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

<table>
<thead>
<tr>
<th>Compound</th>
<th>Cat. No.</th>
<th>Description</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Amitifadine hydrochloride</strong> (DOV-21947 hydrochloride; EB-1010 hydrochloride)</td>
<td>HY-18332A</td>
<td>Amitifadine hydrochloride is a serotonin-norepinephrine-dopamine reuptake inhibitor (SNDRI), with IC₅₀ values of 12, 23, 96 nM for serotonin, norepinephrine and dopamine in HEK 293 cells, respectively.</td>
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<tr>
<td><strong>Centanafadine (EB-1020)</strong></td>
<td>HY-16736A</td>
<td>Centanafadine is a dual norepinephrine (NE)/dopamine (DA) transporter inhibitor, also inhibits serotonin transporter, with IC₅₀ values of 6 nM, 38 nM and 83 nM for human NE, DA and serotonin transporter, respectively.</td>
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<td><strong>Desipramine hydrochloride</strong></td>
<td>HY-B1272</td>
<td>Desipramine hydrochloride is an inhibitor of norepinephrine transporter (NET), 5-HT transporter (SERT) and dopamine transporter (DAT) with Kᵣ values of 4, 61 and 78,720 nM, respectively.</td>
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<td><strong>Diclofensine</strong> (Ro 8-4650)</td>
<td>HY-18610A</td>
<td>Diclofensine is a potent inhibitor of monoamine reuptake, blocking the uptake of dopamine, noradrenaline, and serotonin by rat brain synaptosomes with IC₅₀ values of 0.74, 2.3, and 3.7 nM, respectively.</td>
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<td><strong>DOV-216,303 Free Base</strong></td>
<td>HY-18332C</td>
<td>DOV-216,303 (Free Base) is a potent triple serotonin, norepinephrine, and dopamine reuptake inhibitor, with IC₅₀ values of 14 nM, 20 nM and 78 nM for hSERT, hNET and hDAT, respectively.</td>
</tr>
</tbody>
</table>

### Purity and Clinical Data

- **Purity:**
  - Amitifadine hydrochloride: 99.92%
  - Centanafadine: >98%
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  - Dasotraline: >98%
  - Dasotraline hydrochloride: >98%
  - Desipramine hydrochloride: 99.68%
  - Diclofensine hydrochloride: 96.44%
  - DOV-216,303 Free Base: 98.47%
  - Fipexide: 99.88%

- **Clinical Data:**
  - Amitifadine hydrochloride: Phase 3
  - Centanafadine: No Development Reported
  - Centanafadine hydrochloride: No Development Reported
  - Dasotraline: No Development Reported
  - Dasotraline hydrochloride: No Development Reported
  - Desipramine hydrochloride: Launched
  - Diclofensine hydrochloride: No Development Reported
  - DOV-216,303 Free Base: No Development Reported
  - Fipexide: No Development Reported

- **Size:**
  - Amitifadine hydrochloride: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
  - Centanafadine: 250 mg, 500 mg
  - Centanafadine hydrochloride: 250 mg, 500 mg
  - Dasotraline: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg
  - Dasotraline hydrochloride: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 25 mg, 50 mg
  - Desipramine hydrochloride: 10 mM × 1 mL, 100 mg, 500 mg
  - Diclofensine hydrochloride: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg
  - DOV-216,303 Free Base: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg
  - Fipexide: 10 mM × 1 mL, 100 mg

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GBR 12935

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.

Purity: >98%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg

GBR 12935 dihydrochloride

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.

Purity: 98.90%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Levophacetoperane hydrochloride

Levophacetoperane inhibits in vitro in a competitive manner, norepinephrin uptake and dopamine uptake.

Purity: >98%
Clinical Data: Launched
Size: 1 mg

SPD-473 citrate

SPD-473 citrate is a serotonin/dopamine/norepinephrine reuptake inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 20 mg

Vanoxerine (GBR 12909; I893)

Vanoxerine (GBR12909) is a potent and selective DRI (Dopamine reuptake inhibitor).

Purity: >98%
Clinical Data: Phase 3
Size: 10 mg, 50 mg

Vanoxerine dihydrochloride (GBR-12909 dihydrochloride; I893 dihydrochloride)

Vanoxerine dihydrochloride is a potent and selective dopamine reuptake inhibitor.

Purity: 99.52%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 10 mg, 50 mg