Learning Objectives

- Explore different mechanisms of modified release
- Compare the effect of modified release on pharmacokinetics
- Understand why we use modified release formulation

Today's Agenda

Product Selection

- Cordilox SR
- Sandoz Pantoprazole
- Concerta
- Covera
- Rocaltrol

Prepare presentation

1. What is the product for?
2. Technology
3. Excipient(s) responsible for MR
4. Predict *in vitro* release profile
5. Predict *in vivo* pharmacokinetics
6. Formulate patient advice/information

Helpful things to consider

Formulation

- What kind of MR is it?
- How does it work (release mechanism)?
- Which excipient is reponsible for the mechanism?
- What is its release profile?
- Any physicochemical characteristics?

Predicting release profile and PK

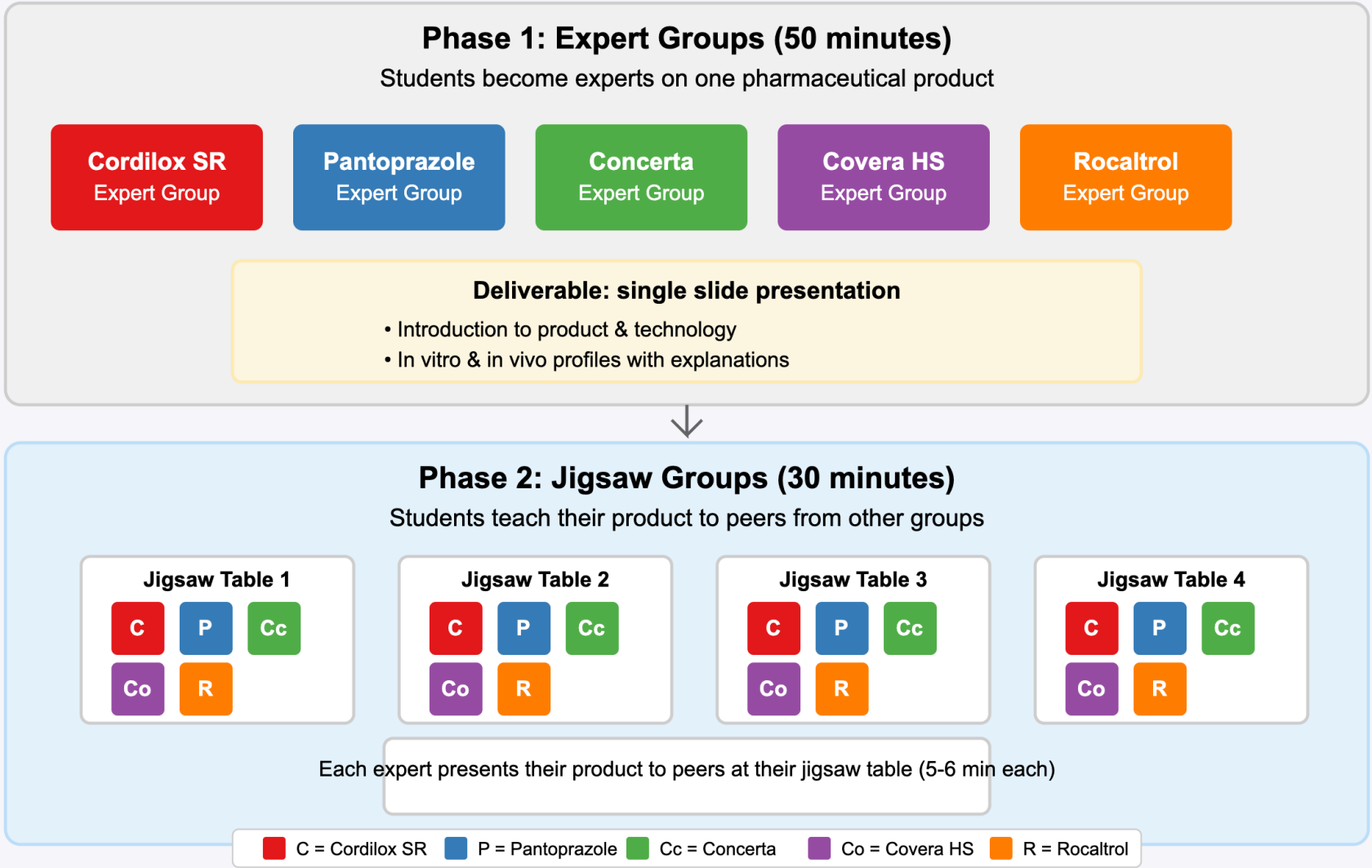
- *In vitro*: Mass release over time
- *In vivo*: Plasma concentration over time

