Noradrenaline (NA)-induced relaxation is mainly elicited through the β_3 -adrenoceptor (β_3 -AR) in rat detrusor smooth muscle (DSM)

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β -ARs are sub-classified into three subtypes (β_1 - β_3). Among these, β_3 -ARs are present in various types of SM including DSM and are believed to play a role in relaxations of these muscles. To date, there has been little information available about the endogenous ligand that stimulates β_3 -ARs to produce relaxations in DSM. In this study, to determine whether NA is an endogenous ligand of DSM β_3 -ARs, NA-induced relaxation was pharmacologically analysed using rat DSM. In isolated rat urinary bladder tissues, mRNAs for β_1 -, β_2 -, and β_3 -ARs were detected using RT-PCR. In DSM preparations contracted with methacholine (3×10^{-5} M), NA-induced relaxation was not inhibited by atenolol (10^{-6} M), ICI-118,551 (3×10^{-8} M), propranolol (10^{-7} M), and bupranolol (10^{-7} M). In the presence of propranolol (10^{-6} M), NA-induced relaxation was competitively inhibited by bupranolol (3×10^{-7} - 3×10^{-6} M) or SR59230A (10^{-7} - 10^{-6} M), with their pA_2 values being 6.64 and 7.27, respectively. None of the six NA metabolites showed significant relaxation in methacholine-contracted DSM. These findings suggest that NA, but not its metabolites, is an endogenous ligand for β_3 -ARs to produce relaxations of DSM in rats.