NOVEL ADENOSINE RECEPTOR ANTAGONIST IMPROVES PARKINSONIAN SYMPTOMS AND CONSTIPATION

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Parkinson’s disease (PD) is a progressive neurological disorder affecting mainly elderly people. The primary clinical symptoms of this disease are akinesia, tremor, and rigidity. It has been also reported that constipation is frequent in patients with PD.

E3210 is a novel compound that possesses high binding affinities for adenosine A₁, A₂A, and A₂B receptors. In animal studies, E3210 has shown a significant stimulatory effect on motor function in naïve mice, attenuation of reserpine-induced catalepsy in a mouse model for PD, and synergistic increase of the duration of turning behavior induced by L-3,4-dihydroxyphenylalanine (L-dopa) in 6-hydroxydopamine (6-OHDA)-lesioned hemiparkinsonian rats. Furthermore, E3210 not only has been shown to improve motor activity, but also to enhance the effect of L-dopa in 1-methyl-4-phenyl-1,2,3,6-tetrahydropyridine (MPTP)-treated common marmosets. E3210 has also demonstrated a significant stimulatory effect on defecation without causing diarrhea, which is attributed to adenosine A₂B receptor antagonism.

Given these characteristics, E3210 is a potentially useful drug for treatment of parkinsonian symptoms and constipation in PD patients.