


Pharmacology of Stimulants


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Disclosures




- No conflicts to disclose.




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Learning Objectives



- Review therapeutic uses of the stimulants
- Relate structure to activity
- Describe developments in designer stimulants


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ADHD 


- Attention Deficit/Hyperactivity Disorder (ADHD) is present in 6 million children (9.8%)
 - 4 males: 1 female
 - ~4% of adults
- 62% take medications
 - Stimulants represent the most common and effective medications

<https://www.cdc.gov/ncbddd/adhd/data.html>

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ADHD Pathophysiology 

- Decreased brain volume in multiple brain regions
 - Right prefrontal cortex
 - Caudate nucleus
 - Anterior cingulate gyrus
 - Cerebellum
- Genetically-mediated depletions in serotonergic, cholinergic, and especially dopaminergic function
- Stimulants have been shown to improve cortical thickening and can normalize dopaminergic function

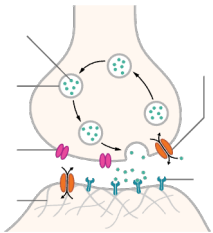


DiPiro JT, ed. *Pharmacotherapy: A Pathophysiologic Approach*. 8th ed. McGraw-Hill Medical; 2011.

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ADHD Treatments 

- Stimulants
 - Methylphenidate
 - Amphetamines
- Non-stimulants
 - Atomoxetine and viloxetine
 - Bupropion
 - Clonidine and Guanfacine
 - Antipsychotics
 - Tricyclic Antidepressants



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Amphetamines DRUG IMPAIRMENT.COM

Amphetamines (C-II)

Short Acting

- Adderall® IR (mixed salt)
- Dexedrine®
- Dextroamphetamine tablets
- Adderall® XR
- Mydayis® (triple bead)

Longer Acting

- Mydayis® (triple bead)

CC(N)Cc1ccccc1

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Stimulant ADRs DRUG IMPAIRMENT.COM

- Insomnia
- Decreased appetite, weight loss
- Abdominal pain, nausea
- Headache

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Brain Chemistry DRUG IMPAIRMENT.COM

NC(CO)c1ccc(O)c(O)c1 Epinephrine

NC(CO)c1ccc(O)c(O)c1 Norepinephrine

NCc1ccc(O)c(O)c1 Dopamine

NCc1ccc2c(c1)c(O)c[nH]2 Serotonin

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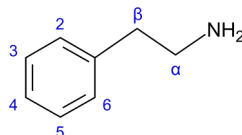
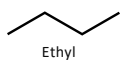
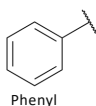
Goals of a drug designer



- Increase brain penetration
- Maximize pleasure centers
- Increase potency

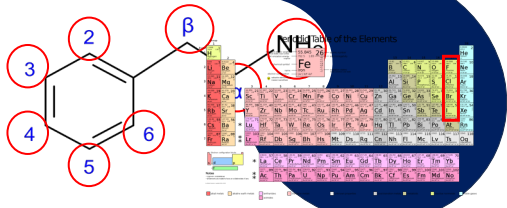
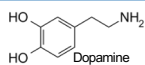
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Phenethylamines

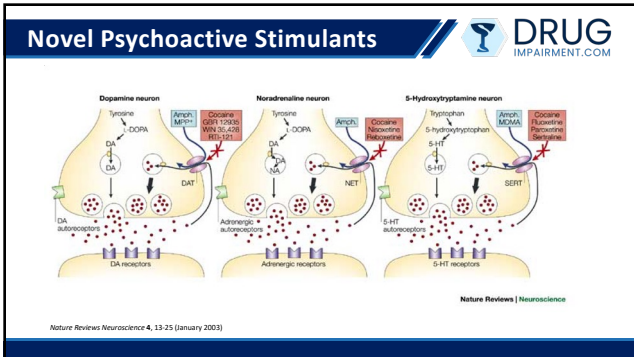


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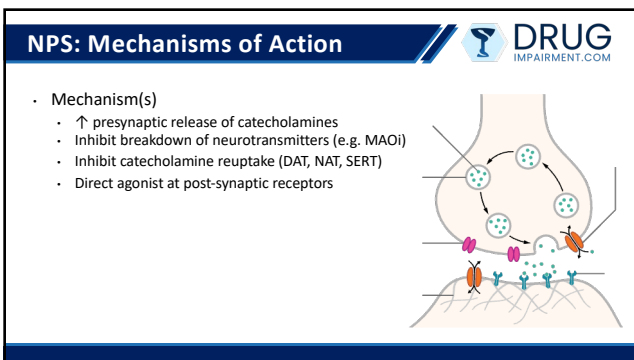
Phenethylamines



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