

The Purinergic P2X Receptors Ligand-Gated Cation Channels

Ofra Gohar Ph.D.

Introduction

ATP has been identified as an excitatory neurotransmitter and neuromodulator during physiological processes. The released ATP activates a class of receptors named purinergic receptors: the metabotropic P2Y receptors and the ionotropic P2X receptors.^{1,2}

The P2X receptors belong to the ligand-gated ion channel family and are responsible for fast excitatory neurotransmission and are involved in diseases of the nervous system.

The Structure of P2X Receptors

The P2X receptor family consists of at least seven isoforms: P2X1-P2X7.^{1,2,3} P2X receptors, like other ion channels, are oligomeric proteins composed of more than one subunit per functional receptor. All P2X subunits can assemble to form homomeric or heteromeric

functional channels with the exception of P2X6, which only seems to function as part of a heteromeric complex and P2X7 that seems to be the only subunit that does not assemble with other P2X subunits.⁴⁻⁹ The number of subunits per heteromeric functional receptor is at

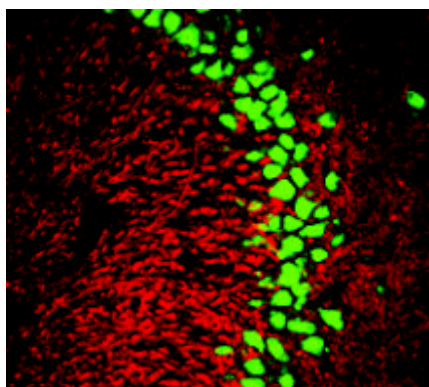
the present unclear. Electrophysiological studies suggest a trimer as a minimal unit for P2X receptors.⁴ To date, four functional heteromultimers including P2X2-P2X3, P2X4-P2X6, P2X1-P2X5 and P2X2-P2X6 have been identified.^{1,7}

P2X Receptors: Expression and Distribution

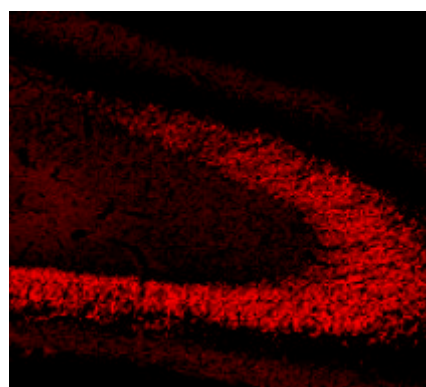
The different P2X receptors show distinct expression patterns. P2X1-6 have been found in the central and peripheral nervous system, while the P2X7 receptor is found in cells of the immune system, particularly antigen

presenting cells, and microglia, where it mediates the release of proinflammatory cytokines, stimulation of transcription factors and may also have an important role in apoptosis.^{3,5,9,10}

Recent data has identified the P2X7 receptor in the nuclear envelope of phenotypically heterogeneous neurons and as an ATP-gated channel in the nuclei of diverse cell types.¹¹



◀ Immunofluorescence staining of P2X7 using **Anti-P2X7** antibody (#APR-004) in rat hippocampus (CA2-CA3), visualized by confocal microscopy. P2X7 (red) and NeuN (green).



◀ Immunofluorescence staining of P2X7 using **Anti-P2X7** antibody (#APR-004) of gerbil hippocampus (CA2-CA3), visualized by confocal microscopy.

Contributed by Amadio S., Cavaliere F. and Volonte C. CNR Fondazione Santa Lucia, Italy.

The P2X Receptors and the Pain Pathway

Data accumulated in the last several years implicates the involvement of P2X receptors in pain pathways. Attention was focused in particular on the P2X3 receptor because of its selective expression in structures associated with pain signal (small and medium size DRG

neurons, peripheral and central sensory terminals and superficial dorsal horns).^{5,12,13} P2X3 receptor is expressed as a homomeric receptor as well as heteromeric one (P2X2-P2X3).^{5,10,12,14} In cases of tissue trauma, tumor or migraine, large quantities of ATP are released, activating the

P2X3 receptor, which facilitates transmission of nociceptive signals from the periphery to the spinal cord, contributing to the intense sense of pain that occurs under these conditions.^{5,10,15} The P2X receptors and P2X3 in particular have become a possible target for analgesic drugs.

■ Correspondence to: Ofra Gohar Ph.D. Email: ogohar@alomone.com

Related Products

Purinergic P2X Receptor Antibodies

Technical Information					Ordering Information
Description	Application	Reactivity Confirmed	Epitope	Epitope location	Cat. #
Anti-P2X1	WB, IH	rat, human	Peptide corresponding to residues 382-399 of rat P2X1.	Intracellular, C-terminus.	APR-001
Anti-P2X2	WB, IH	rat	Peptide corresponding to residues 457-472 of rat P2X2.	Intracellular, C-terminus.	APR-003
Anti-P2X4	WB, IH	rat	Peptide corresponding to residues 370-388 of rat P2X4.	Intracellular, C-terminus.	APR-002
New Anti-P2X6	WB	rat	Peptide corresponding to residues 363-379 of rat P2X6.	Cytoplasmic.	APR-013
Anti-P2X7	WB, IH	rat, mouse	Peptide corresponding to residues 576-595 of rat P2X7.	Intracellular, C-terminus.	APR-004

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