Granny Storm Crow's List - January 2015

THE ENDOCANNABINOID SYSTEM

2010 to 2015

ABHD6/ α/β-hydrolase domain 6 **+ - breaks down 2-AG**

The serine hydrolase ABHD6 controls the accumulation and efficacy of 2-AG at cannabinoid receptors. (full – 2010)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC2970523/

DAGLβ inhibition perturbs a lipid network involved in macrophage inflammatory responses. (full – 2012) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3513945/

Biochemical and pharmacological characterization of human α/β-hydrolase domain containing 6 (ABHD6) and 12 (ABHD12). (full – 2012)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3466009/

Implication of the anti-inflammatory bioactive lipid prostaglandin D2-glycerol ester in the control of macrophage activation and inflammation by ABHD6. (full – 2013)
http://www.pnas.org/content/110/43/17558.long

The serine hydrolase ABHD6 Is a critical regulator of the metabolic syndrome. (full – 2013) http://www.cell.com/cell-reports/fulltext/S2211-1247%2813%2900507-X

Parsing the players: 2-AG synthesis and degradation in the CNS (full – 2013)
http://onlinelibrary.wiley.com/doi/10.1111/bph.12411/full

Selective inhibition of alpha/beta-hydrolase domain 6 attenuates neurodegeneration, alleviates blood brain barrier breakdown, and improves functional recovery in a mouse model of traumatic brain injury. (full – 2013)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3636589/

Discovery of triterpenoids as reversible inhibitors of α/β-hydrolase domain containing 12 (ABHD12). (full – 2014) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC4045134/

ABHD6 Blockade Exerts Antiepileptic Activity in PTZ-Induced Seizures and in Spontaneous Seizures in R6/2 Mice. (abst – 2014)
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Effect of selective inhibition of monoacylglycerol lipase (MAGL) on acute nausea, anticipatory nausea, and vomiting in rats and Suncus murinus. (abst – 2014)
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2-AG / 2-ARACHIDONOYLGLYCEROL + - CB 1 agonist

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http://pharmrev.aspetjournals.org/content/62/4/588.full.pdf+html

The serine hydrolase ABHD6 controls the accumulation and efficacy of 2-AG at cannabinoid receptors. (full – 2010)
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Enhancement of endocannabinoid signaling by fatty acid amide hydrolase inhibition: a neuroprotective therapeutic modality. (full – 2010)
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Dietary docosahexaenoic acid supplementation alters select physiological endocannabinoid-system metabolites in brain and plasma (full – 2010)
http://www.jlr.org/content/51/6/1416.full.pdf+html

Abnormal mGlu 5 receptor/endocannabinoid coupling in mice lacking FMRP and BC1 RNA. (full – 2010) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3055456/

Enhanced endocannabinoid signaling elevates neuronal excitability in fragile X syndrome. (full – 2010) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC2906112/

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CBR- CBe +* – endothelial cannabinoid receptor

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CBR –GPR-18 CANNABINOID RECEPTOR + - activated by Abnormal CBD, NAGly, O-1602, THC, Anandamide

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CBR – GPR-40 CANNABINOID RECEPTOR/ FFAR1 + - activated by GW1100, TAK-875

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CBR - GPR55/ CB3 CANNABINOID RECEPTOR +*

Activated by l-α-lysophosphatidylinositol (LPI), and to a lesser extent possibly by THC, CBD,O-1602, PEA, 2-AG, Anandamide, Virodhamine

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Granny Storm Crow's List - January 2015

ENDOCANNABINOID SYSTEM GENETICS

2010- 2015

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ATT / (ATT)n GENES +

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Granny Storm Crow's List - January 2015

PHYTOCANNABINOIDS and RELATED COMPOUNDS

All Years

AMYRINS + – phytochemicals that inhibit the breakdown of 2-AG,

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ANTHOCYANINS/ ANTHOCYADINS + – plant pigments, moderately activate CB1 & CB2 receptors

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Granny Storm Crow's List - January 2015

SYNTHETICS and COMPOUNDS THAT AFFECT the ECS

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Discriminative stimulus functions of AM-1346, a CB1R selective anandamide analog in rats trained with Delta9-THC or (R)-methanandamide (AM-356). (abst – 2006)
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Intrathecal cannabilactone CB(2)R agonist, AM1710, controls pathological pain and restores basal cytokine levels. (full– 2012)
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AM-2201 – CB1 agonist