Helpful things to consider

For the patient

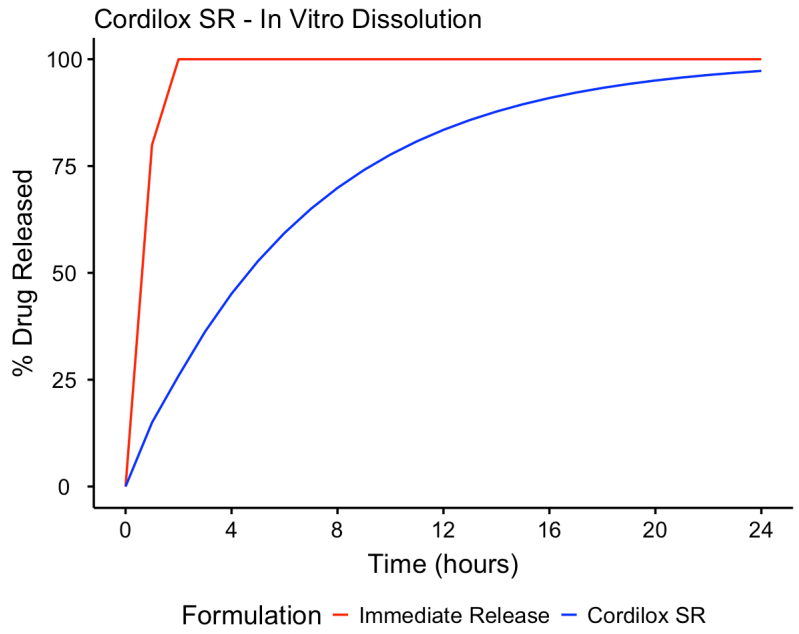
- How does this MR formulation affect dosing frequency?
- What specific patient populations might benefit most from this formulation?
- Are there particular administration considerations (e.g., "Do not crush") that impact patient use?
- How might the MR mechanism affect cost and accessibility?

