BIOLOGICAL ACTIVITY:
Blonanserin (AD–5423) is a D2/5–HT2 receptor antagonist, atypical antipsychotic.
Target: D2 receptor; 5–HT2 receptor
Blonanserin (AD–5423) is a relatively new atypical antipsychotic for the treatment of schizophrenia. Blonanserin belongs to a series of 4–phenyl–2–(1–piperazinyl)pyridines and acts as an antagonist at dopamine D2, D3, and serotonin 5–HT2A receptors. Blonanserin has low affinity for 5–HT2C, adrenergic α1, histamine H1, and muscarinic M1 receptors, but displays relatively high affinity for 5–HT6 receptors [1]. AD–5423 bound preferentially to dopamine (DA)–D2 (Ki, 14.8 nM; cf. haloperidol, 8.79 nM; and clozapine, 149 nM) and serotonin (5–HT)–S2 (Ki, 3.98 nM; cf. haloperidol, 26.8 nM; and clozapine, 8.66 nM) receptors. It displayed low affinity for adrenaline (Ad)–alpha–1 (Ki, 56.3 nM) receptors and was virtually devoid of binding to DA–D1 (Ki, 2870 nM), 5–HT–S3, Ad–alpha–2, Ad–beta, muscarine, tau–aminobutyric acid and benzodiazepine receptors. In addition, AD–5423 was only a weak inhibitor of DA, 5–HT and noradrenaline uptake systems. AD–5423 (0.2–2 mg/kg p.o.) decreased exploratory activity in mice. AD–5423 (10 mg/kg p.o.), unlike haloperidol, did not antagonize SKF38393–induced vacuous oral movements in rats. Head twitches induced by 1–(2,5–dimethoxy–4–iodophenyl)–2–aminopropane in mice and by para–chloroamphetamine in rats were antagonized by AD–5423 at much lower doses (0.5–2 mg/kg p.o.) than those of haloperidol and clozapine [2].

References: