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Inhibitors, Agonists, Screening Libraries

Dopamine Transporter

DAT; SLC6A3

Dopamine Transporter (DAT) is an integral membrane protein that removes dopamine from the synaptic cleft and deposits it into surrounding cells, thus terminating the signal of the neurotransmitter. Dopamine transporter (DAT) controls the spatial and temporal dynamics of dopamine (DA) neurotransmission by driving reuptake of extracellular transmitter into presynaptic neurons. Many diseases such as depression, bipolar disorder, Parkinson's disease, and attention deficit hyperactivity disorder are associated with abnormal DA levels, implicating DAT as a factor in their etiology. Medications used to treat these disorders and many addictive drugs target DAT and enhance dopaminergic signaling by suppressing transmitter reuptake. DAT is regulated by multiple signaling systems, with PKC and ERK being two of the most well-characterized.

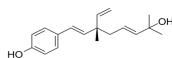
Dopamine Transporter Inhibitors & Activators

13-Hydroxyisobakuchiol

(Delta3,2-Hydroxybakuchiol)

Cat. No.: HY-N7506

Hydroxyisobakuchiol (Delta3,2-Hydroxybakuchiol), an analog of Bakuchiol (HY-N0235) isolated from *Psoralea corylifolia* (L.), is a potent **monoamine transporter** inhibitor.

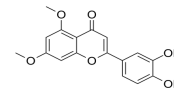


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

5,7-Dimethoxyluteolin

Cat. No.: HY-111928

5,7-Dimethoxyluteolin, a 5,7-dimethyluteolin derivative, is a **dopamine transporter (DAT)** activator with an EC_{50} of 3.417 μ M.



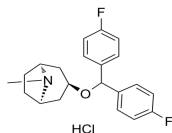
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg

AHN 1-055 hydrochloride

(3 α -Bis-(4-fluorophenyl) Methoxytropane hydrochloride)

Cat. No.: HY-101315

AHN 1-055 hydrochloride is a **dopamine uptake** inhibitor, with an IC_{50} of 71 nM. AHN 1-055 hydrochloride binds with high affinity to the **dopamine transporter (DAT)** and may serve as leads for the development of agents to treat cocaine abuse.



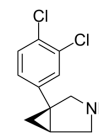
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Amitifadine hydrochloride

(DOV-21947 hydrochloride; EB-1010 hydrochloride)

Cat. No.: HY-18332A

Amitifadine hydrochloride is a serotonin-norepinephrine-dopamine reuptake inhibitor (**SNDRI**), with IC_{50} s of 12, 23, 96 nM for serotonin, norepinephrine and dopamine in HEK 293 cells, respectively.



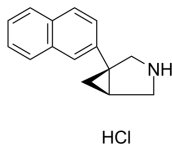
Purity: 99.92%
Clinical Data: Phase 3
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg

Centanafadine hydrochloride

(EB-1020 hydrochloride)

Cat. No.: HY-16736A

Centanafadine (hydrochloride) is dual **norepinephrine (NE)/dopamine (DA)** transporter inhibitor, also inhibits serotonin transporter, with IC_{50} s of 6 nM, 38 nM and 83 nM for human NE, DA and serotonin transporter, respectively.



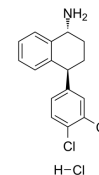
Purity: 99.93%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Dasotraline hydrochloride

(SEP-225289 hydrochloride)

Cat. No.: HY-12850A

Dasotraline hydrochloride (SEP-225289 hydrochloride) is a triple reuptake inhibitor that blocks **dopamine, norepinephrine, and serotonin** transporters with IC_{50} values of 4, 6, and 11 nM, respectively.

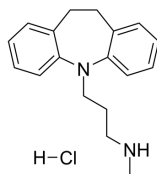


Purity: 99.55%
Clinical Data: Phase 3
Size: 10 mM \times 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Desipramine hydrochloride

Cat. No.: HY-B1272

Desipramine hydrochloride is an inhibitor of **norepinephrine transporter (NET)**, **5-HT transporter (SERT)** and **dopamine transporter (DAT)** with K_s of 4, 61 and 78,720 nM, respectively.



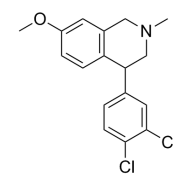
Purity: 99.68%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 100 mg, 500 mg

Diclofensine

(Ro 8-4650)

Cat. No.: HY-18610A

Diclofensine (Ro-8-4650) is a potent inhibitor of monoamine reuptake, blocking the uptake of dopamine, noradrenaline, and serotonin by rat brain synaptosomes with IC_{50} values of 0.74, 2.3, and 3.7 nM, respectively.



