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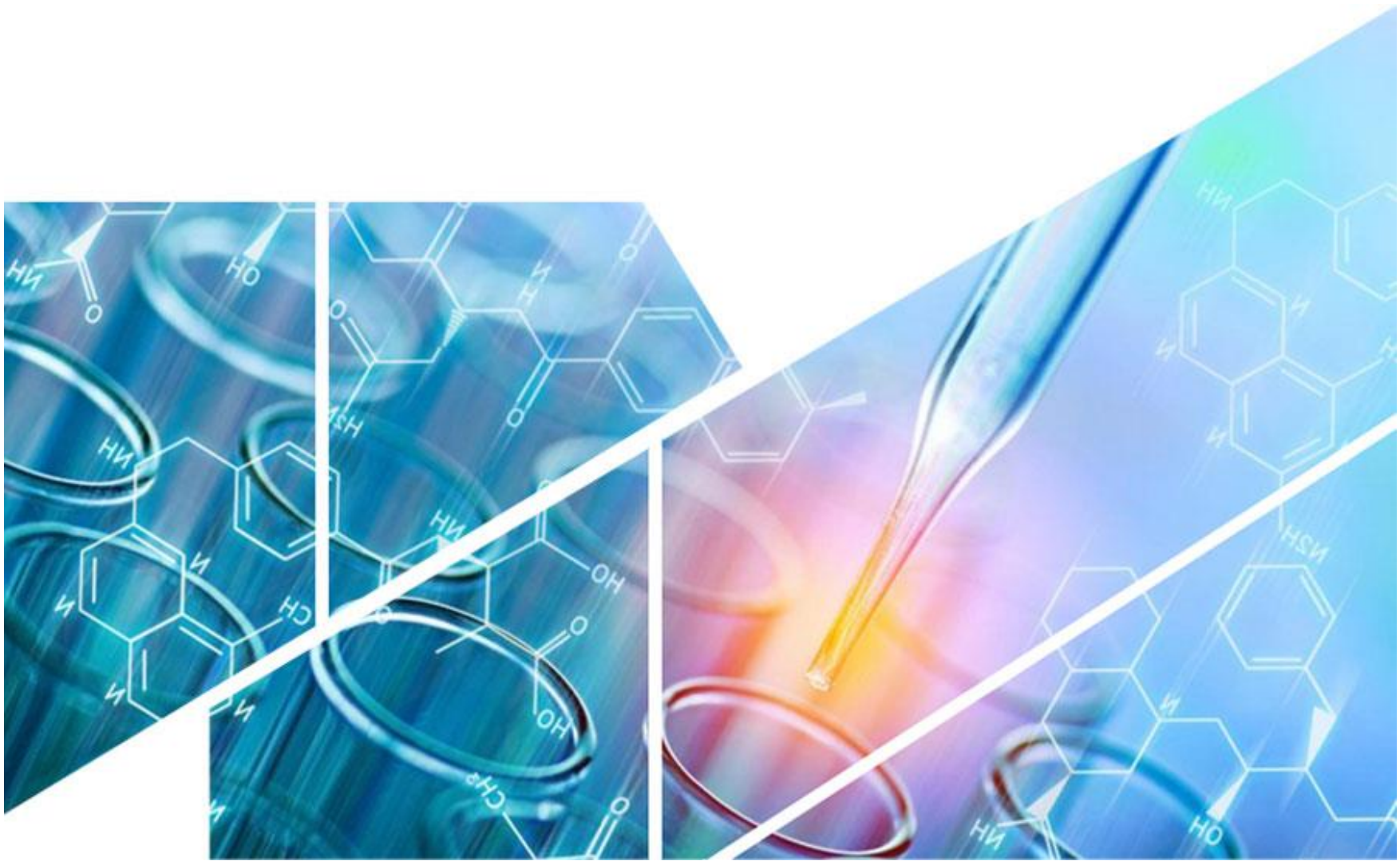
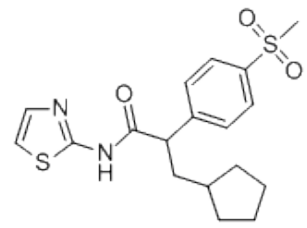
Glucokinase Inhibitors (inhibitors, agonists and modulators)



Glucokinase is an enzyme that facilitates phosphorylation of glucose to glucose-6-phosphate. Glucokinase occurs in cells in theliver, pancreas, gut, and brain of humans and most other vertebrates. In each of these organs it plays an important role in the regulation of carbohydrate metabolism by acting as a glucose sensor, triggering shifts in metabolism or cell function in response to rising or falling levels of glucose, such as occur after a meal or when fasting.

