# PRODUCT INFORMATION



## Loxiglumide

Item No. 25534

CAS Registry No.: 107097-80-3

Formal Name: 4-[(3,4-dichlorobenzoyl)amino]-5-

[(3-methoxypropyl)pentylamino]-

5-oxo-pentanoic acid

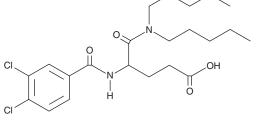
Synonym: CR1505

MF: C<sub>21</sub>H<sub>30</sub>Cl<sub>2</sub>N<sub>2</sub>O<sub>5</sub>

FW: 461.4 Purity: ≥98% UV/Vis.:  $\lambda_{\text{max}}$ : 239 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



#### **Laboratory Procedures**

Loxiglumide is supplied as a crystalline solid. A stock solution may be made by dissolving the loxiglumide in the solvent of choice, which should be purged with an inert gas. Loxiglumide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of loxiglumide in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Loxiglumide is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, loxiglumide should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Loxiglumide has a solubility of approximately 0.12 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

#### Description

Loxiglumide is a cholecystokinin (CCK) receptor antagonist that inhibits CCK-8 binding to central and peripheral CCK receptors with  $K_i$  values of 9.1 and 0.33  $\mu$ M, respectively. It inhibits CCK-8-induced release of acetylcholine from isolated guinea pig gallbladder ( $IC_{50} = 10 \text{ nM}$ ). Loxiglumide (50  $\mu$ M) reduces the invasion of PANC-1 and MiaPaCa-2 human pancreatic cancer cells by 83.1 and 82.9%, respectively, in vitro.3 Loxiglumide (10-5,000 μM) reduces DNA synthesis in PC-TI and PC-YY human pancreatic cancer cells in a concentration-dependent manner (IC $_{50}$ s = 160 and 74  $\mu$ M, respectively). $^4$  It reduces the tumor growth rate by 37.5 and 38%, respectively, in PC-TI and PC-YY mouse xenograft models when administered at a dose of 250 mg/kg. Loxiglumide is toxic to mice with  ${\rm LD}_{\rm 50}$  values ranging from 440 to 500 mg/kg dependent on the route of administration. In a rat model of acute pancreatitis, loxiglumide (50 mg/kg, s.c.) reduces serum concentrations of CCK, amylase, and lipase by greater than 60%, as well as tissue hemorrhaging and acinar cell necrosis.5

## References

- 1. Setnikar, I., Bani, M., Cereda, R., et al. Arzneimittelforschung 37(6), 703-707 (1987).
- 2. Rakovska, A., Sgaragli, G., Mantovani, P., et al. Neuropeptides 25(5), 271-276 (1993).
- Hirata, M., Itoh, M., Tsuchida, A., et al. FEBS. Lett. 383(3), 241-244 (1996).
- Nio, Y., Tsubono, M., Morimoto, H., et al. Cancer 72(12), 3599-3606 (1993).
- Tani, S., Itoh, H., Koide, M., et al. Pancreas 8(1), 109-115 (1993).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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