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Zuschläge

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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

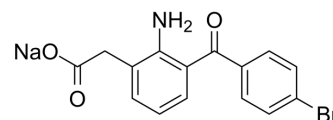
mail@szabo-scandic.com

www.szabo-scandic.com

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

Bromfenac sodium

Cat. No.:	HY-B1888A
CAS No.:	91714-93-1
Molecular Formula:	C ₁₅ H ₁₁ BrNNaO ₃
Molecular Weight:	356.15
Target:	COX
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 11.36 mg/mL (31.90 mM; Need ultrasonic)					
	Preparing Stock Solutions	<div>Solvent Concentration</div>	Mass	1 mg	5 mg	10 mg
		1 mM		2.8078 mL	14.0390 mL	28.0781 mL
		5 mM		0.5616 mL	2.8078 mL	5.6156 mL
		10 mM		0.2808 mL	1.4039 mL	2.8078 mL
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.14 mg/mL (3.20 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 1.14 mg/mL (3.20 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	Bromfenac sodium 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 can be used in ocular inflammation research ^[1] .	
IC ₅₀ & Target	COX-1 5.56 nM (IC ₅₀)	COX-2 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- β 2-treated human anterior capsules.
Concentration:	80 μ g/mL
Incubation Time:	48 hours
Result:	Suppressed transforming growth factor- β 2-induced epithelial-mesenchymal transition in primary lens epithelial cells (LECs).

Cell Migration Assay^[2]

Cell Line:	HLEC-B3 cells
Concentration:	0, 20, 40, 60, and 80 μ g/mL
Incubation Time:	24 hours
Result:	Suppressed transforming growth factor- β 2-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 μ L; rubbed onto the backs) produces significant anti-inflammatory activity at concentrations as low as 0.1% (4 h pretreatment time) or 0.32% (18 h pretreatment time) in rats^[3].
 Bromfenac (0.032-3.16%; 100 μ L; rubbed onto the paws) produces dose-related anti-inflammatory activity in rats^[3].
 Bromfenac (0.032-1.0%; 50 μ L) 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%; 50 μ L; 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%; 50 μ L; rubbed onto the abdomen) produces significant blockade of abdominal constriction to ACh challenge in mice^[3].
 Bromfenac (eyedrop instillation; 1 μ L (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 ^[2]
Dosage:	0.0032, 0.01, 0.032, 0.1, 0.32, 1.0, 3.16% (100 or 200 μ L)
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%.

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.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA