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P2X receptors (version 2019.4) in the IUPHAR/BPS Guide to Pharmacology Database

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Abstract

P2X receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on P2X Receptors [46, 134]**) have a trimeric topology [118, 132, 177] with two putative TM domains, gating primarily Na^+, K^+ and Ca^{2+}, exceptionally Cl^-. The Nomenclature Subcommittee has recommended that for P2X receptors, structural criteria should be the initial criteria for nomenclature where possible. X-ray crystallography indicates that functional P2X receptors are trimeric and three agonist molecules are required to bind to a single receptor in order to activate it [132, 88, 96, 161]. Native receptors may occur as either homotrimers (*e.g.* P2X1 in smooth muscle) or heterotrimers (*e.g.* P2X2:P2X3 in the nodose ganglion [251], P2X1:P2X5 in mouse cortical astrocytes [146], and P2X2:P2X5 in mouse dorsal root ganglion, spinal cord and mid pons [50, 207]. P2X2, P2X4 and P2X7 receptors have been shown to form functional homopolymers which, in turn, activate pores permeable to low molecular weight solutes [229]. The hemi-channel pannexin-1 has been implicated in the pore formation induced by P2X7 [188], but not P2X2 [38], receptor activation.

Contents

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