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**Adrenergic Receptor Genotype-Related Changes in cAMP Levels in peripheral Blood Mononuclear Cells after Multiple-Dose Oral Procaterol.**

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**PURPOSE:** To evaluate the beta2-adrenergic receptor (beta2AR) genotype frequency in the Japanese population and the relationship between beta2AR genotype at amino acid position 16 (beta2AR-16) and desensitization to beta2-agonist ex vivo.

**METHODS:** The beta2AR genotypes at amino acid positions 16, 27, and 164 of 92 healthy Japanese subjects were determined by polymerase chain reaction-restriction fragment-length polymorphism. The relationship between the beta2AR-16 genotype and the desensitization to beta2-agonist was examined in 10 male subjects ex vivo. Procaterol tablet (HCl salt, 50 microg, Meptin) was given orally for 5 days, and peripheral blood was obtained before and after 5 days of consecutive medications followed by the assessment of the intracellular cAMP levels in peripheral blood mononuclear cells after incubation with or without procaterol hydrochloride (0-1000 ng/mL).

**RESULTS:** Allele frequency was Arg16:Gly16 = 46%:54%, Gln27:Glu27 = 92%:8%, and Thr164:Ile164 = 100%:0%, respectively. The cAMP levels were increased by incubation with procaterol hydrochloride, and the increase was suppressed after 5 days of consecutive medications. The suppression was more significant in the homozygote for Gly16 than the homozygote for Arg16.

**CONCLUSIONS:** The desensitization to beta2-agonist was associated more frequently with the mutation at beta2AR-16 (Gly16).