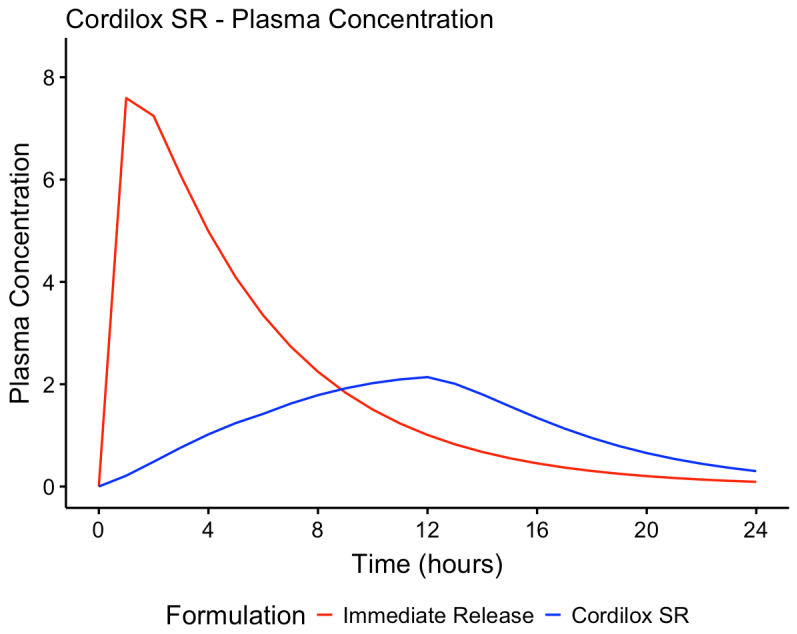
Name of the game



Cordilox SR

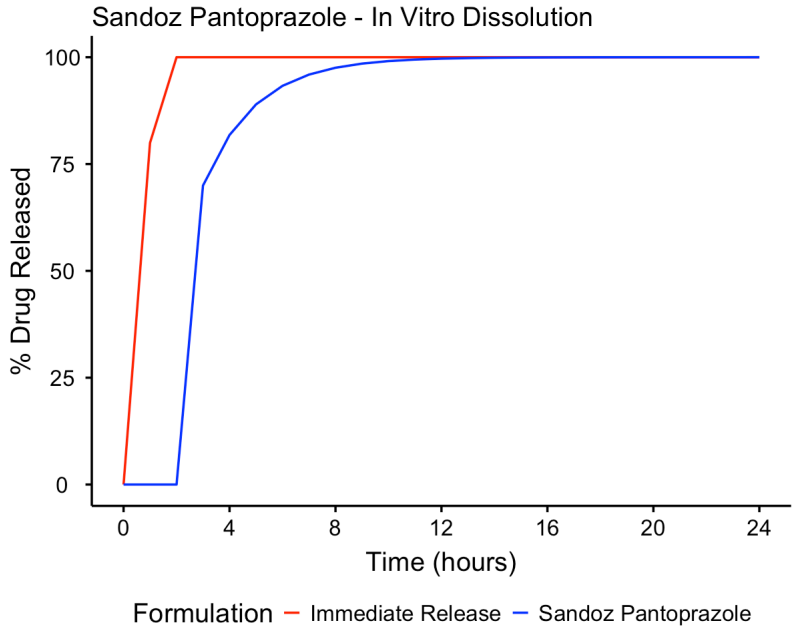
- Antihypertensive
- Macrogols 4000, 6000 to form a hydrogel matrix
- Once daily dosing, improved patient compliance

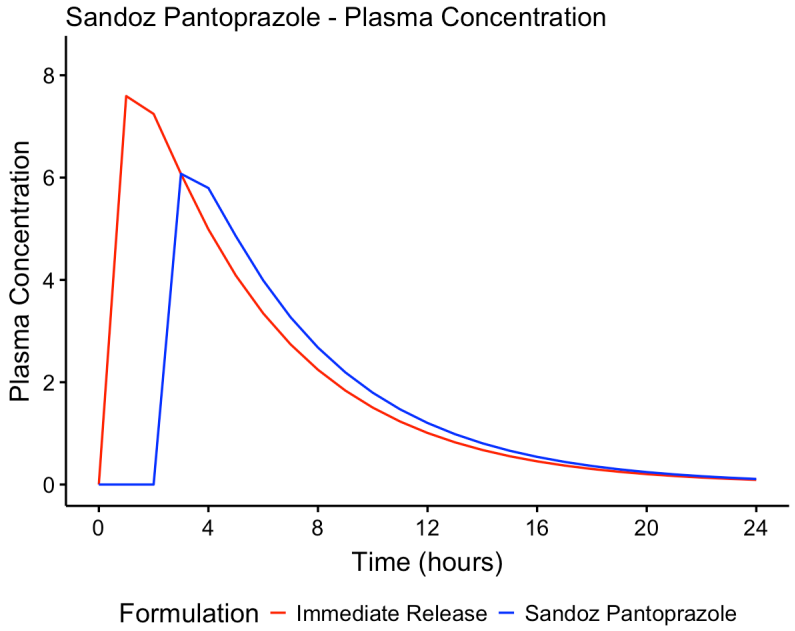




Sandoz (Enteric coated)