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Analysis of 30 synthetic cannabinoids in serum by liquid chromatography-electrospray ionization tandem mass spectrometry after liquid-liquid extraction (abst – 2012)
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First European case of convulsions related to analytically confirmed use of the synthetic cannabinoid receptor agonist AM-2201. (abst – 2012)
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Validation of a Novel Immunoassay for the Detection of Synthetic Cannabinoids and Metabolites in Urine Specimens. (abst – 2013)
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Prevalence of synthetic cannabinoids in blood samples from Norwegian drivers suspected of impaired driving during a seven weeks period. (abst – 2013) http://www.ncbi.nlm.nih.gov/pubmed/24129318

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F200A substitution in the third transmembrane helix of human cannabinoid CB1 receptor converts AM2233 from receptor agonist to inverse agonist. (abst – 2006)
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Evaluation of the in vivo receptor occupancy for the behavioral effects of cannabinoids using a radiolabeled cannabinoid receptor agonist, R-[125/131I]AM2233. (abst – 2006) http://www.ncbi.nlm.nih.gov/pubmed/16715483

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Characteristics of the designer drug and synthetic cannabinoid receptor agonist AM-2201 regarding its chemistry and metabolism. (abst – 2013)
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Inhibitor of fatty acid amide hydrolase normalizes cardiovascular function in hypertension without adverse metabolic effects. (full – 2010)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3003779/

Convergent translational evidence of a role for anandamide in amygdala-mediated fear extinction, threat processing and stress-reactivity (full – 2012)
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Sulfonyl Fluoride Inhibitors of Fatty Acid Amide Hydrolase (full – 2012)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3678964/

Acute reduction of anandamide-hydrolase (FAAH) activity is coupled with a reduction of nociceptive pathways facilitation in medication-overuse headache subjects after withdrawal treatment. (abst – 2012)
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http://www.ncbi.nlm.nih.gov/pmc/articles/PMC4064474/

AM-4054 - CB1 agonist

Behavioral Profile of the Novel Cannabinoid Agonist AM4054 (thesis - 2006)
http://digitalcommons.uconn.edu/cgi/viewcontent.cgi?article=1016&context=srhonors_theses&sei-redir=1#search=%22am-4054%20%2Bcannabinoid%22

Effects of a Selective Cannabinoid Agonist and Antagonist on Body Temperature in Rats (abst - 2007)
http://www.fasebj.org/cgi/content/meeting_abstract/21/5/A409?maxtoshow=&hits=80&RESULTFORMAT=&fulltext=cannabinoid&searchid=1&FIRSTINDEX=800&resourcetype=HWCIT

Diuretic effects of cannabinoids. (full – 2013)
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Behavioral effects of the novel potent cannabinoid CB1 agonist AM 4054.
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Effects of anandamide and other CB1 ligands on cognitive function (abst – 2013)
http://www.fasebj.org/cgi/content/meeting_abstract/27/1_MeetingAbstracts/1097.10?sid=eea722c0-971c-4daa-8b8c-38c0c63c19ad

Diuretic effects of cannabinoid agonists in mice. (abst – 2013)
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Effects of a novel CB1 agonist on visual attention in male rats: Role of strategy and expectancy in task accuracy. (abst – 2013)
http://www.ncbi.nlm.nih.gov/pubmed/24099361

AM-4113 – CB1 antagonist

Effects of a Selective Cannabinoid Agonist and Antagonist on Body Temperature in Rats (abst - 2007)
http://www.fasebj.org/cgi/content/meeting_abstract/21/5/A409?maxtoshow=&hits=80&RESULTFORMAT=&fulltext=cannabinoid&searchid=1&FIRSTINDEX=800&resourcetype=HWCIT

The neutral cannabinoid CB_1 receptor antagonist AM4113 regulates body weight through changes in energy intake in the rat. (full – 2011)
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Central mediation and differential blockade by cannabinergics of the discriminative stimulus effects of the cannabinoid CB1 receptor antagonist rimonabant in rats.
(full – 2011) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3727221/

The CB(1) Receptor-Mediated Endocannabinoid Signaling and NGF: The Novel Targets of Curcumin. (turmeric) (abst – 2012) http://www.ncbi.nlm.nih.gov/pubmed/22311129

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http://www.ncbi.nlm.nih.gov/pmc/articles/PMC4064474/

AM-6545 – peripherally restricted CB1 antagonist, no “high”

Rehashing endocannabinoid antagonists: can we selectively target the periphery to safely treat obesity and type 2 diabetes? (full – 2010)
http://www.jci.org/articles/view/44099?search[abstract_text]=&search[article_text]=cannabinoid&search[authors_text]=&search[fpage]=&search[title_text]=&search[volume]=

A novel peripherally restricted cannabinoid receptor antagonist, AM6545, reduces food intake and body weight, but does not cause malaise, in rodents (full – 2010)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC2990160/

