1-SUBSTITUTED 3-(ω-AMINOALKYL)-1*H*-INDOLE DERIVATIVES AS POSSIBLE σ LIGANDS.

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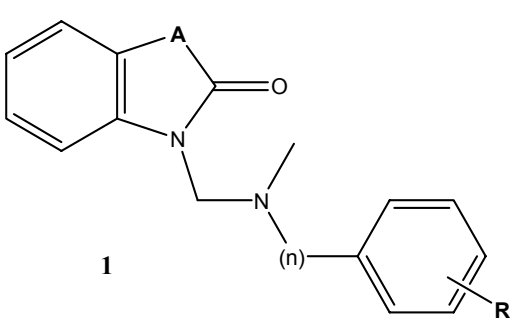
Sigma (σ) receptors are involved in several functions such as modulation and biosynthesis of several neurotransmitters, motor control, cell growth and proliferation [1]. The lack of any endogenous ligand and the existence of at least two sigma receptors subtypes σ_1 and σ_2 make it difficult to characterize their biological role. The interest for σ ligands stems from the possibility to develop clinical agents for the treatment of several CNS diseases (affective and motor disorders, cocaine abuse, cognitive impairment), for neuroprotection, tumor treatment and diagnosis[2]. The σ_2 receptor agonists results in morphological changes and apoptosis in various cell lines, including breast tumor cells. Thus, σ_2 receptors may be involved in regulating cell growth and proliferation.

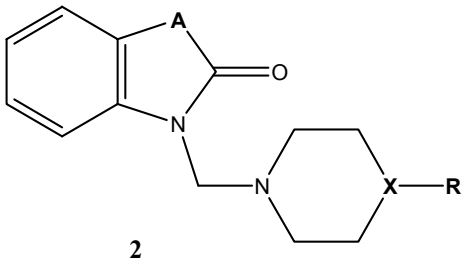
Several classes of structurally unrelated compounds interact with σ receptors, but only few σ_2 ligands are known.

With the aim to obtain new σ selective ligands, we synthesized some benzooxazol-2-one and benzooxazol-2-thione (**1** and **2)** derivatives.



1

A= O, S
n= 1,2,3
R= H, Cl, Br



2

A= O, S
X= CH, N
R= Ar, Ar-CH_2

All synthesized compounds will be tested for their σ receptors affinity.

[1] G. Ronsisvalle, O. Prezzavento, A. Marrazzo, F. Vittorio, E. Bousquet, R. Di Toro, S. Spampinato, *Eur. J. Pharm. Sci.*, 12 (2001) 277-284.
[2] K. W. Crawford, A.Coop, W.D.Bowen, *Eur. J. Pharmacol.*, 443 (2002) 207-209.