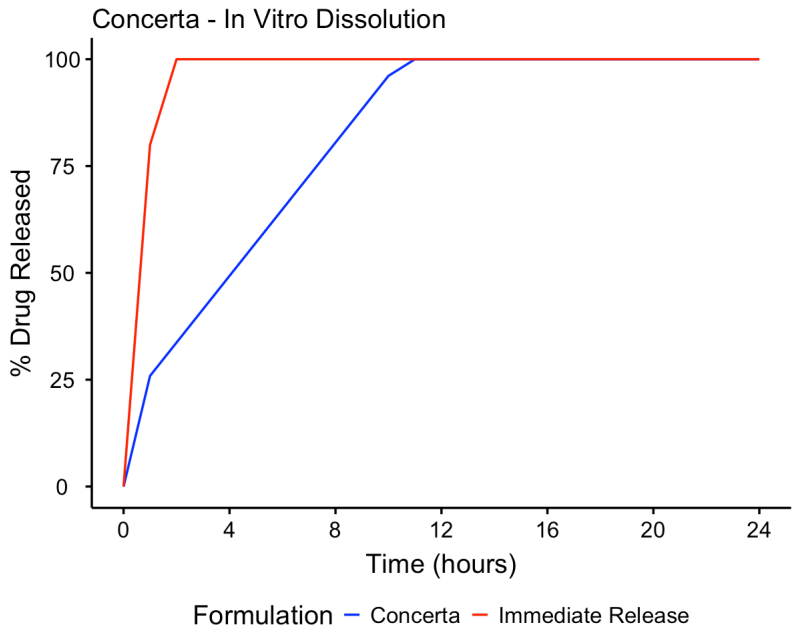
- For GERD, enteric granules from Eudragit L30D-55 etc.
- Insoluble in acidic pH, soluble in neutral pH
- Improved target release in the intestine

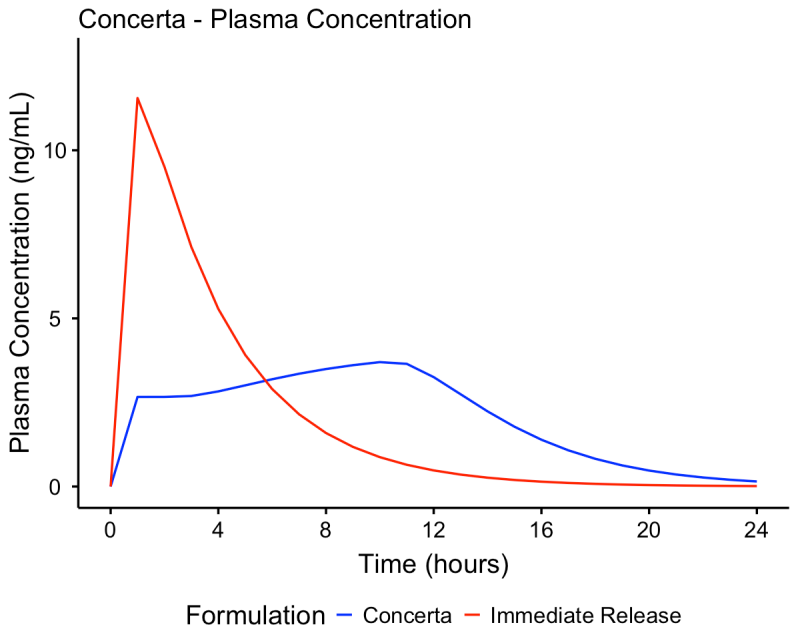




Concerta (Osmotic Pump)

