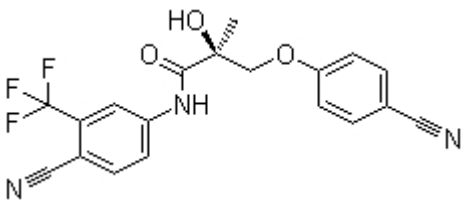
Product Introduction

MK-2866 (GTx-024)

MK-2866 (GTx-024) is a **selective androgen receptor modulator (SARM)** with K_I of 3.8 nM, and is tissue-selective for anabolic organs. Phase 3.

Technical Data:

Molecular Weight (MW):	389.33	
Formula:	$C_{19}H_{14}F_3N_3O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 78 mg/mL	
	Water <1 mg/mL	
	Ethanol 78 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	841205-47-8	

Biological Activity

Ostarine at the concentration of 10 nM modulates the transcriptional activity of AR in CV-1 cells cotransfected with a human AR expression vector, a luciferase reporter vector, and a control β-galactosidase vector, with 94%-100% relative activity of the transcriptional activation observed for 1 nM DHT. [1] [2]

After intravenous administration of Ostarine at a single dose of 10 mg/kg, plasma concentration of

Ostarine declines slowly, exhibiting a longer terminal half-life of 6.0 hours, as compared to that of other related cyano/nitro group-substituted SARMs with terminal halflives of 2.6-4.0 hours. Ostarine exhibits significantly androgenic and anabolic activity by stimulating the growth of prostate, seminal vesicles, and levator ani muscle when administered in castrated male rats; Ostarine is more potent than other cyano/nitro group-substituted SARMs. Ostarine restores the weight of the prostate to 39.2%, and seminal vesicle 78.8%, and stimulates the growth of levator ani muscle to a greater extent of 141.9% as compared with that of androgenic organs. Ostarine exhibits the highest in vivo androgenic and anabolic activity of any AR nonsteroidal agonist examined to date, with ED50 values of 0.12, 0.39 and 0.03 mg/day in prostate, seminal vesicles, and levator ani muscle, respectively, being 4 times as potent as testosterone propionate (TP) in levator ani muscle. At low dose of 0.03 mg/day, Ostarine is sufficient to exert efficacious and selective activity in anabolic tissues. [1]

The most potent and tissue-selective in vivo activity of SARMs to date, with favorable pharmacokinetic properties.

References

[1] Kim J, et al. J Pharmacol Exp Ther, 2005, 315(1), 230-239.
[2] Duke CB, et al. J Med Chem, 2011, 54(11), 3973-3976.

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