

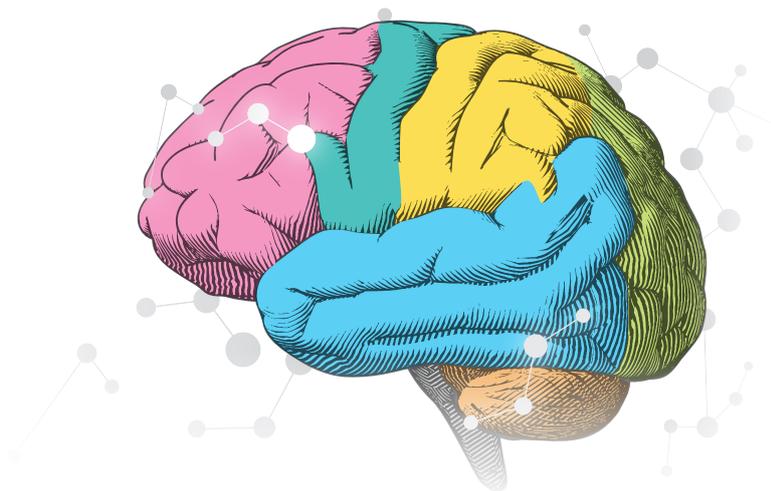
# Neural Mechanisms of Psychoactive Substances



Psychoactive substances have profound effects on complex neural processes. They alter thoughts, mood, perception, and behavior, effects that underlie recreational drug use and substance use disorders. However, some psychoactive substances are emerging as promising therapies. Cayman offers a range of products to study the underlying neural processes mediating behavior in response to psychoactive substances.

## Discover Tools to Identify Neural Mechanisms Underlying Addiction, Substance Use Disorders, & Psychedelic Therapies

- The reward circuit
- Learning & memory
- Sleep & biological rhythms
- Perception & mood



## Psychoactive Substances: Illicit Drugs & Misused Medications

### Stimulants

Item No.	Product Name
33764	Cocaine (hydrochloride)
15650	D-Amphetamine (hydrochloride) (exempt preparation)
13997	(+)-Methamphetamine (hydrochloride)
14276	PCP (hydrochloride)

See all stimulants at [www.caymanchem.com](http://www.caymanchem.com)

### Phytocannabinoids

Item No.	Product Name
90080	Cannabidiol
12068	$\Delta^9$ -THC

See all phytocannabinoids at [www.caymanchem.com](http://www.caymanchem.com)

### Synthetic Cannabinoids

Item No.	Product Name
10900	JWH 018
10904	JWH 073
13636	JWH 398

See all synthetic cannabinoids at [www.caymanchem.com](http://www.caymanchem.com)

### Benzodiazepines

Item No.	Product Name
14255	Alprazolam
14263	Clonazepam
15887	Diazepam
15891	Lorazepam

See all benzodiazepines at [www.caymanchem.com](http://www.caymanchem.com)

### Opioids

Item No.	Product Name
15459	Codeine
23580	Fentanyl
9001543	Heroin
15461	Hydrocodone
15463	Hydromorphone
15464	Morphine
15465	Oxycodone
15911	Oxymorphone

See all opioids at [www.caymanchem.com](http://www.caymanchem.com)

# Pharmacotherapy for Substance Use Disorders

## Pharmacotherapeutic Agents

Item No.	Product Name	Summary
23899	Acamprosate (calcium salt)	An NMDA modulator that has been used for the maintenance of alcohol abstinence
15303	Disulfiram	A copper chelator and an irreversible inhibitor of ALDH that has been used in the treatment of alcohol dependence
14252	Flumazenil	A GABA <sub>A</sub> receptor antagonist and partial agonist used in the treatment of benzodiazepine withdrawal
22126	Nepicastat (hydrochloride)	An inhibitor of dopamine β-hydroxylase (IC <sub>50</sub> = 9 nM for the purified human enzyme) that reduces cue-induced reinstatement of cocaine-seeking behavior in rats

## Psychedelic Therapy with Psychoactive Substances

Some psychedelics are demonstrating benefits in clinical studies for the treatment of disorders that are resistant to other therapies.

### Psychedelic Therapeutic Agents

Item No.	Product Name
20083	Ibogaine (hydrochloride)
11630	Ketamine (hydrochloride)
36791	2-bromo LSD (solution)
28289	LSD (D-tartrate) (solution)
13971	3,4-MDMA (hydrochloride)
13959	N,N-DMT
14041	Psilocybin



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## Dopamine Receptor Signaling

Dopamine has important roles in reward, motivation, pleasure, and learning and memory.