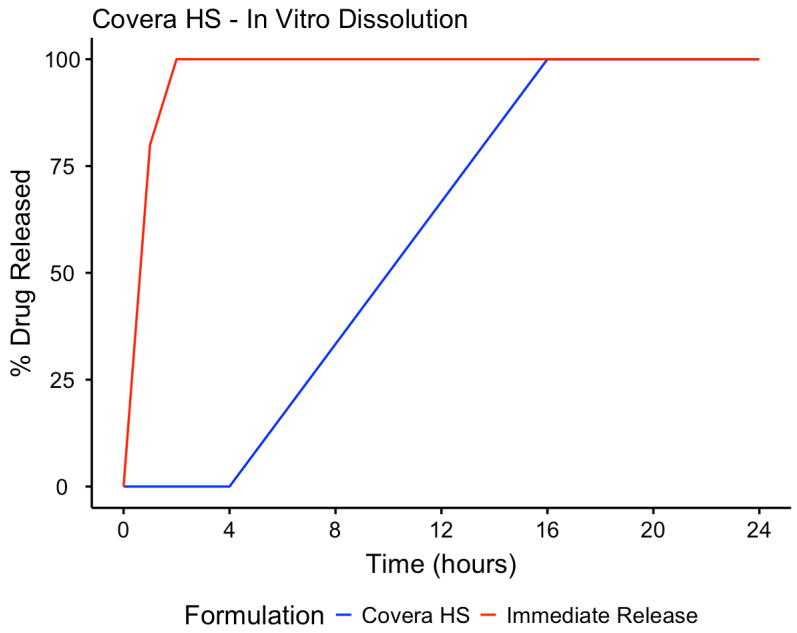
- For ADHD, controlled release of methylphenidate
- PEO/Cellulose etc. for osmotic control
- Minimises fluctuations in plasma concentration

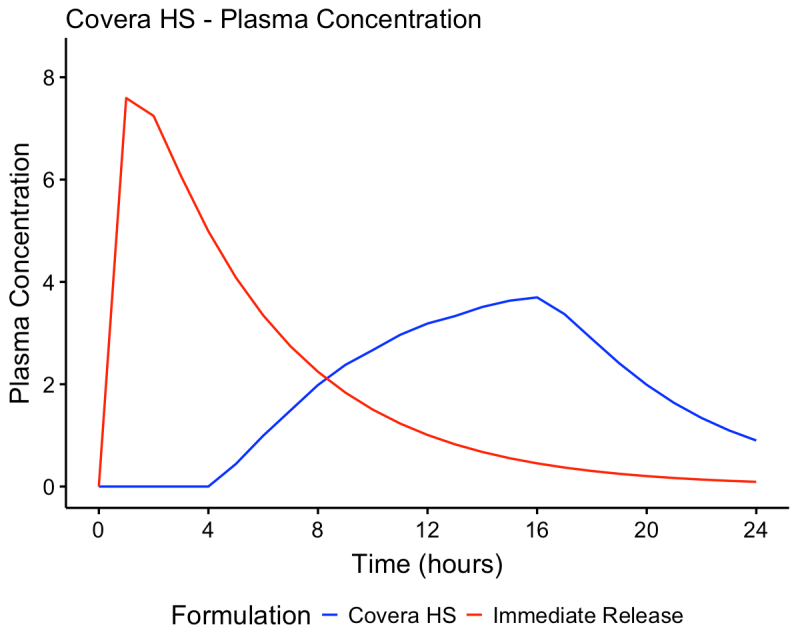




Covera (Delayed, not osmotic)

