



**MB05032** 

**Catalog No: tcsc1552** 

| Available Sizes   |
|---|
| i <b>ze:</b> 5mg  |
| ize: 10mg   |
| ize: 50mg   |
| i <b>ze:</b> 100mg  |
| Specifications  |
| <b>AS No:</b><br>51365-11-1   |
| ormula:<br>11 <sup>H</sup> 15 <sup>N</sup> 2 <sup>O</sup> 4 <sup>PS</sup> |
| <b>athway:</b><br>thers   |
| arget:<br>thers   |
| urity / Grade:<br>98%   |
| olubility:<br>MSO : 50 mg/mL (165.40 mM; Need ultrasonic)                 |

## **Product Description**

302.29

**Observed Molecular Weight:** 

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 (IC50 =  $16 \pm 1.5$  nM) significantly greater than the natural inhibitor, AMP (IC50 =  $1 \mu$ M), and the most well characterized AMP mimetic, ZMP (IC50 =  $12 \pm 1.4 \mu$ M). MB05032 inhibits rat FBPase 3-fold weaker (IC50 of  $61 \pm 4$  nM) than human FBPase, whereas AMP is 20-fold weaker as an inhibitor [1]. Inhibition of FBPase activity in islet  $\beta$ -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  $7.7 \pm 0.7$  ng/ml) and aged (12-13 weeks) ZDF rats with overt diabetes (basal insulin levels of  $0.65 \pm 0.16$  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!