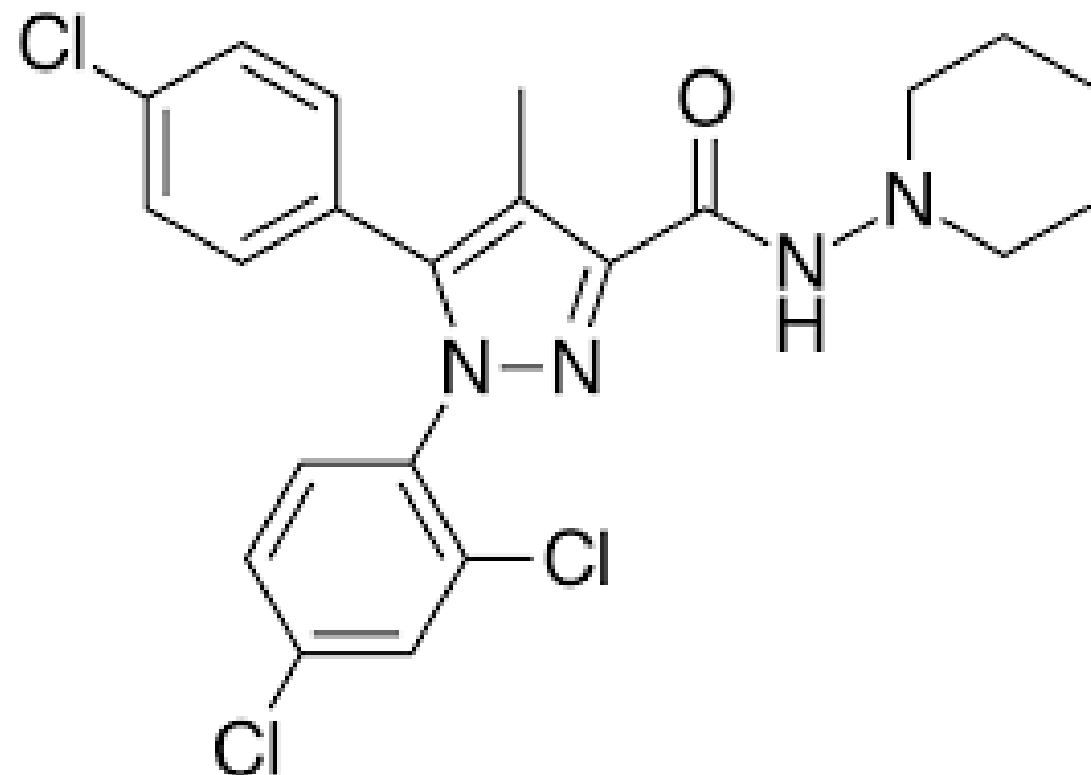


# Rimonabant

Selective CB1R Antagonist

Landon Dawson



## What is Rimonabant?

IUPAC name: 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-4-methyl-N-piperidin-1-ylpyrazole-3-carboxamide

Selective antagonist of the cannabinoid 1 receptor (CB1R)

