Product Data Sheet

Product Name: Naloxegol oxalate (NKTR-118 oxalate)
Cat. No.: GC30881

Chemical Properties

Cas. No.	1354744-91-4		
SMILES	O[C@@]1(CC[C@H](OCCOCCOCCOCCOCCOCCOCCOC)[C@]2([H])OC3=C4O)[C@]52C3=C(C=C4)C[C@@]1([H])N(CC=C)CC5.OC(C(O)=O)=O		
Formula	$C_{36}H_{55}NO_{15}$	M.Wt	741.82
Solubility	DMSO : ≥ 40 mg/mL (53.92 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			

Background

Naloxegol is a peripherally acting antagonist of the μ-opioid receptor (K_i = 7.42 nM; pA_2 = 7.95).[1] It is selective for the μ-opioid receptor over the δ-opioid receptor (K_i = 866 nM). Naloxegol also acts as a partial agonist of κ-opioid receptors *in vitro* (EC_{50} = 47 nM for [^{35}S]GTPγS binding) but lacks activity *ex vivo* at concentrations up to 10 μM. *In vivo*, naloxegol reverses morphine-induced decreases in gastrointestinal motility and analgesia in a hot-plate assay in rats (ED_{50}s = 23.1 and 55.4 mg/kg, respectively), demonstrating a two-fold separation for peripheral *versus* CNS effects. Naloxegol also exhibits a brain uptake rate comparable to atenolol, a low-permeation standard with no brain uptake, in a rat brain perfusion model.

1.Floettmann, E., Bui, K., Sostek, M., et al.Pharmacologic profile of naloxegol, a peripherally acting ?-opioid receptor antagonist, for the treatment of opioid-induced constipationJ. Pharmacol. Exp. Ther.361(2)280-291(2017)

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA