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老鼠筋生物碱A及其衍生物对 α -淀粉酶活性的影响

Study on Effect of *Ilicifolius Alkaloids A* (4-Hydroxy-2-benzoxazolone, HBOA) and Its Derivatives on α -Amylase Activity

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中文摘要:

目的 研究老鼠筋生物碱A及其衍生物对 α -淀粉酶活性的影响, 以为 α -淀粉酶抑制剂的进一步深入研究提供实验基础及结构依据, 并拓展老鼠筋生物碱A及其衍生物的应用范围。方法 以老鼠筋生物碱A及其衍生物为待测样品, 阿卡波糖为阳性对照, 采用Bernfeld法测定比较各化合物对 α -淀粉酶活性的影响。结果 a-1、a-2、a-3、a-4、a-5、b-7在样品浓度为0.625, 1.25, 2.5, 5.0, 10.0 $\text{g} \cdot \text{L}^{-1}$ 时均对 α -淀粉酶有抑制作用, 且在实验浓度范围内随着浓度增加抑制率增大, 浓度为10.0 $\text{g} \cdot \text{L}^{-1}$ 时抑制率分别为10.24%、71.52%、63.79%、19.71%、52.76%、38.96%。而a-7、b-3、b-1随浓度的增大, 表现出增强 α -淀粉酶水解活性的趋势。结论 推测老鼠筋生物碱A结构中的酚羟基是其对 α -淀粉酶起抑制作用的基本药效基团, 对老鼠筋生物碱A进行结构修饰时, 保留4-位酚羟基并在7-位引入氯原子、酰基或含羟基取代基均有利于抑制 α -淀粉酶的活性; 而以乙酰氧基取代4-位酚羟基, 并使7-位用含羧酸取代基取代或3-位无取代或以小分子基团取代时均有利于增强 α -淀粉酶的水解活性。

英文摘要:

To provide an evidence to do further study on inhibiting α -amylase and explore the application areas of *Ilicifolius Alkaloids A* (4-Hydroxy-2-benzoxazolone, HBOA). The effects of HBOA and its derivatives on α -amylase activity were also studied in this paper. METHODS With Acarbose as positive control, the effects of HBOA and its derivatives on α -amylase activity were compared by Bernfeld method. RESULTS a-1, a-2, a-3, a-4, a-5, b-7 could inhibit the activity of α -amylase, and the inhibition rate increased when the concentration increased in the experimental concentration range. Their inhibition rates were 10.24%, 71.52%, 63.79%, 19.71%, 52.76%, 38.96% on the 10.0 $\text{g} \cdot \text{L}^{-1}$ concentration. While a-7, b-3, b-1 could reinforce the activity of α -amylase. CONCLUSION The author suggested that in order to better define the inhibition effects of α -amylase there is a need to synthesize some HBOA derivatives which carry chlorine atom, acyl group or hydroxyl group at position 7 of the HBOA and retain the phenolic hydroxyl group at position 4. However, taking acetoxyl group at position 4 and carboxyl group at position 7 or small group can non-yl at position 3 could reinforce the activity of α -amylase.

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