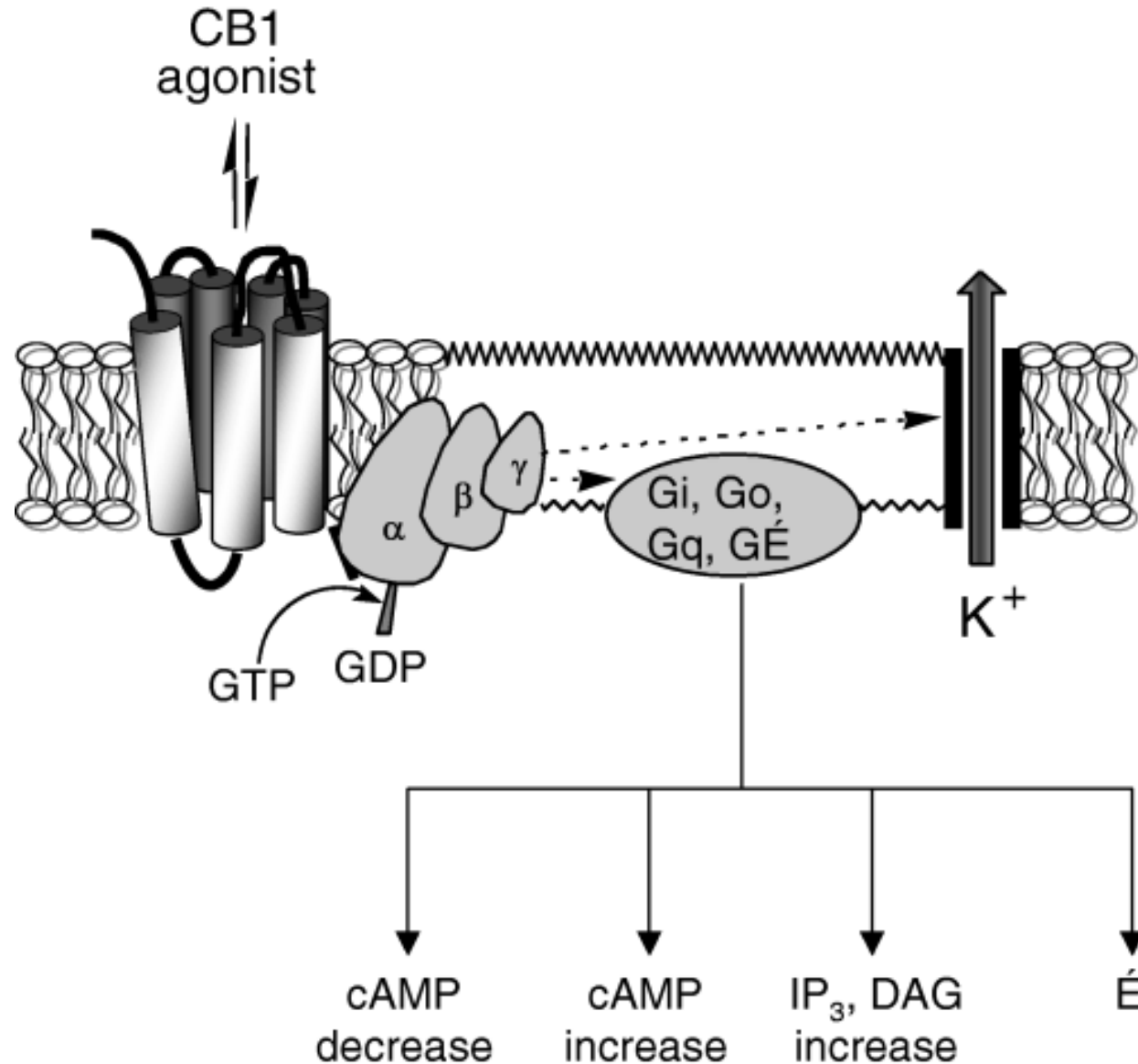
First selective agonist/inverse agonist of CB1 used clinically

Used in treatments for obesity; reduces bodyweight and reduce appetite

Later recalled for adverse effects regarding CNS (depression & suicidal ideation)

