

MB05032

Catalog No: tcsc1552



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

261365-11-1

Formula:

$C_{11}H_{15}N_2O_4PS$

Pathway:

Others

Target:

Others

Purity / Grade:

>98%

Solubility:

DMSO : 50 mg/mL (165.40 mM; Need ultrasonic)

Observed Molecular Weight:

302.29

Product Description

MB05032 is a special and efficacious GNG inhibitor targeted the AMP binding site of fructose 1,6-bisphosphatase (FBPase) with an

IC50 value of 16 nM.

IC50 Value: 16 nM (Human Liver FBPase) [1]

Target: Fructose 1, 6-bisphosphatase

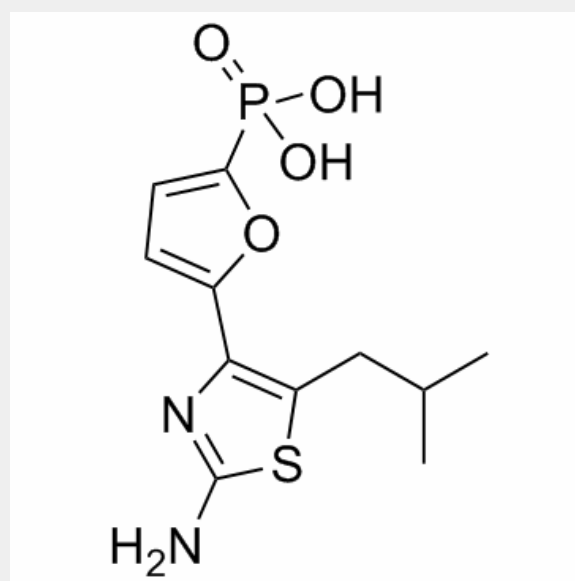
Oral delivery of MB05032 was achieved by using the bisamidate prodrug MB06322 (CS-917), which is converted to MB05032 in two steps through the action of an esterase and a phosphoramidase.

in vitro: MB05032 inhibits human liver FBPase with a potency ($IC_{50} = 16 \pm 1.5$ nM) significantly greater than the natural inhibitor, AMP ($IC_{50} = 1$ μ M), and the most well characterized AMP mimetic, ZMP ($IC_{50} = 12 \pm 1.4$ μ M). MB05032 inhibits rat FBPase 3-fold weaker (IC_{50} of 61 ± 4 nM) than human FBPase, whereas AMP is 20-fold weaker as an inhibitor [1]. Inhibition of FBPase activity in islet β -cells by its specific inhibitor MB05032 led to significant increase of their glucose utilization and cellular ATP to ADP ratios and consequently enhanced GSIS in vitro [2].

in vivo: Oral administration of MB06322 to young (8-9 weeks old) ZDF rats with mild diabetes (basal insulin levels of 7.7 ± 0.7 ng/ml) and aged (12-13 weeks) ZDF rats with overt diabetes (basal insulin levels of 0.65 ± 0.16 ng/ml) results in dose-dependent glucose lowering. The dose-response is relatively steep, with 6-10 mg/kg and 30-100 mg/kg being the approximate doses associated with minimal and maximal activity, respectively [1]. Pretreatment of mice with the MB05032 prodrug MB06322 could potentiate GSIS in vivo and improve their glucose tolerance [2].

Toxicity: Neither lactate nor triglycerides increased in 8- to 9-week-old ZDF rats with mild diabetes treated with high doses of MB06322. In ZDF rats with more advanced disease, lactate and triglyceride levels were elevated but only modestly (

Clinical trial: Evaluation of Glucose Lowering Effect, Safety and Tolerability of CS-917. Phase 2b



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!