Bromfenac sodium hydrate

Cat. No.: HY-B1888B

CAS No.: 120638-55-3

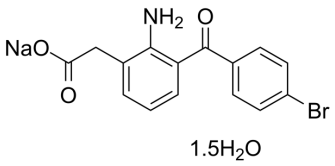
Molecular Formula: $C_{15}H_{14}BrNNaO_{4\cdot5}$

Molecular Weight: 383.17

Target: COX

Pathway: Immunology/Inflammation

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (260.98 mM)
H_2O : ≥ 100 mg/mL (260.98 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6098 mL	13.0490 mL	26.0981 mL
	5 mM	0.5220 mL	2.6098 mL	5.2196 mL
	10 mM	0.2610 mL	1.3049 mL	2.6098 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 33.33 mg/mL (86.98 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Bromfenac sodium hydrate (Bromfenac monosodium salt sesquihydrate) is a potent and orally active inhibitor of COX, with IC_{50}s of 5.56 and 7.45 nM for COX-1 and COX-2, respectively. Bromfenac sodium hydrate can be used in ocular inflammation research[1].

IC_{50} & Target

COX-1	COX-2
5.56 nM (IC_{50})	7.45 nM (IC_{50})

In Vitro

Bromfenac (0-80 μg/mL; 24 h) can inhibit transforming growth factor-β2-induced epithelial-mesenchymal transition in HLEC-B3 in a concentration-dependent manner[2].
Bromfenac (80 μg/Ml; 48 h) inhibits transforming growth factor-β2-induced epithelial-mesenchymal transition in human anterior capsules[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	Transforming growth factor-β2-treated human anterior capsules
Concentration:	80 μg/mL
Incubation Time:	48 hours
Result:	Suppressed transforming growth factor-β2-induced epithelial-mesenchymal transition in primary LECs.

Cell Migration Assay [2]

Cell Line:	HLEC-B3 cells
Concentration:	0, 20, 40, 60, and 80 μg/mL
Incubation Time:	24 hours
Result:	Suppressed transforming growth factor-β2-induced cell migration in HLEC-B3 cells, and exhibited inhibition of the over-expression of epithelial-mesenchymal transition markers.

In Vivo

Bromfenac (0.0032-3.16%; 100 or 200 μL; rubbed onto the backs) produces significant anti-inflammatory activity at concentrations as low as 0.1% (4 h pretreatment time) or 0.32% (18h pretreatment time) in rats[3].
Bromfenac (0.032-3.16%; 100 μL; rubbed onto the paws) produces dose-related anti-inflammatory activity in rats[3].
Bromfenac (0.032-1.0%; 50 μL) is 26 times more potent than indomethacin in blocking the erythema when applied directly onto the skin area exposed to UV light in guinea pigs[3].
Bromfenac (0.0032-0.1%; 50μL; rubbed onto the uninjected paw for 4 h per day and 5 days per week) produces a dose and time dependent reduction in the paw volume of both hind limbs in rats[3].
Bromfenac (0.32%; 50μL; rubbed onto the abdomen) produces significant blockade of abdominal constriction to ACh challenge in mice[3].
Bromfenac (eyedrop instillation; 1 μL (0.09%) per eye; twice-daily; 4 w) partially reduces corneal staining, and becomes so more slowly by the 4-week time point[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (150-250 g) are injected carrageenan[3]
Dosage:	0.0032, 0.01, 0.032, 0.1, 0.32, 1.0, 3.16% (100 or 200 μL)
Administration:	Rubbed onto the backs before 1-72 h of injected carrageenan
Result:	Produced significant anti-inflammatory activity when applied 1, 2, and 4 h prior to carrageenan challenge at 0.32%. Applied 1 or 4 h prior to carrageenan challenge was active, but not when applied 24 h (or longer) prior to challenge at 0.2%.

Animal Model:	Male injected with Salin or BTX-B[4]
Dosage:	1 μL (0.09%) per eye

Administration:	Eyedrop instillation; 1 μL (0.09%) per eye; twice-daily; 4 weeks
Result:	Improved the corneal fluorescein staining score later at 4 weeks after treatment.

REFERENCES

[1]. Tetsuo Kida, et al. Pharmacokinetics and efficacy of topically applied nonsteroidal anti-inflammatory drugs in retinochoroidal tissues in rabbits. PLoS One. 2014 May 5;9(5):e96481.

[2]. Xiaobo Zhang, et al. Drug-eluting intraocular lens with sustained bromfenac release for conquering posterior capsular opacification. Bioact Mater. 2021 Jul 23;9:343-357.

[3]. Nolan JC, et, al. The topical anti-inflammatory and analgesic properties of bromfenac in rodents. Agents Actions. 1988 Aug; 25(1-2): 77-85.

[4]. Kaevalin Lekhanont, et al. Effects of topical anti-inflammatory agents in a botulinum toxin B-induced mouse model of keratoconjunctivitis sicca. J Ocul Pharmacol Ther. 2007 Feb;23(1):27-34.

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