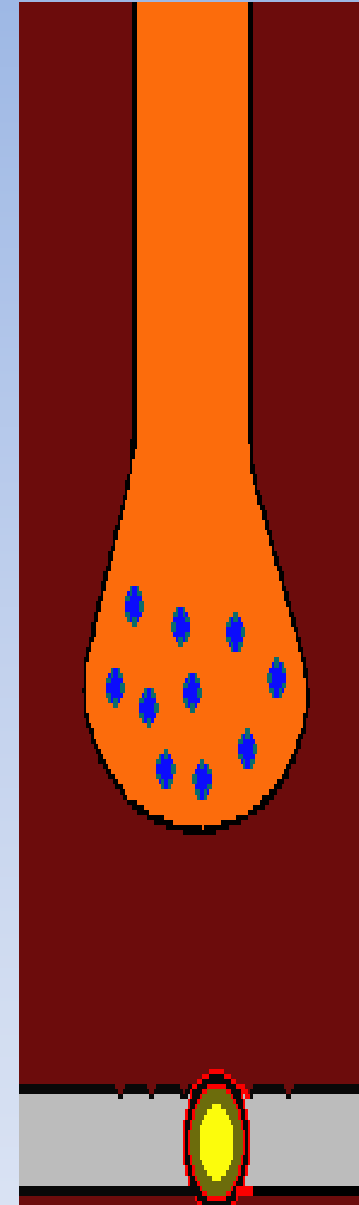
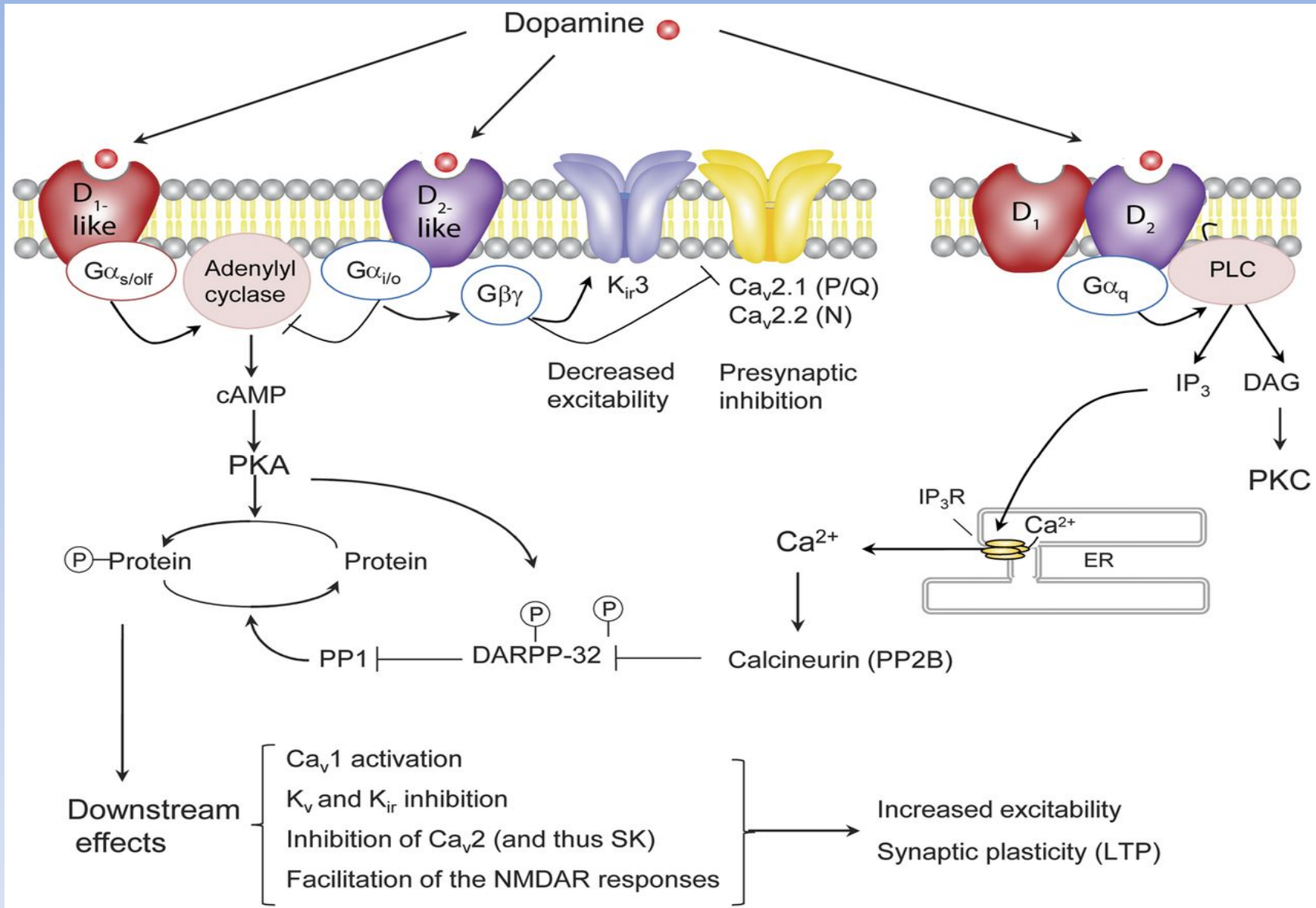


