

LIGANDS FOR THE SIGMA RECEPTOR AND THE μ -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.



R= 4-OCH₃, 3-OCH₃, 4-CH₃, 3-F, 2-Br, 3-NO₂, 2-NO₂, 4-NO₂, 3-I

The last chapter is concerned with the opioid receptors, which have three subtypes: mu(μ), delta(δ), and kappa(κ). The μ -opioid receptor is believed to be the subtype with the most clinical importance. In order to obtain μ -opioid tetrapeptide ligand I-Dmt-*D*-Ala-Phe-Orn-NH₂ with high affinity and proper lipophilicity, mono-iodination reaction was studied on the 2,6-dimethyl-*L*-tyrosine residue.