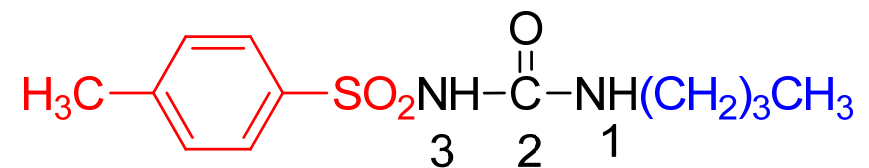
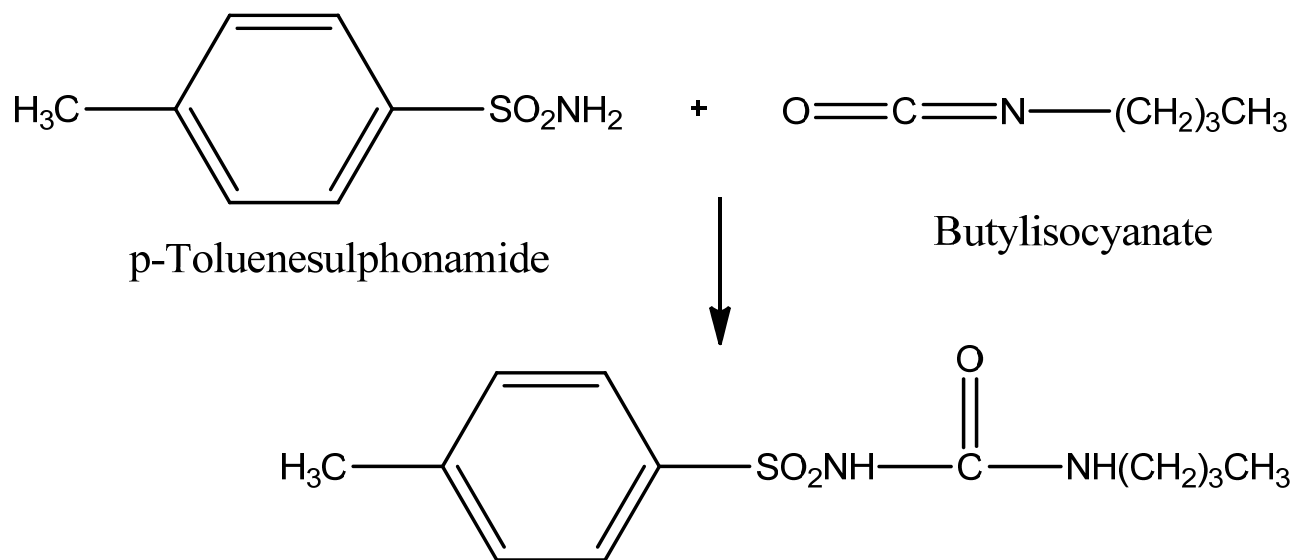


