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产品名称：GSK2330672
产品别名：linerixibat

生物活性：

Description	linerixibat (GSK2330672) is a highly potent, nonabsorbable ASBT(apical sodium-dependent bile acid transporter) inhibitor (hASBT IC50=42 ± 3 nM) which lowers glucose in an animal model of type 2 diabetes and shows excellent developability properties for evaluating the potential therapeutic utility of a nonabsorbable ASBT inhibitor for treatment of patients with type 2 diabetes.
IC_{50} & Target	IC50: 42±3 nM (hASBT) [1]
In Vitro	linerixibat (GSK2330672) is a highly potent, nonabsorbable ASBT inhibitor with excellent aqueous solubility, selectivity, and developability properties for evaluation in safety studies and ultimately humans. linerixibat will be a valuable clinical tool for exploring the therapeutic utility of a nonabsorbable ASBT inhibitor for treatment of patients with type 2 diabetes.[1]
In Vivo	linerixibat (GSK2330672) results in potent inhibition of ASBT and very low oral absorption in the rat. linerixibat shows potent mouse and rat ASBT activity and was stable in GI stability assays. linerixibat is stable in the rodent GI tract and potently induced fecal bile acid excretion in mice, leading us to select these three compounds for mechanistic and efficacy studies in vivo in lean rats and Zucker Diabetic Fatty (ZDF) rats, respectively.[1]
Solvent&Solubility	***In Vitro:*** **DMSO： 21.5 mg/mL (39.33 mM;** Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8292 mL	9.1461 mL	18.2922 mL
	5 mM	0.3658 mL	1.8292 mL	3.6584 mL
	10 mM	0.1829 mL	0.9146 mL	1.8292 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。

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。

In Vivo:

1.GSK2330672 is prepared in 1% methylcellulose[3].

References

[1]. Wu Y, et al. Discovery of a highly potent, nonabsorbable apical sodium-dependent bile acid transporter inhibitor (GSK2330672) for treatment of type 2 diabetes. J Med Chem. 2013 Jun 27;56(12):5094-114.

[2]. Wang Y, et al. HNF4α Regulates CSAD to Couple Hepatic Taurine Production to Bile Acid Synthesis in Mice. Gene Expr. 2018 Aug 22;18(3):187-196.

[3]. Nunez DJ, et al. Glucose and lipid effects of the ileal apical sodium-dependent bile acid transporter inhibitor GSK2330672: double-blind randomized trials with type 2 diabetes subjects taking metformin. Diabetes Obes Metab. 2016 Mar 4. doi: 10.1111/dom.12656.