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 - ✓ Unit 3
 - ✓ Unit 4
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MEDICINAL CHEMISTRY - II

UNIT 5

TOPIC :

- **Biguanides : Metformin.**

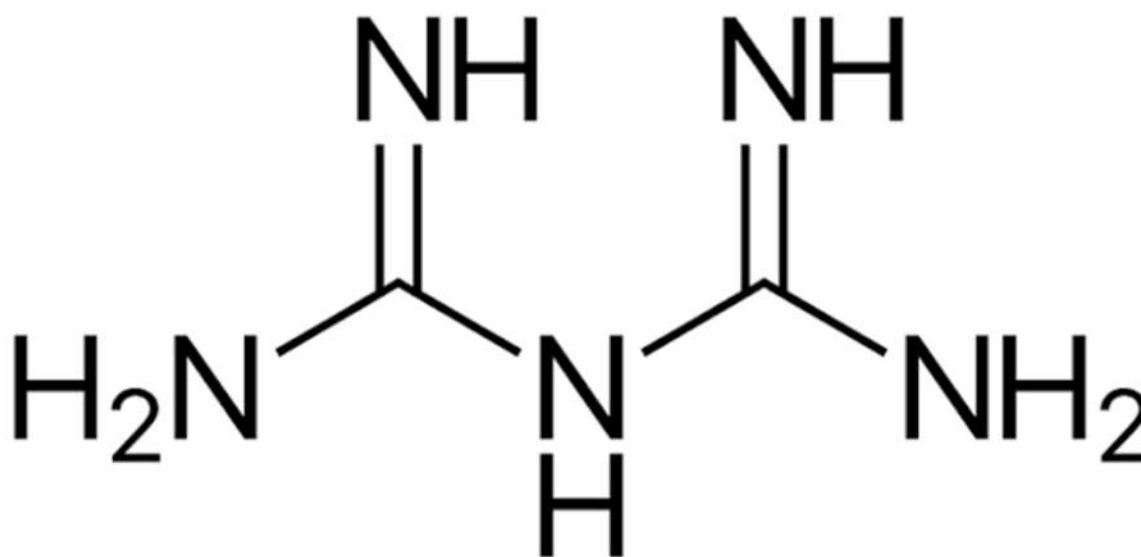


Biguanides

- Biguanides are a class of oral antidiabetic agents used primarily in Type 2 Diabetes Mellitus (T2DM).
- Metformin is the most widely used and only clinically available biguanide today.
- They are insulin sensitizers, unlike sulfonylureas, and do not stimulate insulin secretion.
- Biguanides help lower blood glucose without causing significant hypoglycemia.

Chemical Structure

- General structure: Two guanidine molecules linked together
- Metformin: $C_4H_{11}N_5$
- Hydrophilic, positively charged at physiological pH
- No aromatic rings, unlike sulfonylureas



Mechanism of Action

1. Primary effect: ↓ hepatic gluconeogenesis → ↓ glucose output by liver
2. Peripheral action: ↑ insulin-mediated glucose uptake in muscle and adipose tissue
3. Gastrointestinal action: ↓ glucose absorption from intestines
4. Molecular mechanism: Activates AMP-activated protein kinase (AMPK) → improves insulin sensitivity, reduces lipogenesis

Key point: Biguanides do not increase insulin secretion, so risk of hypoglycemia is low.

Clinical Uses

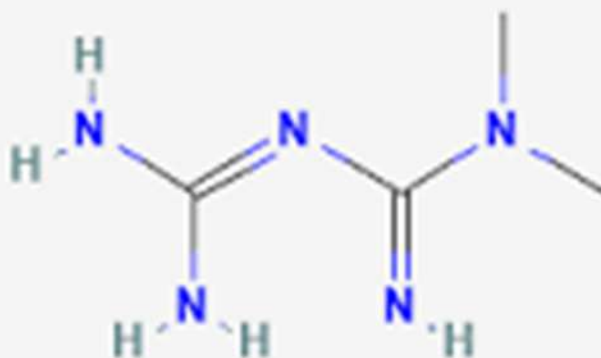
- First-line therapy for Type 2 Diabetes
- Obese patients with insulin resistance (weight-neutral or slight weight loss)
- Polycystic Ovary Syndrome (PCOS) → improves insulin sensitivity, ovulation
- Prevention of Type 2 diabetes in high-risk individuals

Metformin

- **Metformin** is a **biguanide** oral hypoglycemic agent.
- It is the **first-line drug** for **type 2 diabetes mellitus**, especially in overweight patients.
- Unlike sulfonylureas, it **does not stimulate insulin release**, thus **low risk of hypoglycemia**.
- Administered **orally**, available in **immediate-release** and **extended-release** forms.
- **Additional benefits:** weight neutrality or slight weight loss, improvement in lipid profile, and reduced cardiovascular risk.

Chemical Structure

- **Chemical name:** N,N-dimethylbiguanide
- **Molecular formula:** $C_4H_{11}N_5$
- **Molecular weight:** 129.16 g/mol
- **Chemical class:** Biguanide; oral hypoglycemic agent
- **Structural**



Mechanism of Action

- **Primary Targets:** Liver, skeletal muscle, and adipose tissue

Stepwise Mechanism:

1. **Inhibits hepatic gluconeogenesis:** Reduces glucose production in the liver
2. **Increases peripheral glucose uptake and utilization:** Enhances insulin sensitivity in muscle and adipose tissue
3. **Reduces intestinal glucose absorption** (minor effect)
4. **Overall Effect:**
 - Lowers **fasting and postprandial blood glucose**
 - Improves glycemic control without causing significant hypoglycemia

Additional Effects:

- Decreases triglycerides and LDL cholesterol
- Slightly reduces body weight

Synthesis

1. **Starting materials:** Dimethylamine and cyanoguanidine
2. **Step 1:** Reaction of dimethylamine with cyanoguanidine → forms dimethylbiguanide (Metformin)
3. **Step 2:** Purification → crystallization to obtain pharmaceutical-grade Metformin

Uses

- **Therapeutic Uses:**
 1. **Type 2 diabetes mellitus** (monotherapy or combination therapy)
 2. **Pre-diabetes** to prevent progression to diabetes
 3. Sometimes used in **polycystic ovary syndrome (PCOS)** to improve insulin resistance