

21.1.3.1. Insulin

Insulin is a hormone produced in pancreas and permits the body to utilise sugar (glucose) from carbohydrates in the food. Insulin restricts the blood sugar level from getting too high (hyperglycaemia) or too low (hypoglycaemia).

Molecular Formula: $C_{256}H_{381}N_{65}O_{76}S_6$

Properties: Insulin occurs as a white or almost white coloured crystalline powder. It is faintly soluble in water; soluble in dilute solution of mineral acids and with degradation in solutions of alkali hydroxide; and almost insoluble in alcohol, chloroform, and ether.

Uses: Insulin is used for controlling diabetes mellitus (uncontrollable by diet alone) or for treating insulin dependent diabetes mellitus. It is used for regulating carbohydrate metabolism. It is used for treating hyperkalemia. It is used for treating severe ketoacidosis or diabetic coma.

Stability and Storage Conditions: Insulin decomposes in the presence of heat and light, thus should be stored in light-resistant containers at room temperature (15-25°C) or in dark places at lower temperatures (2-8°C).

Types of Pharmaceutical Formulations

S. No.	Types	Onset (hours)	Peak (hours)	Duration (hours)
1)	Short Acting Insulin i) Crystalline soluble insulin ii) Amorphous insulin zinc suspension (semilente)	0.30-1 0.30-1	2 8	5-8 12-16
2)	Intermediate Acting Insulin i) Globin zinc insulin ii) Insulin zinc preparation (Lente) iii) Isophane insulin suspension	1-4 1-4 1-2	6-10 6-8 10-12	16-24 24-30 24-30
3)	Long Acting Insulin i) Protamine zinc suspension ii) Extended insulin zinc crystalline (Ultralente)	8 7	14-16 14-16	30-36 34-36

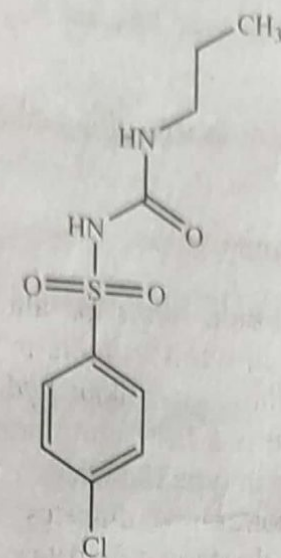
Brand Names: Apidra, Novolog, Humalog, and NPH.

21.1.3.2. Chlorpropamide

Chlorpropamide is an oral anti-hyperglycaemic agent used for treating Non-Insulin-Dependent Diabetes Mellitus (NIDDM).

It comes under the sulphonylurea class of insulin secretagogues, which stimulate the pancreatic β -cells to release insulin.

Chemical Structure



Chlorpropamide

Molecular Formula: $C_{10}H_{13}ClN_2O_3S$

IUPAC Nomenclature: 1-(4-chlorophenyl) sulfonyl-3-propylurea.

Properties: Chlorpropamide occurs as a white coloured crystalline powder with no odour and taste. It is almost insoluble in water; but soluble in alcohol and solutions of alkali hydroxides.

Uses: Chlorpropamide is taken with a proper diet and exercise program for controlling high blood sugar in type 2 diabetic patients. It can also be used as an adjunct to other diabetes drugs.

Stability and Storage Conditions: Chlorpropamide should be stored at room temperature, away from excess heat and moisture, in well-closed containers.

Types of Pharmaceutical Formulation: Tablets.

Brand Names: Diabinese and Glucamide.

21.1.3.3. Tolbutamide

Tolbutamide belongs to the class of sulfonylureas. It decreases the blood sugar levels by affecting the pancreas to produce insulin and help the body to use insulin effectively.

Molecular Formula: $C_{12}H_{18}N_2O_3S$

IUPAC Nomenclature: 1-butyl-3-(4-methylphenyl) sulfonylurea

Properties: Tolbutamide occurs as a white or almost white coloured crystalline powder with no odour. It is nearly insoluble in water but soluble in ethanol, chloroform, dilute mineral acids, and sodium hydroxide solution.

