THE EFFECT OF STRUCTURAL MODIFICATIONS ON SIGMA RECEPTOR BINDING

Rong Xu
Dr. Susan Z. Lever, Dissertation Supervisor

ABSTRACT

The sigma receptor is a unique receptor family that has two subtypes: sigma1 and sigma2. The selective sigma1 ligands are found to have potential usage in central nervous system diseases, while sigma2 selective ligands can play an important role as biomarkers and therapeutic agents of tumor proliferation.

Based on two well characterized lead compounds, structural modifications were conducted in order to find new ligands that can bind sigma receptor subtypes with both high affinity and high selectivity; the possibility of new ligands as potential SPECT imaging agents was also explored.

Lead I    SA4503

Lead II    Conformationally flexible benzamide