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https://doi.org/10.2218/gtopdb/F7/2025.3

Apelin receptor in GtoPdb v.2025.3

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Abstract

The apelin receptor (**nomenclature as agreed by the NC-IUPHAR Subcommittee on the apelin receptor [73] and subsequently updated [75]**) responds to apelin, a 36 amino-acid peptide derived initially from bovine stomach. apelin-36, apelin-13 and [Pyr[1]]apelin-13 are the predominant endogenous ligands which are cleaved from a 77 amino-acid precursor peptide (*APLN*, Q9ULZ1) [88]. A second family of peptides discovered independently and named Elabela [13] or Toddler, that has little sequence similarity to apelin, is present, and functional at the apelin receptor in the adult cardiovascular system [98, 71]. The enzymatic pathways generating biologically active apelin and Elabela isoforms have not been determined but both propeptides include sites for potential proprotein convertase processing [81]. Structure-activity relationship Elabela analogues have been described [65, 90]. The stoichiometry of apelin receptor-heterotrimeric G protein complexes has been studied using cryogenic-electron microscopy [99]. A crystal structure for the apelin receptor in complex with a G protein-biased agonist has been reported [96].

Contents

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