

## **Product** Data Sheet

## MK-8262

 Cat. No.:
 HY-132303

 CAS No.:
 1432054-03-9 

 Molecular Formula:
  $C_{35}H_{25}F_9N_2O_5$ 

Molecular Weight: 724.57

Target: CETP

Pathway: Metabolic Enzyme/Protease

**Storage:** Please store the product under the recommended conditions in the Certificate of

Analysis.

## **BIOLOGICAL ACTIVITY**

Description	MK-8262 is an orally active and potent cholesteryl ester transfer protein (CETP) inhibitor with an IC $_{50}$ of 53 nM and a log D of 5.3. MK-8262, a bistrifluoromethyl analogue, has the potential for coronary heart disease (CHD) correlated high-density lipoprotein (HDL) and low-density lipoprotein (LDL) research <sup>[1]</sup> .
IC <sub>50</sub> & Target	IC50: 53 nM (CETP) <sup>[1]</sup>
In Vitro	MK-8262 (Compound 87) reduces cell viability in a dose-dependent manner beginning at -10 μM in all 8 cell lines regardless of human CYP expression or glutathione depletion (HEK293/CYP cell lines expressing human CYP1A2, CYP2E1, CYP2D6, CYP2C8, CYP2B6, CYP2A4, CYP2C19, or CYP2C9) <sup>[1]</sup> .  MK-8262 has no effect on human CYP protein concentration or Nrf2 activation in any of the 8 cell lines <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MK-8262 (Compound 87; 10 mg/kg; orally) results in a significant HDL cholesterol increase in a 2-week chronic treatment of CETP transgenic mice <sup>[1]</sup> . MK-8262 (1 mg/kg; iv) has a $T_{1/2}$ MRT of 6 hours, a CL of 2.6 mL/min•kg, and a $V_{ss}$ of 0.95 L/kg for mouse <sup>[1]</sup> . MK-8262 (2 mg/kg; po) has a $C_{max}$ of 0.43 $\mu$ M and an AUC of 7.9 $\mu$ M•h for mouse <sup>[1]</sup> . MK-8262 (1 mg/kg; iv) has a $T_{1/2}$ MRT of 13 hours, a CL of 3.1 mL/min•kg, and a $V_{ss}$ of 2.4 L/kg for mouse <sup>[1]</sup> . MK-8262 (2 mg/kg; po) has a $C_{max}$ of 0.4 $\mu$ M and an AUC of 9.7 $\mu$ M•h for mouse <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## **REFERENCES**

[1]. Petr Vachal, et al. Invention of MK-8262, a Cholesteryl Ester Transfer Protein (CETP) Inhibitor Backup to Anacetrapib with Best-in-Class Properties. J Med Chem. 2021 Aug 10.

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 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$ 

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