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Inhibitors, Agonists, Screening Libraries

# Glucokinase

## Hexokinase IV; Hexokinase D

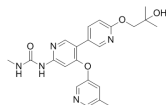
Glucokinase is an enzyme that facilitates phosphorylation of glucose to glucose-6-phosphate. Glucokinase occurs in cells in the liver, pancreas, gut, and brain of humans and most other vertebrates. In each of these organs it plays an important role in the regulation of carbohydrate metabolism by acting 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. Glucokinase has a lower affinity for glucose than the other hexokinases do, and its activity is localized to a few cell types, leaving the other three hexokinases as more important preparers of glucose for glycolysis and glycogen synthesis for most tissues and organs. Mutations of the gene for this enzyme can cause unusual forms of diabetes or hypoglycemia.

## Glucokinase Inhibitors, Activators & Modulators

### AM-2394

Cat. No.: HY-100221

AM-2394 is a structurally distinct **glucokinase activator** (GKA). AM-2394 activates glucokinase (GK) with an  $EC_{50}$  of 60 nM.

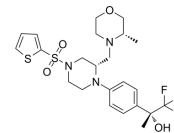


**Purity:** 99.35%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### AMG-1694

Cat. No.: HY-12614

AMG-1694 is a potent **glucokinase–glucokinase regulatory protein (GK–GKRP)** disruptors and promotes the dissociation of the GK–GKRP complex with an  $IC_{50}$  of 7 nM, indirectly increasing GK enzymatic activity.

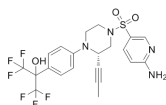


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AMG-3969

Cat. No.: HY-12411

AMG-3969 is a potent glucokinase-glucokinase regulatory protein interaction (GK–GKRP) disruptor with an  $IC_{50}$  of 4 nM.

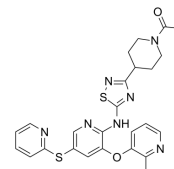


**Purity:** 99.74%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

### AR453588

Cat. No.: HY-133127

AR453588 is a potent and orally bioavailable anti-diabetic **glucokinase activator**, with an  $EC_{50}$  of 42 nM. AR453588 shows anti-hyperglycemic activity.

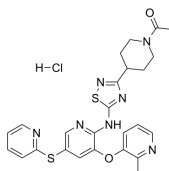


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### AR453588 hydrochloride

Cat. No.: HY-133127A

AR453588 hydrochloride is a potent and orally bioavailable anti-diabetic glucokinase activator, with an  $EC_{50}$  of 42 nM. AR453588 hydrochloride shows anti-hyperglycemic activity.



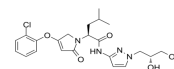
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Dorzagliatin

(HMS5552)

Cat. No.: HY-109030

Dorzagliatin (HMS5552), a dual-acting **glucokinase (GK)** activator, improves glycaemic control and pancreatic  $\beta$ -cell function in type 2 diabetes.

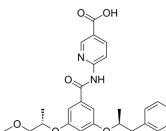


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mg, 25 mg, 50 mg, 100 mg

### GKA50

Cat. No.: HY-15671

GKA50 is a potent **glucokinase activator** ( $EC_{50}$ =33 nM at 5 mM glucose). GKA50 stimulates insulin release from mouse islets of Langerhans and MIN6 cells. GKA50 shows significant glucose lowering in high fat fed female rats.

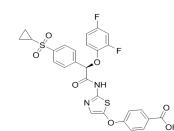


**Purity:** >98.0%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg

### Glucokinase activator 1

Cat. No.: HY-101788

Glucokinase activator 1 is a liver-directed **glucokinase activator** with an  $EC_{50}$  of 34 nM.

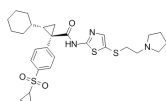


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### LY2608204

Cat. No.: HY-13529

LY2608204 is an activator of glucokinase (GK) with  $EC_{50}$  of 42 nM.

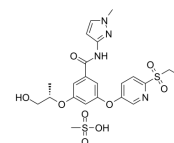


**Purity:** >98.0%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

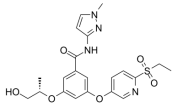

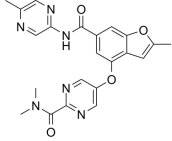
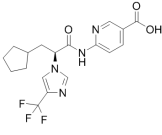
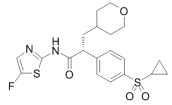
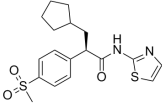
### MK-0941

Cat. No.: HY-19843

MK-0941 is a potent, orally active and allosteric **glucokinase activator**, with  $EC_{50}$ s of 240 and 65 nM for recombinant human glucokinase in the presence of 2.5 and 10 mM glucose, respectively. MK-0941 has potential in the treatment of type 2 diabetes.



**Purity:** 98.84%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

<p><b>MK-0941 free base</b></p> <p style="text-align: right;">Cat. No.: HY-19843A</p>	<p><b>Palmitelaidic Acid</b> (9-trans-Hexadecenoic acid; trans-Palmitoleic acid)</p> <p style="text-align: right;">Cat. No.: HY-N2341</p>
<p>MK-0941 free base is an orally active <b>glucokinase</b> activator, with <math>EC_{50}</math>s of 240 and 65 nM for recombinant human glucokinase in the presence of 2.5 and 10 mM glucose, respectively.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> <b>Size:</b> 1 mg, 5 mg</p>	<p>Palmitelaidic Acid (9-trans-Hexadecenoic acid) is the trans isomer of palmitoleic acid. Palmitoleic acid is one of the most abundant fatty acids in serum and tissue.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> &gt;99.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mg (393 mM * 100 <math>\mu</math>L in Ethanol),</p>
<p><b>PF-04937319</b></p> <p style="text-align: right;">Cat. No.: HY-108328</p>	<p><b>PF-04991532</b></p> <p style="text-align: right;">Cat. No.: HY-100181</p>
<p>PF-04937319 is a glucokinase activator (GKA) with <math>EC_{50}</math> value of 154.4 <math>\mu</math>M, one of the most promising strategies for the treatment of type 2 diabetes mellitus.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> &gt;99.0% <b>Clinical Data:</b> <b>Size:</b> 1 mg, 5 mg</p>	<p>PF-04991532 is a potent, hepatoselective <b>glucokinase</b> activator with <math>EC_{50}</math>s of 80 and 100 nM in human and rat, respectively.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>PSN-GK1</b></p> <p style="text-align: right;">Cat. No.: HY-U00411</p>	<p><b>Ro 28-1675</b></p> <p style="text-align: right;">Cat. No.: HY-10595</p>
<p>PSN-GK1 is a potent <b>glucokinase</b> activator with an <math>EC_{50}</math> of 0.13 <math>\mu</math>M.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>Ro 28-1675 (Ro 0281675) is a potent allosteric GK activator with a <math>SC_{1.5}</math> value of <math>0.24 \pm 0.0019</math> <math>\mu</math>M.</p> <p style="text-align: center;"></p> <p><b>Purity:</b> 99.95% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg</p>