

Drug Receptors

A red mushroom with white spots, likely an Amanita muscaria, is growing in a field of dry grass. The mushroom has a bright red cap with numerous white, irregular spots. The stem is white and appears to be partially buried in the grass. The background is a dense field of dry, yellowish-brown grass.

molecular targets for drugs

A red mushroom with white spots, resembling an Amanita muscaria, is growing in a field of dry grass. The mushroom is the central focus of the image, with its bright red cap and white spots contrasting sharply with the dry, brownish-yellow grass. The background is slightly blurred, emphasizing the mushroom.

- receptors
- ion channels
- enzymes
- carrier molecules
- DNA
- non specific

non specific targets

- osmotic diuretics
- radioactive iodine



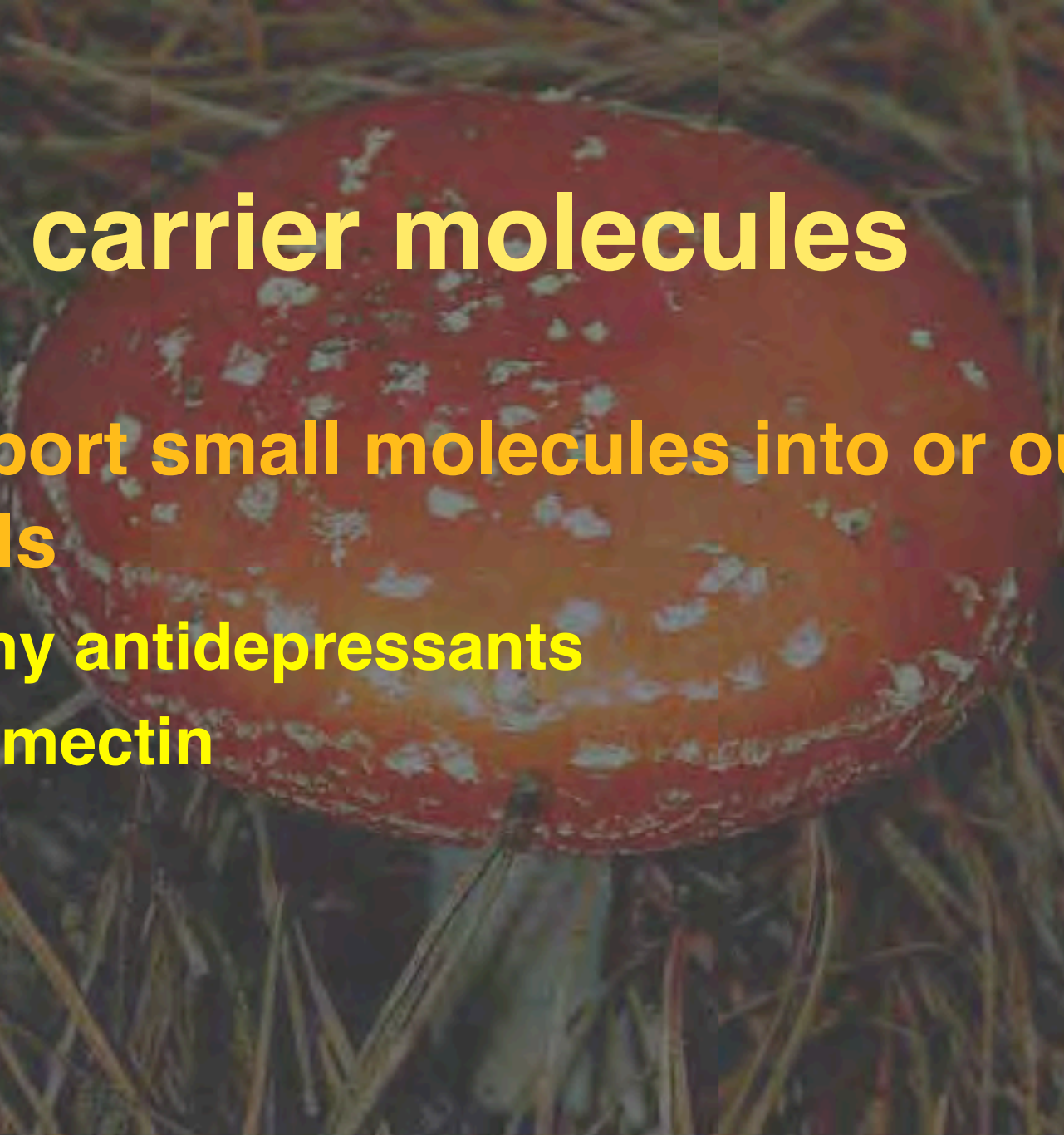
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DNA

