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Affinity of 3-benzylidene- and 3-cinnamylidenemyosmine analogues for *Periplaneta americana* nicotinic acetylcholine receptors

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Abstract:

3-Benzylidenemyosmine analogues with substituents at the *ortho*-, *meta*-, and *para*-positions of the phenyl ring and 3-cinnamylidenemyosmine analogues with substituents at a *para*-position of the phenyl ring were synthesized. The affinity of the synthesized compounds for nicotinic acetylcholine receptors (nAChRs) in the nerve cord of the American cockroach (*Periplaneta americana* L.) was determined by radioligand binding assay using [³H]epibatidine. Of the compounds tested, 3-(2,4-dihydroxybenzylidene)myosmine **3** and 3-(4-hydroxybenzylidene)myosmine **4** displayed the highest potency, with IC₅₀ values of 0.120 and 0.310 μM, respectively. 3-(4-Dimethylaminobenzylidene)myosmine **15** with a *para*-dimethylamino group on the benzylidene moiety displayed moderate affinity (IC₅₀=5.49 μM). On the other hand, cinnamylidene analogues, 3-(4-dimethylaminocinnamylidene)myosmine **16** and 3-cinnamylidenemyosmine **17**, displayed moderate affinity, with IC₅₀ values of 2.07 and 3.52 μM, respectively.

Keywords:

nicotinic acetylcholine receptor, 3-benzylidenemyosmine, American cockroach

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