

Full Papers

GABA门控的氯离子通道光亲和探针的设计与合成

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摘要

为了研究GABA门控的氯离子通道上杀虫活性化合物的结合位点, 以5e-叔丁基-2e-(4-取代丙炔基苯基)-1,3-二噻烷为先导, 设计和合成了作用于GABA门控的氯离子通道上非竞争结合位点的新光亲和探针化合物。通过³H

EBOB法测试, 新探针化合物的最大半抑制浓度小于35nM, 能够在300nm的紫外光的照射下迅速发生光反应。如果在这些化合物中引入同位素碘, 可望成为好的光亲和标记探针。

关键词 [光亲和标记](#) [GABA受体](#) [1,3-二噻烷](#)

分类号

Design and Synthesis of Photoaffinity Probe Candidates for the GABA-gated Chloride Channel

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Abstract In order to characterize binding sites of insecticidal compounds on GABA gated chloride channel, new photoaffinity probe candidates based on 5e-*t*-butyl-2e-[4-(substituted-propynyl)phenyl]-1,3-dithiane for the noncompetitive blocker (NCB) site of the γ -aminobutyric acid (GABA)-gated chloride channel were designed and synthesized, and their potency as an inhibitor on NCB was measured by 4'-ethynyl-4-*n*-[2,3-³H₂]-

propylbicycloorthobenzoate (³H EBOB) assay. The synthesized compounds showed high inhibition activities with half maximum inhibition concentrations (IC₅₀) of lower than 35 nmol/L and were very stable in binding conditions as well photoreacted quickly at 300 nm light. These new compounds are expected to be good photoaffinity labeling probes if radioisotope iodine is incorporated.

Key words [Keywords photoaffinity labeling](#) [GABA receptor](#) [1,3-dithiane](#)

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