

论文

白三烯C₄ (LTC₄) 放射受体结合方法的建立及二苯乙烯低聚体和LTC₄受体结合特性

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摘要:

目的: 建立LTC₄放射受体结合实验方法, 并比较二苯乙烯低聚体(Gn-3)和LTC₄受体的结合特性。方法: 以豚鼠肺膜为实验材料, 采用³H-LTC₄为放射配体, 以FPL₅₅₇₁₂作阳性对照药物, Gn-3为实验药物, 进行药物竞争结合实验。采用离体器官生物检测法鉴定Gn-3对LTC₄受体的拮抗作用。结果: ³H-LTC₄与其相应受体呈现单一结合位点, Gn-3可明显取代³H-LTC₄与其受体结合。生物学检定法证实Gn-3可抑制LTC₄引起的生物学效应。结论: 豚鼠肺膜LTC₄受体为单一结合位点受体, Gn-3为高活性的LTC₄受体拮抗剂。

关键词: 白三烯C₄ 放射受体结合 二苯乙烯低聚体(Gn-3)

STUDIES ON THE CHARACTERISTICS OF LTC₄ RECEPTOR WITH RADIO-LIGAND BINDING ASSAY AND THE EFFECT OF STILBENE POLYMER(Gn-3)

Hou Yanning; Zhu Xiuyuan and Cheng Guifang

Abstract:

AIM: To set up a radio-ligand binding assay of LTC₄ for studying the effect of Gn-3(a stilbene polymer isolated from *Gnetum parvifolium*) on the LTC₄ receptor. METHODS: Guinea pig lung membrane was used as experimental materials, ³H-LTC₄ as radio ligand, FPL₅₅₇₁₂ as positive control drug and Gn-3 as test drug. Bioassay *in vitro* was used to determine the biological function of Gn-3. RESULTS: The binding of ³H-LTC₄ to its receptor was shown to be specific, saturable and reversible. The Ki and Bmax values were 2.7×10⁻¹⁰ mol. L⁻¹ and 3.55×10⁻¹³ mol. mg⁻¹ protein, respectively, at 30°C. Gn-3 was found to inhibit ³H-LTC₄ in competing for LTC₄ receptor. The IC₅₀ and Ki values were 6.39×10⁻⁷ mol. L⁻¹ and 3.32×10⁻⁷ mol. L⁻¹ respectively. Gn-3 was also found to be a LTC₄ receptor antagonist by bioassay *in vitro*. CONCLUSION: The binding of ³H-LTC₄ on guinea pig lung membrane showed a single binding site. Gn-3 is an effective LTC₄ receptor antagonist.

Keywords: radioreceptor assay Gn-3(stilbene polymer) LTC₄

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