# Isolation, Structure, Synthesis and the Biological Activity of the Novel Nor-lignans from Anemarrhenae Rhizoma

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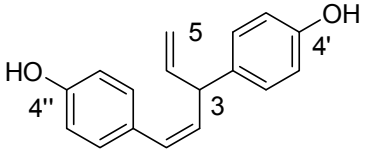
## Abstract

**Introduction**: Anemarrhena Rhizoma is a dried rhizome of *Anemarrehena asphodeloides* Bunge. Nyasol (*cis*-hinokiresinol) is a major nor-lignan constituent of this crude drug, which shows estrogenic, hyaluronidase inhibitory, and anti-angiogenic activities. In this paper, we report on the isolation, structure elucidation, synthetic study, and the biological activities of novel nor-lignans isolated from *A.* Rhizoma. **Method:** A. Rhizoma (1kg) was extracted with $CHCl_3$, and the $CHCl_3$ extract was subjected to Silica gel C.C., reversed phase C.C, GPC recycle HPLC, and RP-HPLC to give two novel nor-lignans (3''-hydroxy-4''-*O*-methyl nyasol, 3'-hydroxy-4'-*O*-methyl nyasol) together with three known lignans (nyasol, 4''-*O*-methyl nyasol, 3'-methoxy nyasol).The novel nor-lignan was synthesized using 4-hydroxybenzaldehyde and 2-methoxyphenol as starting materials. Totally, ten derivatives were prepared and evaluated the effect on the proliferation of HeLa and the anti-estrogenic activity against MCF-7 cell lines. **Results:** The novel nor-lignans showed the growth inhibition against HeLa at 70 times higher than that of nyasol. On the other hand, nyasol showed estrogenic activity, while, the novel nor-lignan showed the anti-estrogenic activity, and the effect was ten times higher than that of Tamoxifen. **Conclusion:** The novel nor-lignans may be useful for the development of new hormonal therapeutic agents against breast cancer.PCT Int. Appl. (2014), WO 2014136786 A1 20140912




nyasol (= *cis*-hinokiresinol)

**Keywords**: Anemarrhena Rhizoma, nor-lignan, anti-estrogenic activity

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