- **many antibiotics**
 - **bacterial DNA**
 - **mammalian DNA**
- **anticancer drugs**

carrier molecules

- transport small molecules into or out of cells
 - many antidepressants
 - ivermectin



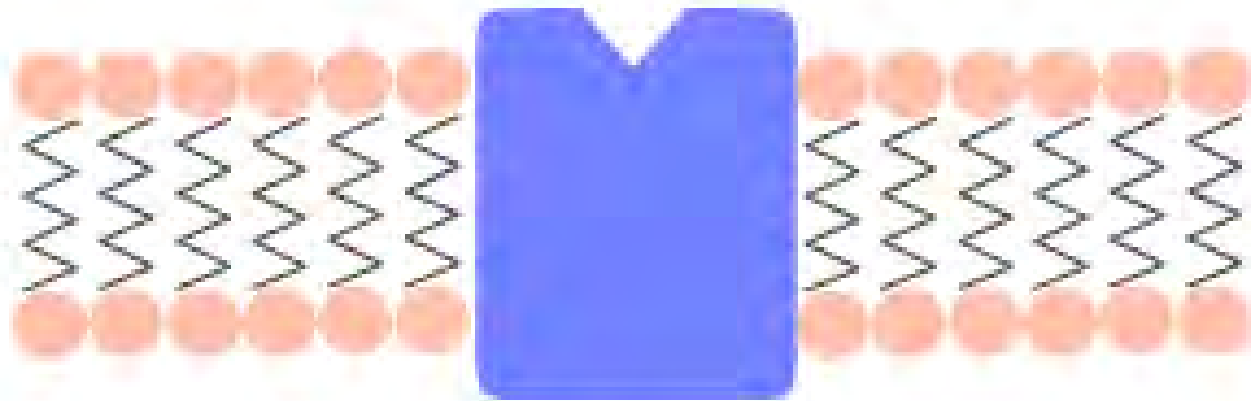
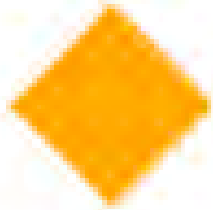
enzymes

- compete with substrate
- false substrate
- prodrugs



RUN

substrate



enzyme

enzymes

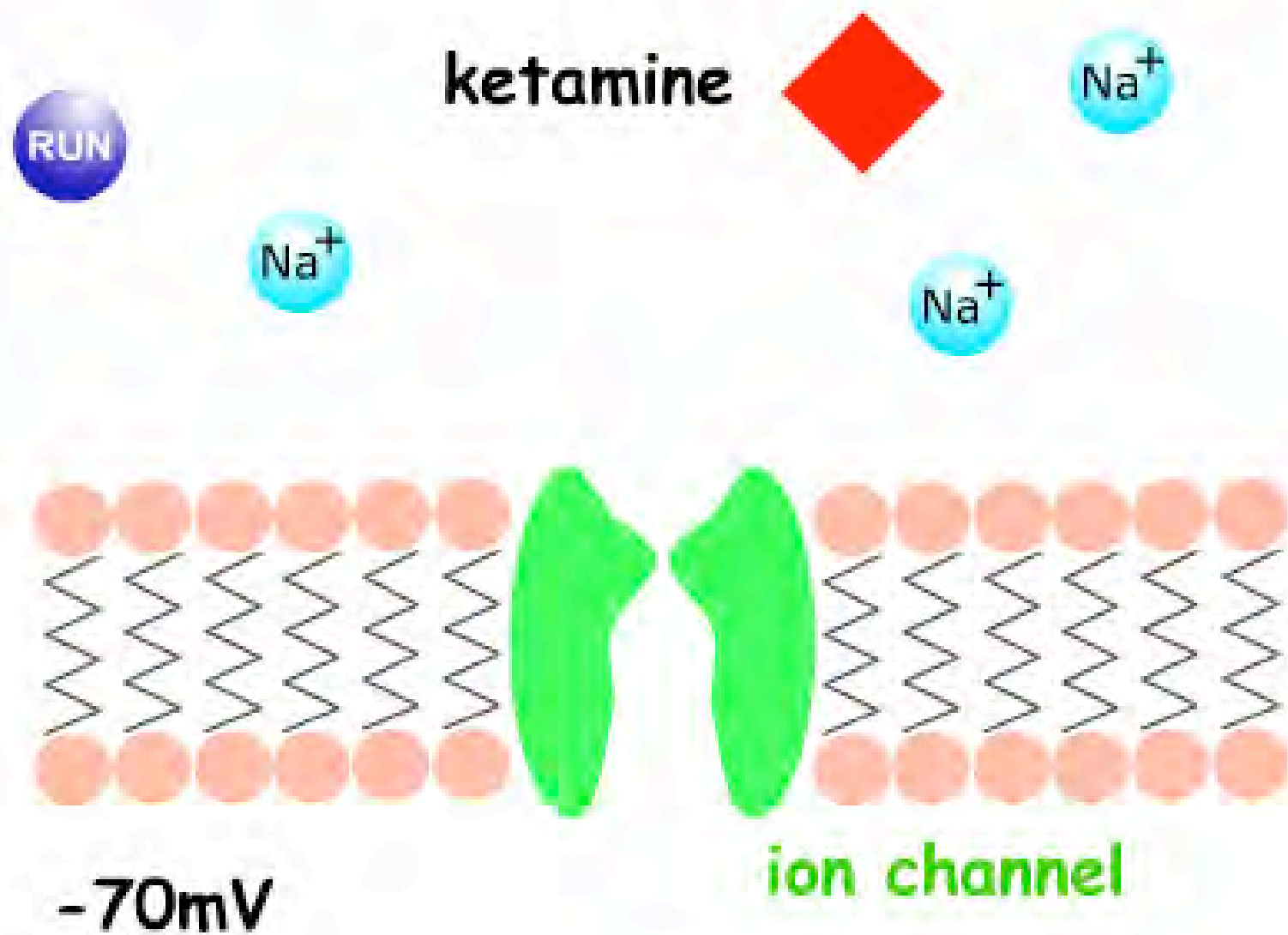
A red mushroom with white spots, likely a fly agaric, is growing in a field of dry grass. The mushroom has a bright red cap with numerous white, irregular spots. The stem is thick and white. The background is a dense field of dry, yellowish-brown grass.

