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Muscarinic ligands rinding to rat thymocythes distinction between ligand internalization and surface binding

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The presence and properties of muscarinic receptors on lymphocytes and thymocytes has recently been studied by the use of muscarinic antagonist 3H-Quinuclidinyll benzilate (3H-QNB). Unusual time course of this antagonist specific binding, which reveals 5 minutes maximum and subsequent decrease of bound radioactivity, suggested internalization of receptor-ligand complex. In the present study we have tested this phenomenon by the use of another muscarinic antagonist, 3H-N methyl piperidyl benzilate (3H-NMPB), which may be rapidly displaced by the excess of muscarinic antagonist, atropine. Our result showing that 3H-NMPB is entirely susceptible to atropine displacement only with first two minutes of incubation, supports the hypothesis that after binding to plasma membrane muscarinic receptors, the ligand-receptor complex is internalized and in this way hidden from atropine displacement. Furthermore, the kinetics of less lipophilic muscarinic antagonist 3H-N methyl scopolamine (3H-NMS), reveals "normal" shape (no 5 minutes maximum is observable). These data suggest the possibility of distinguishing between muscarinic ligand internalization and surface binding.