## **Product** Data Sheet

# Nerigliatin

Cat. No.:HY-108328CAS No.:1245603-92-2Molecular Formula: $C_{22}H_{20}N_6O_4$ Molecular Weight:432.43Target:Glucokinase

Pathway: Metabolic Enzyme/Protease

Storage: Powder -20°C 3 years

 $\begin{tabular}{ll} $4^{\circ}C$ & 2 years \\ $\text{In solvent}$ & $-80^{\circ}C$ & 6 months \\ \end{tabular}$ 

-20°C 1 month

#### **SOLVENT & SOLUBILITY**

In Vitro

DMSO: 100 mg/mL (231.25 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.3125 mL	11.5626 mL	23.1251 mL
	5 mM	0.4625 mL	2.3125 mL	4.6250 mL
	10 mM	0.2313 mL	1.1563 mL	2.3125 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
  - Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.78 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description	Nerigliatin (PF-04937319) is a glucokinase activator (GKA) with EC <sub>50</sub> value of 154.4 ? $\mu$ M, one of the most promising strategies for the treatment of type 2 diabetes mellitus <sup>[1]</sup> . Nerigliatin is designed to maintain glucose-lowering efficacy while mitigating the risk of hypoglycaemia observed with many other GKAs <sup>[2]</sup> .
IC <sub>50</sub> & Target	EC50: 154.4 μM (GSA) (PF-04937319) <sup>[1]</sup>

#### **REFERENCES**

[1]. Dzyurkevich MS, et al. Pyrido 22;7(1):16072.	oxine dipharmacophore derivatives as potent glucokinase activators for the treatment of type 2 diabetes mellitus. Sci Rep. 2017 Nov			
[2]. Amin NB, et al. Two dose-ranging studies with PF-04937319, a systemic partial activator of glucokinase, as add-on therapy to metformin in adults with type 2 diabetes. Diabetes Obes Metab. 2015 Aug;17(8):751-9.				
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