Apelin

Overview: The apelin receptor (APJ, provisional nomenclature previously designated as an orphan) 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 that are cleaved from a 77 amino-acid precursor peptide (ENSG00000171388) by a so far unidentified enzymatic pathway (Tatemoto *et al.*, 1998).

Nomenclature	APJ
Other names	Apelin receptor, angiotensin receptor-like 1
Ensembl ID	ENSG00000134817
Principal transduction	$G_{i/o}$
Rank order of potency	[Pyr^1]apelin-13 ≥ apelin-13 > apelin-36 (Tatemoto *et al.*, 1998; Fan *et al.*, 2003)
Selective agonists	[Pyr^1]apelin-13, apelin-13, apelin-17, apelin-36
Probes	[^{125}I]-[Pyr^1]Apelin-13 (0.3 nM, Katugampola *et al.*, 2001), [^{125}I]-apelin-13 (Fan *et al.*, 2003), [^{3}H]-[Pyr^1][$Met(0)^{11}$]apelin-13 (Medhurst *et al.*, 2003), [^{125}I]-[Nle^{75},Tyr^{77}]apelin-36 (Kawamata *et al.*, 2001), [^{125}I]-[$Glp^{65}Nle^{75}$,Tyr^{77}]apelin-13 (Hosoya *et al.*, 2000)

Potency order determined for heterologously expressed human APJ receptor (pD_2 values range from 9.5 to 8.6). APJ may also act as a co-receptor with CD4 for isolates of human immunodeficiency virus, with apelin blocking this function (Cayabyab *et al.*, 2000). A modified apelin-13 peptide, apelin-13(F13A) was reported to block the hypotensive response to apelin in rat *in vivo* (Lee *et al.*, 2005); however, this peptide exhibits agonist activity in HEK293 cells stably expressing the recombinant APJ receptor (Fan *et al.*, 2003).

Further Reading

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