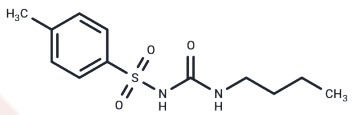
Data Sheet (Cat.No.T1054)

TargetMol

Tolbutamide

Chemical Properties



CAS No. : 64-77-7

Formula: C12H18N2O3S

Molecular Weight: 270.35

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tolbutamide (HLS 831) is a sulphonylurea hypoglycemic agent with actions and uses similar to those of CHLORPROPAMIDE.
Targets(IC50)	ATPase,Autophagy,Potassium Channel
In vitro	Daily treatment of cells with 450 mg/kg of Tolbutamide for seven consecutive days significantly increases the binding of insulin to adipocytes. The binding curve suggests an increase in the number of receptor sites rather than an increased affinity. This effect is associated with an enhanced insulin response in adipose tissue. Compared to the control group, adipocytes from Tolbutamide-treated animals show a notable increase in the conversion of glucose to fat in the presence of insulin. While low doses of Tolbutamide can elicit a metabolic effect by stimulating insulin secretion, they do not augment the number of insulin binding sites. An increase in insulin binding sites occurs only in the presence of high doses of Tolbutamide, which, at such levels, reduces overall insulin, including its pancreatic secretion and serum levels.
In vivo	Tolbutamide is effective only in patients who can normally produce insulin, as it helps to lower blood glucose levels. The compound inhibits the activity of both basal protein kinase and cyclic AMP-activated protein kinase, with an IC50 concentration of 4 mM. It dose-dependently inhibits the phosphorylation of bifunctional proteins induced by glucagon. In the presence of 10(-9) M glucagon, adding 2 mM Tolbutamide reduces the activity of 6-phosphofructokinase and enhances the activity of fructose-2,6-bisphosphatase. Additionally, Tolbutamide inhibits the activity of free and membrane-bound proteases in canine cardiac tissue. Its inhibitory effect on cyclic AMP-dependent protein kinase activity in adipose tissue may account for its antilipolytic action. Tolbutamide also inhibits the proliferation of C6 glioma cells by increasing the concentration of Cx43, which is associated with reduced phosphorylation of pRb due to upregulation of the cyclin-dependent kinase inhibitors p21 and p27.
Kinase Assay	cAMP kinase assay: Diced epididymal fat pads from fed Wistar rats (175-225 gm) are obtained after decapitation and incubated at 37 °C for two hours in Krebs-bicarbonate buffer containing 1.27 mM CaCl2. When added, Tolbutamide is present only during the incubation. After incubation fat pads are rinsed and sonicated in cold Krebs-bicarbonate buffer. The aqueous supematants from centrifugation at 50,000 × g for 30 minutes at 4 °C contained 0.75 to 1.25 mg protein per mL and are assayed for cyclic AMP-stimulated protein kinase activity. The assay is performed in 0.2 mL with these

Kinase Assay	additions, 10 μmoles sodium glycerofiosphate pH 7.0, 2 μmoles sodium fluoride, 0.4 μmoles theophylline, 0.1 μmoles ethylene glyool bis (β-aminoethyl ether)-N, N'-tetraaoetic acid, 3 μmoles magnesium chloride, 0.3 mg mixed histone, 2 nmoles (γ- 32P) ATP, 1 nmoles cyclic AMP when indicated, and 0.05 ml of supernatant.
Cell Research	C6 glioma cells are incubated in serum-free DMEM at 37 °C for at least 24 hours before each experiment. Tolbutamide (400 μM) is incubated for 24 hours in serum-free medium. Incubations are performed at 37 °C in an atmosphere of 95% air/5% CO2 with 90-95% humidity. (Only for Reference)

Solubility Information

Solubility	DMSO: 247.5 mg/mL (915.48 mM),Sonication is recommended. Ethanol: 50 mg/mL (184.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.4 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.6989 mL	18.4945 mL	36.9891 mL
5 mM	0.7398 mL	3.6989 mL	7.3978 mL
10 mM	0.3699 mL	1.8495 mL	3.6989 mL
50 mM	0.074 mL	0.3699 mL	0.7398 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Wray HL, et al, Biochem Biophys Res Commun. 1973, 53(1), 291-294.
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Sanchez-Alvarez R, et al, Glia, 2006, 54(2), 125-134.
Schwanstecher C, et al, Mol Pharmacol, 1992, 41(3), 480-486.
Avame H, et al, Am J Physiol, 1995, 268(3 Pt 1), 392-396.
Joost HG, et al, Biochem Pharmacol, 1982, 31(7), 1227-1231.

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