

- Pharmaceutical Design*, 2002, **8** (3): 177-200.
- [3] 徐云根(Xu YG), 罗 穗(Luo S), 华维一(Hua WY). 诱生型一氧化氮合酶选择性抑制剂的研究[J]. 药学进展(*Progress Pharm Sci*), 2000, **24** (3): 137-141.
- [4] 张大永(Zhang DY), 徐云根(Xu YG), 华维一(Hua WY), 等. 四氢异喹啉衍生的硫脲和异硫脲化合物的合成及 NOS 抑制活性研究 I [J]. 中国药科大学学报(*J China Pharm Univ*), 2003, **34** (5): 400-404.
- [5] Garvey EP, Oplinger JA, Tanoury GJ, *et al.* Potent and selective inhibition of human nitric oxide synthases[J]. *J Biol Chem*, 1994, **269** (3): 26669-26676.
- [6] 徐云根(Xu YG), 华维一(Hua WY), 朱东亚(Zhu DY), 等. *S*-烃基-1-烃基-3-[4-(苯并咪唑-2-巯基)苯基] 异硫脲的合成及其 iNOS 抑制活性[J]. 高等学校化学学报(*Chin J Chin Univ*), 2003, **24** (12): 2208-2217.
- [7] 徐云根(Xu YG), 罗 穗(Luo S), 华维一(Hua WY), 等. *S*-烃基-1-烃基-3-[4-(5-取代苯并咪唑-2-巯基)苯基] 异硫脲的合成及生物活性[J]. 有机化学(*Chin J Org Chem*), 2004, **24** (2): 210-215.
- [8] Zhu D, Li R, Liu G, *et al.* Nimodipine inhibits calcium-independent nitric oxide synthase activity in transient focal cerebral ischemia rats and cultured mouse astroglial cell[J]. *Life Sci*, 1999, **65** (14): 221-231.

# Synthesis and iNOS Inhibitory Activity of 1-Alkyl (or Hydro)-3-[4-(5-Substituted Benzimidazole (or Benzothiazole)-2-Mercapto) Phenyl] Thioureas

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**【ABSTRACT】** AIM: To study the synthesis and iNOS inhibitory activity of new thiourea derivatives. METHOD: Substitution, reduction, acylation and hydrolysis were used in the synthesis of the title compounds. The iNOS inhibitory activity of the target compounds was measured based on NO test kit method. RESULT and CONCLUSION: Thirteen compounds of 1-alkyl (or hydro)-3-[4-(5-substituted benzimidazole (or benzothiazole)-2-mercapto) phenyl] thioureas were prepared, and their structures were indentified by IR, <sup>1</sup>H NMR, MS and EA. The preliminary biological test showed that all of the compounds had the ability to inhibit iNOS, and compounds **6e** ~ **6i** had stronger activity than that of the control aminoguanidine.

**【KEY WORDS】** iNOS inhibitor; Thiourea; Synthesis; Septic shock; Inflammation

特 讯

## 《中国药科大学学报》荣获国家教育部科技司颁发 全国高等学校优秀期刊奖

为总结高校学术期刊的办刊经验, 推动学术期刊的改革与发展, 进一步发挥高校主办科技期刊在学科建设和人才培养中的作用, 不断提高其学术影响, 教育部科技司精心组织 了 2004 年全国高校优秀科技期刊评比活动。根据 2004 年 9 月 23 日教育部科学技术司(教技司[2004] 227 号)文件, 《中国药科大学学报》荣获 2004 年全国高等学校(A) 类优秀期刊二等奖; 目前全国高等院校共有自然科学学术期刊 1 000 多种, 竞争十分激烈, 荣誉来之不易。

此次评奖, 本着公开、公平、公正的原则, 制定了科学合理的评价指标体系, 《中国药科大学学报》在影响因子、被引频次、进入国内外检索系统等学术影响指标, 基金论文比等学术质量指标, 编辑规范、印刷装帧等编辑出版指标上, 都达到较高水平。今后, 编辑部将继续坚持办刊宗旨, 突出创新成果、前导预测、科研跟踪、权威评述, 坚持以高学术品味示人, 为科技人员报道新成果, 提供新思路, 应用新方法, 开拓新视野, 为创精品期刊而不懈努力。

(本刊讯)

# Preparation of Azithromycin Liposome and Its Entrapment Efficiency Determination

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**【ABSTRACT】** AIM: To prepare azithromycin liposome and to establish dialysis-HPLC method for determination of azithromycin and its entrapment efficiency. **METHOD:** The film-evaporation combining with freeze-thawing method was used to prepare azithromycin liposome. The determination of azithromycin and its entrapment efficiency in azithromycin liposomes was performed by dialysis-HPLC. The chromatographic procedure was carried out on a Lichrosphere-C<sub>18</sub> column (150 mm×4.6 mm, 5 μm), using the mixture of acetonitrile-isopropanol-0.002 mol/L K<sub>2</sub>HPO<sub>4</sub> (60:25:15, v/v/v) as mobile phase with the flow rate of 1.2 ml/min and UV detection wavelength set at 215 nm. **RESULT:** The particle size of azithromycin liposome was over 7 μm. The entrapment efficiency was more than 75%. Azithromycin had a good linear relation within the range of 5.08 ~ 508 μg/ml with the correlation coefficient of 0.9999. **CONCLUSION:** The film method with freeze-thawing step could be used to prepare lung-targeting azithromycin liposome. The dialysis-HPLC method was convenient, accurate and suitable for determination of azithromycin and the entrapment efficiency in azithromycin liposome.

**【KEY WORDS】** Azithromycin; Liposome; Freezing-thawing; Entrapment efficiency; Dialysis-HPLC

特 讯

## 2004 年《中国药科大学学报》影响因子再创新高

根据中国科技信息研究所公布的最新 2003 年度中国科技论文统计结果,《中国药科大学学报》的影响因子为 0.575, 位居全国药学科第 3 位。根据《中国学术期刊综合评价数据库(CAJCED)》最新统计数据, 在国内 5548 种统计刊源 140 万篇中文论文统计中,《中国药科大学学报》影响因子指标为: 0.7846, 与去年相比有了很大的提高。近些年来,《中国药科大学学报》立足本校学科优势, 坚持出精品、保持品牌特色, 努力创办一流的精品学术期刊, 目前已被美国化学文摘(CA)、国际药学文摘(IPA)、荷兰艾斯威尔文摘数据库(Elsevier)等国际著名检索机构收录, 并稳居国内药学科核心期刊前 10 名。《中国药科大学学报》在国内外的学术声誉不断提高, 吸引了越来越多的优秀稿源, 基金论文的比例不断攀升。

(本刊讯)