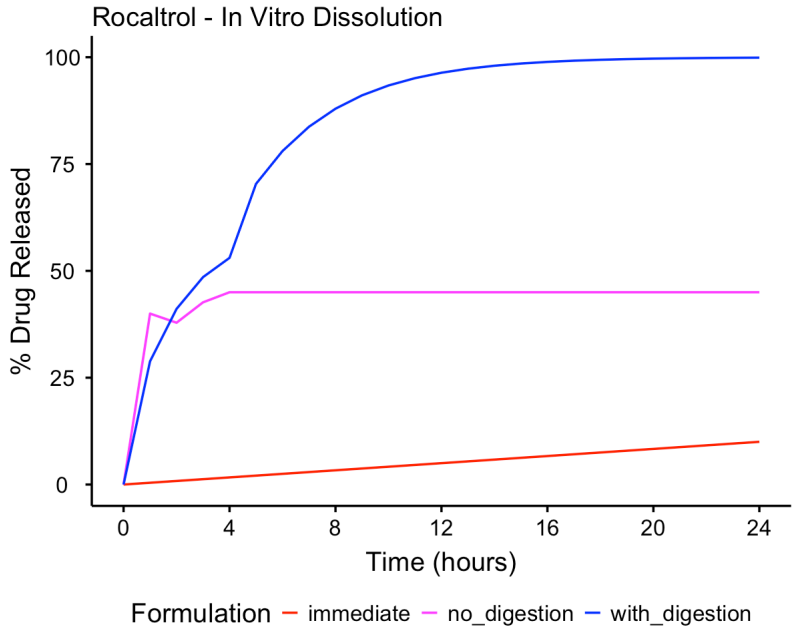
- For hypertension, controlled release of verapamil via osmotic pump
- PEO/Cellulose etc. for osmotic control
- Timing of release can be adjusted to suit patient needs

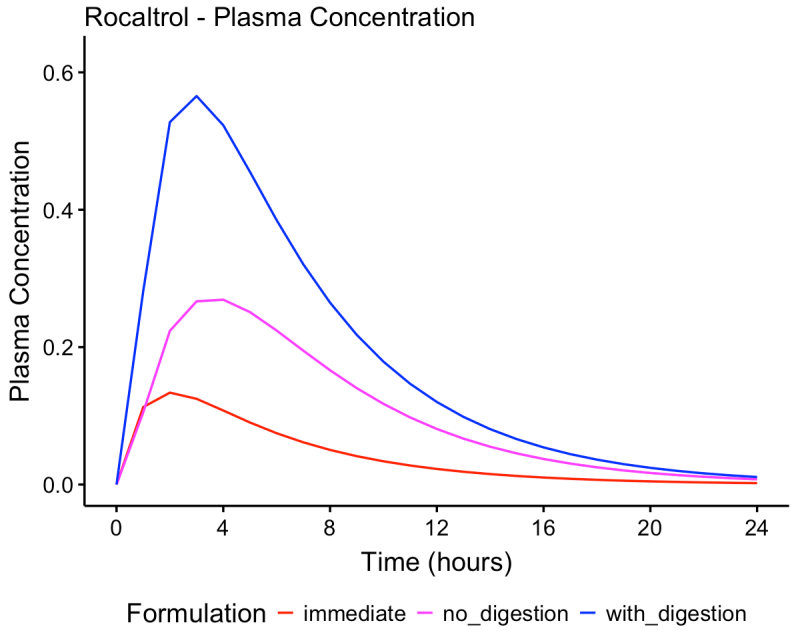




Rocaltrol (Efficacy-related)

- For osteoporosis, improved bioavailability of calcitriol
- Triglycerides for solubility/enhanced absorption
- Improved bioavailability and reduced variability





Summary

Explore different mechanisms of modified release

Diverse MR technologies:

- Matrix systems (Cordilox SR)
- Enteric coating (Sandoz Pantoprazole)
- Osmotic pumps (Concerta, Covera HS)
- Lipid-based formulations (Rocaltrol)

Summary

Compare the effect of MR on pharmacokinetics

MR formulations modify plasma concentration profiles to:

- Reduce peak-to-trough fluctuations
- Extend duration of therapeutic effect
- Target specific absorption sites
- Enhance bioavailability of poorly soluble drugs

Summary

Understand why we use MR formulations

Patient-centred benefits include:

- Improved adherence through *reduced dosing frequency*
- Decreased side effects by *avoiding* high peak concentrations
- Enhanced efficacy through *targeted delivery*
- Better therapeutic outcomes through *optimised drug exposure*