Cholecystokinin

Overview: Cholecystokinin receptors (nomenclature recommended by the NC-IUPHAR Subcommittee on CCK receptors, Noble et al., 1999) are activated by the endogenous peptides cholecystokinin (CCK)-4, CCK-8, CCK-33 and gastrin. There is evidence for species homologues of CCK receptors distinguished by the relative affinities of the two stereoisomers of devazepide, R-L365260 and S-L365260, or by the differences in affinity of the agonist BC264 (Durieux et al., 1992).

<table>
<thead>
<tr>
<th>Nomenclature</th>
<th>CCK; CCK&lt;sub&gt;a&lt;/sub&gt;, CCK/gastrin</th>
</tr>
</thead>
<tbody>
<tr>
<td>Other names</td>
<td>CCK&lt;sub&gt;a&lt;/sub&gt;, CCK&lt;sub&gt;b&lt;/sub&gt;/gastrin</td>
</tr>
<tr>
<td>Ensembl ID</td>
<td>ENSG00000163394, ENSG00000110148</td>
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<td>Principal transduction</td>
<td>G&lt;sub&gt;a&lt;/sub&gt;, G&lt;sub&gt;i&lt;/sub&gt;</td>
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<tr>
<td>Rank order of potency</td>
<td>CCK-8 ≈ gastrin, des-CCK-8 &gt; CCK-4</td>
</tr>
<tr>
<td>Selective agonists</td>
<td>Devazepide (9.8), T0632 (9.6), SR27897 (9.2)</td>
</tr>
<tr>
<td>Probes</td>
<td>[H]-Devazepide (0.2 nM)</td>
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</tbody>
</table>

A mitogenic gastrin receptor, which can be radiolabelled with [<sup>125</sup>I]-gastrin-(1–17) and which appears to couple to the Gs family of G proteins, has been described in human colon cancer cells (Bold et al., 1994) and other cell lines (e.g. pancreatic AR42J and Swiss 3T3 fibroblasts, Seva et al., 1994; Singh et al., 1995).

Abbreviations: A71623, Boc-Trp-Lys(O-tolylaminocarboxylate)-Asp(NMe)-Phe-NH<sub>2</sub>; BC264, Tyr(SO<sub>2</sub>)<sub>3</sub>-nGly-Trp-(NMe)Nle-Ase-Phe-NH<sub>2</sub>; GV150013, (+)-N-[1-adamantane-1-methyl]-2,4-dioxo-5-phenyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine-3-yl)-N<sup>2</sup>-phenylurea; GWS8283, 2-[3-(1H-indazol-3-ylmethyl)-2,4-dioxo-5-phenyl-2,3,4,5-tetrahydrobenzo[<b]<i>b</i>],[1,4]diazepin-1-yl]-N-isopropyl-N-(methoxyphenyl)acetamide; IQM95333, (4øS,5øS)-2-benzyl-5[N-(tert-butoxycarbonyl)-L-Trp]amino-1,3-dioxoethylpiperidino[1,2-<i>c</i>]pyrimidine; JMV1800, Boc-Trp(SO<sub>2</sub>H)Abx-Gly-Trp-Abx-Asp(pseudoepheiteral ester; L365260, 3H]<i>+</i>[(-)-(2S,4S)-d-hydro-1-2-oxo-5-phenyl-1H,14-benzodiazepine-3-yl]-N<sup>3</sup>-{3-methylphenyl}urea; L740093, N-[5R]-5-[3-azabicyclo[3.2.2]nonan-3-yl]-2,3-dihydro-1-methyl-2-oxo-1H,1,4-benzodiazepine-3-yl)-N<sup>3</sup>-{3-methylphenyl}urea; LY262691, trans-5-{4-[bromomethyl phenyl]-3-oxo-4-5-dihexyn-1-pyrroldinecarboxamide(3.3.1.1<sup>3</sup>)}; PD140376, L-3-[4-(aminomethyl)phenyl]-N-{(aminoethyl)-N}-[tricyclo(3.3.1.1<sup>3</sup>Trp)]-D-3-phenylethyl]-<i>β</i>-Ala; PD140548, N-{(aminoethyl-N-[(tricyclo(3.3.1.1<sup>3</sup>Trp)]-D-3-phenylethyl]-<i>β</i>-Ala; PD143208, iodinated PD140548; RB400, HOOC-CH<sub>2</sub>-CO-Trp-NMe-Nle-Ase-Phe-NH<sub>2</sub>; RP73870, (((RS); SR27897, 1-[2-[4-(dichloromethyl)thiazole-2-yl]aminocarboxylate]indolylyctic acid; T0632, sodium (S)-3-[(3,3-dihydro-2-oxo-1H-indole)propanoate; YM022, (R)-1-(2,3-dihydro-1-[2-methylphenacyl]-2-oxo-5-phenyl-1H,1,4-benzodiazepine-3-yl)-3-[3-methylphenyl]urea

Further Reading


References

