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Glyburide

National Cancer Institute

Source

National Cancer Institute. *Glyburide*. NCI Thesaurus. Code C29076.

A sulfonamide urea derivative with antihyperglycemic activity that can potentially be used to decrease cerebral edema. Upon administration, glyburide binds to and blocks the sulfonylurea receptor type 1 (SUR1) subunit of the ATP-sensitive inwardly-rectifying potassium (K(ATP)) channels on the membranes of pancreatic beta cells. This prevents the inward current flow of positively charged potassium (K⁺) ions into the cell, and induces a calcium ion (Ca²⁺) influx through voltage-sensitive calcium channels, which triggers exocytosis of insulin-containing granules. In addition, glyburide also inhibits the SUR1-regulated nonselective cation (NC) Ca-ATP channel, melastatin 4 (transient receptor potential cation channel subfamily M member 4; (TRPM4)), thereby preventing capillary failure and brain swelling. SUR1-TRPM4 channels are formed by co-assembly of SUR1 with TRPM4 in neurons, astrocytes, and capillary endothelium during cerebral ischemia. Upon ischemia-induced ATP depletion, channels open which results in sodium influx, cytotoxic edema formation, capillary fragmentation and necrotic cell death. SUR1-TRPM4 is not expressed in normal, uninjured tissues.