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Short communication

Effects of PB190 and PB212, new σ receptor ligands, on glucocorticoid receptor-mediated gene transcription in LMCAT cells

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Abstract:
The hyperactivity of the hypothalamic-pituitary-adrenocortical (HPA) axis is often observed in patients with major depression. It has even been implicated in the pathophysiology of this disease. Some antidepressant drugs (ADs) inhibit glucocorticoid receptor (GR) function under *in vitro* conditions. The σ_1 receptor agonists reveal potential antidepressant activity in animals, moreover, igmesine is promising as an AD in humans. As already shown, σ receptors are involved in stress-induced responses (e.g., conditioned fear stress in mice). The aim of the present study was to find out whether the new selective σ receptor ligands, PB190 and PB212, are able to affect directly the endocrine system activity. To this end, we evaluated their influence on GR function in mouse fibroblast cells (L929), stably transfected with mouse mammary tumor virus-chloramphenicol acetyltransferase (MMTV-CAT) plasmid (LMCAT cells). Fluvoxamine, a selective serotonin reuptake inhibitor, recognized as a σ_1 receptor agonist was used for comparison. The obtained results showed that both PB190 and PB212 (potential σ_1 receptor agonist and antagonist, respectively) like fluvoxamine, decreased the corticosterone-induced CAT activity in a concentration-dependent manner. The significance of this fact remains ambiguous and requires further studies.

Key words:
selective σ ligands, PB190, PB212, glucocorticoid-mediated gene transcription, fibroblast cells