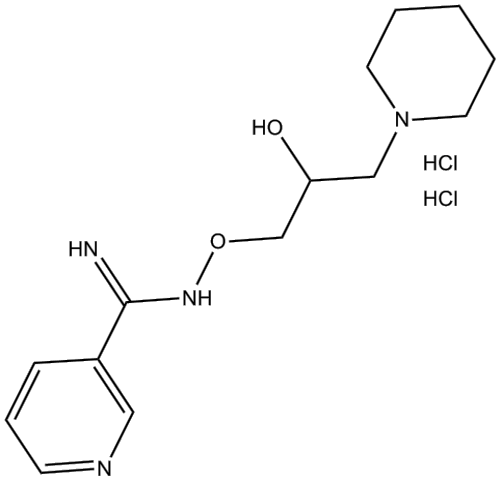
Product Data Sheet

Product Name: BGP-15
Cat. No.: GC14380

Chemical Properties

Cas. No.	66611-37-8		
Chemical Name	N-(2-hydroxy-3-(piperidin-1-yl)propoxy)nicotinimidamide dihydrochloride		
SMILES	N=C(NOCC(O)CN1CCCCC1)C2=CN=CC=C2.Cl.Cl		
Formula	$C_{14}H_{24}Cl_2N_4O_2$	M.Wt	351.27
Solubility	DMSO: 0.25 mg/ml,PBS (pH 7.2): 5 mg/ml	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

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Animal experiment: 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.

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.

Background

Ki= 57 μM

BGP-15 is a PARP inhibitor.

As a group of pharmacological inhibitors of the enzyme poly ADP ribose polymerase(PARP), PARP inhibitors are considered a potential treatment for stroke and myocardial infarction.

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In vitro: Previous study showed that BGP-15 at 200 μM could prevent the imatinib-induced oxidative damages, attenuate the depletion of high-energy phosphates, alter the signaling effect of imatinib by preventing p38 MAP kinase and JNK activation, and also induce the Akt and GSK-3β phosphorylation [1].

In vivo: In-vivo study indicated that BGP-15 improved cardiac function and reduced arrhythmic episodes in two HF and AF mouse models. In these models, BGP-15 was associated with increased phosphorylation of IGF1R. Moreover, cardiac-specific IGF1R transgenic overexpression in mice recapitulated the protection caused by BGP-15. The authors further demonstrated that BGP-15 with IGF1R could provide protection independent of phosphoinositide 3-kinase-Akt and heat-shock protein 70 [2].

Clinical trial: A safety and efficacy of BGP-15 in patients with type 2 diabetes mellitus has been scheduled, however, this study is now terminated due to the funding support withdrawn. (https://clinicaltrials.gov/ct2/show/NCT01069965 term=BGP-15&rank=1)

References:
[1] Sarszegi Z,Bognar E,Gaszner B,Kónyi A,Gallyas F Jr,Sumegi B,Berente Z. 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.
[2] Sapra G,Tham YK,Cemerlang N,et al. The small-molecule BGP-15 protects against heart failure and atrial fibrillation in mice. Nat Commun.2014 Dec 9;5:5705.

Caution: Product has not been fully validated for medical applications. For research use only.
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