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A Brief Summary for 5-HT Receptors

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As a group of G protein-coupled receptors (GPCRs) and ligand-gated ion channels (LGICs), the serotonin (5-HT) receptors are found in the central and peripheral nervous systems. After activated by the neurotransmitter serotonin, their natural ligand, 5-HT receptors mediate both excitatory and inhibitory neurotransmission by modulating the release of many neurotransmitters, including glutamate, GABA, dopamine, epinephrine/norepinephrine, and acetylcholine, as well as many hormones, including oxytocin, prolactin, vasopressin, cortisol, corticotropin, and substance P, among others. 5-HT receptors influence various biological and neurological processes such as aggression, anxiety, appetite, cognition, learning, memory, mood, nausea, sleep, and thermoregulation. Accordingly, 5-HT receptors are the target of a variety of pharmaceutical drugs, including many antidepressants, antipsychotics, anorectics, antiemetics, gastroprokinetic agents, antimigraine agents, hallucinogens, and entactogens.

In order to help the potential readers to understand the complicated network of 5-HT receptors, here we try to summarize the comprehensive information on 5-HT receptors in a concise summary with detailed references, which may help the readers for further information excavation.

Receptor: 5-HT 1A [1]

Structure: GPCR [2]

Localization: Soma; dendrites, axon hillock, cell body and process of astrocytes, Cornu Ammonus, Hilus of Dentate Gyrus, Locus Coeruleus, Cervical Spinal Cord, Pontine Nuclei, Brainstem Tectum, White Matter of Cerebral Cortex, White Matter of Spinal Cord, Reticular neruons in brain stem, Dorsal Rahpe Nuclei [3]; Midbrain Rahpe Nuclei [4]; Anterior Raphe Nuclei [5]; Hippocampus, Septum [1].

Selective antagonist: WAY 100 635 [6]; (S)-UH301 [7]; NAD 299(Robalzotan) [8]; Spiroxatrine [9]; Idocyanopinolol [10]; SB 272183 [11]; SB 649915 [12]; GSK588045 [13].

Selective agonist: 8-OH-DPAT [14]; U 92016A [15]; ipsapirone [16]; F 15599 [17]; N-Desalkylquetiapine [18]; BP 554 [19]; DMT (Dimethyltryptamine) [20].

Application value: Epilepsy [21]; Anxiety/Depression [22]; Panic disorder [23]; Aggression [24]; Cognition [25]; Schizophrenia [26]; hypothermia [27]; hyperphagia [28].

Receptor: 5-HT 1B [29]

Structure: GPCR [29].

Localization: CNS: Axon terminals [4,30]; Globus Pallidus, Substantia Nigra [31]; Caudate Nucleus, Lateral Geniculate Nuclei, Spinal Trigominal Nucleus [32].

Selective antagonist: GR 55562 [33]; SB 224289 [34]; SB 236057 [35]; Cyanopindolol; NAS - 181α [36]; GR 127935 [37]; SB 272183 [11]; AR-A000002 [38]; SB 649915 [12]; SB-616234-A [39]; GSK588045 [13].

Selective agonist: L 694247 [40]; CP-94253 [41]; D 16949 (Anpirtoline) [42]; CGS 12066B [43]; SB 216641 [44]; RU 24969 [45]; CP 93129 [46].

Application value: Depression [47]; Anxiety [48]; Aggression [49]; Migraine [50]; Drug Addicton [51]; increased locomotor activity [52]; brain reward mechanisms (agonist); Alcoholism [53]; Sleep [54]; hypothermia [55].

Receptor: 5-HT 1D [56]

Structure: GPCR [56].

Localization: Raphe nuclei; Cortex, Caudate, Globus Pallidus [57]; Basal Ganglia, Substantia Nigra, Hippocampal Formation, Raphe Nuclei [58]; Caudate Nucleus, Lateral Geniculate Nuclei, Spinal Trigominal Nucleus [32]; Globus Pallidus [59].

Selective antagonist: BRL 15572α [44]; SB 714786 [60]; GR 127935 [37]; SB 272183 [11]; GSK588045 [13].

Selective agonist: Sumatripatan [32]; PNU 109291 [61]; L 694247 [62]; BRL 15572 [44]; 5-nonyloxytryptamine [63].

Application value: Migraine [64].

Receptor: 5-HT 1E [65]

Structure: GPCR

Localization: Cortex, Claustrum [66]; Caudate, Putamen [59].

Receptor: 5-HT 1F [67]

Structure: GPCR

Localization: Intermediate Neocortical Layers, Mammillary Nuclei, Thalamic Nuclei, Claustrum, Intermidiate Cortical Layers, Parafascicular Nucleus, Caudate Nucleus, Lateral Geniculate Nuclei, Spinal Trigominal Nucleus [32].

Selective agonist: LY 344864 [68]; Sumatripatan [32].

Application value: Migraines [68].