# dopamine receptors



Prof.Dr.Nuray Ari, 2018



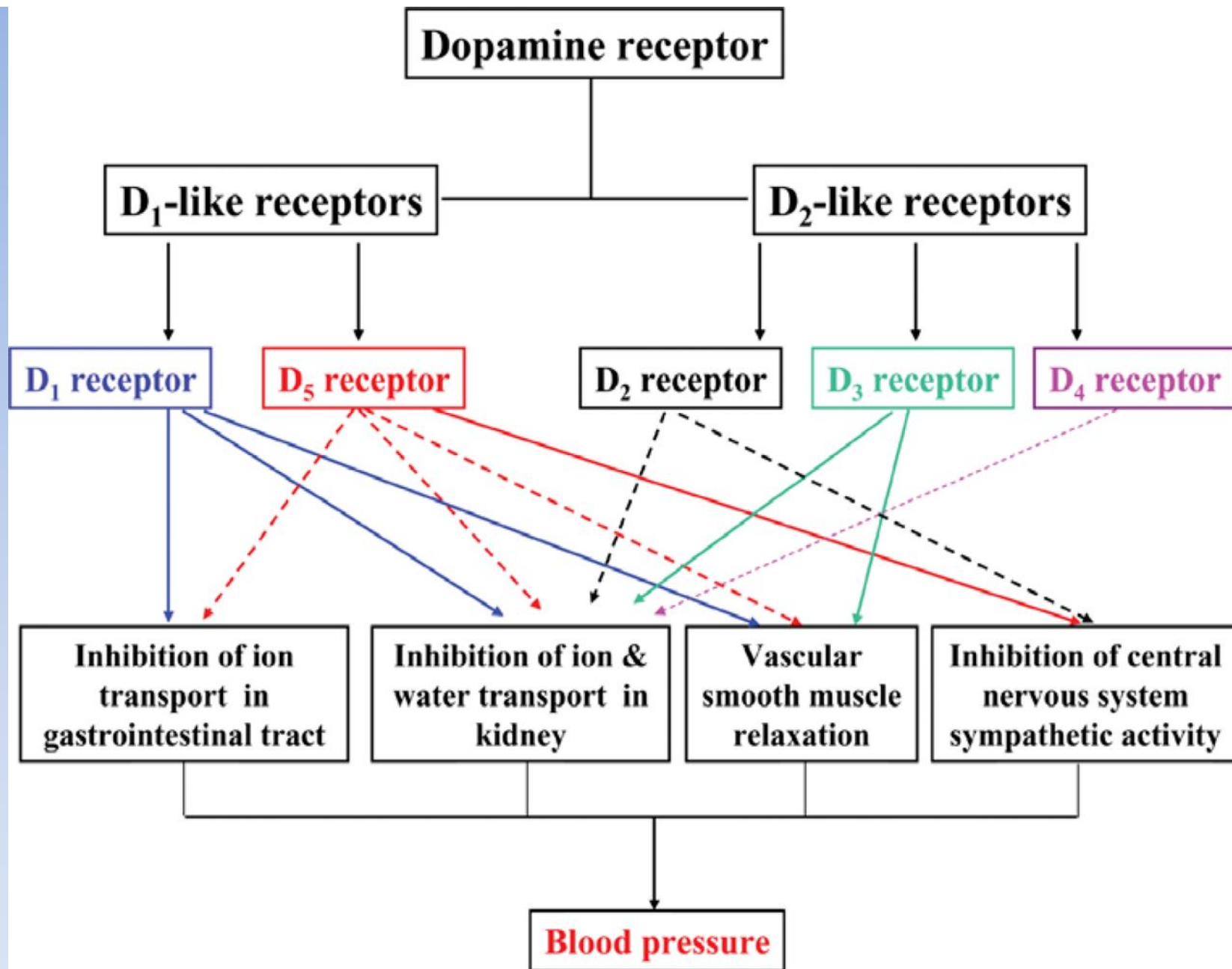
<http://flipper.diff.org/app/pathways/info/7837>

