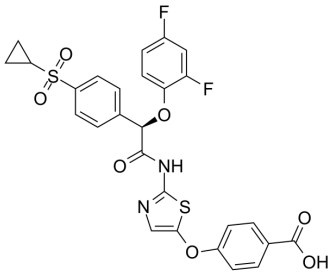
Glucokinase activator 1

Cat. No.:	HY-101788
CAS No.:	1328987-85-4
Molecular Formula:	$C_{27}H_{20}F_2N_2O_7S_2$
Molecular Weight:	586.58
Target:	Glucokinase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Glucokinase activator 1 is a liver-directed glucokinase activator with an EC_{50} of 34 nM.
IC_{50} & Target	EC50: 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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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