PRL 2915

Cat. No.: HY-P4452

CAS No.: 209006-18-8

Molecular Formula: $C_{59}H_{71}ClN_{12}O_8S_2$

Molecular Weight: 1175.85

Sequence: {Phe<4-Cl>}-{d-Cys}-{β-Ala<3-Py>}-{d-Trp}-Lys-{Val<3-Me>}-Cys-{2-Nal}-NH2(Disulfide bond)

Sequence Shortening: {F<4-Cl>}-{d-C}-{β-A<3-Py>}-{d-W}-K-{V<3-Me>}-C-{A<3(2-Naph)>}-NH2 (Disulfide bridge:Cys2-Cys7)

Target: Somatostatin Receptor; Urotensin Receptor

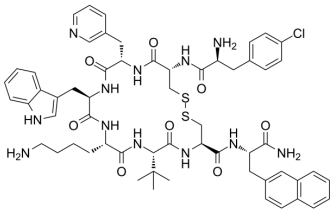
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (85.04 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.8504 mL	4.2522 mL	8.5045 mL
	5 mM	0.1701 mL	0.8504 mL	1.7009 mL
	10 mM	0.0850 mL	0.4252 mL	0.8504 mL

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

BIOLOGICAL ACTIVITY

Description PRL 2915 is a potent human somatostatin subtype 2 receptor ($hsst_2$) antagonist with a K_i of 12 nM[1].

IC_{50} & Target

$hsst_2$ 12 nM (Ki)	$hsst_3$ 100 nM (Ki)	$hsst_5$ 520 nM (Ki)	$hsst_4$ 895 nM (Ki)
$hsst_1$ >1000 nM (Ki)	$hsst_2$ 1.8 nM (IC_{50}, rat antagonist bioassay versus somatostatin)	rat urotensin II receptor 293 nM (Ki)	human urotensin II receptor 562 nM (Ki)

In Vitro PRL 2915 (0.3-30 nM; 30 min) dose-dependently blocks the development of human urotensin II-induced tonic contractions of

rat aortic rings[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hocart SJ, et al. Highly potent cyclic disulfide antagonists of somatostatin. J Med Chem. 1999 Jun 3;42(11):1863-71.

[2]. Rossowski WJ, et al. Human urotensin II-induced aorta ring contractions are mediated by protein kinase C, tyrosine kinases and Rho-kinase: inhibition by somatostatin receptor antagonists. Eur J Pharmacol. 2002 Mar 8;438(3):159-70.

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