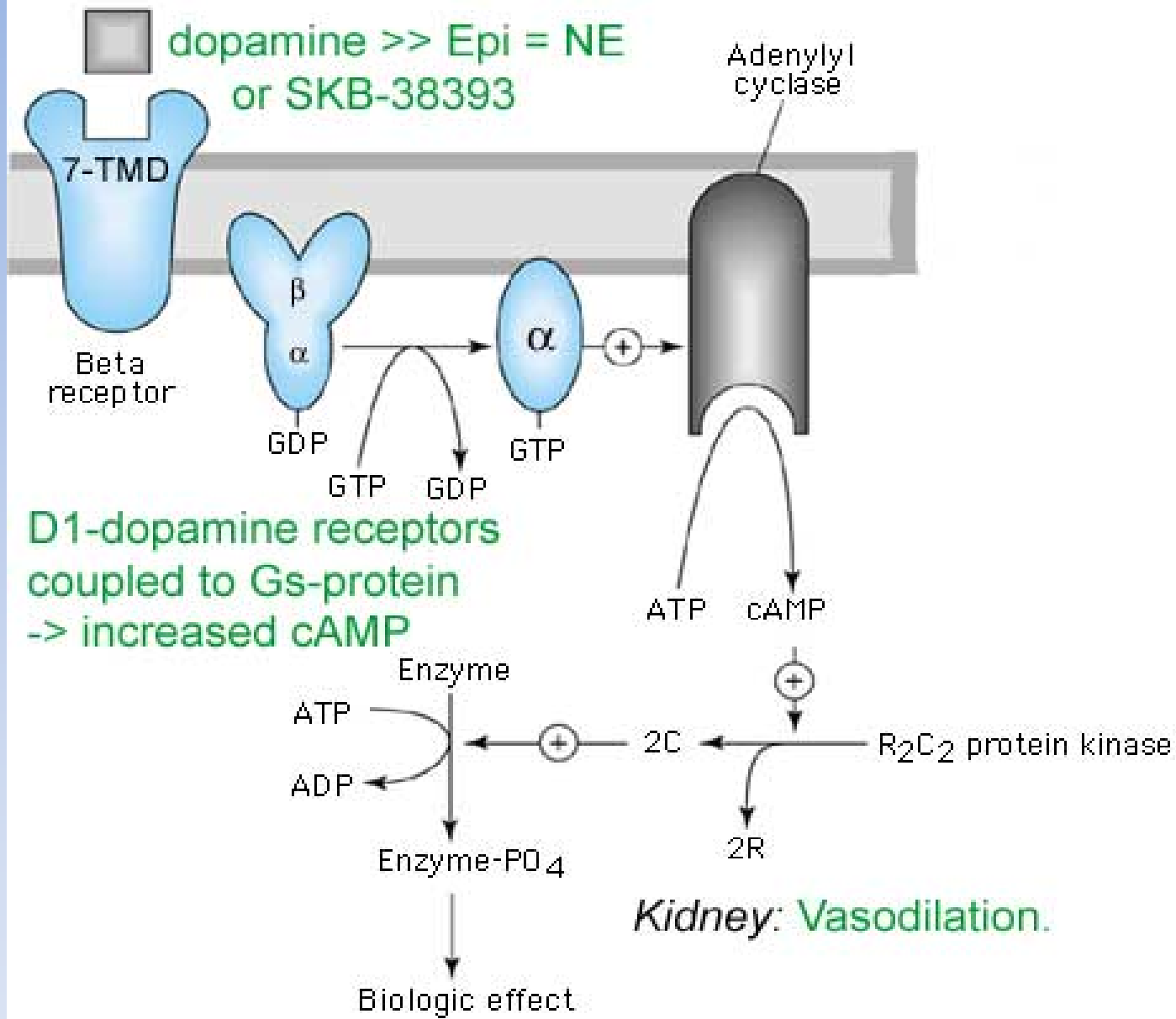
## Functions of Dopamine receptors

| Functions                                                                                                                    | Type of receptors involved |
|------------------------------------------------------------------------------------------------------------------------------|----------------------------|
| Locomotion                                                                                                                   | D1, D2, D3                 |
| Learning and memory                                                                                                          | D1, D2                     |
| Cognition                                                                                                                    | D3, D4, D5                 |
| Attention, impulse control, decision making, motor learning, sleep, reproductive behaviors and the regulation of food intake | D1, D2, D3, D4, D5         |
| hormonal regulation, such as the regulation of prolactin secretion; renin secretion; aldosterone secretion                   | D2<br>D1<br>D2             |
| regulation of renal function; blood pressure regulation; vasodilation; and gastrointestinal motility                         | D1, D2, D4                 |

