

Teacher's Manual for Unit IV: Drugs Acting on Central Nervous System

SNS College of Pharmacy and Health Sciences

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Introduction

This manual provides a structured 8-session plan for teaching Unit IV: Drugs Acting on the Central Nervous System, covering Sedatives and Hypnotics, Antipsychotics, and Anticonvulsants. Each 50-minute session incorporates design thinking (DT) activities (Empathize, Define, Ideate, Prototype, Test) to foster student engagement and critical thinking. Student workbook tasks are included for hands-on learning. The content aligns with the Medicinal Chemistry curriculum at SNS College of Pharmacy and Health Sciences.

Session Plan

Session 1: Introduction to Sedatives and Hypnotics, Benzodiazepines Overview

Objective: Introduce sedatives and hypnotics, focusing on benzodiazepines and their structure-activity relationship (SAR).

DT Activity: Empathize (15 min)

- Conduct a group discussion to empathize with patients experiencing anxiety or insomnia. Students share insights on patient challenges (e.g., side effects, dependency concerns).
- Prompt: "What are the emotional and physical challenges for patients using sedatives?"

Lecture Content: (25 min)

- Overview of sedatives and hypnotics: mechanism of action (GABA receptor modulation).
- Benzodiazepines: SAR, emphasizing key structural features (e.g., 1,4-benzodiazepine ring, substituents at R1, R2, R7).
- Examples: Chlordiazepoxide, Diazepam.

Workbook Task: (10 min)

- Draw the chemical structure of Diazepam and label key SAR features (e.g., electron-withdrawing group at R7).

- Write a short paragraph on how patient needs influence sedative design.

Session 2: Benzodiazepines (Continued) and Barbiturates

Objective: Explore additional benzodiazepines and introduce barbiturates with their SAR.

DT Activity: Define (15 min)

- Students define the problem: “What makes an ideal sedative-hypnotic in terms of efficacy and safety?”
- Create a problem statement in groups (e.g., “Patients need a sedative that minimizes dependency while ensuring rapid onset.”)

Lecture Content: (25 min)

- Benzodiazepines: Oxazepam, Chlorazepate, Lorazepam, Alprazolam, Zolpidem (non-benzodiazepine).
- Barbiturates: SAR (5,5-disubstituted barbituric acid), examples: Barbitol, Phenobarbital.

Workbook Task: (10 min)

- Compare the structures of Lorazepam and Alprazolam, noting SAR differences.
- List two advantages and two disadvantages of barbiturates vs. benzodiazepines.

Session 3: Barbiturates and Miscellaneous Sedatives

Objective: Cover barbiturates in detail and introduce miscellaneous sedatives.

DT Activity: Ideate (15 min)

- Brainstorm ideas for improving sedative safety (e.g., reducing overdose risk). Students propose modifications to barbiturate structures.
- Use sticky notes to collect and group ideas.

Lecture Content: (25 min)

- Barbiturates: Mephobarbital, Amobarbital, Butobarbital, Pentobarbital, Secobarbital.
- Miscellaneous: Amides (Glutethimide), alcohols (Meprobamate, Ethchlorvynol), aldehydes (Triclofos sodium, Paraldehyde).

Workbook Task: (10 min)

- Draw the structure of Phenobarbital and suggest one structural modification to reduce side effects.
- Write a brief note on the clinical use of Paraldehyde.

Session 4: Introduction to Antipsychotics and Phenothiazines

Objective: Introduce antipsychotics, focusing on phenothiazines and their SAR.

DT Activity: Empathize (15 min)

- Role-play: Students act as patients or caregivers to understand challenges of schizophrenia treatment (e.g., stigma, side effects).
- Prompt: “What barriers do patients face in adhering to antipsychotic therapy?”

Lecture Content: (25 min)

- Antipsychotics: Mechanism (dopamine D2 receptor antagonism).
- Phenothiazines: SAR (tricyclic structure, side chain), examples: Promazine hydrochloride, Chlorpromazine hydrochloride.