The novel cannabinoid CB1 antagonist AM6545 suppresses food intake and food-reinforced behavior. (full – 2010) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3522179/

Peripheral CB1 cannabinoid receptor blockade improves cardiometabolic risk in mouse models of obesity. (full – 2010) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC2912197/

Central mediation and differential blockade by cannabinergics of the discriminative stimulus effects of the cannabinoid CB1 receptor antagonist rimonabant in rats. (full – 2011) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3727221/

Peripherally restricted CB1 receptor blockers. (abst – 2013)
http://www.ncbi.nlm.nih.gov/pubmed/23902803

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http://www.ncbi.nlm.nih.gov/pmc/articles/PMC4064474/

AM-6546 – CB1 antagonist

Endocannabinoid signaling in the gut mediates preference for dietary unsaturated fats. (full – 2013) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3659363/

AM-6701 – equally blocks the break-down of 2-AG and anandamide

Equipotent Inhibition of Fatty Acid Amide Hydrolase and Monoacylglycerol Lipase - Dual Targets of the Endocannabinoid System to Protect against Seizure Pathology. (full – 2012) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3480564/

AM-6702 - strongly blocks the break-down of anandamide, and, weakly, 2-AG

Equipotent Inhibition of Fatty Acid Amide Hydrolase and Monoacylglycerol Lipase - Dual Targets of the Endocannabinoid System to Protect against Seizure Pathology. (full – 2012) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3480564/

AS-1535907 - GPR119 agonist

The role of small molecule GPR119 agonist, AS1535907, in glucose-stimulated insulin secretion and pancreatic β-cell function (abst – 2010)
http://www.ncbi.nlm.nih.gov/pubmed/21114601

Novel GPR119 agonist AS1535907 contributes to first-phase insulin secretion in rat perfused pancreas and diabetic db/db mice. (abst – 2010)
http://www.ncbi.nlm.nih.gov/pubmed/20937249

AS-1907417 - GPR119 agonist

AS1907417, a novel GPR119 agonist, as an insulinotropic and β-cell preservative agent for the treatment of type 2 diabetes. (abst – 2010)
http://www.ncbi.nlm.nih.gov/pubmed/20816753

CANNABINOR - CB2 agonist

Pharmos Initiates Phase I Trial of CB2-Selective Drug Candidate Cannabinor (news – 2005) http://www.prnewswire.com/news-releases/pharmos-initiates-phase-i-trial-of-cb2-selective-drug-candidate-cannabinor-54718747.html

Cannabinoid Receptor Agonist Significantly Reduces Post-Operative Pain, Study Says (news – 2007) http://norml.org/index.cfm?Group_ID=7246

Patent application title: Treatment Of Lower Urinary Tract Dysfunction With CB2-Receptor-Selective Agonists (full – 2009)
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Cannabinor, a selective cannabinoid-2 receptor agonist, improves bladder emptying in rats with partial urethral obstruction. (full – 2010)
http://www.jurology.com/article/S0022-5347%2810%2904713-0/fulltext

Effects of cannabinor, a novel selective cannabinoid 2 receptor agonist, on bladder function in normal rats. (abst – 2010) http://www.ncbi.nlm.nih.gov/pubmed/20207474

3 CARBOXAMIDO-5-ARYL-ISOXAZOLES – CB 2 agonists

3-Carboxamido-5-aryl-isoxazoles as new CB2 agonists for the treatment of colitis. (abst – 2013) http://www.ncbi.nlm.nih.gov/pubmed/23849204

Switching cannabinoid response from CB2 agonists to FAAH inhibitors. (abst – 2014) http://www.ncbi.nlm.nih.gov/pubmed/24508127

CB-13 - CB1/CB2 dual agonist with limited brain penetration

Ligand Activation of Cannabinoid Receptors Attenuates Hypertrophy of Neonatal Rat Cardiomyocytes. (abst – 2014) http://www.ncbi.nlm.nih.gov/pubmed/24979612

Dual agonism of peripheral cannabinoid CB1/CB2 receptors suppresses cardiac myocyte hypertrophy (abst – 2014) http://www.fasebj.org/content/28/1_Supplement/652.9.abstract?sid=db987fd0-3ef0-4796-aff6-4103f0c84daf

Cannabinoids Alleviate Experimentally Induced Intestinal Inflammation by Acting at Central and Peripheral Receptors. (abst – 2014) http://www.ncbi.nlm.nih.gov/pubmed/25275313

CB–65 - CB 2 agonist

The role of central CB2 cannabinoid receptors on food intake in neonatal chicks (abst – 2011) http://www.ncbi.nlm.nih.gov/pubmed/21927979

