

**Table S1. Reported allosteric modulators of family A G protein-coupled receptors**

Receptor	Modulator example(s)
<b>GPCR Family A</b>	
Adenosine A <sub>1</sub>	(PD 81723; PD 117975; PD 78416; PD 71605; LUF 5484; T-62); <sup>1</sup> (VCP 520; VCP 333) <sup>2</sup>
Adenosine A <sub>2</sub>	Amilorides
Adenosine A <sub>3</sub>	VU5455Z; VU8504Z; DU124183; [LUF6000 (compound 3 in paper)] <sup>3</sup> (AM 251; 2-arachidonoylglycerol or 2-AG) <sup>4</sup>
Adrenoceptor α <sub>1</sub>	Amilorides; benzodiazepines; conopeptide; p-TIA
Adrenoceptor α <sub>2A</sub> , α <sub>2B</sub>	Amilorides (and possibly sodium ions) <sup>5</sup>
Adrenoceptor β <sub>2</sub>	Zinc <sup>6</sup>
Cannabinoid CB <sub>1</sub>	Org27569 <sup>7</sup> ; Org27759 <sup>7</sup> ; PSNCBAM-1 <sup>8</sup> ; (JHW 007, RTI-371) <sup>9</sup>
Chemokine CXCR1	Reparixin <sup>10</sup> ; SCH527123 <sup>12</sup> (Compound 2-27-these inhibit CXCL8 that activates CXCR1-overall inhibitors of CXCR1) <sup>11</sup> (SCH-479833 with an update on SCH527123) <sup>12,14</sup>
Chemokine CXCR2	Reparixin <sup>10</sup> ; SCH527123 <sup>12</sup> ; SB656933 <sup>13</sup> ; DF2162 <sup>13</sup> ; (SCH-479833 with an update on SCH527123) <sup>12,14</sup>
Chemokine CXCR3	IP-10, I-TAC
Chemokine CXCR4	RSVM <sup>15</sup> ; ASLW <sup>15</sup> ; trichosanthin <sup>16</sup> ; plerixafor <sup>17</sup>
Chemokine CCR1	BX-471 <sup>18</sup> ; CP-481-715 <sup>19</sup> ; UCB35625 <sup>20</sup>
Chemokine CCR3	UCB35625 <sup>20</sup>
Chemokine CCR5	Trichosanthin <sup>16</sup> ; TAK779 <sup>21</sup> ; Aplaviroc (also, AK602 and 873140 <sup>21</sup> ) <sup>22</sup> ; AK530 <sup>23</sup> ; TAKK 220 <sup>24</sup> ; SCH351125 (also, ancriviroc) <sup>25</sup> ; vicriviroc <sup>26</sup> ; maraviroc <sup>27</sup>
Dopamine D <sub>1</sub>	Zinc <sup>28</sup>
Dopamine D <sub>2</sub>	Amiloride <sup>29</sup> , zinc,
Endothelin ET <sub>A</sub>	aspirin, sodium salicylate <sup>30</sup>
Gonadotropin-releasing hormone receptor (GnRH)	Furan Derivative -1 (appears to be bitopic) <sup>31</sup> ; TAK-013 <sup>31</sup> ;
GH secretagogue	L-629,429, GHRP-6, MK-677 <sup>32</sup>
Luteinizing hormone	Org 41841 <sup>33</sup> , [ <sup>3</sup> H]Org 43553 <sup>34</sup>
mAChR M1	Brucine; BQCA; TBPB; AC-42; 77-LH-28-1; N-DMC; VU0119498 <sup>35</sup>
mAChR M2	staurosporine; <sup>36</sup> ML071 <sup>37</sup> ML169; ML137;
mAChR M3	McN-A-343; BR384; gallamine; <sup>38</sup> W84; <sup>39</sup> AC-42; 77-LH-28-1 <sup>40</sup>
mAChR M4	VU0119498 <sup>35</sup>
mAChR M5	LY2033298; VU0010010; VU0152099; ML108; Thiochrome; <sup>35</sup> WIN 62577; <sup>41</sup> aluronium; ML173, ML253, ML293
Neurokinin NK <sub>1</sub>	ML129; VU0119498; <sup>35</sup> VU0365114; VU0400265 <sup>42</sup> ; ML172, ML375
Opioid μ, δ	Heparin
Purine P2 <sub>Y1</sub>	Cannabidiol <sup>43</sup>
Serotonin 5HT <sub>1B/1D</sub>	2,2-o-pyridylisatogen tosylate
Serotonin 5HT <sub>2A</sub> , 5HT <sub>2</sub>	5HT-modulin
Serotonin 5HT <sub>2C</sub>	Oleamine <sup>44</sup>
	Oleamine; <sup>44</sup> PNU-69176E <sup>45</sup>

2-AG, 2-arachidonoylglycerol; 5-HT, 5-hydroxytryptophan (serotonin), CCR, chemokine CC-motif receptor; CXCR, chemokine CXC-motif receptor; GnRH, gonadotropin-releasing hormone; mAChR, muscarinic acetylcholine receptor

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