

IP₃ receptor

Overview: The inositol 1,4,5-trisphosphate receptors (IP₃R) are ligand-gated Ca²⁺ release channels on intracellular Ca²⁺ store sites (such as the endoplasmic reticulum). They are responsible for the mobilization of intracellular Ca²⁺ stores and play an important role in intracellular Ca²⁺ signalling in a wide variety of cell types. Three different gene products (types I–III) have been isolated, which assemble as large tetrameric structures. IP₃Rs are closely associated with certain proteins: calmodulin and FKBP (and calcineurin via FKBP). They are phosphorylated by PKA, PKC, PKG and CaMKII.

Nomenclature	IP ₃ R1	IP ₃ R2	IP ₃ R3
Other names	INSP3R1	INSP3R2	INSP3R3
Ensembl ID	ENSG00000150995	ENSG00000123104	ENSG00000096433
Endogenous activators	Ins(1,4,5)P ₃ (nM–μM), cytosolic Ca ²⁺ (<750 μM), cytosolic ATP (<mM)	Ins(1,4,5)P ₃ (nM–μM), cytosolic Ca ²⁺ (nM)	Ins(1,4,5)P ₃ (nM–μM), cytosolic Ca ²⁺ (nM)
Pharmacological activators	InsP ₃ analogues including Ins(2,4,5)P ₃ , adenophostin A (nM)	InsP ₃ analogues including Ins(2,4,5)P ₃ , adenophostin A (nM)	–
Antagonists	Xestospongine C (μM), caffeine (mM), phosphatidylinositol 4,5-bisphosphate (μM), heparin (μg·mL ⁻¹), decavanadate (μM), calmodulin at high cytosolic Ca ²⁺	Heparin (μg·mL ⁻¹), decavanadate (μM)	Heparin (μg·mL ⁻¹), decavanadate (μM)
Functional characteristics	Ca ²⁺ : (P _{Ba} /P _K ~ 6) single-channel conductance: ~70 pS (50 mM Ca ²⁺)	Ca ²⁺ : single-channel conductance: ~70 pS (50 mM Ca ²⁺), ~390 pS (220 mM Cs ⁺)	Ca ²⁺ : single-channel conductance: ~88 pS (55 mM Ba ²⁺)

The absence of a modulator of a particular isoform of receptor indicates that the action of that modulator has not been determined, not that it is without effect.

Abbreviation: FKBP, FK506-binding protein

Further Reading

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