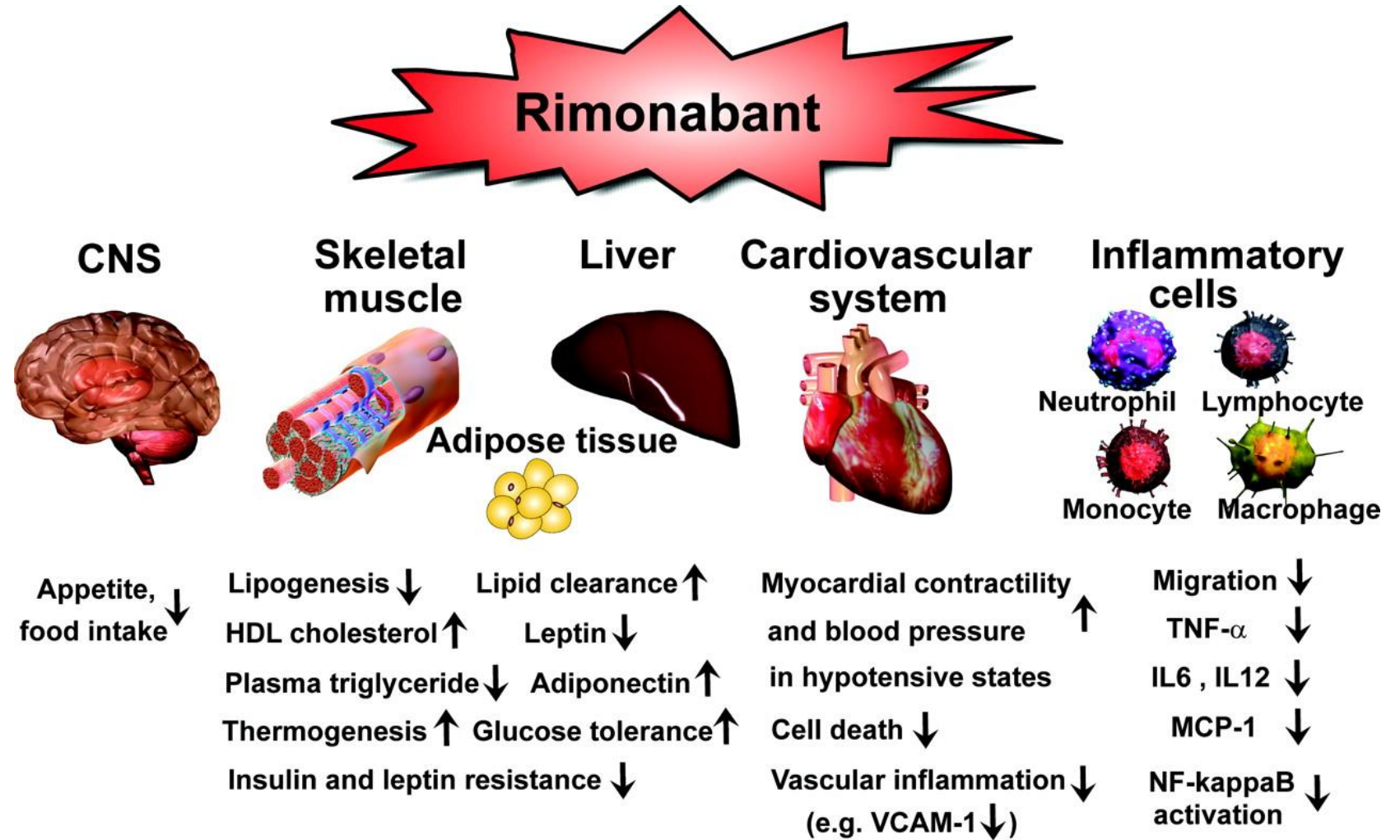
# CB1 & CB1R Antagonist

- CB1 is a G protein coupled receptors predominantly found in the CNS (neocortex, hippocampus, basal ganglia, cerebellum and brainstem)
- Antagonist looks to target this endocannabinoid system
- Attempts to enact a therapeutic effect to relax drive for appetite



## Pharmacokinetics

Take anywhere from 5-20 mg/day  
Leads to significant weight loss, decrease in waist circumference, improved insulin sensitivity, and increases in HDL cholesterol



# Pharmacodynamics

- 6 to 9 days with normal BMI and 16 days if BMI is greater than 30
- Nearly 100% protein binding
- When compared against placebo adverse effects nearly doubled from 7.2% to 13.8%
- $K_i = 1.98 \text{ nm}$
- $IC_{50} = 13.6 \text{ nm}$

# Affinity, Efficacy, & Potency

pKb values present 95% confidence limits  
(1.8nm)

95% in efficacy data (4.7 kg)

Said to have higher potency (pKb  
approximately 6.5)



# Side Effects

Main side effects:

Psychiatric disorder

Depression

Anxiety

Suicidal ideation

Other side effects:

Insomnia

Nausea

Vomiting

Diarrhea

Fatigue

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