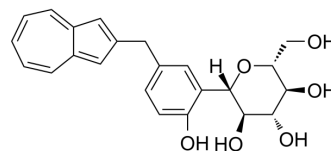


YM543 free base

Cat. No.:	HY-122613
CAS No.:	655237-16-4
Molecular Formula:	C ₂₃ H ₂₄ O ₆
Molecular Weight:	396.43
Target:	SGLT
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	YM543 free base is a potent and orally active sodium-glucose cotransporter (SGLT) 2 inhibitor. YM543 free base reduces blood glucose levels. YM543 free base can be used in research of diabetes ^{[1][2]} .														
IC₅₀ & Target	SGLT2														
In Vivo	<p>YM543 free base (0-3 mg/kg; p.o.) reduces blood glucose levels and improved glucose tolerance with a concomitant increase in urinary glucose excretion in KK/A^y 2 diabetic mice, effects that were sustained even after 12 h^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>														
	Animal Model:	KK/A ^y 2 diabetic mice ^[1]													
	Dosage:	0.1, 0.3, 1, and 3 mg/kg													
	Administration:	oral administration													
	Result:	Had a strong and sustained antihyperglycemic effect in both KK/Ay type 2 diabetic mice.													
	Animal Model:	Male Sprague-Dawley rats ^[1]													
	Dosage:	1.0 and 3.0 mg/kg													
	Administration:	intravenous injection (1.0 mg/kg) and oral administration (3.0 mg/kg)													
	Result:	<table border="1"> <thead> <tr> <th>Administration</th> <th>iv (1 mg/kg)</th> <th>po (3 mg/kg)</th> </tr> </thead> <tbody> <tr> <td>T_{1/2} (h)</td> <td>0.9</td> <td>1.3</td> </tr> <tr> <td>CL_{tot} (L/h/kg)</td> <td>2483</td> <td></td> </tr> <tr> <td>V_{dss} (L/kg)</td> <td>3360</td> <td></td> </tr> </tbody> </table>		Administration	iv (1 mg/kg)	po (3 mg/kg)	T _{1/2} (h)	0.9	1.3	CL _{tot} (L/h/kg)	2483		V _{dss} (L/kg)	3360	
Administration	iv (1 mg/kg)	po (3 mg/kg)													
T _{1/2} (h)	0.9	1.3													
CL _{tot} (L/h/kg)	2483														
V _{dss} (L/kg)	3360														

C _{max} (ng/mL)		101
T _{max} (h)		0.5
AUC _{0-inf} (ng h/mL)	403	
F %		29

REFERENCES

[1]. Nakada N. Evaluation of the Utility of Chimeric Mice with Humanized Livers for the Characterization and Profiling of the Metabolites of a Selective Inhibitor (YM543) of the Sodium-Glucose Cotransporter 2. *Pharm Res.* 2017 Apr;34(4):874-886.

[2]. Ikegai K, et, al. Synthesis and biological evaluation of C-glucosides with azulene rings as selective SGLT2 inhibitors for the treatment of type 2 diabetes mellitus: discovery of YM543. *Bioorg Med Chem.* 2013 Jul 1;21(13):3934-48.

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

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