IUPHAR/BPS Guide to Pharmacology CITE
https://doi.org/10.2218/gtopdb/F77/2020.4

P2X receptors (version 2020.4) 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 [48, 141]**) have a trimeric topology [124, 139, 188] 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 [139, 93, 101, 170]. Native receptors may occur as either homotrimers (*e.g.* P2X1 in smooth muscle) or heterotrimers (*e.g.* P2X2:P2X3 in the nodose ganglion [265], P2X1:P2X5 in mouse cortical astrocytes [155], and P2X2:P2X5 in mouse dorsal root ganglion, spinal cord and mid pons [52, 221]. P2X2, P2X4 and P2X7 receptor activation can also lead to influx of large cationic molecules, such as NMDG, Yo-Pro, ethidium or propidium iodide [200]. The hemi-channel pannexin-1 was initially implicated in the action of P2X7 [201], but not P2X2, receptors [40], but this interpretation is probably misleading. Convincing evidence now supports the view that the activated P2X7 receptor is immediately permeable to large cationic molecules, but influx proceeds at a much slower pace than that of the small cations Na^+, K^+, and Ca^{2+} [64].

Contents

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