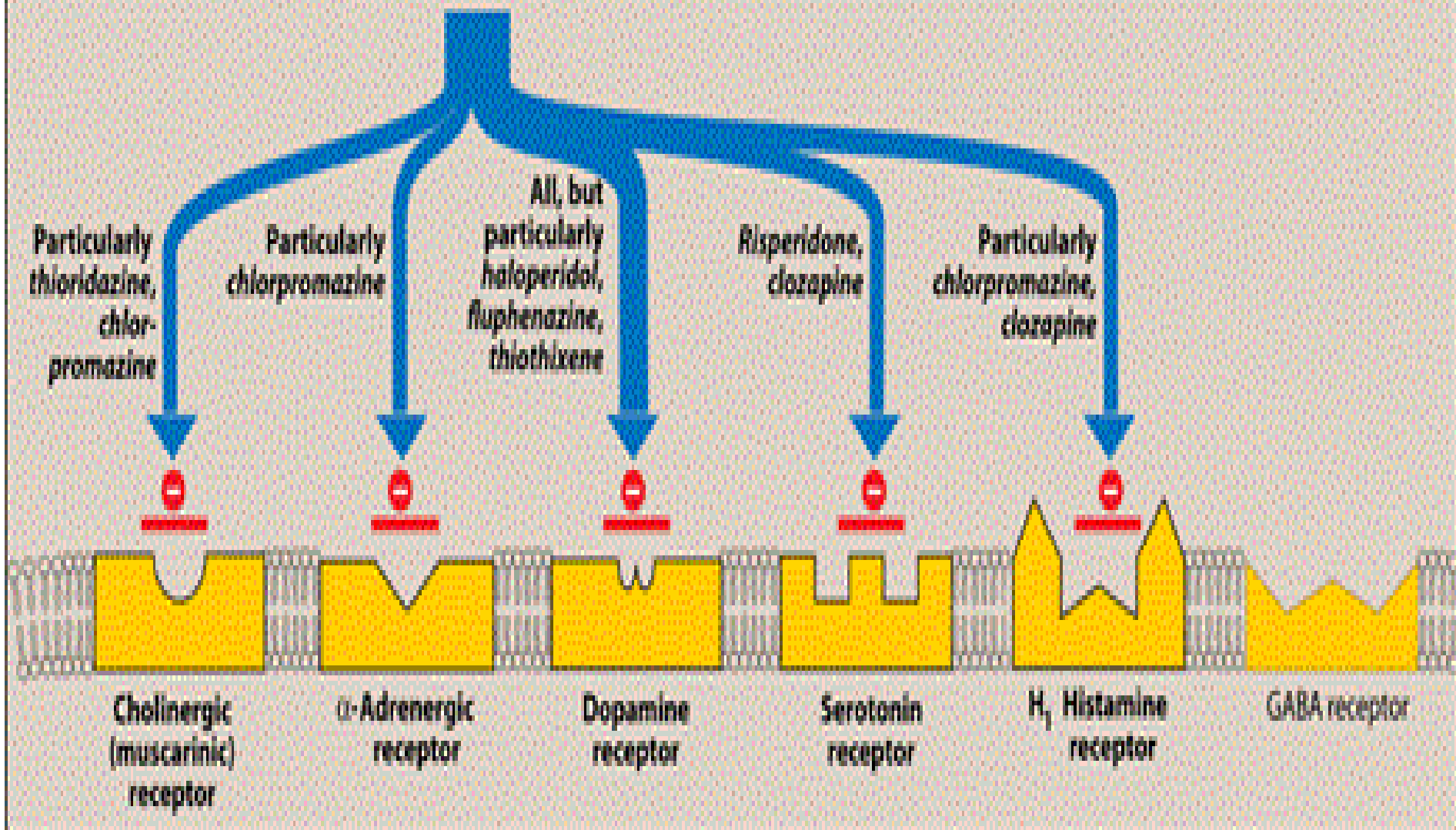
## TYPES and FUNCTION

| Family  | Receptor       | Gene         | Type                                                 | Mechanism                                                                      |
|---------|----------------|--------------|------------------------------------------------------|--------------------------------------------------------------------------------|
| D1-like | D <sub>1</sub> | <i>DRD1</i>  | G <sub>s</sub> -coupled.                             | Increase intracellular levels of cAMP by activating adenylate cyclase.         |
|         | D <sub>5</sub> | <i>DRD5</i>  |                                                      |                                                                                |
| D2-like | D <sub>2</sub> | <i>DRD2</i>  | G <sub>i</sub> -coupled.                             | Decrease intracellular levels of cAMP by inhibiting adenylate cyclase.         |
|         | D <sub>3</sub> | <i>DRD3</i>  |                                                      |                                                                                |