Sulfonylurea derivatives

Tolbutamide



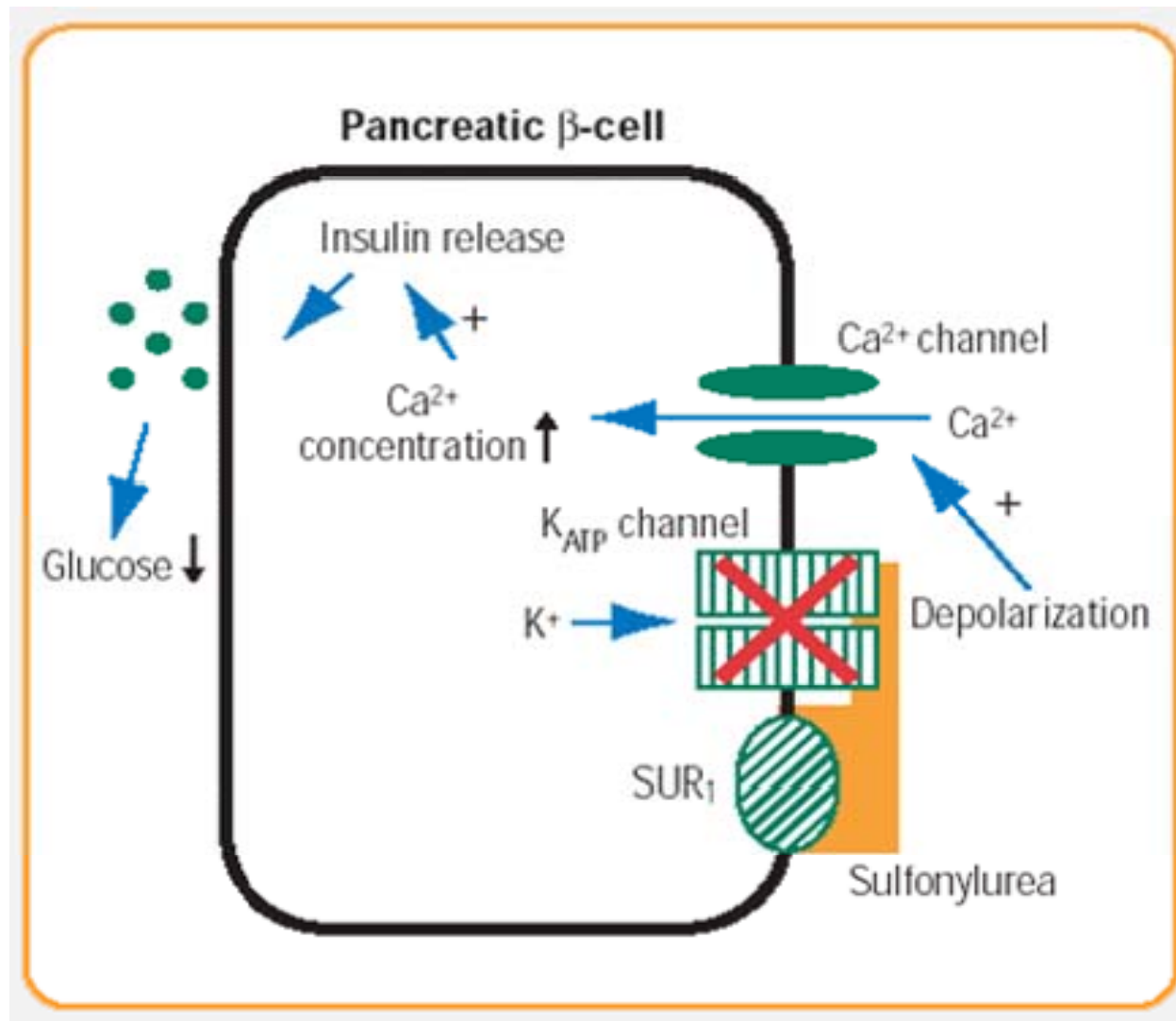
Synthesis



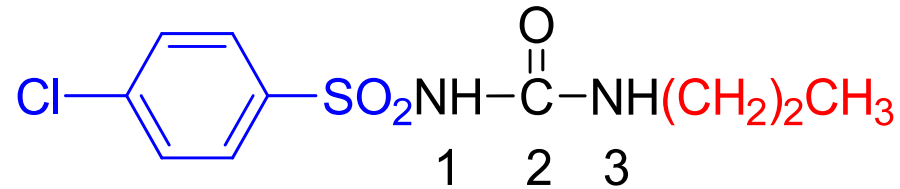
Mechanism of action

- The principal action of the sulphonylureas is on the β -cells of the islets stimulating insulin secretion and thus reducing plasma glucose concentration.
- They act on the so called sulfonylurea receptors present on the pancreatic β cell membrane and cause depolarization by reducing the conductance of ATP sensitive K^+ channel.
- It leads to opening of voltage sensitive calcium channel which produces influx of calcium ions, that results in production of insulin from β cells.

Uses: For treatment of NIDDM (non-insulin-dependent diabetes mellitus) in conjunction with diet and exercise.



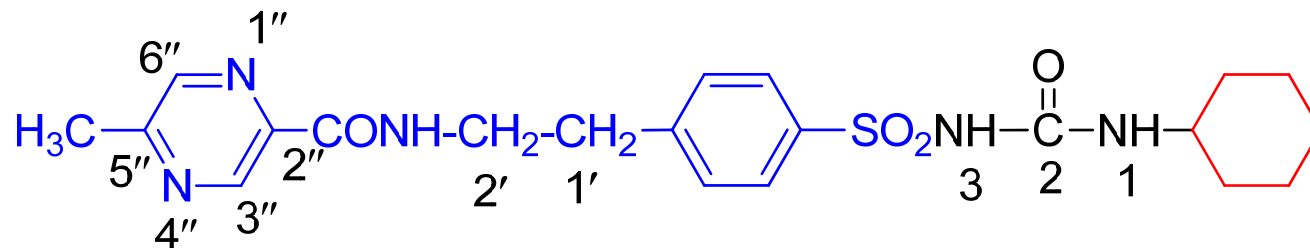
Chlorpropamide



MOA: Similar to tolbutamide

Uses: Similar to tolbutamide

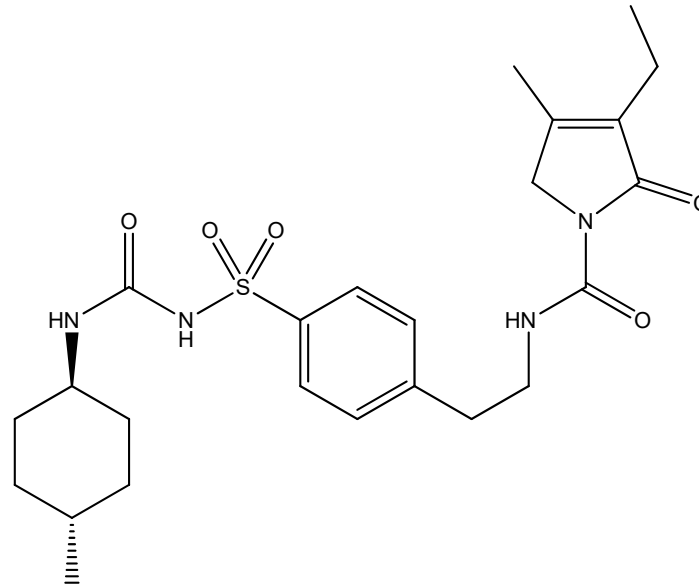
Glipizide



MOA: Similar to tolbutamide

Uses: Similar to tolbutamide

Glimepiride



MOA: Glimepiride blocks the ATP-sensitive potassium channel by binding non-specifically to the B sites of both sulfonylurea receptor-1 (SUR1) and sulfonylurea receptor-2A (SUR2A) subunits as well as the A site of SUR1 subunit of the channel to promote insulin secretion from the beta cell.

Uses: Glimepiride is indicated for the management of type 2 diabetes in adults as an adjunct to diet and exercise to improve glycemic control as monotherapy.