.
- [3] R. Teeyapant, H. J. Woerdenbag, P. Kreis, J. Hacker, V. Wray, L. Witte, P. Proksch, *Z. Naturforsch.* **1993**, *48c*.
- [4] S. Rodríguez-Nieto, M. González-Iriarte, R. Carmona, R. Muñoz-Chápuli, M. A. Medina, A. R. Quesada, *FASEB J.* **2002**, *16*.
- [5] B. Martínez-Poveda, S. Rodríguez-Nieto, M. García-Caballero, M. A. Medina, A. R. Quesada, *Mar. Drugs* **2012**, *10*, 2033.
- [6] E. Galeano, O. P. Thomas, S. Robledo, D. Munoz, A. Martinez, *Mar. Drugs* **2011**, *9*, 1902.
- [7] B. Martínez-Poveda, J. A. García-Vilas, C. Cárdenas, E. Melgarejo, A. R. Quesada, M. A. Medina, *PLoS One* **2013**, *8*.
- [8] M. H. Kreuter, A. Bernd, H. Holzmann, W. Müller-Klieser, A. Maidhof, N. Weißmann, Z. Kljajić, R. Batel, H. C. Schröder, W. E. G. Müller, *Z. Naturforsch.* **1989**, *44c*.
- [9] M. H. Kreuter, A. Robitzki, S. Chang, R. Steffen, M. Michaelis, Z. Kljajić, M. Bachmann, H. C. Schröder, W. E. G. Müller, *Camp. Biochem. Physiol.* **1992**, *101C*.
- [10] F. Stuhldreier, S. Kassel, L. Schumacher, S. Wesselborg, P. Proksch, G. Fritz, *Cancer Lett.* **2015**, *361*, 39.
- [11] I. G. Gómez-Archila, W. Zapata, E. Galeano, A. Martínez, F. J. Díaz, M. T. Rugeles, *Vitae, Revista de la facultad de química farmacéutica* **2013**, *21*, 114.
- [12] E. Fattorusso, L. Minale, G. Sodano, *J. Chem. Soc., Perk. Trans. I* **1972**, *1*.
- [13] K. H. Shaker, H. Zinecker, M. A. Ghanib, J. F. Imhoff, B. Schneider, *Chem. Biodivers.* **2010**, *7*, 2880.
- [14] B. Weiss, R. Ebel, M. Elbrächter, M. Kirchner, P. Proksch, *Biochem. Sys. Ecol.* **1996**, *24*.