|         | D <sub>4</sub> | <i>DRD4</i>  |                                                      |                                                                                |
| TAAR    | TAAR1          | <i>TAAR1</i> | G <sub>s</sub> -coupled.<br>G <sub>q</sub> -coupled. | Increase intracellular levels of cAMP and intracellular calcium concentration. |





# ANTIPSYCHOTIC DRUGS



**FIRST-GENERATION ANTIPSYCHOTIC  
(low potency)**

*Chlorpromazine* THORAZINE

*Prochlorperazine* COMPAZINE

*Thioridazine* MELLARIL

**FIRST-GENERATION ANTIPSYCHOTIC  
(high potency)**

*Fluphenazine* PROLIXIN

*Haloperidol* HALDOL

*Pimozide* ORAP

*Thiothixene* NAVANE

**SECOND GENERATION ANTIPSYCHOTIC**

*Aripiprazole* ABILIFY

*Asenapine* SAPHRIS

*Clozapine* CLOZARIL

*lloperidone* FANAPT

*Lurasidone* LATUDA

*Olanzapine* ZYPREXA

*Quetiapine* SEROQUEL

*Paliperidone* INVEGA

*Risperidone* RISPERDAL

*Ziprasidone* GEODON



### Relative affinities at D<sub>2</sub> receptors



Most neuroleptic drugs have affinities at D<sub>2</sub>-dopaminergic receptors that parallel clinical potency.

