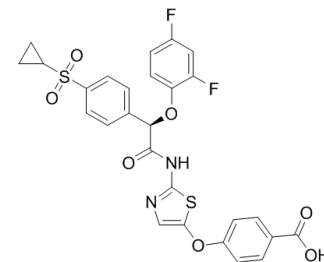


Glucokinase activator 1

Cat. No.:	HY-101788
CAS No.:	1328987-85-4
Molecular Formula:	C ₂₇ H ₂₀ F ₂ N ₂ O ₇ S ₂
Molecular Weight:	586.58
Target:	Glucokinase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	Glucokinase activator 1 is a liver-directed glucokinase activator with an EC ₅₀ of 34 nM.	
IC₅₀ & Target	EC ₅₀ : 34 nM (Glucokinase) ^[1]	
In Vivo	Glucokinase activator 1 (3 to 300 mg/kg, oral, 180 minutes) shows a dose dependent improvement in glucose excursion in oGTT in a DIO mouse model of T2D. The predominant liver-directed tissue distribution of Glucokinase activator 1 ensures a good safety window with respect to hypoglycemia over a 10-fold dose range ^[1] .	
	Animal Model:	Male C57BL/6J mice (age 8-10 weeks; 25±5 g) ^[1]
	Dosage:	1 or 3 mg/kg (i.v.), 30 mg/kg (oral)
	Administration:	I.v. or oral
	Result:	Showed an excellent PK profile and exhibited 35- and 68- fold higher liver concentrations at 1 and 3 h time point, respectively, compared with plasma ^[1] .
	Animal Model:	Male C57BL/6J mice of 10-12 weeks ^[1]
	Dosage:	3 to 300 mg/kg
	Administration:	Oral (15, 30, 60, 120 and 180 minutes)
	Result:	Demonstrated 21% and 34% significant AUC glucose reduction at oral dose of 30 and 100 mg/kg, respectively ^[1] .

REFERENCES

[1]. Deshpande AM, et al. Discovery of liver-directed glucokinase activator having anti-hyperglycemic effect without hypoglycemia. *Eur J Med Chem.* 2017 Jun 16;133:268-286.

Caution: Product has not been fully validated for medical applications. For research use only.

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