上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Soraprazan
产品别名：BYK61359

生物活性：

Description	Soraprazan is a reversible, and fast-acting inhibitor of gastric H^+/K^+ ATPase.
In Vitro	Soraprazan is a potent inhibitor of gastric H,K-ATPase, with an IC_{50} of 0.1 μM when measured in ion-leaky vesicles in the presence of 1 mM potassium. Soraprazan also effectively inhibits dibutyryl cAMP-stimulated [^{14}C]AP accumulation in isolated gastric glands with an IC_{50} of 0.19 μM (0.09-0.40 μM geometric mean from n=6 with 95% confidence limits). In ion-leaky vesicles, soraprazan is a potent k-competitive inhibitor of the H,K-ATPase, with K_i of 6.4 nM. Soraprazan binds to the H,K-ATPase in ion-leaky vesicles with a K_d of 26.4 nM and a Bmax of 2.89 nmol/mg[1].
Solvent&Solubility	***In Vitro:*** **DMSO： ≥ 150 mg/mL (408.23 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7215 mL	13.6077 mL	27.2153 mL
	5 mM	0.5443 mL	2.7215 mL	5.4431 mL
	10 mM	0.2722 mL	1.3608 mL	2.7215 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。

References	[1]. Simon WA, et al. Soraprazan: setting new standards in inhibition of gastric acid secretion. J Pharmacol Exp Ther. 2007 Jun;321(3):866-74. Epub 2007 Mar 16.

实验参考：

Kinase Assay	[^{3}H]Soraprazan binding studies are carried out at 20°C. In saturation experiments to determine the K_d value, ion-leaky gastric vesicles (0.01-0.02 mg/mL) are resuspended in a buffer composed of 20 mM Tris-HCl, pH 7.0, 2 mM $MgCl_2$, and 2 mM ATP (pH 7.0 by Tris) in the presence of increasing concentrations of [^{3}H]soraprazan (0.1 nM-1 μM). Nonspecific binding is determined in the presence of a 100 fold excess of unlabeled soraprazan over the concentration range of [^{3}H]soraprazan used. The enzyme suspension (1 mL) is incubated at 20°C for 30 min and rapidly filtered through a nitrocellulose membrane filter (0.45 μM) prewet with a solution composed of 20 mM Tris-HCl, pH 7.0, 10% polyethylene glycol 3350 that is placed on top of a glass fiber filter. The membrane is ished five times with 2.5 mL of a buffer composed of 20 mM Tris-HCl, pH 7.0, and 10% polyethylene glycol 3350 to remove unbound inhibitor. The membrane is put into a 20-mL scintillation vial, dimethylacetamide (0.5 mL) is added to dissolve the membrane, and 14 mL of scintillation solvent is added and counted. Binding of [^{3}H]soraprazan is determined by subtracting the nonspecific binding of [^{3}H]soraprazan, obtained in the presence of the 100-fold excess of nonradioactive soraprazan, from the amounts of [^{3}H]soraprazan bound to the membrane in the absence of the cold inhibitor. [1]

References	[1]. Simon WA, et al. Soraprazan: setting new standards in inhibition of gastric acid secretion. J Pharmacol Exp Ther. 2007 Jun;321(3):866-74. Epub 2007 Mar 16.