

苯并异硒唑酮氨基酸衍生物的合成及抗脂质过氧化作用

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收稿日期 修回日期 网络版发布日期 接受日期

**摘要** 在已知具有氧化作用的2-苯基-1,2-苯并异硒唑-3(2H)-酮(Ebselen)的基础上,将其2-位苯环更换成氨基酸乙酯,设计并合成了9个苯并异硒唑酮的氨基酸衍生物3~11.

这类化合物的抗氧化作用药理研究表明,它们对 $Fe^{2+}/$

半胱氨酸及维生素C诱发的大鼠肝微粒体脂质过氧化有抑制活性,部分化合物活性比母体化合物Ebselen更优.

**关键词** [氨基酸](#) [过氧化](#) [脂质](#) [苯并异硒唑酮](#)

分类号 [0629](#)

## Synthesis and anti-lipid peroxidation activity of amino acid derivatives of benzoiselenazolone

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**Abstract** Nine amino acid compounds (3~11) of benzoiselenazolone were synthesized, where the phenyl ring at 2 position of 2-phenyl-1,2-benzoiselenazol-3(2H)-one (Ebselen) with well-known antioxidative activity was replaced by ethyl aminoacetates. Effects of compounds 3~11 as well as Ebselen on  $Fe^{2+}/$  cysteine and vitamin C-induced rat liver microsome lipid peroxidation were investigated. Compounds 5,6 were more active than Ebselen in  $Fe^{2+}/$  cysteine system (Their  $IC_{50}$  were 14,12 and  $24\mu\text{mol/L}$  respectively). And in vitamin C system, compound 4,7,8,9 and 10 were more active than Ebselen (Their  $IC_{50}$  were 33,51,39,28,17.5 and  $66\mu\text{mol/L}$  respectively).

**Key words** [AMINO ACID](#) [PEROXIDATION](#) [LIPID\(E\)](#)

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