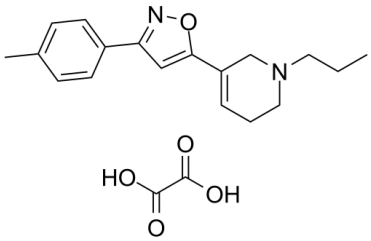
Data Sheet

Product Name:	PD 144418 (oxalate)
Cat. No.:	CS-0113254
CAS No.:	1794760-28-3
Molecular Formula:	$C_{20}H_{24}N_2O_5$
Molecular Weight:	372.41
Target:	Sigma Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Solubility:	10 mM in DMSO



BIOLOGICAL ACTIVITY:

PD 144418 oxalate is a highly affinity, potent and selective **sigma 1 (σ1) receptor** ligand (**K_i** values of 0.08 nM and 1377 nM for **σ1** and σ2 respectively). PD 144418 oxalate devoids of any significant affinity for other receptors, ion channels and enzymes. PD 144418 oxalate shows potential antipsychotic activity[1][2]. IC50 & Target: Ki: 0.08 nM (σ1 receptor) and 1377 nM (σ2 receptor)[1] **In Vitro:** In vitro, PD 144418 reverses the N-methyl-D-aspartate (NMDA)-induced increase in cyclic GMP (cGMP) in rat cerebellar slices without affecting the basal levels, suggesting that σ1 sites may be important in the regulation of glutamine-induced actions. PD 144418 potentiates the decrease in 5-hydroxytryptophan caused by Haloperidol in the mesolimbic region, but by itself has no effect in 5-HT and dopamine (DA) synthesis[1]. **In Vivo:** PD 144418 (10 mg/kg; intraperitoneal injection; male CD-1 mice) treatment antagonizes Mescaline-induced scratching at doses that did not alter spontaneous motor activity, with PD 144418 showing ED_{50} values of 7.0 mg/kg i.p.[1].

References:

[1]. Akunne HC, et al. The pharmacology of the novel and selective sigma ligand, PD 144418. Neuropharmacology. 1997 Jan;36(1):51-62.

[2]. Lever JR, et al. Relationship between cerebral sigma-1 receptor occupancy and attenuation of cocaine's motor stimulatory effects in mice by PD144418. J Pharmacol Exp Ther. 2014 Oct;351(1):153-63.

CAIndexNames:

Pyridine, 1,2,3,6-tetrahydro-5-[3-(4-methylphenyl)-5-isoxazolyl]-1-propyl-, ethanedioate (1:1)

SMILES:

CCCN1CC(C2=CC(C3=CC=C(C)C=C3)=NO2)=CCC1.O=C(O)C(O)=O

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