# ヒト血小板におけるセロトニントランスポーターへの [$^3$H] 6 －nitroquipazineの高親和性結合

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## High－affinity binding of [$^3$H] 6－nitroquipazine to 5－hydroxytryptamine transporter in human platelets

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**ABSTRACT** The characteristics of the binding [$^3$H] 6－nitroquipazine, a very potent and selective inhibitor of 5－hydroxytryptamine (5－HT；serotonin) uptake, to human platelet membranes were studied at a physiological temperature of 37℃. The presence of a single saturable high－affinity binding component for [$^3$H] 6－nitroquipazine was demonstrated. Non－specific binding was estimated in the presence of 1 $\mu$M paroxetine. Scatchard analysis revealed an apparent equilibrium dissociation constant ($K_d$) of 0.450 ± 0.04 nM and a maximal number of binding sites ($B_{max}$) of 2508 ± 360 fmol / mg protein (mean ± S. D., n = 4). The kinetically derived dissociation constant ($K_d$) was 0.431 nM. [$^3$H] 6－nitroquipazine binding was inhibited selectively by 5－HT uptake inhibitors, and the potency of various drugs to inhibit [$^3$H] 6－nitroquipazine binding closely correlated with their inhibitory effects on [$^3$H] 5－HT uptake into synaptosome. Moreover, $K_i$ values for drug inhibition of [$^3$H] 6－nitroquipazine binding to human platelet membranes were significantly correlated with the corresponding $K_i$ values for inhibition of [$^3$H] 6－nitroquipazine binding at 37℃. The present results suggest that the binding sites for [$^3$H] 6－nitroquipazine are associated with the 5－HT transporter in human platelets.

**抄録**　ヒト血小板における [$^3$H] 6 －nitroquipazine の結合実験を37℃で行った。[$^3$H] 6 －nitroquipazine 結合は，セロトニン再吸収阻害剤によって選択的に阻害され，[$^3$H] 5 －HT の再吸収を阻害する力価と良好な相関関係がみられた。又，ヒト血小板における [$^3$H] 6 －nitroquipazine の結合に対する $K_i$ 値は，[$^3$H]paroxetine 結合に対する $K_i$ 値と良好な関係にあった。本実験の結果は，[$^3$H] 6 －nitroquipazine の結合がヒト血小板の

セロトニントランスポーターと関連がある事を示唆している。