Revisiting GABA Receptor Pharmacology

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Abstract

γ-Amino butyric acid (GABA) is the major inhibitory neurotransmitter in the mammalian central nervous system. Almost 60-75 % of the neurons in the central nervous system are GABAergic in nature and they are virtually absent outside the brain and the spinal cord. GABA produces inhibitory hyperpolarizing response by increasing the chloride (Cl-) conductance of the neuronal membrane. Increased GABAergic activity produces CNS depression, sedation, ataxia and amnesia. Any loss in GABAergic tone would lead to arousal response, insomnia and excitation. GABA is known to act on three distinct receptor subtypes, of which GABAA receptors are widely studied. The GABAA receptor is a ligand gated ion channel receptor and is influenced by diverse chemical ligands. Many drugs including benzodiazepines, alcohol, neurosteroids and inverse agonists modulated GABAA receptor mediated physiological functions.

Key words: GABAA receptors, Ethanol, Benzodiazepines, Inverse agonist, neurosteroids