MODULE 8

Sulfonylureas, Meglitinides, GLP-1 Receptor Agonists and DPP-4 Inhibitors

ENTER

Invokana™
canagliflozin tablets

Invokana™ canagliflozin tablets

MODULE STRUCTURE

Tap any section to view content.

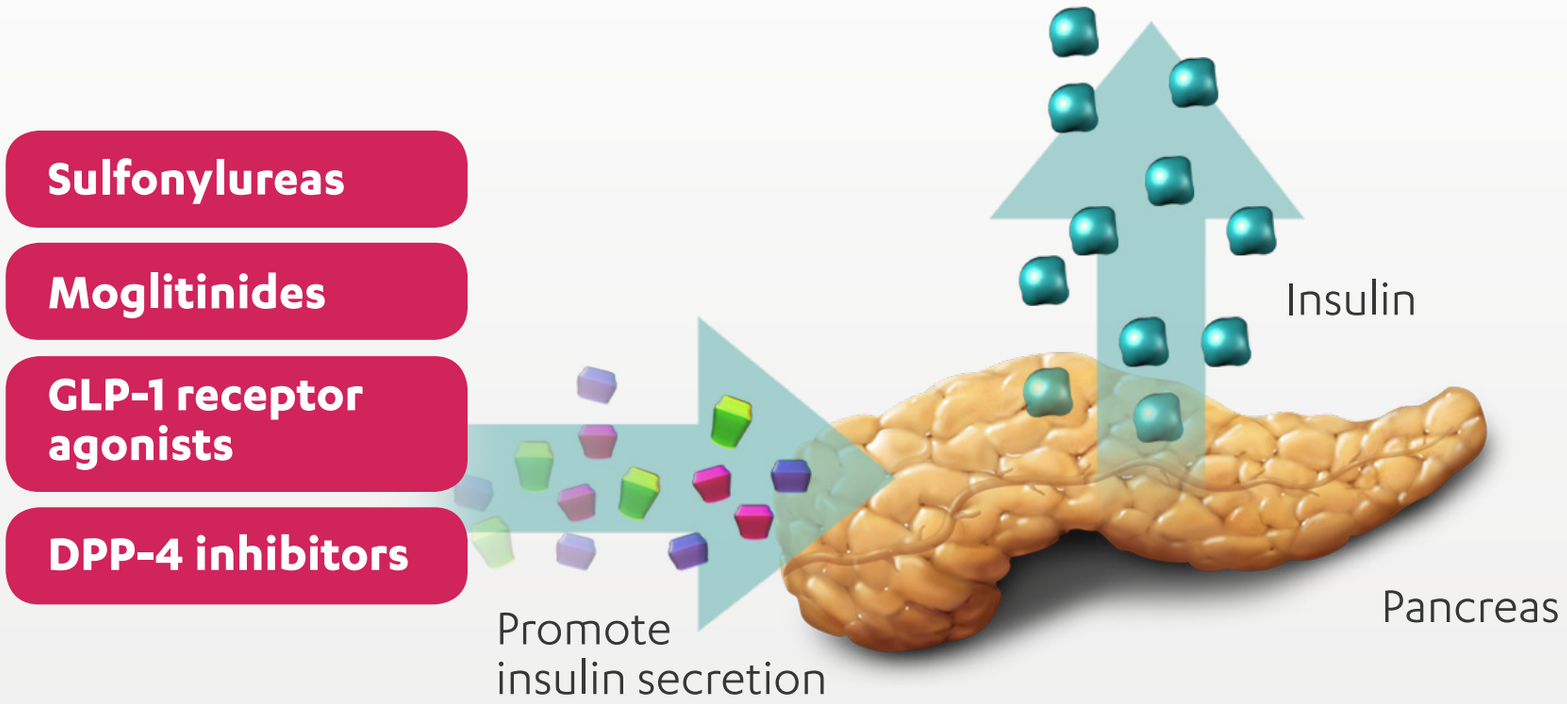
INTRODUCTION	SULFONYLUREAS	MEGLITINIDES	GLP-1 RECEPTOR AGONISTS	DPP-4 INHIBITORS
Learning Objectives	History and Available Products	History and Available Products	History and Available Products	History and Available Products
Overview	Summary of Use	Summary of Use	Summary of Use	Summary of Use
	Mechanism of Action	Mechanism of Action	Mechanism of Action	Mechanism of Action
	Test Yourself	Test Yourself	Test Yourself	Test Yourself

OVERVIEW

Drugs that promote insulin secretion can be classified as either insulin secretagogues or incretin-related therapies.

Insulin secretagogues include sulfonylureas and meglitinides (also known as glinides). Incretin-related therapies include glucagon-like peptide 1 (GLP-1) agonists, and dipeptidyl-peptidase 4 (DPP-4) inhibitors.

While these classes of drugs have different mechanisms of action, they all promote insulin secretion and ultimately lower a patient's blood glucose levels.



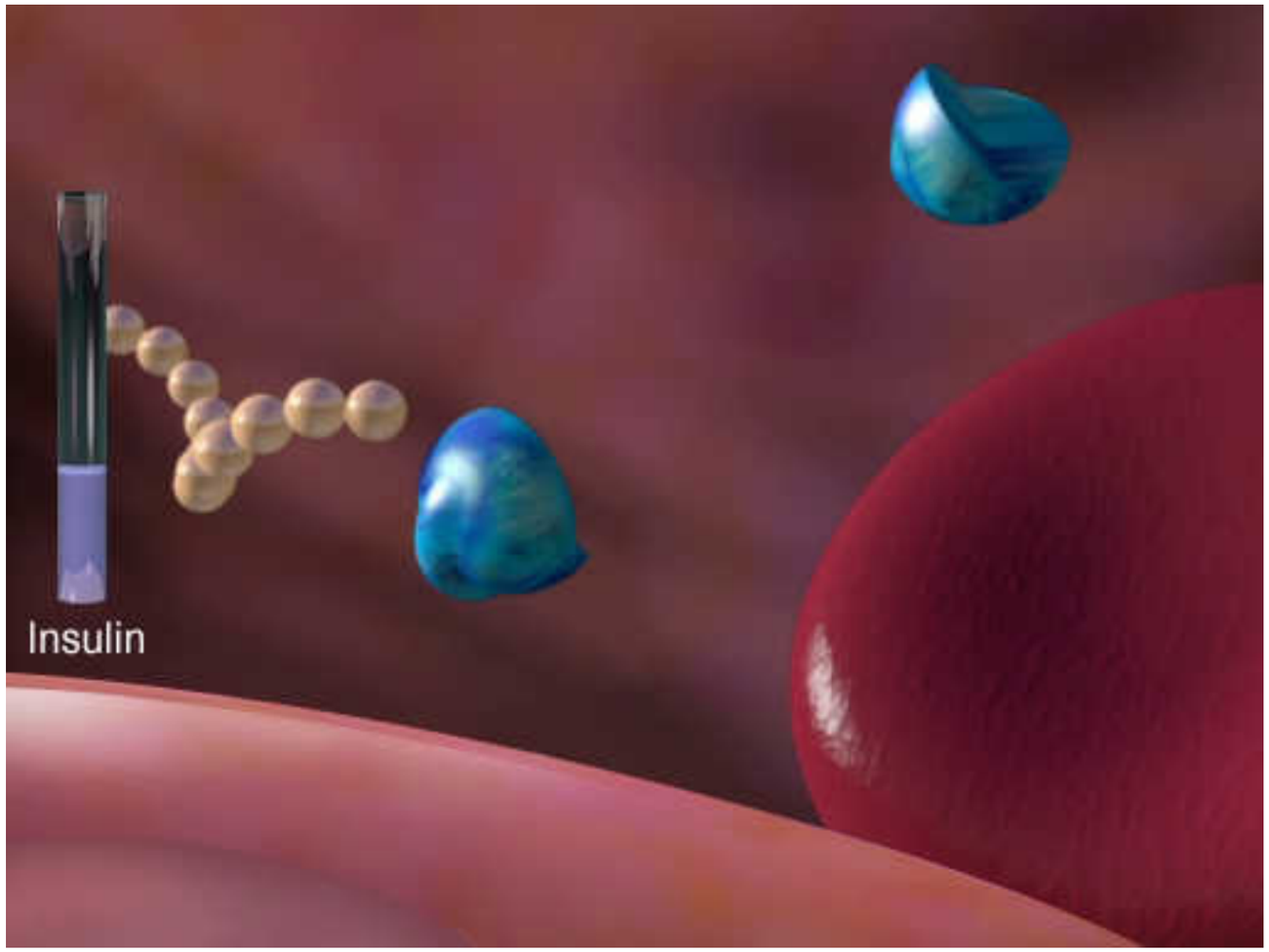
GLP-1 receptor agonists entered the market in 2005.

Generic	Brand
Exenatide	Byetta
Exenatide extended-release	Bydureon
Albiglutide	Tanzeum
Dulaglutide	Trulicity
Liraglutide	Victoza

GLP-1 receptor agonists are approved for use in patients with type 2 diabetes as an adjunct to diet and exercise. In the clinical studies that led to their approval, they were used as monotherapy or in combination with sulfonylureas, TZDs, metformin, or insulin.

GLP-1 receptor agonists are given by subcutaneous injection. They can achieve reductions in HbA1c of between 0.5% to 1.0%.

They are most commonly associated with gastrointestinal adverse events, such as nausea and vomiting. When used alone, some GLP-1 receptor agonists have been shown to cause variable weight loss.

