

# PRODUCT INFORMATION



## Naloxegol-d<sub>5</sub> (oxalate)

Item No. 29578

**Formal Name:** (4R,4aS,7S,7aR,12bS)-7-((2,5,8,11,14,17,20-heptaaxadocosan-22-yl)oxy)-3-(allyl-d<sub>5</sub>)-1,2,3,4,5,6,7,7a-octahydro-4aH-4,12-methanobenzofuro[3,2-e]isoquinoline-4a,9-diol, monoethanedioate

**MF:** C<sub>34</sub>H<sub>48</sub>D<sub>5</sub>NO<sub>11</sub> • C<sub>2</sub>H<sub>2</sub>O<sub>4</sub>  
**FW:** 746.9

**Chemical Purity:** ≥98% (Naloxegol)

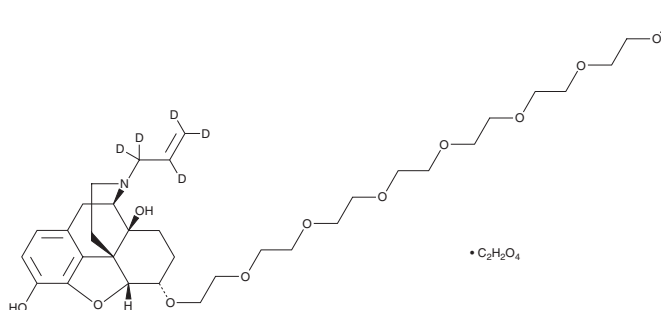
**Deuterium**

**Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>5</sub>); ≤1% d<sub>0</sub>

**Supplied as:** A solid

**Storage:** -20°C

**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Naloxegol-d<sub>5</sub> (oxalate) is intended for use as an internal standard for the quantification of naloxegol (Item No. 23731) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Naloxegol-d<sub>5</sub> (oxalate) is supplied as a solid. A stock solution may be made by dissolving the naloxegol-d<sub>5</sub> (oxalate) in the solvent of choice, which should be purged with an inert gas. Naloxegol-d<sub>5</sub> (oxalate) is soluble in the organic solvent DMSO.

### Description

Naloxegol is a peripherally acting antagonist of the  $\mu$ -opioid receptor ( $K_i = 7.42$  nM;  $pA_2 = 7.95$ ).<sup>1</sup> It is selective for the  $\mu$ -opioid receptor over the  $\delta$ -opioid receptor ( $K_i = 866$  nM). Naloxegol also acts as a partial agonist of  $\kappa$ -opioid receptors *in vitro* ( $EC_{50} = 47$  nM for [<sup>35</sup>S]GTPγS binding) but lacks activity *ex vivo* at concentrations up to 10  $\mu$ M. *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.

### Reference

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

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 • USA

**PHONE:** [800] 364-9897  
[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM