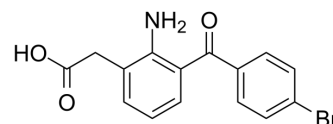


Bromfenac

Cat. No.:	HY-B1888
CAS No.:	91714-94-2
Molecular Formula:	C ₁₅ H ₁₂ BrNO ₃
Molecular Weight:	334.16
Target:	COX
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Bromfenac 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 can be used in ocular inflammation research ^[1] .																	
IC₅₀ & Target	Human COX-1 5.56 nM (IC ₅₀)	Human COX-2 7.45 nM (IC ₅₀)																
In Vitro	<p>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].</p> <p>Bromfenac (80 µg/mL; 48 h) inhibits transforming growth factor-β2-induced epithelial-mesenchymal transition in human anterior capsules^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>Transforming growth factor-β2-treated human anterior capsules</td> </tr> <tr> <td>Concentration:</td> <td>80 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Suppressed transforming growth factor-β2-induced epithelial-mesenchymal transition in primary lens epithelial cells (LECs).</td> </tr> </table> <p>Cell Migration Assay^[2]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HLEC-B3 cells</td> </tr> <tr> <td>Concentration:</td> <td>0, 20, 40, 60, and 80 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours</td> </tr> <tr> <td>Result:</td> <td>Suppressed transforming growth factor-β2-induced cell migration in HLEC-B3 cells, and exhibited inhibition of the over-expression of epithelial-mesenchymal transition markers.</td> </tr> </table>		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 lens epithelial cells (LECs).	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.
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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%; 50 µL; 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 µL; 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.

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

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