RO 28-0450 - CAS 300352-96-9

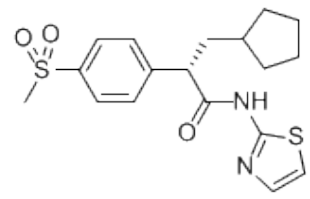


Catalog Number:

Molecular Weight: 378.51 **Molecular Formula:** C18H22N2O3S2

Description: RO 28-0450 is a glucokinase GK agonist.

RO 28-1674 - CAS 599164-57-5

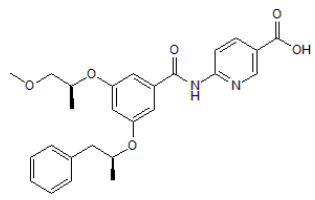


Catalog Number:

Molecular Weight: 378.51 **Molecular Formula:** C18H22N2O3S2

Description: RO 28-1674 is a glucokinase GK agonist.

GKA 50 - CAS 851884-87-2

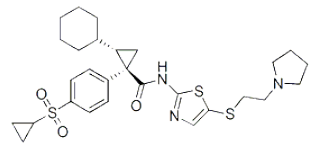


Catalog Number:

Molecular Weight: 464.51 **Molecular Formula:** C26H28N2O6

Description: GKA 50 is a potent glucokinase activator (EC50 = 33 nM). It causes a decrease in plasma glucose levels in diabetic rats and an increase of insulin release from mouse islets of Langerhans. GKA 50 was shown to promote proliferation and prevent apoptosis in rat pancreatic insulinoma-1 beta cell.

LY2608204 - CAS 1234703-40-2



Catalog Number: 1234703-40-2

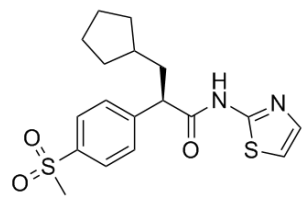
Molecular Weight: 559.81 **Molecular Formula:** C28H37N3O3S3

Description: LY2608204 activates glucokinase (GK) with EC50 of 42 nM at 10 mM glucose with a concentration dependent manner at lower glucose concentrations.

Ro 28-1675 - CAS 300353-13-3

Catalog Number: 300353-13-3

Molecular Weight: 378.51 **Molecular Formula:** C18H22N2O3S2

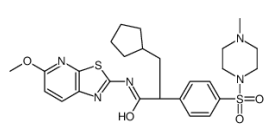


Description: A cell-permeable thiazolyl-propanamide compound that acts as a glucokinase (GK) activator by increasing Vmax and decreasing [S]1/2 of GK-catalyzed reaction as well as freeing GK from the inhibitory action of GK regulatory protein (GKRP), resulting in increased. Induces GK nuclear-to-cytosol translocation in primary rat hepatocytes and reduces glucose concentration for insulin secretion stimulation from isolated rat pancreatic islets (threshold [glucose] = 3 mM vs 6 mM with or without 3 μM Ro-28-1675). Exhibits in vivo glucose-lowering and insulin-releasing activity in non-diabetic C57BL/6 mice and Wistar rats and is efficacious in several murine and rodent type II diabetes mellitus (T2DM) models (10 to 50 mg/kg via p.o.). Does not affect hexokinase I or II activity.

