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# MEDICINAL CHEMISTRY - II

## UNIT 5

TOPIC :

- **Biguanides : Metformin.**

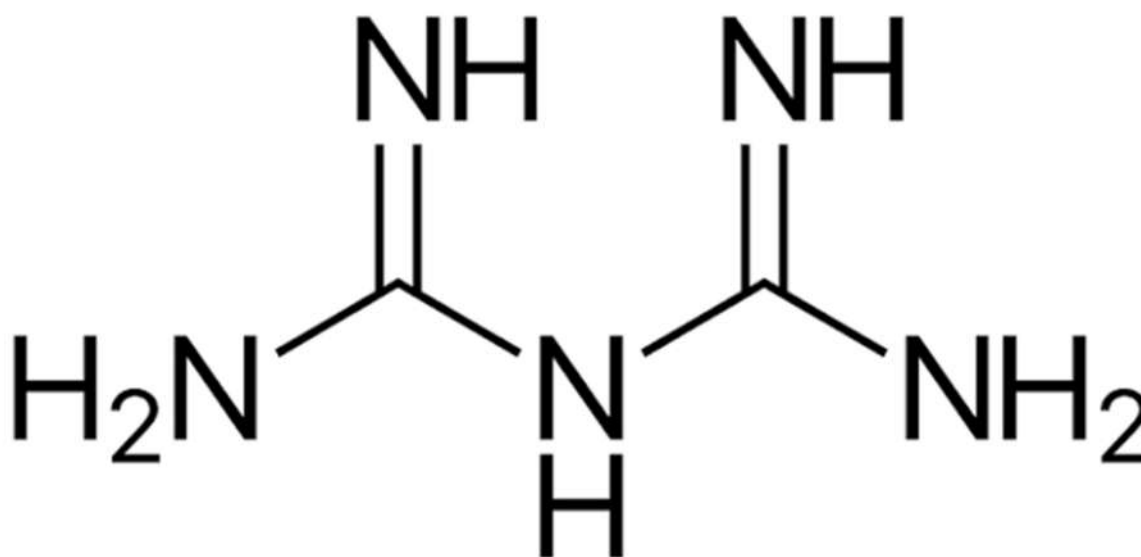


## Biguanides

- 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

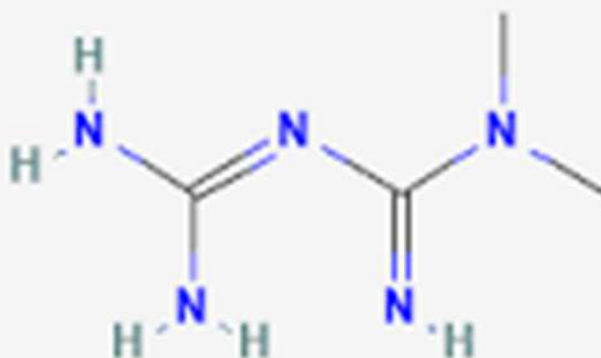
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## Metformin

- 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