Workbook Task: (10 min)

- Draw the structure of Chlorpromazine and annotate SAR features (e.g., basic amine side chain).
- List three patient-centered considerations for antipsychotic drug design.

Session 5: Phenothiazines (Continued) and Ring Analogues

Objective: Cover additional phenothiazines and their ring analogues.

DT Activity: Define (15 min)

- Students define the problem: “How can antipsychotics balance efficacy with reduced extrapyramidal side effects?”
- Create a problem statement in groups.

Lecture Content: (25 min)

- Phenothiazines: Triflupromazine, Thioridazine hydrochloride, Piperacetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride.
- Ring analogues: Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine.

Workbook Task: (10 min)

- Compare the structures of Thioridazine and Clozapine, noting differences in ring systems.
- Explain how Clozapine’s unique profile reduces side effects.

Session 6: Other Antipsychotics and Introduction to Anticonvulsants

Objective: Discuss remaining antipsychotics and introduce anticonvulsants.

DT Activity: Ideate (15 min)

- Brainstorm modifications to antipsychotic structures to improve patient tolerability (e.g., reducing sedation).

- Students sketch structural ideas on paper.

Lecture Content: (25 min)

- Antipsychotics: Fluoro butyrophenones (Haloperidol, Droperidol, Risperidone), beta amino ketones (Molindone hydrochloride), benzamides (Sulpiride).
- Anticonvulsants: Overview, mechanism (e.g., sodium channel blockade, GABA enhancement).

Workbook Task: (10 min)

- Draw the structure of Haloperidol and suggest one modification to enhance selectivity.
- List two mechanisms of anticonvulsant action.

Session 7: Anticonvulsants: Barbiturates, Hydantoins, and Oxazolidine Diones

Objective: Explore anticonvulsant classes and their SAR.

DT Activity: Prototype (15 min)

- Students create a paper prototype of a “new” anticonvulsant molecule based on SAR of hydantoins or barbiturates.
- Present prototypes to peers for feedback.

Lecture Content: (25 min)

- Barbiturates: Phenobarbitone, Methabarbital.
- Hydantoins: Phenytoin, Mephenytoin, Ethotoin.
- Oxazolidine diones: Trimethadione, Paramethadione.

Workbook Task: (10 min)

- Draw the structure of Phenytoin and annotate its SAR features.
- Propose a structural modification to improve Phenytoin’s solubility.

Session 8: Anticonvulsants (Continued) and Course Wrap-Up

Objective: Cover remaining anticonvulsants and consolidate learning.

DT Activity: Test (15 min)

- Students test their prototype molecules from Session 7 by presenting to the class and receiving feedback on feasibility (e.g., SAR alignment, clinical relevance).
- Discuss refinements based on feedback.

Lecture Content: (25 min)

- Succinimides: Phensuximide, Methsuximide, Ethosuximide.
- Urea/monoacylureas: Phenacemide, Carbamazepine.

- Benzodiazepines: Clonazepam.
- Miscellaneous: Primidone, Valproic acid, Gabapentin, Felbamate.

Workbook Task: (10 min)

- Draw the structure of Carbamazepine and explain its mechanism of action.
- Write a reflection on how design thinking enhanced understanding of CNS drug development.

Student Workbook Tasks Summary

The workbook tasks are designed to reinforce lecture content and DT activities. Students will:

- Draw and analyze chemical structures to understand SAR.
- Compare drug classes (e.g., benzodiazepines vs. barbiturates).
- Propose structural modifications to address clinical challenges.
- Reflect on patient needs and design thinking applications.

Tasks should be compiled in a notebook, submitted at the end of the unit for assessment.

Assessment Guidelines

- **Workbook Tasks (40%):** Evaluate accuracy of structures, depth of analysis, and creativity in proposed modifications.
- **DT Participation (30%):** Assess engagement in empathize, define, ideate, prototype, and test activities.
- **Quiz (20%):** Short quiz on SAR and mechanisms of action.
- **Reflection (10%):** Evaluate final reflection on design thinking's role in drug design.