LBX192 - CAS 866772-52-3

Catalog Number: 866772-52-3

Molecular Weight: 543.70 **Molecular Formula:** C26H33N5O4S2

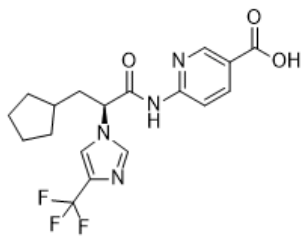


Description: LBX192 is a Liver Targeted Glucokinase Activator. It can activate the GK enzyme in vitro at low nM concentrations and reduce glucose levels during an oral glucose tolerance test in normal as well as diabetic mice.

PF-04991532 - CAS 1215197-37-7

Catalog Number: 1215197-37-7

Molecular Weight: 396.37 **Molecular Formula:** C18H19F3N4O3

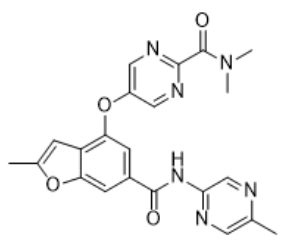


Description: This active molecular is a hepatoselective glucokinase activator that reduces MDG (mean daily glucose), FPG (fasting plasma glucose) and glucose excursion in humans. PF-04991532 may offer glycemic control without inducing hepatic steatosis. PF-04991532 was developed by Pfizer but was discontinued in Phase-II for Type-2 diabetes mellitus in USA in 2012.

PF-04937319 - CAS 1245603-92-2

Catalog Number: 1245603-92-2

Molecular Weight: 432.44 **Molecular Formula:** C22H20N6O4

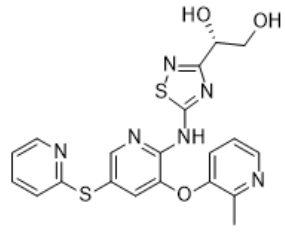


Description: This active molecular is a glucokinase activator originated by Pfizer and EC50 value is 174 nM. PF-04937319 can improve glycemic control in adults with type 2 diabetes when applicated in conjunction with metformin and it can also maintain lower-glucose levels without it resulting in hypoglycemia. However,clinical trials were discontinued for Type 2 diabetes mellitus in 2016.

ARRY 403 - CAS 1304015-76-6

Catalog Number: 1304015-76-6

Molecular Weight: 454.53 **Molecular Formula:** C20H18N6O3S2

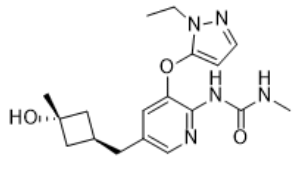


Description: ARRY-403 is an orally available Glucokinase stimulants originated by Array BioPharma. ARRY-403 activates human glucokinase in vitro with EC50 of 79 nM at 5 mM glucose and Vmax = 134% compared to the no activator control. It exhibits good in vitro drug-like properties and selectivity against broad panels of receptors and enzymes. But, treatment for Type 2 diabetes mellitus was discontinued.

AM-9514 - CAS 1442677-18-0

Catalog Number: 1442677-18-0

Molecular Weight: 359.43 **Molecular Formula:** C18H25N5O3

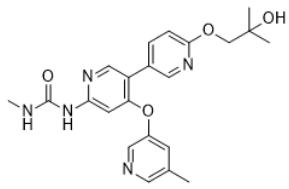


Description: AM-9514 is a glucokinase (GK) activator. It shows a favorable combination of in vitro potency, enzyme kinetic properties, acceptable pharmacokinetic profiles in preclinical species, and robust efficacy in a rodent PD model. Glucokinase is very important in regulating glucose homeostasis. AM-9514 may become a drug candidate for the treatment of type 2 diabetes.

AM-2394 - CAS 1442684-77-6

Catalog Number: 1442684-77-6

Molecular Weight: 423.47 **Molecular Formula:** C22H25N5O4



Description: AM-2394 is a selective Glucokinase agonist (GKA), It activates GK with an EC50 value of 60 nM. AM-2394 increases the affinity of GK for glucose by approximately 10-fold. It shows moderate clearance and good oral bioavailability in animal models, and lowers glucose excursion following an oral glucose tolerance test in an ob/ob mouse model of diabetes.