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产品名称: **SB756050**  
产品别名: **SB756050**

|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                           |           |           |
|--------------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-----------|-----------|
| 生物活性:              |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                           |           |           |
| Description        | SB756050 is a selective TGR5 agonist currently in phase 1 clinical trials for the treatment of type 2 diabetes.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         |                                           |           |           |
| In Vitro           | TGR5 is a bile acid receptor and a potential target for the treatment of type 2 diabetes (T2D)[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      |                                           |           |           |
| In Vivo            | SB756050 is well - tolerated; it is readily absorbed, exhibited nonlinear pharmacokinetics with a less than dose - proportional increase in plasma exposure above 100 mg, and demonstrates no significant changes in exposure when co - administered with sitagliptin. SB756050 demonstrates highly variable pharmacodynamic effects both within dose groups and between doses, with increases in glucose seen at the two lowest doses and no reduction in glucose seen at the two highest doses. The glucose effects of SB756050 þ sitagliptin are comparable to those of sitagliptin alone, even though gut hormone plasma profiles are different[1]. |                                           |           |           |
| Solvent&Solubility | <b>In Vitro:</b><br><b>DMSO : <math>\geq 150</math> mg/mL (299.65 mM)</b><br><br>* "≥" means soluble, but saturation unknown.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                           |           |           |
|                    | <div>Preparing<br/>Stock Solutions</div>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                | <div>Solvent Mass<br/>Concentration</div> | 1 mg      | 5 mg      |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 1 mM                                      | 1.9976 mL | 9.9882 mL |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 5 mM                                      | 0.3995 mL | 1.9976 mL |
|                    |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         | 10 mM                                     | 0.1998 mL | 0.9988 mL |
|                    | *请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。<br><br>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                           |           |           |
| References         | [1]. Hodge RJ, et al. Safety, Pharmacokinetics, and Pharmacodynamic Effects of a Selective TGR5 Agonist, SB-756050, in Type 2 Diabetes. Clin Pharmacol Drug Dev. 2013 Jul;2(3):213-22.                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                           |           |           |