LIGANDS FOR THE SIGMA RECEPTOR AND THE $\mu$-OPIOID RECEPTOR

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ABSTRACT

The sigma receptor is a unique receptor family with two subtypes: sigma-1 and sigma-2. In order to conduct structure-activity relationship studies (SAR), a series of specific 1-phenylpropyl-4-benzylpiperidine and 1-phenylpropyl-4-benzylpiperazine analogues were synthesized as sigma ligands. Sigma receptors binding assays were conducted for the piperidine analogues.

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R = 4\text{-OCH}_3, 3\text{-OCH}_3, 4\text{-CH}_3, 3\text{-F}, 2\text{-Br}, 3\text{-NO}_2, 2\text{-NO}_2, 4\text{-NO}_2, 3\text{-I}
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The last chapter is concerned with the opioid receptors, which have three subtypes: mu($\mu$), delta($\delta$), and kappa($\kappa$). The $\mu$-opioid receptor is believed to be the subtype with the most clinical importance. In order to obtain $\mu$-opioid tetrapeptide ligand I-Dmt-$d$-Ala-Phe-Orn-NH$_2$ with high affinity and proper lipophilicity, mono-iodination reaction was studied on the 2,6-dimethyl-$L$-tyrosine residue.