

# Receptor Binding Profiles of Antipsychotics

Colors are relative to the effect and availability

Medication	D2	D3	D4	5-HT2A	5-HT1A	5-HT2C	5-HT7	NMDA	M1	H1	Alpha-1	nAChR α7	Sigma-1	Notes
Aripiprazole	9.0 (PA)	8.7 (PA)	7,3	8,3	8.2 (PA)	7,5	7,5	–	<5	6,6	6,6	<5	6,6	Partial agonist at D <sub>2</sub> /D <sub>3</sub> and 5-HT <sub>1A</sub> ; stabilizes dopamine
Brexipiprazole	9.1 (PA)	8.6 (PA)	7,2	9,3	8.6 (PA)	7,8	7,5	–	<5	6,3	7,3	<5	6,6	Similar to aripiprazole; higher affinity for 5-HT <sub>2A</sub>
Cariprazine	9.4 (PA)	10.0 (PA)	<5	7,9	7.0 (PA)	7,5	–	–	<5	6,5	6,5	<5	–	High D <sub>3</sub> affinity; effective on negative symptoms
Risperidone	8,4	7,7	7,2	9,4	<5	8,1	6,6	–	<5	7,2	7,2	<5	–	Strong D <sub>2</sub> and 5-HT <sub>2A</sub> activity
Paliperidone	8,1	7,6	7,1	9,0	<5	8,0	6,5	–	<5	7,1	7,1	<5	–	Active metabolite of risperidone
Olanzapine	8,0	7,9	7,3	8,9	6,8	8,0	7,9	–	7,9	9,4	7,6	<5	6,7	Broad receptor profile; possible weight gain
Quetiapine	6,8	6,4	<5	7,1	7.0 (PA)	7,1	7,7	–	7,7	9,1	7,8	<5	6,7	Sedative; active metabolite norquetiapine
Clozapine	7,4	7,2	8,0	8,7	6,7	8,2	8,0	–	8,0	9,5	8,0	6,4	7,6	Effective in treatment resistance; risk of agranulocytosis
Haloperidol	9,0	7,8	7,5	6,8	<5	<5	<5	–	<5	<5	6,5	<5	6,5	High EPS risk; typical antipsychotic
Lurasidone	8,7	8,0	6,0	9,0	8.4 (PA)	7,5	8,1	–	<5	6,6	6,7	<5	–	Acts on 5-HT <sub>7</sub> receptors; low metabolic risk
Amisulpride	9,0	8,8	6,5	<5	<5	<5	<5	–	<5	<5	<5	<5	<5	Selective for D <sub>2</sub> /D <sub>3</sub> ; effective on negative symptoms
Ziprasidone	8,9	7,9	6,1	9,5	8.1 (Ag)	8,3	7,9	–	<5	7,2	7,0	<5	6,7	Inhibits 5-HT and norepinephrine reuptake
Sertindole	8,7	–	–	9,1	<5	7,8	–	–	<5	6,9	8,3	<5	–	May prolong QT interval
Flupentixol	8,8	7,5	6,0	7,0	<5	<5	6,5	–	<5	7,5	7,8	<5	6,5	Activating; higher EPS risk
KarXT	–	–	–	–	–	–	–	–	Agonist at M1/M4	–	–	–	–	Acts on muscarinic receptors (M1/M4)

## Legend:

**pKi ~X.X:** Affinity with a pKi value of approximately X.X.

**(PA):** The medication acts as a **Partial Agonist** at the receptor.

**(Ag):** The medication acts as an **Agonist** at the receptor.

**<5:** pKi value less than 5, indicating **very low or negligible affinity**.

**–:** No available or relevant data.

**Notes:** Important information about the medication's action or special properties.

## Explanation of Receptors:

**Dopamine Receptors (D<sub>2</sub>, D<sub>3</sub>, D<sub>4</sub>):**

**D<sub>2</sub>, D<sub>3</sub>, D<sub>4</sub>:** Targets for antipsychotic effects; blockade can lead to **extrapyramidal symptoms (EPS)**.

**Serotonin Receptors (5-HT):**

**5-HT<sub>1A</sub>:** **Agonism** or **partial agonism** can provide **anxiolytic** and **antidepressant** effects.

**5-HT<sub>2A</sub>:** Blockade enhances antipsychotic effects and reduces EPS.

**5-HT<sub>2C</sub>:** Involved in **weight gain** and **metabolic effects**.

**5-HT<sub>7</sub>:** Influences **cognition** and **mood**; blockade may improve cognitive symptoms.

**Muscarinic Acetylcholine Receptors (M1, M4):**

**M1:** Blockade can lead to **anticholinergic side effects** (e.g., dry mouth).

**KarXT:** Acts as an **agonist** at **M1/M4** receptors.

**Histamine H<sub>1</sub> Receptors:**

Blockade leads to **sedation** and **weight gain**.

**Alpha-1 Adrenergic Receptors:**

Blockade can cause **orthostatic hypotension** (dizziness upon standing).

**Sigma-1 Receptors:**

Involved in **neuroprotection** and **neurotransmission**; binding may influence antipsychotic effects.

## Important Notes:

**Data Variability:** Exact pKi values may vary depending on the study and measurement methods. The values provided are approximations.

**Clinical Relevance:** A medication's effect depends not only on its affinity for a receptor but also on factors like intrinsic activity, pharmacokinetics, and individual patient factors.

**Personalized Therapy:** The choice of an appropriate antipsychotic should be individualized based on symptoms, side effects, and patient needs.

**Sources:**

**PDSP Ki Database** (Psychoactive Drug Screening Program, University of North Carolina)

Current scientific literature and pharmacological databases

**Textbooks** of Pharmacology and Psychopharmacology

**Final Note:**

This table is intended for informational purposes and provides an overview of the various mechanisms of action of antipsychotics.

It does not replace professional medical advice. For questions regarding therapy or medication selection, please consult a healthcare professional.