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**Review**

# Pharmacokinetics and pharmacodynamics of aliskiren, an oral direct renin inhibitor

Włodzimierz Buczko, Justyna M. Hermanowicz

Department of Pharmacodynamics, Medical University of Białystok, Mickiewicza 2C, PL 15-089 Białystok, Poland

**Correspondence:** Włodzimierz Buczko, e-mail: pharmdyn@amb.edu.pl

**Abstract:**
Intensive efforts have been spent to discover therapeutic, non-peptide and orally effective hypertensive drugs. One drug that emerged from this effort is aliskiren, a direct human renin inhibitor that blocks the conversion of angiotensinogen to angiotensin I (Ang I). In contrast to other antihypertensive agents, aliskiren decreases plasma renin activity (PRA). In healthy human subjects, doses of between 40 and 640 mg of aliskiren exert a dose-dependent reduction in PRA and Ang I and Ang II levels. The bioavailability of aliskiren is low (2%), peak plasma concentrations are reached within one to three hours and the binding with plasma proteins achieves approximately 47–51%. Aliskiren is slightly metabolized (20%) by CYP3A4. The most common adverse events include diarrhea, headache, back pain and gastrointestinal disorders. Aliskiren is well tolerated, and may be used alone or in combination with other antihypertensive agents.
Aliskiren belongs to a new class of agents that effectively and specifically inhibit the RAS. This drug functions through a novel mechanism of action and has the potential to become a true alternative to angiotensin converting enzyme inhibitors and angiotensin receptor blockers in the therapy of hypertension and other cardiovascular and renal disorders.

**Key words:**
angiotensin, renin inhibitor, aliskiren