Fuente: www.fitoterapia.net

SL11 Determination of estrogenic activity with use of an ER reporter gene system

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Phytoestrogens, widely distributed in the plant kingdom, are currently receiving considerable attention as a potential alternative therapy for a range of hormone-dependent conditions including post menopausal symptoms, prevention of breast and prostate cancer, and protection against coronary heart disease and osteoporosis. The existence of two receptor subtypes ERα and ERβ with both their own tissue distribution and biological characteristics, makes it of great importance to determine the receptor-specific activity of phytoestrogens. In our institute we make use of 293 human embryonal kidney cells stably transfected with either ERα or ERβ combined with a luciferase response element (reporter gene). In this system we are able to detect (our standard) 17-β estradiol at concentrations as low as 10^{-14} M; maximum responses are detected at concentrations of 10^{-11} M. The maximum response is taken as 100% and phytoestrogenic activities of several well-known compounds are expressed as percentage of maximum response at a certain concentration; see table below:

	ER-α		ER-β	
compound	response	conc.	response	conc.
17β-estradiol	100	10^{-11} M	100	10^{-11} M
Genistein	55	10^{-7} M	151	10^{-7} M
Daidzein	100	10^{-6} M	155	10^{-6} M
8-Prenylnaringenin	98	10^{-9} M	180	10^{-8} M
Coumestrol	85	10^{-8} M	150	10^{-8} M

We conclude that the estrogenic potency of phytoestrogens is significant, in particular concerning ERβ. With the ER reporter gene system we posses an elegant and efficient tool for screening of phytoestrogens in the plant kingdom and evaluation of herbal extracts.

SL12 Leucamide A: a new cytotoxic heptapeptide from the Australian sponge *Leucetta microraphis*

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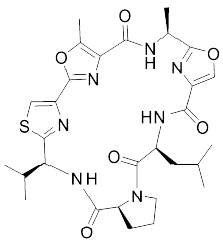
Leucamide A (**1**), a bioactive cyclic heptapeptide containing a unique mixed 4, 2-bisheterocycle tandem pair consisting of a methyloxazole and thiazole subunit was isolated using RP HPLC together with the known compound BRS1 (**2**), from the dichloromethane extract of the Australian marine sponge *Leucetta microraphis*. The planar structure of leucamide A (1) was elucidated by employing spectroscopic techniques (NMR, MS, UV, and IR). Its absolute stereochemistry was established by chemical degradation, derivatisation and chiral GC-MS analysis. A conformational analysis of 1 was made using MMFF. Leucamide A (1) was found to be moderately cytotoxic towards liver and stomach tumour cell lines.



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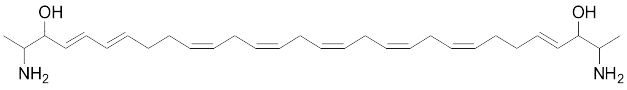

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