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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

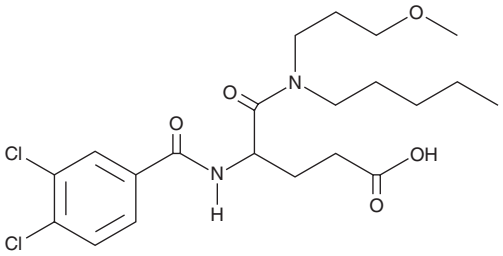
linkedin.com/company/szaboscandic

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:	$C_{21}H_{30}Cl_2N_2O_5$
FW:	461.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 239 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 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. Loxiglumide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. 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 µM, respectively.[1] It inhibits CCK-8-induced release of acetylcholine from isolated guinea pig gallbladder (IC_{50} = 10 nM).[2] Loxiglumide (50 µM) 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 µM, 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 LD_{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).
3. Hirata, M., Itoh, M., Tsuchida, A., *et al. FEBS. Lett.* **383(3)**, 241-244 (1996).
4. Nio, Y., Tsubono, M., Morimoto, H., *et al. Cancer* **72(12)**, 3599-3606 (1993).
5. Tani, S., Itoh, H., Koide, M., *et al. Pancreas* **8(1)**, 109-115 (1993).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM