

## Product Data Sheet

Product Name: 3-chloro-5-hydroxy BA

Cat. No.: GC16689

### Chemical Properties

Cas. No. 53984-36-4

Chemical Name 3-chloro-5-hydroxy-benzoic acid

SMILES ClC1=CC(O)=CC(C(=O)O)=C1

Formula  $C_7H_5ClO_3$

M.Wt 172.6

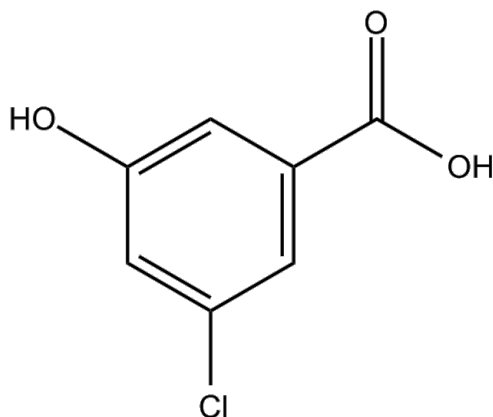
Solubility  $\geq 6.65\text{mg/mL}$  in DMSO

Storage Store at RT

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



### Background

3-chloro-5-hydroxy BA is a GPR81 agonist.

GPR81 (HCA1) is a member of G-protein-coupled receptors (GPCRs). GPR81 is predominantly expressed on adipocytes and is activated by the endogenous ligands 2-hydroxypropanoate (lactate). Stimulation of GPR81 in adipose tissue leads to a decrease in the lipolysis of triglycerides by hormone-sensitive lipase, which resulted in reduced transport of fatty acids to the liver and a

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

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decrease in hepatic triglycerides [1].

In vitro: In the hGPR81 assay, 3-chloro-5-hydroxybenzoic acid showed the potency against GPR81 with an EC<sub>50</sub> of 16  $\mu$ M. The EC<sub>50</sub> of 3-chloro-5-hydroxybenzoic acid against monkey GPR81, dog GPR81, rat GPR81, mouse GPR81, and hamster GPR81 was 17  $\mu$ M, 67  $\mu$ M, 7  $\mu$ M, 22  $\mu$ M and 27  $\mu$ M, respectively [1]. 3-chloro-5-hydroxy BA blocked basal ghrelin secretion by primary gastric mucosal cells [2].

In vivo: In mice, oral administration of 3-chloro-5-hydroxybenzoic acid at 30 mg/kg provided a C<sub>max</sub> of 67  $\mu$ M at approximately 3-fold over the in vitro EC<sub>50</sub> with an AUC<sub>inf</sub> of 54 h  $\mu$ M and a short t<sub>1/2</sub> of 1.5 h, and the 100 mg/kg p.o dose attained a C<sub>max</sub> of 342  $\mu$ M at greater than 15-fold over the observed in vitro EC<sub>50</sub> [1].

### References:

- [1]. Dvorak C A, Liu C, Shelton J, et al. Identification of hydroxybenzoic acids as selective lactate receptor (GPR81) agonists with antilipolytic effects[J]. ACS medicinal chemistry letters, 2012, 3(8): 637-639.
- [2]. Engelstoft M S, Park W, Sakata I, et al. Seven transmembrane G protein-coupled receptor repertoire of gastric ghrelin cells[J]. Molecular metabolism, 2013, 2(4): 376-392.

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