# Bradykinin

**Overview:** Bradykinin (or kinin) receptors [nomenclature recommended by the NC-IUPHAR subcommittee on bradykinin (kinin) receptors, Leeb-Lundberg *et al*., 2005] are activated by the endogenous peptides bradykinin (BK), [des-Arg$^9$]BK, Lys-BK (kallidin), Lys-[des-Arg$^9$]BK, T-kinin (Ile-Ser-BK), [Hyp$^3$]BK and Lys-[Hyp$^3$]BK. The variation in affinity or inactivity of $B_2$ receptor antagonists could reflect the existence of species homologues of $B_2$ receptors.

| | | |
|---|---|---|
| Nomenclature | $B_1$ | $B_2$ |
| Ensembl ID | ENSG00000100739 | ENSG00000168398 |
| Principal transduction | $G_{q/11}$ | $G_{q/11}$ |
| Rank order of potency | Lys-[des-Arg$^9$]BK > [des-Arg$^9$]BK = Lys-BK > BK | Lys-BK ≥ BK >> [des-Arg$^9$]BK, Lys-[des-Arg$^9$]BK |
| Selective agonists | Lys-[des-Arg$^9$]BK, Sar[DPhe$^8$][des-Arg$^9$]BK | [Phe$^8$,$\psi$($CH_2$-NH)Arg$^9$]BK, [Hyp$^3$,Tyr(Me)$^8$]BK |
| Selective antagonists | B9958 (9.2, Regoli *et al*., 1998), R914 (8.6, Gobeil *et al*., 1999), R715 (8.5, Gobeil *et al*., 1996a), Lys-[Leu$^8$][des-Arg$^9$]BK (8.0) | Icatibant (8.4, Gobeil *et al*., 1996b), FR173657 (8.2, Rizzi *et al*., 1997), LF160687 (Pruneau *et al*., 1999) |
| Probes | [$^3$H]-Lys-[des-Arg$^9$]BK (0.4 nM), [$^3$H]-Lys-[Leu$^8$][des-Arg$^9$]BK, [$^{125}$I]-Hpp-desArg$^9$HOE140 (0.1 nM) | [$^3$H]-BK (0.2 nM), [$^3$H]-NPC17731 (50–900 pM), [$^{125}$I]-[Tyr$^8$]BK |

**Abbreviations:** **B9958**, Lys-Lys[Hyp$^3$,Cpg$^5$,dTic$^7$,Cpg$^8$][des-Arg$^9$]BK; **FR173657**, (*E*)-3-(6-acetamido-3-pyridyl)-*N*-(*N*-[2,4-dichloro-3{(2-methyl-8-quinolinyl)oxymethyl} phenyl]-*N*-methylaminocarbonyl-methyl)acrylamide; **Icatibant**, DArg[Hyp$^3$,Thi$^5$,DTic$^7$,Oic$^8$]BK, also known as HOE140; **LF160687**, 1-([2,4-dichloro-3-{([2,4-dimethylquinolin-8-yl]oxy)methyl}phenyl]sulfonyl)-*N*-(3-[{4-(aminoimethyl)phenyl}carbonylamino] propyl)-2(S)-pyrrolidinecarboxamide; **NPC17731**, DArg[Hyp$^3$,DHypE(transpropyl)$^7$,Oic$^8$]BK; **R715**, AcLys[D Nal$^7$,Ile$^8$][des-Arg$^9$]BK; **R914**, AcLys-Lys-([$\alpha$Me]Phe$^5$$\delta$-$\beta$Nal$^7$,Ile$^8$)desArg$^9$BK

### Further Reading


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