Identification of inosine as an endogenous modulator for the benzodiazepine binding site of the GABAA receptors

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摘要

Abstract

Previously we have reported the presence of endogenous ligands that are involved in the regulation of the binding of muscimol to the GABA binding site of the GABAA receptors. Here, we report the presence of multiple forms of endogenous ligands in the brain which modulate the binding of flunitrazepam (FNZP) to the benzodiazepine (BZ) binding site of the GABAA receptor. Furthermore, one of the endogenous ligands for the BZ receptors, referred to as EBZ, has been identified as inosine based on the following observations: (1) standard inosine and the EBZ have identical NMR and UV spectra; (2) the elution profile of inosine and the EBZ from a HPLC column are indistinguishable, and (3) inosine and the EBZ show identical activity in inhibiting [3H]FNZP binding.