Clozapine differs from typical neuroleptic drugs in having a similar affinity for both D<sub>1</sub>- and D<sub>2</sub>-dopaminergic receptors.

### Relative affinities at D<sub>1</sub> receptors



| DRUG                     | THERAPEUTIC NOTES                                                                                                                                                                                                                                                                                                                  |
|--------------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| <i>First generation</i>  |                                                                                                                                                                                                                                                                                                                                    |
| <i>Chlorpromazine</i>    | Moderate to high potential for EPS; moderate to high potential for weight gain, orthostasis, sedation, anti-muscarinic effects.                                                                                                                                                                                                    |
| <i>Fluphenazine</i>      | Oral formulation has a high potential for EPS; low potential for weight gain, sedation, and orthostasis; low to moderate potential for anti-muscarinic effects; common use is in the LAI formulation administered every 2-3 weeks in patients with schizophrenia and a history of non-compliance with oral antipsychotic regimens. |
| <i>Haloperidol</i>       | High potential for EPS; low potential for anti-adrenergic (orthostasis) or anti-muscarinic adverse events; low potential for weight gain or sedation; available in a LAI formulation administered every 4 weeks.                                                                                                                   |
| <i>Second generation</i> |                                                                                                                                                                                                                                                                                                                                    |
| <i>Aripiprazole</i>      | Low potential for EPS; low potential for weight gain; low potential for sedation and anti-muscarinic effects; also approved for the treatment of bipolar disorder; also approved for autistic disorder in children, and as an adjunctive treatment for major depression.                                                           |
| <i>Asenapine</i>         | Low potential for EPS; low potential for weight gain; low to moderate potential for sedation; low potential for orthostasis; also approved for the treatment of bipolar disorder; available as a sublingual formulation.                                                                                                           |
| <i>Clozapine</i>         | Very low potential for EPS; risk for blood dyscrasias (eg. agranulocytosis = ~1%); risk for seizures; risk for myocarditis; high potential for the following: sialorrhea, weight gain, anti-muscarinic effects, orthostasis, and sedation.                                                                                         |
| <i>Olanzapine</i>        | Low potential for EPS; moderate to high potential for weight gain and sedation; low potential for orthostasis; also approved for the treatment of bipolar disorder; available as a LAI formulation administered every 2-4 weeks.                                                                                                   |
| <i>Paliperidone</i>      | Low to moderate potential for EPS; low potential for weight gain; low potential for sedation; available as a LAI formulation administered every 4 weeks; also approved for use in schizo-affective disorder.                                                                                                                       |
| <i>Quetiapine</i>        | Low potential for EPS; moderate potential for weight gain; moderate potential for orthostasis; moderate to high potential for sedation; also approved for the treatment of bipolar disorder and as an adjunctive treatment for major depression.                                                                                   |
| <i>Risperidone</i>       | Low to moderate potential for EPS; low to moderate potential for weight gain; low to moderate potential for orthostasis; low to moderate potential for sedation; also approved for the treatment of bipolar disorder; also approved for autistic disorder in children; available as a LAI formulation administered every 2 weeks.  |
| <i>Ziprasidone</i>       | Low potential for extrapyramidal effects; contraindicated in patients with history of cardiac arrhythmias; minimal weight gain. Used in treatment of bipolar depression.                                                                                                                                                           |

<https://healtheappointments.com/chapter-13-antipsychotic-drugs-essays/>