### Dopamine Receptor Signaling Modulators

Item No.	Product Name	Summary
19530	Fluspirilene	A dopamine D <sub>2</sub> and D <sub>3</sub> receptor antagonist (K <sub>s</sub> = 1.5 and 1.1 nM, respectively)
15622	GBR 12909 (hydrochloride)	An inhibitor of dopamine uptake (IC <sub>50</sub> = 1-51 nM), leading to consequent stimulation of dopamine receptors
25331	SCH 39166 (hydrobromide)	A dopamine D <sub>1</sub> receptor antagonist (K <sub>i</sub> = 5 nM) that inhibits food intake in rats and reduces ethanol intake in alcohol-preferring rats
24961	Zuclopenthixol	A dopamine receptor antagonist (K <sub>s</sub> = 9.8 and 1.5 nM for D <sub>1</sub> and D <sub>2</sub> receptors, respectively) that enhances memory retrieval in rats

See all tools for dopamine receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

## Serotonin (5-HT) Receptor Signaling

5-HT has important roles in mood, wellness, appetite, learning and memory, and sleep.

### 5-HT Receptor Signaling Modulators

Item No.	Product Name	Summary
14572	Citalopram (hydrobromide)	An SSRI (IC <sub>50</sub> = 1.8 nM for 5-HT reuptake in rat brain synaptosomes)
14998	Paroxetine (hydrochloride)	An SSRI (K <sub>i</sub> = 0.04 nM) that has been used in formulations for the treatment of depression and anxiety
14839	Sertraline (hydrochloride)	An SSRI that inhibits monoamine uptake by the serotonin transporter (SERT; IC <sub>50</sub> = 70 nM) and has been used in formulations for the treatment of depression and anxiety
21547	Vilazodone (hydrochloride)	An SSRI and a partial agonist of 5-HT <sub>1A</sub> (IC <sub>50S</sub> = 0.2 and 0.5 nM, respectively) that has been used in formulations for the treatment of depression

See all tools for 5-HT receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

# GABA Receptor Signaling

GABA is the major inhibitory neurotransmitter in the brain. It helps regulate mood and anxiety and has roles in sleep.

## GABA Receptor Signaling Modulators

Item No.	Product Name	Summary
18600	(±)-Baclofen	A GABA <sub>B</sub> receptor agonist (IC <sub>50</sub> = 180 nM) that reduces cocaine-induced hyperlocomotion in rats and binge-like ethanol intake in mice
15999	Etifoxine	A positive allosteric modulator of GABA <sub>A</sub> receptors that has anxiolytic-like activity in mice
16355	Gaboxadol (hydrochloride)	A GABA <sub>A</sub> receptor agonist and GABA <sub>C</sub> receptor antagonist
13667	Muscimol	GABA <sub>A</sub> and GABA <sub>C</sub> receptor agonist that impairs memory formation and retrieval in mice
24302	TPMPA (hydrate)	A $\rho$ 1 GABA <sub>C</sub> receptor antagonist that increases waking and decreases slow-wave and paradoxical sleep in rats

See all tools for GABA receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

# Glutamate Receptor Signaling

Glutamate is the most abundant excitatory neurotransmitter in the brain. It has important roles in neurodevelopment, learning and memory, cognition, and mood.

## Metabotropic Glutamate Receptor (mGluR) Signaling Modulators

Item No.	Product Name	Summary
22104	LSN2463359	A brain-penetrant mGluR5 positive allosteric modulator (EC <sub>50</sub> = 24 nM) that reverses learning deficits in a rat schizophrenia model and promotes wakefulness
24215	LY354740	A mGluR2 and mGluR3 agonist (K <sub>S</sub> = 99 and 94 nM, respectively) that attenuates PCP effects on working memory, stereotypy, and locomotion in a rat schizophrenia model
14536	MPEP (hydrochloride)	A potent, highly selective mGlu5a receptor antagonist (IC <sub>50</sub> = 36 nM) with no activity at the mGlu1b receptor
14961	MTEP (hydrochloride)	A mGlu5a receptor negative allosteric modulator (K <sub>i</sub> = 42 nM, IC <sub>50</sub> = 110 nM) that produces antidepressant and anxiolytic-like effects in rodent models

See all tools for mGluR signaling at [www.caymanchem.com](http://www.caymanchem.com)

## NMDA Receptor Signaling Modulators

Item No.	Product Name	Summary
33284	Arcaïne (sulfate)	An NMDA receptor antagonist (IC <sub>50</sub> = 9.13 $\mu$ M) that impairs contextual and auditory fear conditioning in rats
14539	D-AP5	A selective NMDA antagonist (K <sub>d</sub> = 1.4 $\mu$ M) that is widely used to study the activity of NMDA receptors. DL-AP5 (Item No. 14540) is also available
30687	L-701,324	An NMDA receptor antagonist that inhibits NMDA-induced currents in rat cortical neurons (K <sub>i</sub> = 5.4 nM)
14184	Memantine (hydrochloride)	An NMDA receptor antagonist that blocks NMDA-induced currents in rat retinal ganglion cells
30622	NVP-AAM077	An NMDA receptor antagonist (IC <sub>50</sub> = 8 nM) that increases escape latency in the Morris water maze

