INTERACTION OF METERGOLINE WITH D-2 DOPAMINE RECEPTORS

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Metergoline is known to be a powerful antagonist on 5-HT receptors. However, some evidence indicates that metergoline can inhibit prolactin secretion through activation of pituitary lactotrophes in rats (1). In the present study, the specific binding of [^{3}H]-YM-09151-2 to D-2 dopamine receptors in membranes of the bovine retina, as defined by the D-2 agonist PPHT, was displaced by increasing concentrations of metergoline. The concentration at which 50% of specific binding was displaced by metergoline (IC50) was calculated to be 10^{-6} M. In addition, metergoline was capable to inhibit dopamine-stimulated adenylate cyclase activity in membranes of the bovine retina in a dose-dependent fashion with an IC50 value of 10^{-6} M. These results bring a direct evidence that metergoline may act as a powerful agonist on the D-2 dopamine receptor.
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1. Krulich et al., *Endocrinology*, **108**, 1115-1124, 1981