

Product Data Sheet

Acyline TFA

Cat. No.: HY-16027A

Molecular Formula: $C_{82}H_{103}ClF_3N_{15}O_{16}$

Molecular Weight: 1647.23

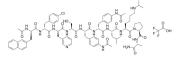
Target: GnRH Receptor
Pathway: GPCR/G Protein

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80° C 2 years -20° C 1 year

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

and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (60.71 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	0.6071 mL	3.0354 mL	6.0708 mL
	5 mM	0.1214 mL	0.6071 mL	1.2142 mL
	10 mM	0.0607 mL	0.3035 mL	0.6071 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: \geq 2.5 mg/mL (1.52 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: \geq 2.5 mg/mL (1.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Acyline TFA, a GnRH peptide analogue, is a GnRH antagonist that inhibits gonadotropin and testosterone (T) levels ^[1] .
In Vivo	Acyline (50 µg, s.c., twice daily, 5 days) TFA can result in disruption of vaginal oestrus and reduce uterine weights in female Kiss1 ^{-/-} and Gpr54 ^{-/-} mice, as well as a decrease in luteinizing hormone (LH) concentrations of female Kiss1 ^{-/-} mice ^[1] . Acyline (50 µg, s.c., once) TFA can reduce follicle stimulating hormone (FSH) concentrations from pre-acyline 1.51 ng/mL to post-acyline 1.27 ng/mL in male Kiss1 ^{-/-} mice and from pre-acyline 2.87 ng/mL to post-acyline 1.95 ng/mL in male Gpr54 ^{-/-} mice ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Kiss1 ^{-/-} and Gpr54 ^{-/-} mice ^[1]
Dosage:	50 μg (1 mg/mL in PBS)
Administration:	Subcutaneous injection; twice daily; 5 days
Result:	12 Kiss1 ^{-/-} mice left oestrus within 4 days of 13 mice received acyline while only 2 of 17 mice received vehicle left oestrus. Also, 7 of 8 Gpr54 ^{-/-} mice received acyline left oestrus compared to 1 of 7 received vehicle. Reduced uterine weights of Kiss1 ^{-/-} and Gpr54 ^{-/-} mice in treated group compared to the vehicle group, and reduced serum LH concentrations in Kiss1 ^{-/-} mice.

REFERENCES

[1]. Y M Chan, et al. Kisspeptin/Gpr54-independent gonadotrophin-releasing hormone activity in Kiss1 and Gpr54 mutant mice. J Neuroendocrinol. 2009 Dec;21(12):1015-23.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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