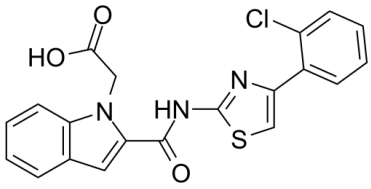
Data Sheet

Product Name:	Lintitript
Cat. No.:	CS-0021860
CAS No.:	136381-85-6
Molecular Formula:	$C_{20}H_{14}ClN_3O_3S$
Molecular Weight:	411.86
Target:	Cholecystokinin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	DMSO : 100 mg/mL (242.80 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Lintitript (SR 27897) is a highly potent, selective, orally active, competitive and non-peptide **cholecystokinin (CCK1) receptor** antagonist with an **EC_{50}** of 6 nM and a **K_i** of 0.2 nM. Lintitript displays > 33-fold selectivity more selective for **CCK1** than CCK2 receptors (**EC_{50}** value of 200 nM). Lintitript increases plasma concentration of leptin and food intake as well as plasma concentration of insulin[1][2][3]. IC50 & Target: EC50: 6 nM (cholecystokinin (CCK1) receptor)[2]; Ki: 0.2 nM (cholecystokinin (CCK1) receptor)[1] **In Vitro:** In vitro, Lintitript (SR 27897) is a competitive antagonist of cholecystokinin (CCK)-stimulated amylase release in isolated rat pancreatic acini (pA_2 = 7.50) and of CCK-induced guinea pig gall bladder contractions (pA_2 = 9.57)[1].
Lintitript produces concentration dependent inhibition of [^{125}I]CCK binding to CCK1 receptor sites in the rat pancreas (IC_{50} value of 0.58 nM) and also to CCK 2 sites in the guinea pig cortex (IC_2 value of 479 nM). Lintitript inhibits [^{125}I]gastrin binding to gastrin receptors. Lintitript (0.5 nM) increases the dissociation constant of CCK for the CCK A receptor (K_d = 1.8 to 7.2 nM) without modifying the maximum number of receptors (B_{max} = 1800 to 1770 fmol/mg)[1]. **In Vivo:** Lintitript (SR 27897; 1 mg/kg, i.v.) completely reverses the CCK-induced amylase secretion. Lintitript also inhibits CCK-induced gastric and gallbladder emptying in mice (ED_{50}s = 3 and 72 μg/kg, respectively). Lintitript is also very active (ED_{50} = 27 μg/kg p.o.) in the gall bladder emptying protocol with egg yolk as an inducer of endogenous CCK release[1].

References:

[1]. Gully D, et al. Peripheral biological activity of SR 27897: a new potent non-peptide antagonist of CCKA receptors. Eur J Pharmacol. 1993 Feb 23;232(1):13-9.

[2]. Gouldson P, et al. Contrasting roles of leu(356) in the human CCK(1) receptor for antagonist SR 27897 and agonist SR 146131 binding. Eur J Pharmacol. 1999 Nov 3;383(3):339-46.

[3]. Cano V, et al. Regulation of leptin distribution between plasma and cerebrospinal fluid by cholecystokinin receptors. Br J Pharmacol. 2003 Oct;140(4):647-52.

CAIndexNames:

1H-Indole-1-acetic acid, 2-[[[4-(2-chlorophenyl)-2-thiazolyl]amino]carbonyl]-

SMILES:

O=C(O)CN1C(C(NC2=NC(C3=CC=CC=C3Cl)=CS2)=O)=CC4=C1C=CC=C4

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

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