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(54) Title: BACKGROUND OF THE INVENTION

(57) Abstract: This invention relates to benzimidazoles, pyridylimidazoles and related bicyclic heteroaryl compounds, all of which may be described by of Formula I. The invention is particularly related to such compounds that bind with high selectivity and high affinity to the benzodiazepine site of $GABA_A$ receptors. This invention also relates to pharmaceutical compositions comprising such compounds and to the use of such compounds in treatment of certain central nervous system (CNS) diseases. Novel processes for preparing compounds of Formula I are disclosed. This invention also relates to the use of benzimidazoles, pyridylimidazoles and related bicyclic heteroaryl compounds of Formula I in combination with one or more other CNS agents to potentiate the effects of the other CNS agents. Additionally this invention relates to the use such compounds as probes for the localization of $GABA_A$ receptors in tissue sections.