Receptor Binding Profiles of Antidepressants

The table shows the affinity of antidepressants to various receptors and transporters. The pKi values indicate the relative binding affinity, ranging from **<5** (very low or negligible affinity) to **~10** (very high affinity). Agonists or partial agonists are correspondingly marked.

	Medication	SERT	NET	DAT	5-HT2A	5-HT2C	5-HT1A	α1	α2	H1	M1	Notes
TZA	Amitriptyline	7,7	7,9	<5	6,8	6,8	6,2	6,8	6,3	8,9	8,5	Tricyclic antidepressant; highly sedative
	Nortriptyline	6,8	8,4	<5	6,2	6,3	<5	6,2	6,0	7,5	7,0	Metabolite of amitriptyline; less sedative
	Imipramine	7,7	6,8	<5	6,5	6,6	6,3	6,6	6,0	7,8	7,5	Tricyclic antidepressant; SERT and NET inhibition
	Desipramine	6,5	8,5	<5	6,0	6,1	<5	6,1	5,8	7,0	7,0	Mainly NET inhibition; fewer anticholinergic effects
	Clomipramine	8,1	6,7	<5	7,0	6,8	6,5	6,5	6,0	8,2	7,8	Strongly serotonergic; effective in OCD
SSRI	Fluoxetine	8,7	<5	<5	6,5	6,5	7,0	<5	<5	6,5	<5	SSRI; long half-life
	Sertraline	8,9	7,5	6,3	6,5	6,2	7,1	<5	<5	6,4	<5	SSRI; slight DAT inhibition
	Paroxetine	9,0	6,7	<5	6,4	6,0	7,2	<5	<5	6,7	<5	SSRI; may cause anticholinergic side effects
	Citalopram	8,9	<5	<5	6,1	6,0	6,5	<5	<5	6,3	<5	SSRI; well tolerated
	Escitalopram	9,5	<5	<5	6,5	6,2	6,8	<5	<5	6,5	<5	S-enantiomer of citalopram; higher affinity for SERT
SNRI	Venlafaxine	8,9	7,5	<5	6,0	6,0	6,3	<5	<5	6,0	<5	SNRI; dose-dependent NET inhibition
	Duloxetine	9,3	8,5	<5	6,2	6,0	6,5	<5	<5	6,0	<5	SNRI; also effective for pain
NaSSA	Mirtazapine	<5	<5	<5	6,5	6,8	<5	6,7	9,0	8,5	<5	NaSSA; α2 antagonist; highly sedative
NDRI	Bupropion	<5	6,5	6,7	<5	<5	<5	<5	<5	<5	<5	NDRI; also used for smoking cessation
	Agomelatine	<5	<5	<5	6,2	6,0	<5	<5	<5	<5	<5	MT1/MT2 agonist; 5-HT_2C antagonist
NRI	Reboxetine	<5	8,6	<5	<5	<5	<5	<5	<5	<5	<5	Selective NET inhibitor; noradrenergic antidepressant

Legend:

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

SERT: Serotonin transporter.

NET: Norepinephrine transporter.

DAT: Dopamine transporter.

5-HTx: Various subtypes of serotonin receptors.

α1, **α2**: Adrenergic receptors.

H1: Histamine H_1 receptor.

M1: Muscarinic acetylcholine receptor.

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

Important Notes:

Data Variability: Exact pKi values can vary depending on the study.

Clinical Relevance: The effectiveness of an antidepressant depends not only on affinity to transporters and receptors but also on individual factors.

Side Effects: Antidepressants can have different side effects depending on their receptor profile.

Disclaimer: This table is for informational purposes only and does not replace professional advice from a healthcare provider.

For questions about therapy or medications, please consult your doctor or pharmacist.

Sources:

PDSP Ki Database (University of North Carolina)

Stahl's Essential Psychopharmacology

Current scientific literature and pharmacological databases

Explanations of the Medications:

1. Selective Serotonin Reuptake Inhibitors (SSRIs)

Medications: Fluoxetine, Sertraline, Paroxetine, Citalopram, Escitalopram

Mechanism of Action: Selectively inhibit SERT, increasing serotonin levels in the synaptic cleft.

Indications: Treatment of depression, anxiety disorders, obsessive-compulsive disorder (OCD).

Side Effects: Nausea, sleep disturbances, sexual dysfunction.

2. Serotonin-Norepinephrine Reuptake Inhibitors (SNRIs)

Medications: Venlafaxine, Duloxetine
Mechanism of Action: Inhibit SERT and NET, increasing serotonin and norepinephrine levels.
Indications: Depression, generalized anxiety disorder, neuropathic pain.
Side Effects: Nausea, sweating, increased blood pressure.

3. Tricyclic Antidepressants (TCAs)

Medications: Amitriptyline, Nortriptyline, Imipramine, Desipramine, Clomipramine
Mechanism of Action: Inhibit SERT and/or NET and act on various receptors (H1, M1, α1).
Indications: Severe depression, chronic pain, migraine prophylaxis.
Side Effects: Sedation, anticholinergic effects, orthostatic hypotension.

4. Norepinephrine-Dopamine Reuptake Inhibitor (NDRI)

Medication: Bupropion
Mechanism of Action: Inhibits NET and DAT, increasing norepinephrine and dopamine levels.
Indications: Depression, smoking cessation.
Side Effects: Insomnia, dry mouth, increased seizure risk.

5. Noradrenergic and Specific Serotonergic Antidepressant (NaSSA)

Medication: Mirtazapine
Mechanism of Action: Antagonist at presynaptic α2-adrenergic receptors and 5-HT_2/5-HT_3 receptors; increases the release of norepinephrine and serotonin.
Indications: Depression with sleep disturbances and loss of appetite.
Side Effects: Strong sedation, weight gain.

6. Selective Norepinephrine Reuptake Inhibitor (NRI)

Medication: Reboxetine
Mechanism of Action: Selectively inhibits NET, increasing norepinephrine levels.
Indications: Depression; off-label use for ADHD.
Side Effects: Sleep disturbances, dry mouth, increased blood pressure.

7. Agomelatine

Mechanism of Action: Agonist at melatonin receptors (MT1, MT2); antagonist at 5-HT_2C receptors.
Indications: Depression with sleep disturbances, circadian rhythm disorders.
Side Effects: Elevated transaminases (liver enzymes), headaches, dizziness.