Cardiovascular Drug Reviews
Vol. 18, No. 2, pp. 127–154

A Review on Telmisartan: A Novel, Long-Acting Angiotensin II-Receptor Antagonist

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Key Words: ABPM—Angiotensin II (AT_1) receptor antagonist—Hypertension—Telmisartan.

ABSTRACT

Telmisartan is a potent, long-lasting, nonpeptide antagonist of the angiotensin II type-1 (AT_1) receptor that is indicated for the treatment of essential hypertension. It selectively and insurmountably inhibits stimulation of the AT_1 receptor by angiotensin II without affecting other receptor systems involved in cardiovascular regulation. Very high lipophilicity, a unique feature of telmisartan, coupled with a high volume of distribution, indicate that the compound offers the clinically important advantage of good tissue penetration. Telmisartan is not a prodrug and has a longer terminal elimination half-life than other commercially available sartans (~24 h), making it suitable for once-daily dosing. The compound is not metabolized by cytochrome P450 isoenzymes and has a low risk for P450-based drug interactions. In animal models, telmisartan exhibits pronounced cardio- and reno-protective effects in animals with severe, essential hypertension. In clinical studies, telmisartan shows comparable antihypertensive activity to members of other major antihypertensive classes, such as ACE inhibitors, beta blockers and calcium antagonists. These trials have confirmed the placebo-like safety and tolerability of telmisartan in hypertensive patients. Based on these data, telmisartan offers advantages over other sartans and represents an important new treatment option for hypertension.