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Azelnidipine

A Viewpoint by Hirofumi Tomiyama and Akira Yamashina

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Azelnidipine is a new dihydropyridine calcium channel blocker (calcium channel antagonist) having selective blockade for L-type calcium channels. After oral administration to healthy volunteers, peak plasma concentrations of azelnidipine occurred within 2–3 hours and the plasma half-life ($t_{1/2}$) was 14–20 hours.

Calcium channel blockers are used as a first-line treatment in hypertension because of their efficacy in lowering blood pressure. However, reflex tachycardia (sympathetic activation) caused by the potent and rapid vasodilator effects of some calcium channel blockers may be harmful, irrespective of blood pressure reduction. To prevent this reflex sympathetic activation, long-lasting calcium channel blockers, such as amlodipine or slow-retard nifedipine, are widely prescribed. The trough-to-peak ratio (TP ratio) is one of the parameters reflecting a long-lasting hypotensive effect of antihypertensive medication. A calcium channel blocker having a TP ratio >50% is thought to have less influence on the sympathetic nervous system. The TP ratio of azelnidipine is similar to that of amlodipine (50–60%), and both drugs have demonstrated little influence on heart rates, irrespective of the reduction in blood pressure.

As mentioned above, the pharmacokinetics of azelnidipine and amlodipine are different. The $t_{1/2}$ of amlodipine (30–50 hours) is notably longer than that of azelnidipine. However, *in vitro* studies suggest azelnidipine has a long-lasting calcium channel receptor-binding effect. This might be one of the mechanisms accounting for the long-lasting hypotensive effect of azelnidipine.

In conclusion, azelnidipine demonstrates good antihypertensive efficacy. It seems to have less influence on the sympathetic nervous system than other calcium channel blockers. Further studies are proposed to evaluate the clinical benefit of the potent and long-lasting calcium channel receptor-binding effect of azelnidipine. ▲