- **most antibiotics**
- **organophosphate insecticides**
- **aspirin type drugs**

ion channels

- most drugs block rather than open channels
- do not confuse with ionotropic receptors!!





ion channels

- ketamine
- local anaesthetics



RUN

Na^+

Na^+

Na^+



RUN

Na^+

Na^+

Na^+

B H^+

ionised local

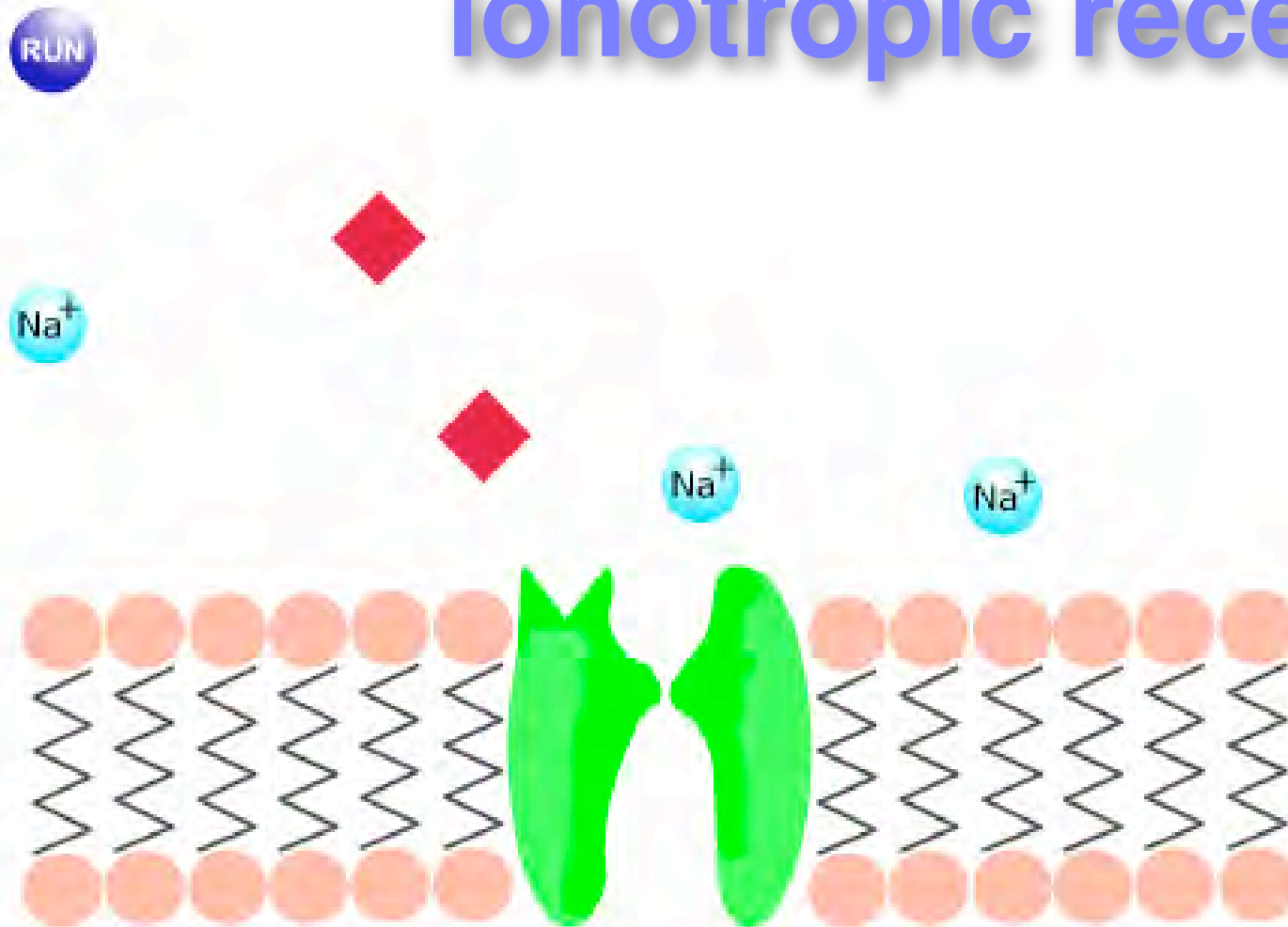


A red mushroom with white spots growing in grass. The mushroom is the central focus, with its cap showing a vibrant red color and scattered white patches. The background is a dense field of dry, yellowish-brown grass, creating a textured, natural setting. The overall image has a slightly dark, muted tone, typical of a presentation slide background.

receptors

- **ionotropic receptors**
- **metabotropic (G protein coupled) receptors**
- **tyrosine kinase coupled receptors**
- **nuclear receptors**

