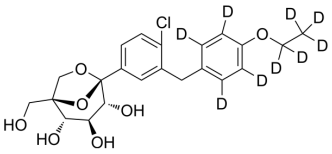
Ertugliflozin-d_9

Cat. No.:	HY-15461S1
Molecular Formula:	$C_{22}H_{16}D_9ClO_7$
Molecular Weight:	445.94
Target:	SGLT; Isotope-Labeled Compounds
Pathway:	Membrane Transporter/Ion Channel; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Ertugliflozin-d_9 is deuterated labeled Ertugliflozin (HY-15461). Ertugliflozin (PF-04971729) is a potent, selective and orally active inhibitor of the sodium-dependent glucose cotransporter 2 (SGLT2), with an IC_{50} of 0.877 nM for h-SGLT2[1]. Has the potential for the treatment of type 2 diabetes mellitus[2].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Ertugliflozin (PF-04971729) demonstrates >2000-fold selectivity for SGLT2 inhibition (relative to SGLT1) in vitro[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ertugliflozin (PF-04971729) reveals a concentration-dependent glucosuria after oral administration to rats[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mascitti V, et al. Discovery of a clinical candidate from the structurally unique dioxa-bicyclo[3.2.1]octane class of sodium-dependent glucose cotransporter 2 inhibitors. J Med Chem. 2011 Apr 28;54(8):2952-60.

[2]. Miao Z, et al. Pharmacokinetics, metabolism, and excretion of the antidiabetic agent ertugliflozin (PF-04971729) in healthy male subjects. Drug Metab Dispos. 2013 Feb;41(2):445-56.

[3]. Kalgutkar AS, et al. Preclinical species and human disposition of PF-04971729, a selective inhibitor of the sodium-dependent glucose cotransporter 2 and clinical candidate for the treatment of type 2 diabetes mellitus. Drug Metab Dispos. 2011 Sep;39(9):1609-19.

[4]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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