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P2X receptors in GtoPdb v.2023.1

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Abstract

P2X receptors (**nomenclature as agreed by the NC-IUPHAR Subcommittee on P2X Receptors [49, 146]**) have a trimeric topology [118, 128, 144, 197] with two putative TM domains per P2X subunit, gating primarily Na^+, K^+ and Ca^{2+}, exceptionally Cl^-. The Nomenclature Subcommittee has recommended that for P2X receptors, structural criteria should be the initial basis 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 trimeric assembly in order to activate it [118, 144, 95, 103, 177]. Native receptors may occur as either homotrimers (*e.g.* P2X1 in smooth muscle) or heterotrimers (*e.g.* P2X2:P2X3 in the nodose ganglion [280], P2X1:P2X5 in mouse cortical astrocytes [162], and P2X2:P2X5 in mouse dorsal root ganglion, spinal cord and mid pons [53, 234]. P2X2, P2X4 and P2X7 receptor activation can lead to influx of large cationic molecules, such as $NMDG^+$, Yo-Pro, ethidium or propidium iodide [211]. The permeability of the P2X7 receptor is modulated by the amount of cholesterol in the plasma membrane [193]. The hemi-channel pannexin-1 was initially implicated in the action of P2X7 [212], but not P2X2, receptors [41], but this interpretation is probably misleading [215]. 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+} [66].

Contents

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Introduction to P2X receptors
https://www.guidetopharmacology.org/GRAC/FamilyIntroductionForward?familyId=77
Channels and Subunits
P2X1
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=478
P2X2
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=479
P2X3
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=480
P2X4
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=481
P2X5
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=482
P2X6
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=483
P2X7
https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=484

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