产品名称：(Z)-N'-(2-hydroxy-3-(piperidin-1-yl)propoxy)nicotiniMidaMide
产品别名：BGP-15

生物活性：

Description	BGP-15 is a PARP inhibitor, with an IC_{50} and a K_i of 120 and 57 μM, respectively.
IC_{50} & Target	PARP
	120 μM (IC_{50})
In Vitro	BGP-15 (200 μM) prevents the imatinib mesylate-induced oxidative damages, attenuates the depletion of high-energy phosphates, alters the signaling effect of imatinib mesylate by preventing p38 MAP kinase and JNK activation, and induced the phosphorylation of Akt and GSK-3beta[5].
In Vivo	BGP-15 (15 mg/kg, p.o.) does not improve skeletal muscle pathology in older mdx mice[1]. In a rat model, 10 days of BGP-15 treatment greatly improves diaphragm muscle fiber function (by about 100%), although it does not reverse diaphragm atrophy. The treatment also provides protection from myosin PTMs associated with HSP72 induction and PARP-1 inhibition, resulting in improvement of mitochondrial function and content[2]. BGP-15 (15 mg/kg per day in saline) treatment has no effect in Ntg mice or an independent cohort of normal adult wild-type mice based on morphology, cardiac function and ECG parameters. Treatment with BGP-15 attenuates the increase in atrial size and lung weight. BGP-15 treatment is able to prevent or reduce episodes of arrhythmia. BGP-15 treatment is associated with a reduced PR interval in the HF+AF model[3]. BGP-15 (10 and 30 mg/kg) increases insulin sensitivity by 50% and 70%, respectively, in cholesterol-fed but not in normal rabbits. After 5 days of treatment with BGP-15, the glucose infusion rate is increased in a dose-dependent manner in genetically insulin-resistant GK rats. The most effective dose is 20 mg/kg, which shows a 71% increase in insulin sensitivity compared to control group[4].

Solvent&Solubility

In Vitro:

DMSO : 11.33 mg/mL (32.25 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8468 mL	14.2341 mL	28.4681 mL
	5 mM	0.5694 mL	2.8468 mL	5.6936 mL
	10 mM	0.2847 mL	1.4234 mL	2.8468 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:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (7.12 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.12 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (7.12 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.12 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Kennedy TL, et al. BGP-15 Improves Aspects of the Dystrophic Pathology in mdx and dko Mice with Differing Efficacies in Heart and Skeletal Muscle. Am J Pathol. 2016 Dec;186(12):3246-3260 [2]. Salah H, et al. The chaperone co-inducer BGP-15 alleviates ventilation-induced diaphragm dysfunction. Sci Transl Med. 2016 Aug 3;8(350):350ra10 [3]. Sapra G, et al. The small-molecule BGP-15 protects against heart failure and atrial fibrillation in mice. Nat Commun. 2014 Dec 9;5:5705 [4]. Literati-Nagy B, et al. Improvement of insulin sensitivity by a novel drug candidate, BGP-15, in different animal studies. Metab Syndr Relat Disord. 2014 Mar;12(2):125-31 [5]. Sarszegi Z, et al. BGP-15, a PARP-inhibitor, prevents imatinib-induced cardiotoxicity by activating Akt and suppressing JNK and p38 MAP kinases. Mol Cell Biochem. 2012 Jun;365(1-2):129-37 [6]. Szabados E, et al. BGP-15, a nicotinic amidoxime derivate protecting heart from ischemia reperfusion injury through modulation of poly(ADP-ribose) polymerase. Biochem Pharmacol. 2000 Apr 15;59(8):937-45.

实验参考：

Animal Administration	Adult (appr 4 month) male HF+AF and Ntg mice are administered with BGP-15 (15 mg/kg per day in saline) for 4 weeks by oral gavage or remained untreated (oral gavage with saline or no gavage). Gavage with saline has no effect on morphological or functional parameters in the HF+AF model. Therefore, untreated mice (no gavage) and mice administered saline are combined and referred to as HF+AF control. Echocardiography and ECG studies are performed before and after treatment. [3]
References	[1]. Kennedy TL, et al. BGP-15 Improves Aspects of the Dystrophic Pathology in mdx and dko Mice with Differing Efficacies in Heart and Skeletal Muscle. Am J Pathol. 2016 Dec;186(12):3246-3260 [2]. Salah H, et al. The chaperone co-inducer BGP-15 alleviates ventilation-induced diaphragm dysfunction. Sci Transl Med. 2016 Aug 3;8(350):350ra10 [3]. Sapra G, et al. The small-molecule BGP-15 protects against heart failure and atrial fibrillation in mice. Nat Commun. 2014 Dec 9;5:5705 [4]. Literati-Nagy B, et al. Improvement of insulin sensitivity by a novel drug candidate, BGP-15, in different animal studies. Metab Syndr Relat Disord. 2014 Mar;12(2):125-31 [5]. Sarszegi Z, et al. BGP-15, a PARP-inhibitor, prevents imatinib-induced cardiotoxicity by

	activating Akt and suppressing JNK and p38 MAP kinases. Mol Cell Biochem. 2012 Jun;365(1-2):129-37 [6]. Szabados E, et al. BGP-15, a nicotinic amidoxime derivate protecting heart from ischemia reperfusion injury through modulation of poly(ADP-ribose) polymerase. Biochem Pharmacol. 2000 Apr 15;59(8):937-45.