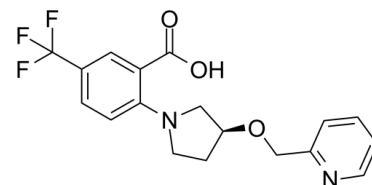


Data Sheet

Product Name:	XEN445
Cat. No.:	CS-3144
CAS No.:	1515856-92-4
Molecular Formula:	C ₁₈ H ₁₇ F ₃ N ₂ O ₃
Molecular Weight:	366.33
Target:	Others
Pathway:	Others
Solubility:	DMSO : ≥ 40 mg/mL (109.19 mM)



BIOLOGICAL ACTIVITY:

XEN445 is a potent and selective EL inhibitor (IC₅₀=0.237 μM), that showed good ADME and PK properties, and demonstrated in vivo efficacy in raising plasma HDLc concentrations in mice. IC₅₀ value: 0.237 μM [1] Target: Endothelial lipase inhibitor XEN445 can be readily prepared in good yield from commercial available chemicals, which was selected for further evaluation of this series of EL inhibitors. After a 30 min preincubation of EL-expressing HEK cells with XEN445, the IC₅₀ value for XEN445 of 0.25 μM was obtained. This value was very similar to that determined in the cell-free assay. Wild-type mice were orally dosed with XEN445 at 30 mg/kg b.i.d. for 3 days and blood was taken on the morning of day 4, 16 h post final dose. At termination, the average plasma levels of XEN445 was 9.9 μM and the drug caused an 18% and 16% increase in total plasma cholesterol and HDLc, respectively.

References:

[1]. Sun S, et al. Discovery of XEN445: a potent and selective endothelial lipase inhibitor raises plasma HDL-cholesterol concentration in mice. *Bioorg Med Chem*. 2013 Dec 15;21(24):7724-34.

CAIndexNames:

Benzoic acid, 2-[(3S)-3-(2-pyridinylmethoxy)-1-pyrrolidinyl]-5-(trifluoromethyl)-

SMILES:

O=C(O)C1=CC(C(F)(F)F)=CC=C1N2C[C@H](OCC3=NC=CC=C3)CC2

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

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