Receptor: 5-HT 2A

Structure: GPCR

Localization: Olfactory Bulb, Neocortex, Claustrum, Piriform Cortex, Mammilllary Bodies, Pontine Nuclei, Red Nuclei, Cranial Motor Nuclei [69]; Plasma Membrane, T-Tubules in Contracting Myotubes, Skeletal Muscle Myoblasts [70].

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Selective antagonist: Ketanserin [10]; "(1R, 3S)-(−)-Trans-PAT [71]; α R-96544 [72].

Application value: Depression [73]; anxiety [74]; schizophrenia [75]; eating disorders [76]; sleep disorder [77].

Receptor: 5-HT 2B [78]

Structure: GPCR

Localization: Pancreas, Liver, Kidney [79]; AV12-664 [79]

Selective antagonist: RS 127445 [81]; SB 200646 [82]; SB 204741[83]; SB 206553 [84]; SDZ HTF 919 (Tegaserod) [85]; "(1R, 3S)-(−)-Trans-PAT [71]; SB 215505 [86].

Selective Agonist: BW 723C86 [87]

Application value: Depression [88]; anxiety [74]; sleep disorder [89]; Migraines [90].

Receptor: 5-HT 2C [90]

Structure: GPCR [90]

Localization: Choroid Plexus [91]; Frontal Cortex, Septum, Hypothalamus [92]; Striatum [91]; Thalamus, Midbrain, Brain Stem, Cerebellum, Spinal Cord [92]; Hippocampus, Cerebral Cortex, Substantia Nigra [91]; Intestine, Heart, Kidney [90].

Selective antagonist: SB 242084 [93]; RS 102221 [94]; N-desmethylclozapine [95]; SB 200646 [82]; SB 206553 [84]; S32212 [96]; Clozapine [95].

Selective agonist: Ro600175; Lorcaserin [97]; WAY-163909 [36]; YM 348 [98]; (1R, 3S)-(−)-Trans-PAT [71].

Application value: anxiety [99]; obesity [100]; cognition.

Receptor: 5-HT 3 [101]

Structure: LGIC

Localization: Limbic Area, Striatal Area [102] Hippocampus, Amygdala, Cortical Area [103]; Putamen, Nucleus accumbens, Caudate Nucleus [104].

Selective antagonist: Granisetron (BRL 43694) [105]; Ondansetron [106]; Tropisetron [107]; Y-25130 [108]; Zacopride [109]; Renapride [40]; BRL 46470 [110]; VA21B7 [111]; N-3389 [112]; DAU 6215 [113].

Selective agonist: SR 57227A [114]; m-chlorophenyl-biguanide [115]; Azilsartan [113]; YM 31636 [116].

Application value: Anxiety [117]; SIDS [118]; Emisis [119]; Irritable Bowel Syndrome [120].

Receptor: 5-HT 4 [121]

Structure: GPCR [122].

Localization: Atrium [123]; GI Tract [124]; Striatum [121].

Selective antagonist: GR 113808 [125]; SB 204070 [126]; RS 100235 [127]; α RS 39604 [128]; SDZ 205, 557α [129]; RS 23957-190 [130]; SB 207266 [131], DAU 6285 [132]; N-3389 [112].

Selective agonist: BIMU 8 [133]; RS 67506 [134]; ML 10302 [135]; BIMU 1 [133]; zacopride [109]; RS 67333 [134]; L-Lysine [136]; PF-01354082 [137]; TS 951 [138]; SK-951 [139]; CJ 033466 [140]; SL65.0155 [141]; DAU 6215 [133].

Application value: Cognition [141]; anxiety [142]; Learning [143]; Emisis [144]; Emotions, Reward Systems, Memory, Motor Activity [145]; Irritable Bowel Syndrome [120].

Receptor: 5-HT 5A [146]

Structure: GPCR

Selective antagonist: SB 699551-A [147].

Selective agonist: 5-CT; Valerian [148]; Valerenic Acid [148]

Application value: Unknown

Receptor: 5-HT 5B [149]

Structure: GPCR

Selective agonist: 5-CT

Application value: Unknown

Receptor: 5-HT 6 [78]

Structure: GPCR

Localization: Olfactory tubercle [78]; striatum; nucleus accumbens; hippocampus; cerebral cortex; Stomach [78].

Selective antagonist: Ro 630563 [150]; SB 271046 [151]; SB 357134 [152]; Ro 046790 [153].

Application value: cognition [153]; schizophrenia [154]; depression [155]; anxiety [155]; stress; epilepsy [21]; obesity [156].

Receptor: 5-HT 7 [157]

Structure: GPCR

Localization: Pyramidal hippocampus; tenia tecta; amygdaloid; mammillary nuclei [157].

Selective antagonist: SB 258719 [158]; SB 269970 [159,160]; SB 656104 [161]; SB 258741 [162]

Selective agonist: 8-OH-DPAT [163]

Application value: Depression [164]; schizophrenia [154]; sleep disorder [165]; epilepsy [21]; cognition [166]; Anxiety [167]; OCD [168]; Mood, learning, neuroendocrine behaviours, vegetative behaviours [157].

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