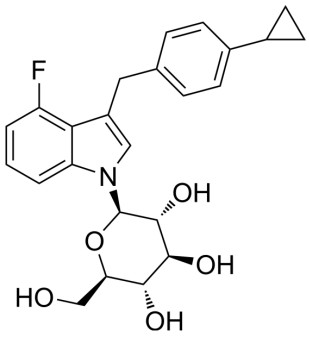
TA-1887

Cat. No.:	HY-12608
CAS No.:	1003005-29-5
Molecular Formula:	$C_{24}H_{26}FNO_5$
Molecular Weight:	427.47
Target:	SGLT
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

TA-1887 (JNJ-39933673) is a highly potent, selective and orally active SGLT2 inhibitor (IC_{50}: 1.4 nM) with antihyperglycemic effects. TA-1887 can be used in the research of diabetes[1][2].

IC_{50} & Target

SGLT2	SGLT1
1.4 nM (IC_{50})	230 nM (IC_{50})

In Vivo

TA-1887 (30 mg/kg, oral administration, rats) induces glucose excretion over a 24 h period of 2502 mg per 200 g body weight [1].

TA-1887 (3 mg/kg, oral administration) reduces blood glucose levels without influencing food intake in hyperglycemic high-fat diet-fed KK (HF-KK) mice[1].

TA-1887 (30 mg/kg/day, oral gavage for 2 weeks) significantly reduces GFR (glomerular filtration rate) in BSA-overloaded diabetic mice[2].

TA-1887 (0.01% w/w in chow, HF diets fed mice) antagonizes diabetic cachexia and decreases mortality in diabetic mice[3].

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

Animal Model:	Sprague-Dawley rats[1]
Dosage:	30 mg/kg
Administration:	Oral administration
Result:	Induced extensive UGE (urinary glucose excretion) through continuous suppression of renal glucose reuptake.

Animal Model:	BSA-overloaded diabetic mice[2]
Dosage:	30 mg/kg
Administration:	Oral gavage for 2 weeks
Result:	Suppressed the induction of TGF□β2 level in vehicle□treated BSA□overloaded diabetic mice. Suppressed COL3 gene levels.

Animal Model:	Male Sprague-Dawley rats (pharmacokinetic assay)[1]
Dosage:	3 mg/kg (i.v.), 10 mg/kg (p.o.)
Administration:	Oral administration (p.o.), intravenous injection (i.v.)
Result:	Pharmacokinetic (PK) parameters of TA-1887.

Parameters	dose (mg/kg)	C_{max} (ng/mL)	$t_{1/2}$ (h)	F (%)
TA-18873	(i.v.)		3.9	
TA-18873	10 (p.o.)	2723	3.9	78

REFERENCES

[1]. Sumihiro Nomura, et al. Novel Indole-N-glucoside, TA-1887 As a Sodium Glucose Cotransporter 2 Inhibitor for Treatment of Type 2 Diabetes. ACS Med Chem Lett. 2013 Nov 13;5(1):51-5.

[2]. Keiji Shimada, et al. Adenosine/adenosine type 1 receptor signaling pathway did not play dominant roles on the influence of sodium-glucose cotransporter 2 inhibitor in the kidney of bovine serum albumin-overloaded streptozotocin-induced diabetic mice. J Diabetes Investig. 2022 Jun;13(6):955-964.

[3]. Taichi Sugizaki, et al. Treatment of diabetic mice with the SGLT2 inhibitor TA-1887 antagonizes diabetic cachexia and decreases mortality. NPJ Aging Mech Dis. 2017 Sep 8;3:12.

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