Uses: Tolbutamide is used for controlling blood glucose in previously untreated non-insulin dependent diabetes mellitus. It is used in the treatment of diabetes

which remains uncontrolled even after proper diet. It is used with metformin to control blood glucose level. It is used as a substitute for other oral hypoglycemic agents.

Stability and Storage Conditions: Tolbutamide should be stored at room temperature.

Types of Pharmaceutical Formulation: Tablets.

Brand Names: Orinase, Butamide, Rastinon, and Tol-Tab.

21.1.3.4. Glibenclamide

Glibenclamide (or Glyburide) is a fast acting oral hypoglycaemic drug used for controlling blood sugar levels in type II diabetic patients. It comes under the class of drugs known as sulphonylureas.

Molecular Formula: $C_{23}H_{28}ClN_3O_5S$.

IUPAC Nomenclature: 5-chloro-N-[2-[4-(cyclohexyl carbamoyl) sulfamoyl] phenyl] ethyl]-2-methoxybenzamide.

Properties: Glibenclamide occurs as a solid with water solubility (4mg/L) at 27°C.

Uses: Glibenclamide is used as an adjunct to diet for reducing blood glucose levels in patients having NIDDM whose hyperglycaemia cannot be significantly controlled by diet alone.

Stability and Storage Conditions: Glibenclamide should be stored in well-closed containers at room temperature and away from excess heat and moisture.

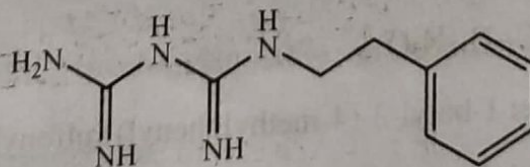
Types of Pharmaceutical Formulation: Tablets.

Brand Names: DiaBeta, Glynase PresTab, Daonil, and Micronase.

21.1.3.5. Phenformin

Phenformin belongs to the biguanide class of anti-diabetics with anti-hyperglycaemic activity. It is not used clinically because of high risk of lactic acidosis that is related to its use.

Chemical Structure



Phenformin

Molecular Formula: $C_{10}H_{15}N_5$

IUPAC Nomenclature: 1-(diaminomethylidene)-2-(2-phenylethyl) guanidine

Properties: Phenformin occurs as a solid and has water solubility at 210mg/ml concentration.

Uses: Phenformin is used for treating non-insulin dependent diabetes mellitus. It is used for reducing blood sugar level in cortisone induced hyperglycaemia. It is used for reducing blood cholesterol in maturity onset diabetes.

Stability and Storage Conditions: Phenformin is reactive with amine, phosphine, and pyridine groups, thus should be stored in well-closed containers at optimum temperatures.

Types of Pharmaceutical Formulation: Tablets.

Brand Names: Azucaps, β -Phenethylbiguanide, Cronoformin, and Feguanide.

21.1.3.6. Metformin

Metformin is a biguanide antihypertensive agent. It improves glycaemic control by decreasing hepatic glucose production and glucose absorption, and also by increasing insulin-mediated glucose uptake.

Molecular Formula: $C_4H_{11}N_5$

IUPAC Nomenclature: 3-(diaminomethylidene)-1, 1-dimethylguanidine

Properties: Metformin occurs as a solid and its HCl salt is freely soluble in water.

Uses: Metformin is used as an adjunct to diet and exercise in NIDDM patients older than 18 years. It can also be used for managing metabolic and reproductive abnormalities related to polycystic ovary syndrome. It can also be used along with a sulphonylurea or insulin to improve glycaemic control in adults.

Stability and Storage Conditions: Metformin should be stored in tightly-closed, light-resistant containers at room temperature. It is stable under recommended storage conditions.

Type of Pharmaceutical Formulation: Tablets.

Brand Names: Glucophage, Glumetza, Glucophage XR, Apo-Metformin, and Riomet.