

## The Role of Medicinal Chemistry in Canadian Pharmacy Curriculum

Praveen P. Nekkar Rao, B<sup>Pharm</sup>, M<sup>Pharm</sup>, PhD

Assistant Professor, Pharmaceutical Sciences  
School of Pharmacy, Health Science Campus  
200 University Ave W, Waterloo, Canada N2L 3G1

**WATERLOO**  
**PHARMACY**

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

## What is Medicinal Chemistry?

“Medicinal Chemistry is a **chemistry-based** discipline, also involving aspects of **biological, medical** and **pharmaceutical sciences**. It is concerned with the invention, discovery, design, identification and preparation of biologically active compounds, the study of their **metabolism**, the interpretation of their **mode of action** at the molecular level and the construction of **structure-activity relationships (SARs)**”

*International Union of Pure and Applied Chemistry (IUPAC)*

### What is Pharmaceutical Chemistry?

Medicinal Chemistry plus “Development, manufacture and analysis of drug products/formulations”

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

## Medicinal Chemistry and Pharmacy: History

- 2735 B.C. – Babylonian, Chinese, Indian cultures
- 400 B.C. – Greek culture (ancient apothecary)
- 1500's – Paracelsus (philosopher, alchemist, apothecary)
- 1700's – First Pharmacy School in France
- 1800's – First Pharmacy School in North America (Philadelphia)
- 1800's – Morphine, heroin, strychnine, nicotine, ether, nitrous oxide

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

## Medicinal Chemistry and Pharmacy: History

- 1869 – William Proctor Jr. defines modern day Pharmacy
- 1890's – Aspirin, paracetamol discovery by chemists
- 1932 – Incorporation of Medicinal Chemistry as an essential component of Pharmacy education in US
- 1930-1960 – Medicinal Chemistry as an essential component of Pharmaceutical Industry
- 1960-80 – Discovery of new drugs by Medicinal Chemists
- 1980-present – Medicinal Chemistry is a core component in Pharmacy curricula and Pharmaceutical industry in US, Europe, Asia and other countries

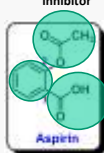
*American Journal of Pharmaceutical Education, 75, 2011, 1-10*  
*Pure and Applied Chemistry, 74, 2002, 703-785*

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

## Why Learn Medicinal Chemistry?

- Hydrophobic interactions
- Irreversible inhibitor
- Ionic interactions
- Gastric ulcerations
- Short half-life



CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

## Why Learn Medicinal Chemistry?

- Understand why a specific drug is highly potent
- Why one drug has a long in vivo half-life
- Why a drug molecule has better orally bioavailability
- Why some drugs exhibit specific side effects
- Drug-drug interactions
- How conformation and stereochemistry affects therapeutic actions of drugs
- Medical and nursing school curriculum has no MedChem
- Understand the Pharmacology
- Understand the basis of Therapeutics
- Get good grades!
- Medicinal chemistry is part of PEBC (Canada) and NAPLEX (US)
- Critical for research career

**“Helps to remember drugs for THERAPEUTICS”**

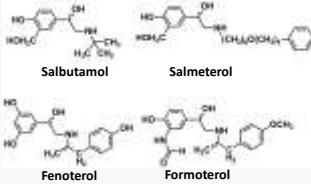
CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

UNIVERSITY OF  
WATERLOO

### Medicinal Chemistry: Case Study 1

Forty year old Laura was diagnosed with asthma three years ago and is on Ventolin since then. Of late she is under stress due to a change in her working hours and personal issues. During her evening walks, she feels short of breath and Ventolin is not helping her.

- Select the **best option** from below



Which agent/s have a long duration of action?

Why they have a long duration of action?

Which is more potent?

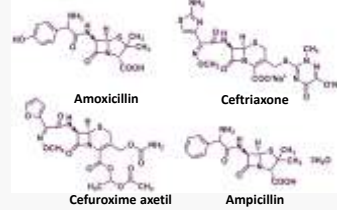
CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Medicinal Chemistry: Case Study 2

Six year old Ruby has high fever, headache and nausea with no cough or sneezing. She refuses to eat. Physical examination at the clinic reveals red and white patches in the throat and enlarged tonsils. A throat culture indicates bacterial infection (*Streptococcus pyogenes*). Ruby has no history of penicillin/cephalosporin allergy. The family practitioner recommends an **oral** antibiotic suspension therapy for five days.

- Select the **best option** from below



Which agent/s can be given orally?

Which agent/s is stable to bacterial lactamases?

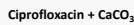
Which is a prodrug?

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

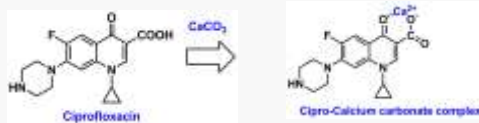


### Medicinal Chemistry: Case Study 3

Mrs. X was diagnosed with urinary tract infection and was prescribed **ciprofloxacin**. She went to a party with family and had a great dinner. Next morning she felt heartburn and decided to take an **antacid (calcium carbonate)** tablet along with ciprofloxacin



- What are the potential **Drug interactions**?
- Is it a "Pharmacokinetic" or "Pharmacodynamic" or "Pharmaceutical" drug interaction?



