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研究论文

萘普生噻唑衍生物的设计和合成及其环氧合酶-2抑制活性的体外评价

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摘要 基于环氧合酶-2 (COX-2)与COX-1结构上的差异, 设计了萘普生的噻唑衍生物, 以期利用COX-2的侧面口袋, 增加对COX-2的结合作用. 以萘普生为原料经四步反应合成7个目标化合物, 其结构经核磁共振氢谱、质谱和元素分析(或高分辨质谱)确证. 体外筛选结果表明, 化合物有一定的COX-2抑制活性.

关键词 萘普生 环氧合酶-2 抑制剂 噻唑衍生物

分类号

Design, Synthesis and *in vitro* Evaluation of Thiazole Derivatives of Naproxen as Cyclooxygenase-2 Inhibitors

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Abstract Based on the differences between cyclooxygenase-2 (COX-2) and COX-1, a series of derivatives of naproxen in which the carboxyl group was replaced with a variety of substituted thiazolyls were designed. Seven target compounds were synthesized in four steps with naproxen as a starting material and structurally confirmed by ^{1}H NMR, MS and elemental analysis or HRMS. The biological tests showed that some of them have inhibitory activity against COX-2 *in vitro*.

Key words naproxen cyclooxygenase-2 inhibitor thiazole derivative

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