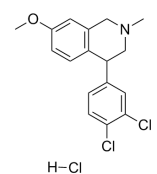
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Diclofensine hydrochloride

(Ro 8-4650 hydrochloride)

Cat. No.: HY-18610

Diclofensine hydrochloride (Ro-8-4650 hydrochloride) is a potent inhibitor of monoamine reuptake, blocking the uptake of dopamine, noradrenaline, and serotonin by rat brain synaptosomes with IC_{50} values of 0.74, 2.3, and 3.7 nM, respectively.

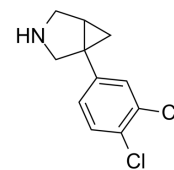


Purity: 96.44%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg

DOV-216,303 Free Base

Cat. No.: HY-18332C

DOV-216,303 (Free Base) is a potent triple **serotonin, norepinephrine, and dopamine reuptake** inhibitor, with IC_{50} values of 14 nM, 20 nM and 78 nM for hSERT, hNET and hDAT, respectively.



Purity: 98.47%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg

<p>Fipexide</p> <p style="text-align: right;">Cat. No.: HY-B1124</p>	<p>Fipexide hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-B1124A</p>
<p>Fipexide, a parachloro-phenossiacetic acid derivative, is a nootropic drug. Fipexide reduces striatal adenylate cyclase activity. Fipexide has positive effect on cognitive performance by dopaminergic neurotransmission. Fipexide is used for senile dementia research.</p> <p>Purity: 99.99%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 100 mg</p>	<p>Fipexide hydrochloride, a parachloro-phenossiacetic acid derivative, is a nootropic drug. Fipexide hydrochloride reduces striatal adenylate cyclase activity.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 1 mg, 5 mg</p>
<p>GBR 12935</p> <p style="text-align: right;">Cat. No.: HY-12242A</p>	<p>GBR 12935 dihydrochloride</p> <p style="text-align: right;">Cat. No.: HY-12242</p>
<p>GBR 12935 is a potent, and selective dopamine reuptake inhibitor. IC50 value: Target: dopamine reuptake inhibitor in vitro: The calculated Kd of [3H]GBR-12935 binding to CYP2D6 was 42.2 nM, indicating that GBR-12935 has a high affinity for CYP2D6.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>GBR 12935 dihydrochloride is a potent, and selective dopamine reuptake inhibitor. IC50 value: Target: dopamine reuptake inhibitor in vitro: The calculated Kd of [3H]GBR-12935 binding to CYP2D6 was 42.2 nM, indicating that GBR-12935 has a high affinity for CYP2D6.</p> <p>Purity: 99.27%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p>J-147</p> <p style="text-align: right;">Cat. No.: HY-13779</p>	<p>Levophaceterane hydrochloride</p> <p style="text-align: right;">Cat. No.: HY-101631</p>
<p>J-147 is an exceptionally potent, orally active, neuroprotective agent for cognitive enhancement. J-147 can readily pass the blood brain barrier (BBB).</p> <p>Purity: 99.90%</p> <p>Clinical Data: Phase 1</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Levophaceterane inhibits in vitro in a competitive manner, norepinephrin uptake and dopamine uptake.</p> <p>Purity: >98%</p> <p>Clinical Data: Launched</p> <p>Size: 1 mg, 5 mg</p>
<p>SPD-473 citrate</p> <p style="text-align: right;">Cat. No.: HY-101612</p>	<p>Vanoxerine</p> <p>(GBR 12909; I893)</p> <p style="text-align: right;">Cat. No.: HY-13217A</p>
<p>SPD-473 citrate is a serotonin/dopamine/norepinephrine reuptake inhibitor.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Vanoxerine (GBR-12909) is a competitive, potent, and highly selective dopamine reuptake inhibitor ($K_i=1$ nM). Vanoxerine (GBR-12909) binds to the target site on the dopamine transporter (DAT).</p> <p>Purity: >98%</p> <p>Clinical Data: Phase 3</p> <p>Size: 1 mg, 5 mg</p>
<p>Vanoxerine dihydrochloride</p> <p>(GBR-12909 dihydrochloride; I893 dihydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-13217</p>	<p>Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) is a competitive, potent, and highly selective dopamine reuptake inhibitor ($K_i=1$ nM). Vanoxerine dihydrochloride (GBR-12909 dihydrochloride) binds to the target site on the dopamine transporter (DAT).</p> <p>Purity: 99.52%</p> <p>Clinical Data: Phase 3</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg</p>