"Decreased absorption of ciprofloxacin and decreased therapeutic effect"

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Medicinal Chemistry: Case Study 4

Miss Y was considering her therapeutic options for contraception. The suggestion was to use

- Depo-medroxyprogesterone acetate (Intramuscular injection)
- Ethinyl estradiol + Norelgestromin (transdermal patch)
- Norethindrone (tablet)

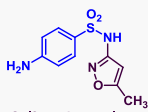


- Choice will depend on **route of administration**
- Duration of action**
- Side effects**

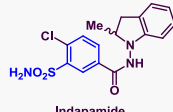
CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



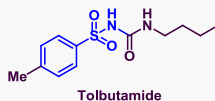
### Medicinal Chemistry: Chemical Structure and Side Effects



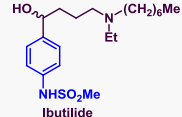
Arylsulfonamide



Arylsulfonamide



Arylsulfonylurea



Arylmethanesulfonamide

"Sulfa Allergy"

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

US Scenario

- University of California-San Francisco (#1 Pharmacy School, US News & World Report, 2012)
- Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	3	10/week	10.5
2	3	7/week	7
3	1	2.5/week	2.5

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### US Scenario

- University of North Carolina- Chapel Hill (#2 Pharmacy School, US News & World Report, 2012)
- Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	2	6/week	6
2	2	5/week	5
3	1	2/week	2

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### US Scenario

- University of Minnesota-Twin Cities (#3 Pharmacy School, US News & World Report, 2012)
- Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	2	6/week	6
2	2	5/week	5
3	1	4/week	4

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### Canadian Scenario

- University of Toronto (#1 QS World University Rankings, 2012)
- BSc Pharm/Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	0	0	0
2	0	0	0
3	2	1/week	0.5

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### Canadian Scenario

- University of Alberta (#2 QS World University Rankings, 2012)
- BSc Pharm/Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	1	5/week	2.5
2	*	2/week	*
3	*	2/week	*
4	*	2/week	*

\*Medicinal Chemistry component is part of Therapeutics courses throughout 2<sup>nd</sup>, 3<sup>rd</sup> and 4<sup>th</sup> year

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### Canadian Scenario

- University of Montreal
- Pharm D curriculum: Pharmaceutical Chemistry/Medicinal Chemistry

Year	No. of Courses	Total No. of Lecture hours	Total No. of Credits
1	1	5/week	2.5
2	*	*	*
3	*	*	*

\*Medicinal Chemistry component is part of Therapeutics courses throughout 2<sup>nd</sup> and 3<sup>rd</sup> year

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Role of Medicinal Chemistry in Pharmacy Curriculum

#### US Scenario

- Pharmaceutical /Medicinal Chemistry is a core component
- Students have a better understanding of Therapeutics
- NAPLEX test includes 1-5% Medicinal Chemistry related questions
- Students are confident to choose careers in academia/ research/pharmaceutical industry

#### Canadian Scenario

- Pharmaceutical /Medicinal Chemistry is not a core component
- Students struggle to understand concepts in Therapeutics
- PEBC tests include 1-5% Medicinal Chemistry related questions
- Students are not confident to choose careers in academia/research/pharmaceutical industry

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Role of Medicinal Chemistry in Pharmacy Curriculum

### Potential Solutions

- Need to include Pharmaceutical/Medicinal Chemistry core courses early in the curriculum
- Include Pharmaceutical /Medicinal Chemistry lab components
- Include Pharmaceutical/Medicinal Chemistry electives for senior students
- PEBC tests should include 15-20% Pharmaceutical/Medicinal Chemistry related questions
- Educating the stake holders/policy makers in Canada

### Challenges in teaching Medicinal/Pharmaceutical Chemistry

- Lack of trained instructors in Canada
- Student perception
- Teamwork

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Role of Medicinal Chemistry: Medication Errors

6% Canadians get either "Wrong Medication or Wrong dose"

*Health Care Quarterly, 12, 2009, 55-61*

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Role of Medicinal Chemistry: Medication Errors

Canadian Pharmacists Journal /  
Revue des Pharmaciens du Canada  
<http://cpjh.ingenta.com>

What's in a drug name?  
Richard Y. Cheung and Sarah H. Dooniks  
Canadian Pharmacists Journal / Revue des Pharmaciens du Canada 2012 144: 8  
DOI: 10.1177/1741100212475881  
The online version of this article can be found at  
<http://cpjh.ingenta.com/content/144/1/8>

"Look Alike, Sound Alike Medication"

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Role of Medicinal Chemistry: Medication Errors

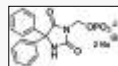
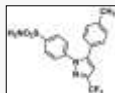
Drug Name	Confused Drug Name
Adderall	Inderal
Allegra	Viagra
Amaryl	Reminyl
Celebrex	Cerebyx
Losec	Lasix
Pradax	Plavix
Indinavir	Denavir
Janumet	Januvia
Novolin	Humulin
Prozac	Prilosec

*Health Canada, ISMP*

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Role of Medicinal Chemistry: Medication Errors



Adding "chemical structures" as a labeling requirement to reduce errors

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



## Medicinal Chemistry in Pharmacy Curriculum: Conclusion

- Pharmaceutical/Medicinal Chemistry knowledge is **essential to enrich student learning and professional outcomes**
- **Educators, stake holders and policy makers** should work together to address the declining role of Pharmaceutical/Medicinal Chemistry in Canadian Pharmacy curricula
- Pharmaceutical/Medicinal Chemistry knowledge will produce better **Pharmacists by enhancing the quality of patient care**
- Pharmaceutical/Medicinal Chemistry knowledge will produce Pharmacists as **future academics, scientists and policy makers**

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy



### Acknowledgements

- CPERC Committee 2013
- Students, Staff and Faculty, School of Pharmacy, University of Waterloo
- Faculty of Science, University of Waterloo
- Office of Research, University of Waterloo

#### Questions

Email: [praopero@uwaterloo.ca](mailto:praopero@uwaterloo.ca)

CPERC-2013, Praveen P Nekkar Rao, UW Pharmacy

**WATERLOO**  
**PHARMACY**