

论文

PPAR γ 激动剂的设计、合成及其胰岛素增敏活性

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摘要:

目的寻找新的高效、低毒的PPAR γ 激动剂。方法以JTT-501和JTT-20993为先导化合物,设计并合成新的丙二酸类和异恶唑类化合物,并测定其胰岛素增敏活性。结果共合成了8个新化合物,用核磁共振、质谱和红外光谱进行结构确证,并用胰岛素筛选模型初步评价了这些化合物的胰岛素增敏活性。化合物1A-4A显示胰岛素增敏活性,其中化合物1A和3A有较强活性。结论化合物1A和3A值得进一步评价。

关键词: PPAR γ 激动剂 合成 胰岛素增敏活性

Design, synthesis and insulin-sensitizing activity of some peroxisome proliferator-activated γ agonists

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Abstract:

AimTo find new peroxisome proliferator-activated γ agonists with high activity and low toxicity. MethodsBased on JTT-501 and JTT-20993, new isoxazolidine-3,5-dione and noncyclic 1,3-dicarbonyl compounds were designed and synthesized. Their insulin-sensitizing activities were evaluated. ResultsEight new compounds were obtained. The structures of synthesized compounds were characterized by NMR, MS and IR. Four compounds (1A-4A) showed insulin-sensitizing activities. ConclusionCompounds (1A and 3A) showed excellent insulin-sensitizing activities and should be worth further investigation.

Keywords: syntheses insulin-sensitizing activity PPAR γ agonists

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