See all tools for NMDA receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

## AMPA/Kainate Receptor Signaling Modulators

Item No.	Product Name	Summary
33428	1-BCP	A positive allosteric modulator of AMPA receptors that enhances memory in the Morris water maze in rats
14618	CNQX	A non-NMDA glutamate receptor antagonist (IC <sub>50</sub> s = 0.3 and 1.5 $\mu$ M for AMPA and kainate receptors, respectively) that is widely used to differentiate AMPA/kainate receptor responses from NMDA receptors
38281	NBQX	An AMPA and kainate receptor antagonist (IC <sub>50</sub> s = 0.15 and 4.8 $\mu$ M, respectively) that suppresses relapse phase nicotine self-administration in rats
14937	S18986	A positive allosteric modulator of AMPA receptors that improves episodic memory in rats and is used to study AMPA receptor signaling
36571	UoS 12258	A positive allosteric modulator of AMPA receptors that reduces escape latency in the Morris water maze in aged rats

See all tools for AMPA/kainate receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

# Nicotinic Acetylcholine Receptor (nAChR) Signaling

Acetylcholine (ACh) is the endogenous neurotransmitter for nAChRs. nAChRs regulate neurotransmitter release and have roles in learning and memory, cognitive function, sleep, and appetite.

## nAChR Signaling Modulators

Item No.	Product Name	Summary
20119	Chlorisondamine (iodide)	An antagonist of nAChRs ( $IC_{50} = 1.8 \mu\text{M}$ in rat striatal synaptosomes) and ganglion blocker that blocks nicotine-induced stimulant activity
26437	Cytisine	An alkaloid and a partial agonist of nAChRs that decreases the dysphoric state induced by nicotine withdrawal in rats
13245	Donepezil	An inhibitor of acetylcholinesterase (AChE; $IC_{50} = 6.7 \text{ nM}$ ) that has been used in formulations for the treatment of Alzheimer's disease
21570	GTS-21 (hydrochloride)	An agonist of $\alpha 7$ -containing nAChRs that stimulates dopamine release from rat striatal slices and decreases delay in the delayed matching-to-sample task in monkeys
34529	Ipidacrine	An AChE inhibitor ( $IC_{50} = 270 \text{ nM}$ ) that prevents scopolamine-induced memory deficits in rats
29138	(-)-Nicotine	An alkaloid and neuronal nAChR agonist that has addictive properties
20505	( $\pm$ )-Nornicotine	An active metabolite of nicotine and nAChR agonist that is self-administered in rats

See all tools for nAChR signaling at [www.caymanchem.com](http://www.caymanchem.com)

# Melatonin (MT) Receptor Signaling

Melatonin receptors are predominantly known for their role in sleep and circadian rhythm, but they also have roles in learning and memory.

## MT Receptor Signaling Modulators

Item No.	Product Name	Summary
13203	Agomelatine	A melatonin receptor agonist ( $EC_{50} = 0.1 \text{ nM}$ for $MT_2$ receptors) and 5-HT <sub>2B</sub> and 5-HT <sub>2C</sub> antagonist ( $K_S = 0.26$ and $0.71 \text{ nM}$ for the human receptors)
15998	Luzindole	A melatonin receptor antagonist ( $K_S = 10\text{-}27 \text{ nM}$ for $MT_{1B}$ and $158\text{-}513 \text{ nM}$ for $MT_{1A}$ ) that has been used to study circadian rhythms, animal behavior, and melanophore response
20389	Ramelteon	A melatonin receptor agonist ( $K_S = 14$ and $112 \text{ pM}$ for human $MT_1$ and $MT_2$ receptors, respectively) that shortens latency to sleep onset in macaques

See all tools for melatonin receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

# Orexin Receptor (OXR) Signaling

OXRs have roles in several functions, including the promotion of wakefulness, appetite regulation, and reward.

## OXR Signaling Receptor Modulators & Assay Kits

Item No.	Product Name	Summary
13638	Almorexant (hydrochloride)	An OX1R and OX2R receptor antagonist ( $K_S = 4.7$ and $0.9 \text{ nM}$ , respectively, in a radioligand binding assay)
600240	Orexin 1 Receptor Reporter Assay Kit	A cell-based screening assay based on novel transfection technology
600250	Orexin 2 Receptor Reporter Assay Kit	A cell-based screening assay based on novel transfection technology
15073	Orexin A amide (bovine, human, mouse, rat) (trifluoroacetate salt)	A hypothalamic neuropeptide that activates OX1R and OX2R with equal affinity ( $EC_{50} = 0.09$ and $0.06 \mu\text{M}$ , respectively)
35128	Orexin B amide (mouse, rat) (trifluoroacetate salt)	A hypothalamic neuropeptide that increases intracellular calcium mobilization in HEK293 cells expressing OX1R or OX2R ( $EC_{50} = 0.93$ and $0.13 \mu\text{M}$ , respectively)
19145	SB-334867	An OX1R antagonist ( $K_B = 39.8 \text{ nM}$ ) that reduces food intake and increases resting duration as well as reduces acquisition and consolidation of spatial memory in rats

See all tools for orexin receptor signaling at [www.caymanchem.com](http://www.caymanchem.com)

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