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产品名称：TCS-OX2-29
产品别名：TCS-OX2-29

生物活性：		
Description	TCS-OX2-29 is a potent, high affinities and selective orexin-2 receptor (OX_2R) antagonist with an IC_{50} value of 40 nM and a pK_I value of 7.5. TCS-OX2-29 displays ~250-fold selectivity for OX_2 over OX_1[1][2].	
IC_{50} & Target	IC50: 40 nM (OX_2R)[1]; pKi: 7.5 (OX_2R)[2]	
In Vitro	TCS-OX2-29 inhibits orexin A induced IP3 accumulation and ERK1/2 phosphorylation in CHO cells transfected with the OX_2 receptor[2].	
In Vivo	TCS-OX2-29 (5-10 mg/kg; intraperitoneal injection; adult male NMRI mice) treatment suppresses conditioned place preference (CPP) acquisition and expression in both naïve and dependent mice significantly[3].	
	Animal Model:	440 adult male NMRI mice (25-30 g)[3]
	Dosage:	5 mg/kg and 10 mg/kg
	Administration:	Intraperitoneal injection (Pharmacokinetic study)
	Result:	Suppressed conditioned place preference (CPP) acquisition and expression in both naïve and dependent mice significantly.
Solvent&Solubility	***In Vitro:*** **H_2O : < 0.1 mg/mL** (insoluble)	
References	[1]. Hirose M et al. N-acyl 6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline: the first orexin-2 receptor selective non-peptidicantagonist. Bioorg Med Chem Lett, 2003 Dec 15, 13(24):4497-9. [2]. Tabaeizadeh M, et al. The differential effects of OX1R and OX2R selective antagonists on morphine conditioned place preference in naïve versus morphine-dependent mice. Behav Brain Res. 2013 Jan 15;237:41-8. [3]. R Mould et al. Binding kinetics differentiates functional antagonism of orexin-2 receptor ligands. Br J Pharmacol. 2014 Jan; 171(2): 351-363.	