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Corticotropin-releasing factor receptors in GtoPdb v.2025.3

Frank M. Dautzenberg[1], Dimitri E. Grigoriadis[2], Richard L. Hauger[3], Victoria B. Risbrough[3], Thomas Steckler[1], Wylie W. Vale[4] and Rita J. Valentino[5]

1. Johnson & Johnson Pharmaceutical Research & Development, Belgium
2. Neurocrine Biosciences, USA
3. University of California San Diego, USA
4. Salk Institute, USA
5. Children's Hospital of Philadelphia, USA

Abstract

Corticotropin-releasing factor (CRF, **nomenclature as agreed by the NC-IUPHAR subcommittee on Corticotropin-releasing Factor Receptors [35]**) receptors are activated by the endogenous peptides corticotrophin-releasing hormone, a 41 amino-acid peptide, urocortin 1, 40 amino-acids, urocortin 2, 38 amino-acids and urocortin 3, 38 amino-acids. CRF_1 and CRF_2 receptors are activated non-selectively by CRH and UCN. CRF_2 receptors are selectively activated by UCN2 and UCN3. Binding to CRF receptors can be conducted using radioligands [^{125}I]Tyr^0-CRF or [^{125}I]Tyr^0-sauvagine with K_d values of 0.1-0.4 nM. CRF_1 and CRF_2 receptors are non-selectively antagonized by α-helical CRF, D-Phe-CRF-(12-41) and astressin. CRF_1 receptors are selectively antagonized by small molecules NBI27914, R121919, antalarmin, CP 154,526, CP 376,395. CRF_2 receptors are selectively antagonized by antisauvagine and astressin 2B. Although selective small molecule CRF_1 receptor antagonists were not effective in treating major depressive disorder, posttraumatic stress disorder, or alcohol use disorder in clinical trials, recent phase 2 studies have found that CRF_1 receptor antagonists effectively reduce adrenocortical androgens and precursors in congentical adrenal hyperplasia [61].

Contents

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