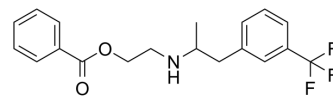


## Benfluorex

<b>Cat. No.:</b>	HY-B1058A
<b>CAS No.:</b>	23602-78-0
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>20</sub> F <sub>3</sub> NO <sub>2</sub>
<b>Molecular Weight:</b>	351.36
<b>Target:</b>	Others
<b>Pathway:</b>	Others
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Benfluorex (JP-992) is a hepatic nuclear factor 4 alpha (HNF4α) activator.
<b>IC<sub>50</sub> &amp; Target</b>	HNF4α <sup>[1]</sup>
<b>In Vitro</b>	<p>Benfluorex consistently activates insulin promoter activity as measured by an increased number of GFP-positive cells. Benfluorex increases the number of GFP-positive cells in a dose-responsive manner and increases the level of endogenous insulin mRNA. Consistent with being HNF4α activator, Benfluorex stimulates HNF4α expression. Benfluorex alters HNF4α protease sensitivity, while the inactive control compound does not<sup>[1]</sup>. Benfluorex decreases, in a concentration-dependent manner, the synthesis of acid-soluble products and ketone bodies from oleate, whereas the production of <sup>14</sup>CO<sub>2</sub> into citric acid cycle is markedly increased by Benfluorex. Benfluorex inhibits in a dose-dependent manner the rates of gluconeogenesis from lactate/pyruvate (10/1 nM)<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### PROTOCOL

<b>Kinase Assay <sup>[1]</sup></b>	<p>HepG2 cells are treated with DMSO or Benfluorex at a concentration of 20 μM or 40 μM for 16 hr. Total cell protein is extracted, measured by BCA protein assay. Each sample is split into two aliquots for proteolysis without (-) or with (+) Subtilisin. Twenty ug of cell lysate is incubated with or without protease (20 ng/mL subtilisin) for 35 minutes at room temperature. Western blot is then performed with primary anti-HNF4α polyclonal antibody (1:1000 dilution) and secondary HRP conjugated anti-goat IgG (1:2000 dilution), detected with chemiluminescence ECL kit<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
<b>Cell Assay <sup>[2]</sup></b>	<p>Hepatocytes are isolated by in situ perfusion of the liver with 0.025% collagenase. Hepatocytes (1 to 2×10<sup>6</sup> cells/mL) are incubated at 37°C in 2 mL of oxygenated (O<sub>2</sub>:CO<sub>2</sub>; 95:5) Krebs-Henseleit bicarbonate buffer (pH 7.4) for 1 h in a gyratory shaking water bath. Benfluorex is dissolved in DMSO and added (10 μL) to the incubation medium at a final concentration of 0.1 or 1 nM<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

### CUSTOMER VALIDATION

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- EBioMedicine. 2022 Jul 28;82:104181.
  - Biochem Pharmacol. 6 August 2022, 115198.
  - Virol J. 2021 Sep 28;18(1):196.

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## REFERENCES

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[1]. Lee SH, et al. Identification of alverine and benfluorex as HNF4 $\alpha$  activators. ACS Chem Biol. 2013 Aug 16;8(8):1730-6.

[2]. Kohl C, et al. Effects of benfluorex on fatty acid and glucose metabolism in isolated rat hepatocytes: from metabolic fluxes to gene expression. Diabetes. 2002 Aug;51(8):2363-8.

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

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