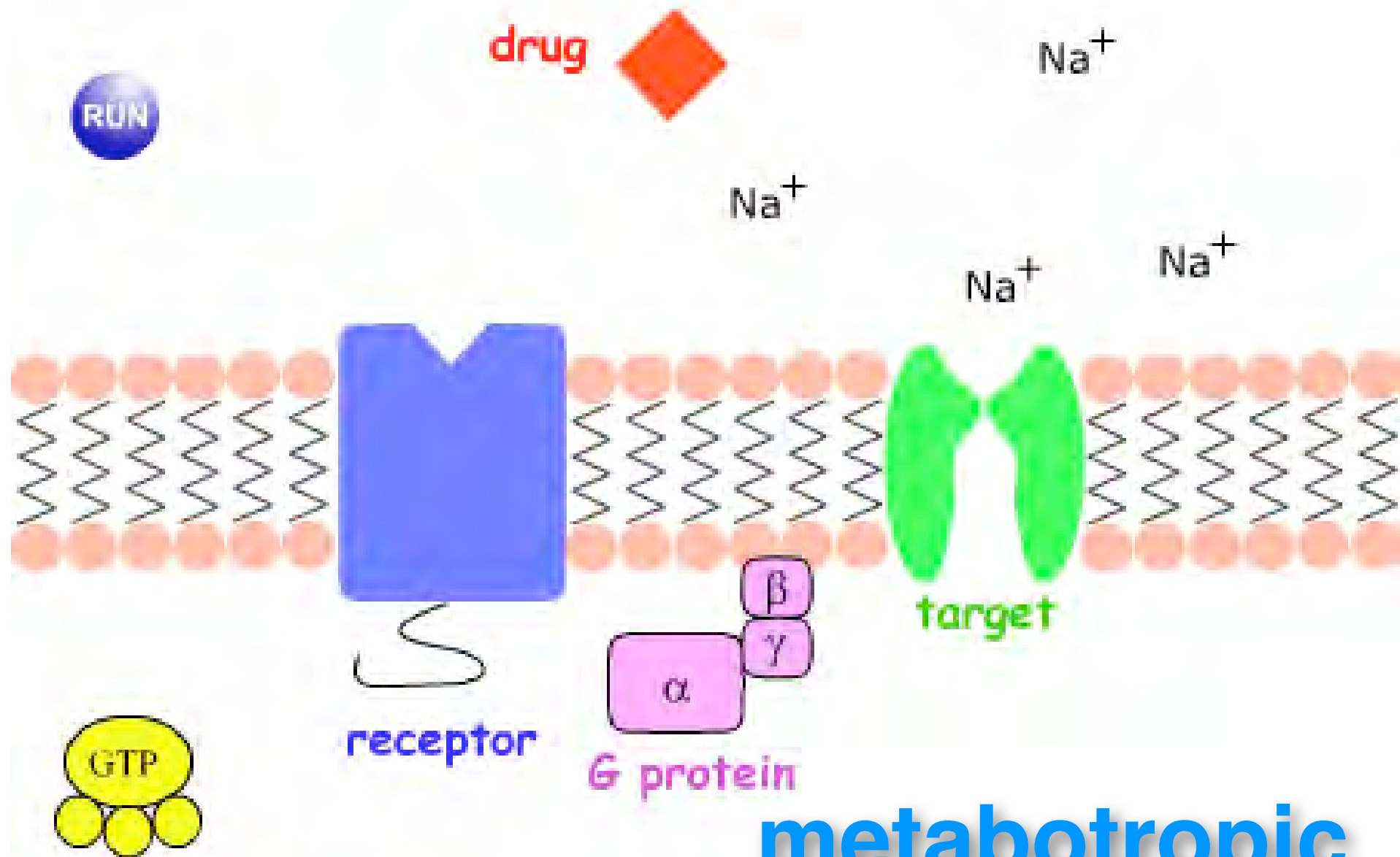
ionotropic receptor



ionotropic receptors

- **milliseconds**
 - **nicotinic ACh receptors**
 - **AMPA receptors**
 - **GABA receptors**

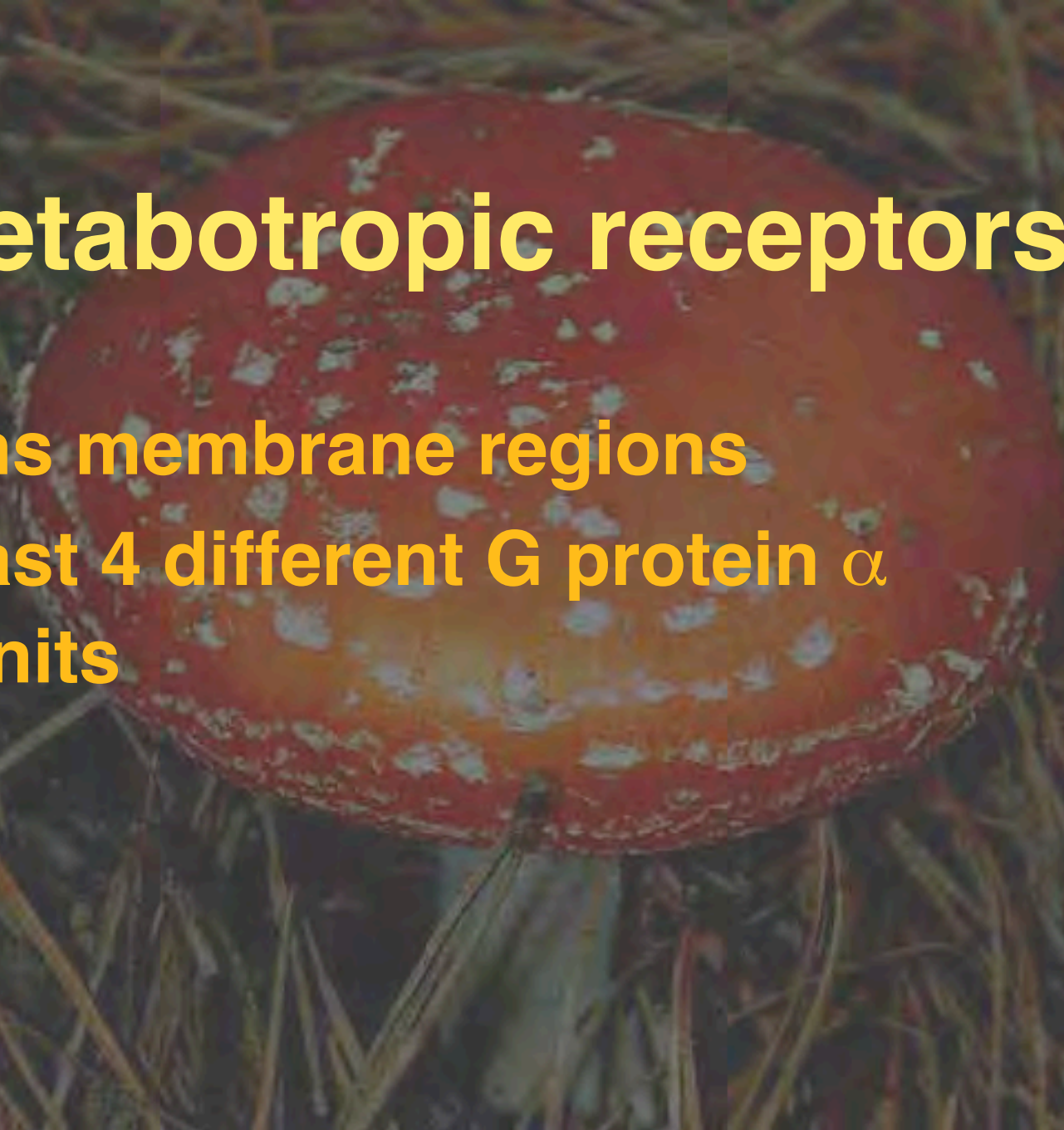




**metabotropic
receptor**

Metabotropic receptors

- 7 trans membrane regions
- At least 4 different G protein α subunits



Metabotropic receptors

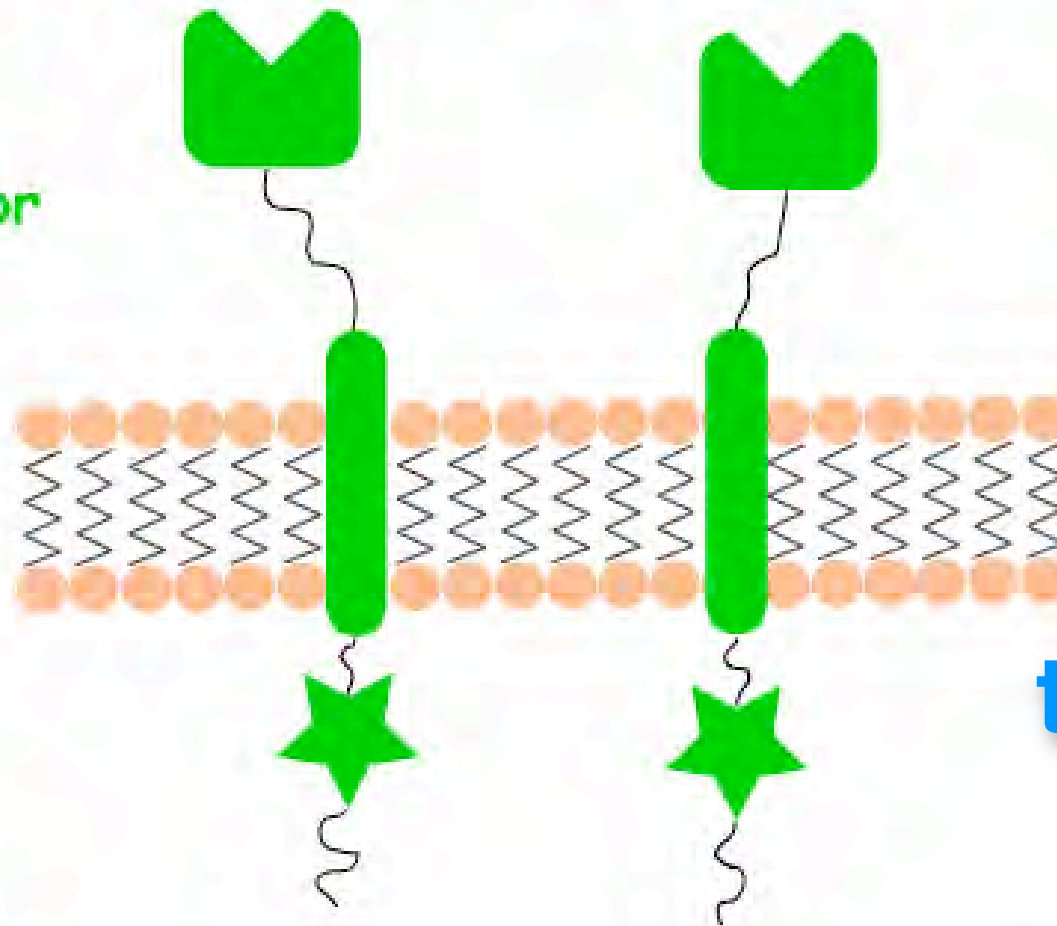
- **Seconds to minutes**
 - **opioid receptors - morphine**
 - **adrenergic receptors - xylazine**
 - **muscarinic ACh receptors - atropine**