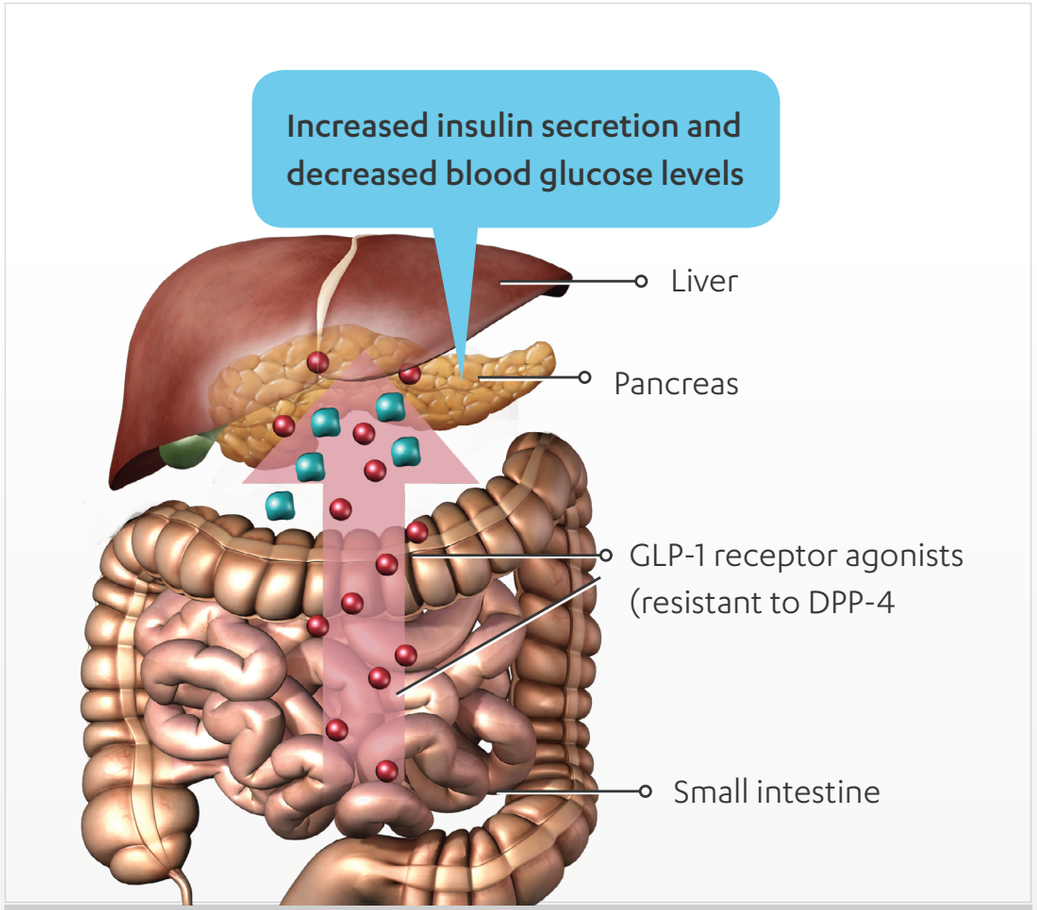


In response to a meal, hormones known as incretins are released from the small intestine. Two important examples are GLP-1 and GIP. These incretins stimulate insulin secretion from the pancreas, reducing blood glucose levels. Importantly, this only occurs when glucose levels are elevated over fasting levels.

After their release, GLP-1 and GIP are rapidly broken down by the enzyme DPP-4. Thus, DPP-4 inhibits the effects that GLP-1 has on insulin secretion and blood glucose levels.

GLP-1 receptor agonists look and act like endogenous GLP-1 but are resistant to DPP-4 degradation so they are able to stimulate insulin secretion from the pancreas even in the presence of DPP-4.

Like endogenous GLP-1, GLP-1 receptor agonists also slow gastric emptying, which reduces the rate of glucose absorption from a meal, and inhibit glucagon secretion from the pancreas during periods of hyperglycemia, for example, after a meal.



Play the video to see how GLP-1 receptor agonists stimulate insulin production.

Tap the flashcard to reveal the answer.

This is the organ that releases GLP-1 after a person eats a meal

REVEAL

GLP-1 receptor agonists are resistant to degradation by this enzyme

REVEAL

GLP-1 receptor agonists are taken by this route of administration

REVEAL

These are the 4 GLP-1 receptor agonists on the market in the US (list the active ingredients)

REVEAL

Tap the flashcard to reveal the answer.

Small intestine

GLP-1 receptor agonists are resistant to degradation by this enzyme

REVEAL

GLP-1 receptor agonists are taken by this route of administration

REVEAL

These are the 4 GLP-1 receptor agonists on the market in the US (list the active ingredients)

REVEAL

Tap the flashcard to reveal the answer.

This is the organ that releases GLP-1 after a person eats a meal

REVEAL

DPP-4

REVEAL

GLP-1 receptor agonists are taken by this route of administration

REVEAL

These are the 4 GLP-1 receptor agonists on the market in the US (list the active ingredients)

REVEAL

Tap the flashcard to reveal the answer.

This is the organ that releases GLP-1 after a person eats a meal

REVEAL

GLP-1 receptor agonists are resistant to degradation by this enzyme

REVEAL

Subcutaneous injection

These are the 4 GLP-1 receptor agonists on the market in the US (list the active ingredients)

REVEAL

Tap the flashcard to reveal the answer.

This is the organ that releases GLP-1 after a person eats a meal

REVEAL

GLP-1 receptor agonists are resistant to degradation by this enzyme

REVEAL

GLP-1 receptor agonists are taken by this route of administration

REVEAL

Exenatide, albiglutide, dulaglutide, liraglutide