

Product Data Sheet

Product Name: Ketorolac tromethamine salt

Cat. No.: GC16594

Chemical Properties

Cas. No. 74103-07-4

Chemical Name 2-amino-2-(hydroxymethyl)propane-1,3-diol;5-benzoyl-2,3-dihydro-1H-pyrrolizine-1-carboxylic acid

SMILES C1CN2C(=CC=C2C(=O)C3=CC=CC=C3)C1C(=O)O.C(C(CO)(CO)N)O

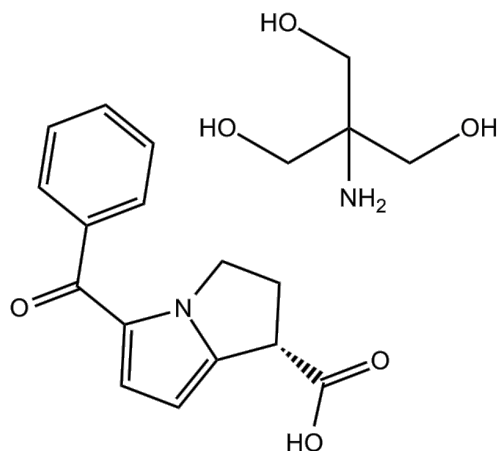
Formula C₁₉H₂₄N₂O₆ M.Wt 376.4

Solubility ≥ 13.1mg/mL in DMSO Storage 4°C, protect from light

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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



Protocol

Cell experiment [1]:

Cell lines HEL, Mono Mac 6 and RAW 264.7 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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Preparation method The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 0.025 ~ 300 µM

Applications In HEL cells (COX-1) and LPS-induced Mono Mac 6 cells (COX-2), Ketorolac tromethamine salt inhibited eicosanoid formation with the IC50 value of 0.025 µM and 0.039 µM, respectively. However, in supernatants of LPS-induced RAW 264.7 cells, it did not significantly inhibit NO accumulation at the dose up to 300 µM.

Animal experiment [2]:

Animal models Male Wistar rats

Dosage form 0.3 ~ 30 mg/kg; p.o.

Applications At all doses, Ketorolac tromethamine salt significantly inhibited COX-1 activity and gastric PG synthesis. At the doses ≥ 1 mg/kg, Ketorolac tromethamine salt inhibited COX-1 activity by 95% and gastric PG synthesis by > 88%, without causing obvious gastric damage. At the dose ≤ 3 mg/kg, Ketorolac tromethamine salt did not significantly affect COX-2 activity, but at the doses of 10 and 30 mg/kg, it inhibited COX-2 activity by 75% and 91%, respectively. Meanwhile, it caused significant gastric damage as well.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Berg J, Fellier H, Christoph T, Grarup J, Stimmeder D. The analgesic NSAID lornoxicam inhibits cyclooxygenase (COX)-1/-2, inducible nitric oxide synthase (iNOS), and the formation of interleukin (IL)-6 in vitro. *Inflamm Res*. 1999 Jul;48(7):369-79.

[2]. Wallace JL, McKnight W, Reuter BK, Vergnolle N. NSAID-induced gastric damage in rats: requirement for inhibition of both cyclooxygenase 1 and 2. *Gastroenterology*. 2000 Sep;119(3):706-14.

Background

Ketorolac tromethamine salt (RS37619 tromethamine salt) is a non-steroidal anti-inflammatory agent, acting as a nonselective COX inhibitor, with IC₅₀s of 20 nM for COX-1 and 120 nM for COX-2.

Ketorolac is a non-steroidal anti-inflammatory agent, acting as a nonselective COX inhibitor, with IC₅₀s of 20 nM for COX-1 and 120 nM for COX-2[1].

Ketorolac tromethamine (0.4%) causes nearly complete inhibition on LPS endotoxin-induced increases in FITC-dextran in the anterior chamber, and increases in aqueous PGE₂ concentrations in the aqueous humor in rabbits[1]. Ketorolac (30 mg/kg, i.v.) rapidly reverses hyperalgesia in rats. Ketorolac also reduces carrageenan-induced hyperalgesia and paw PG production, and causes reduction in PGE₂ levels in rats[1]. Ketorolac (4 mg/kg/day, p.o.) has no detrimental effect in the volume fraction of bone trabeculae formed inside the alveolar socket in rats[2]. Ketorolac (60 µg/10 µL) reduces the histological changes such as ischemic cell death, including cytoplasmic eosinophilia with disintegration of cytoarchitecture and nuclear pyknosis in rats. Ketorolac also effectively reduces neuronal death and improves hindlimb motor function, and the long-term survival is similar to that in the control group[3].

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- [1]. Waterbury LD, et al. Comparison of cyclooxygenase inhibitory activity and ocular anti-inflammatory effects of ketorolac tromethamine and bromfenac sodium. *Curr Med Res Opin.* 2006 Jun;22(6):1133-40.
- [2]. Fracon RN, et al. Treatment with paracetamol, ketorolac or etoricoxib did not hinder alveolar bone healing: a histometric study in rats. *J Appl Oral Sci.* 2010 Dec;18(6):630-4.
- [3]. Hsieh YC, et al. Intrathecal ketorolac pretreatment reduced spinal cord ischemic injury in rats. *Anesth Analg.* 2005 Apr;100(4):1134-9.

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