drug



RUN

receptor



tyrosine
kinase
coupled R

P

P

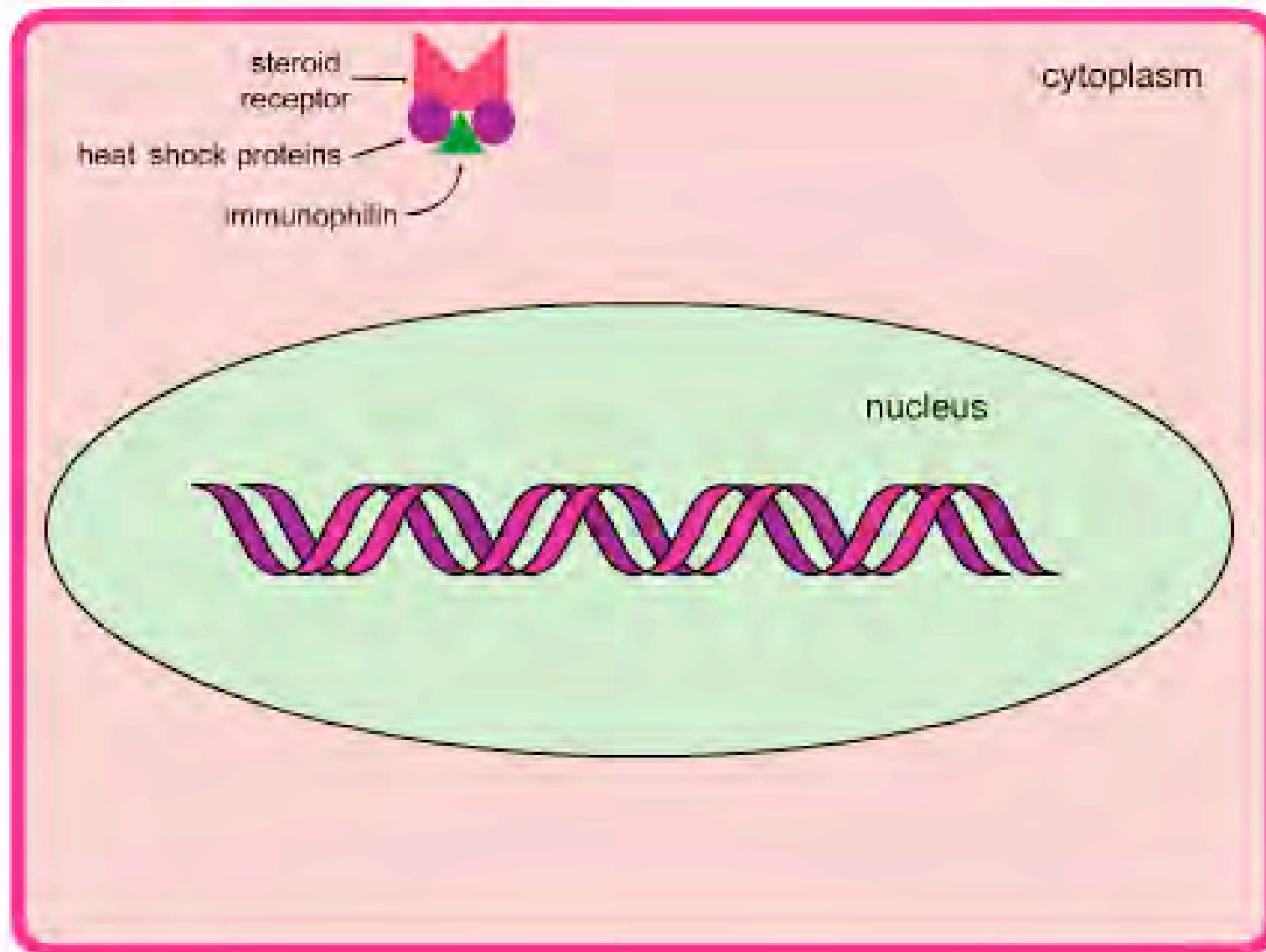


tyrosine kinase coupled receptors

- minutes to hours
- many hormones
 - insulin
 - thyroid hormone



nuclear receptor



nuclear receptors

- hours - days
 - corticosteroids
 - oestrogen

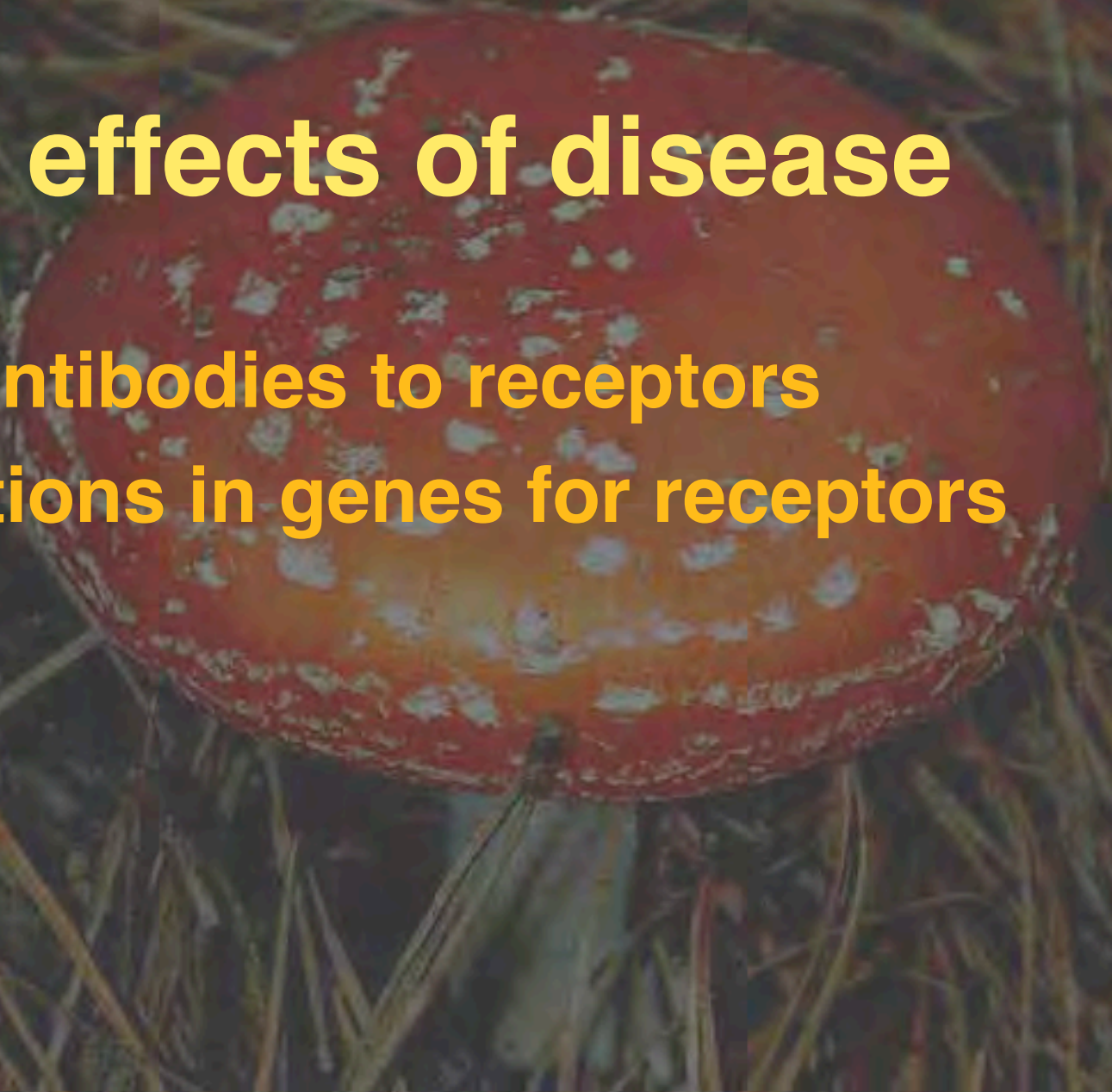


receptor complexity

- transmitters act at more than one receptor
- activation of more than one receptor may be necessary for effect
- receptor numbers change according to use & disease
 - “paradoxical pharmacology”
- may be different in different tissues

effects of disease

- autoantibodies to receptors
- mutations in genes for receptors



Second messengers

- lots of different systems
- can get complicated!!



receptor subtypes

- **adrenergic receptors**

- **α receptors**

- **$\alpha 1$ receptors**

- **$\alpha 2$**

- **$\alpha 2A$**

- **$\alpha 2B$**

- **$\alpha 2C$**

- **$\alpha 2D$**

- **β receptors**

- **$\beta 1$**

- **$\beta 2$**

- **$\beta 3$**

specificity

A red mushroom with white spots, likely an Amanita muscaria, is growing in a field of dry, yellowish-brown grass. The mushroom has a bright red cap with numerous white, irregular spots. The background is a dense field of dry grass, creating a textured, natural setting.

- **physical barriers**
- **receptors in tissue**
- **receptor subtypes**
- **receptor collaboration / helpers**

studying receptors

- binding experiments
- sequencing receptors
- cloning receptors
- transfection & patch clamping

Drug action

- **Drugs can produce effects by binding to receptors, enzymes, carrier molecules; by blocking ion channels or by exerting a physical effect.**
- **There are 4 superfamilies of receptors: ionotropic, metabotropic, kinase coupled and nuclear.**
- **There may be several layers of reactions in the signal transduction system between drug binding and effect.**