Evaluation of Anti-invasion Effect of Cannabinoids on Human Hepatocarcinoma Cells. (abst – 2012) http://www.ncbi.nlm.nih.gov/pubmed/22978792

Study: Cannabis Agonists Produce Anti-Cancer Effects In Human Liver Cancer Cells (news – 2012) http://norml.org/news/2012/10/11/study-cannabis-agonists-produce-anti-cancer-effects-in-human-liver-cancer-cells

Anti-Cancer Effects In Human Liver Cancer Cells Produced By Cannabis Agonists (news – 2012) http://www.imarijuana.com/tag/cannabinoid-agonists

Role of cannabinoid and vanilloid receptors in invasion of human breast carcinoma cells (abst – 2013) http://www.ncbi.nlm.nih.gov/pubmed/23394450

CESAMET – see NABILONE

COMPOUND A - CB1/2 agonist that is excluded from the brain

An Effective Prodrug Strategy to Selectively Enhance Ocular Exposure of a Cannabinoid Receptor (CB1/2) Agonist. (abst – 2013) http://www.ncbi.nlm.nih.gov/pubmed/23738526

CP-47,497 - CB1 & CB2 agonist

Cannabimimetic activity from CP-47,497, a derivative of 3-phenylcyclohexanol (abst - 1982) http://jpet.aspetjournals.org/content/223/2/516.abstract?maxtoshow=&hits=80&RESULTFORMAT=&fulltext=Hexahydrocannabinol&searchid=1&FIRSTINDEX=0&resourcetype=HWCIT

The Conformational Properties of the Highly Selective Cannabinoid Receptor Ligand CP-55,940 (full - 1996) http://www.jbc.org/content/271/18/10640.full?maxtoshow=&hits=80&RESULTFORMAT=&fulltext=Hexahydrocannabinol&searchid=1&FIRSTINDEX=0&resourcetype=HWCIT

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Spice drugs: cannabinoids as a new designer drugs. (abst - 2009) http://www.unboundmedicine.com/medline/ebm/record/19718488/abstract/%5BSpice_drugs:_cannabinoids_as_a_new_designer_drugs_%5D

Spice: a never ending story? (abst – 2009) http://www.ncbi.nlm.nih.gov/pubmed/19589652

Pharmacological properties and dependence liabilities of synthetic cannabinoids (abst – 2010)
http://www.unboundmedicine.com/medline/ebm/record/20681249/abstract/%5BPharmacological_properties_and_dependence_liabilities_of_synthetic_cannabinoids%5D_

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http://www.mapinc.org/drugnews/v10/n497/a07.html?1173

Now, There's a Test for That -- Norchem's "Fake Marijuana" Test Reveals Significantly Increased Abuse of Spice/K2 (news - 2010)
http://www.marketwire.com/press-release/Now-Theres-Test-That-Norchems-Fake-Marijuana-Test-Reveals-Significantly-Increased-Abuse-1356247.htm

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Marijuana-based Drugs: Innovative Therapeutics or Designer Drugs of Abuse? (full – 2011) http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3139381/?tool=pubmed

Beyond THC: The New Generation of Cannabinoid Designer Drugs. (full – 2011)
http://www.ncbi.nlm.nih.gov/pmc/articles/PMC3187647/?tool=pubmed

Investigating a not-so-natural high. (full – 2011)
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CP47,497-C8 and JWH073, commonly found in 'Spice' herbal blends, are potent and efficacious CB(1) cannabinoid receptor agonists. (full – 2011)
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Synthetic cannabinoids in oral fluid. (full – 2011)
http://jat.oxfordjournals.org/content/35/7/424.long

Use of high-resolution accurate mass spectrometry to detect reported and previously unreported cannabinomimetics in "herbal high" products. (full – 2011)
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Cytotoxicity of synthetic cannabinoids found in "Spice" products: The role of cannabinoid receptors and the caspase cascade in the NG 108-15 cell line. (abst – 2011) http://www.ncbi.nlm.nih.gov/pubmed/21907772

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The emergence and analysis of synthetic cannabinoids. (abst – 2011)
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JZL-195 - stops the breakdown of anandamide, PEA, OEA and 2-AG

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In vivo characterization of the highly selective monoacylglycerol lipase inhibitor KML29: Antinociceptive activity without cannabimimetic side effects. (abst – 2013)
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KN38-7271/ BAY38-7271 – CB1 & CB2 agonist

Characterization of the diarylether sulfonylester (-)-(R)-3-(2-hydroxymethylindanyl-4-oxy)phenyl-4,4,4-trifluoro-1-sulfonate (BAY 38-7271) as a potent cannabinoid receptor agonist with neuroprotective properties. (full – 2002)
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