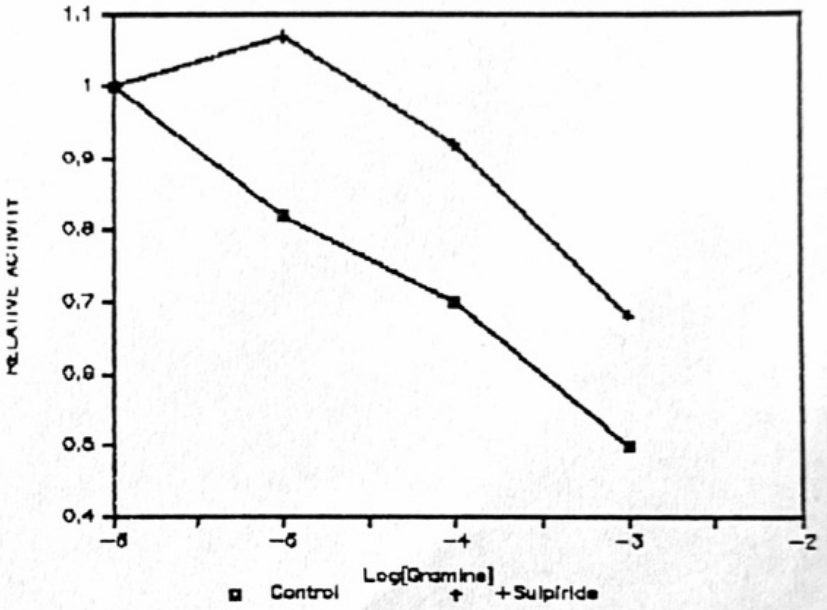
INTERACTION OF 5HT ANTAGONISTS WITH D-2 RECEPTORS

A. Capasso, V. Carginale, B. De Petrocellis, P. De Prisco, L. Madonna, E. Parisi
CNR Institute of Protein Biochemistry and Enzymology, Napoli, Italy

We have previously reported (Parisi et al 1988; Capasso et al 1988) that the sea urchin egg contains an adenylate cyclase activity, which is stimulated by dopamine and inhibited by gramine and metergoline in a non-competitive fashion. Membrane treatment with pertussis toxin brings about an attenuation of the indolamine inhibition, thus demonstrating the involvement of a guanine nucleotide-binding protein in the inhibition mechanism.



In the present study, we have investigated the nature of the indolamine receptor by using sulpiride as a specific D-2 antagonist. Membranes prepared from unfertilized sea urchin eggs were assayed for adenylate cyclase activity in the presence and in the absence of sulpiride with gramine used at four concentration levels (logarithmic increments).

In the figure it is reported the inhibitory effect of gramine on dopamine-stimulated adenylate cyclase with sulpiride added (+---+) or not (▒---▒) to the incubation mixture. The data show that in the presence of sulpiride, gramine inhibition was significantly attenuated.

These results show that indolamine derivatives usually used as 5HT antagonists may be also active as D-2 agonists in the adenylate cyclase system.

References

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