

心筋サルコレンマ $\text{Na}^+ - \text{Ca}^{2+}$ 交換に及ぼす ニカルジピンの作用

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A Possible Action of Nicardipine on the Cardiac Sarcolemmal $\text{Na}^+ - \text{Ca}^{2+}$ Exchange

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ABSTRACT The effects of nicardipine on sodium-calcium exchange activity of cardiac sarcolemma-enriched vesicles isolated from the rat heart were examined. Sodium-loaded, sarcolemma-enriched vesicles, when exposed to a medium containing $40 \mu\text{M}$ CaCl_2 , exhibited about 5 nmoles Ca^{2+} /mg protein of the maximal calcium uptake; the initial rate was 21 nmoles Ca^{2+} /mg protein/min. The calcium uptake was dependent on the extravesicular concentration of calcium ion. Nicardipine at concentrations of 0.1 to $10 \mu\text{M}$ depressed the rate of calcium uptake activity by 60-90%. The isolated membrane vesicles preloaded with Ca^{2+} showed a calcium efflux activity, when exposed to a medium containing sodium ion. The rate of calcium efflux was 2.5 nmoles Ca^{2+} /mg protein/min, when measured in a medium containing 6.5 mM NaCl. The efflux rate was facilitated with increased concentrations of sodium ion in the medium. About 75% of the preloaded calcium in the vesicles was released within 3 min of incubation. The rate of calcium efflux was stimulated in the presence of 0.1 to $10 \mu\text{M}$ nicardipine (2.5- to 4-fold increase). The present results suggest a possible action of nicardipine on the sodium-calcium exchange mechanism at cardiac sarcolemmal sites.

抄録 ラット心筋から単離したサルコレンマの $\text{Na}^+ - \text{Ca}^{2+}$ 交換に及ぼすニカルジピンの作用を検討した。このサルコレンマは $40 \mu\text{M}$ CaCl_2 存在下で 5 nmoles Ca^{2+} /mg protein の

Ca²⁺ uptake 能を有し、初期反応速度は 21 nmoles Ca²⁺/mg protein/min であった。ニカルジピン 0.1-10 μM でこの Ca²⁺ uptake を抑制した。このことはニカルジピンが心筋の Na-Ca 交換反応に影響を及ぼす可能性を示唆した。

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