PRODUCT INFORMATION



Tonabersat

Item No. 19796

CAS Registry No.: Formal Name:	175013-84-0 N-[(3S,4S)-6-acetyl-3,4-dihydro- 3-hydroxy-2,2-dimethyl-2H-1- benzopyran-4-yl]-3-chloro-4- fluoro-benzamide	ОН
Synonyms:	SB-220453	H
MF:	$C_{20}H_{19}CIFNO_4$	
FW:	391.8	
Purity:	≥95%	
UV/Vis.:	λ _{max} : 228, 276 nm	
Supplied as:	A crystalline solid	CI
Storage:	-20°C	Ļ
Stability:	≥4 years	F

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

Laboratory Procedures

Tonabersat is supplied as a crystalline solid. A stock solution may be made by dissolving the tonabersat in the solvent of choice. Tonabersat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of tonabersat in ethanol is approximately 20 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Tonabersat is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, tonabersat should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Tonabersat has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Tonabersat is an anti-migraine agent.^{1,2} It binds to connexin43 hemichannels and inhibits ATP release in vitro during injury and reperfusion in a dose-dependent manner.³ This inhibition blocks trigeminal ganglion neuronal-satellite glial cell signaling and cortical spreading depression, a trigger of migraine pain.²⁻⁴ In vivo, tonabersat (10 mg/kg) increases the seizure threshold in maximal electroshock seizure threshold (MEST) mice and rats (143 and 1192%, respectively) and completely blocks neurogenic plasma extravasation, a marker of migraine pain, in a rat trigeminal nerve migraine model.⁵

References

- 1. Dahlöf, C.G.H., Hauge, A.W., Olesen, J., et al. Efficacy and safety of tonabersat, a gap-junction modulator, in the acute treatment of migraine: A double-blind, parallel-group, randomized study. Cephalalgia 29 (Suppl 2), 7-16 (2009).
- 2. Damodaram, S., Thalakoti, S., Freeman, S.E., et al. Tonabersat inhibits trigeminal ganglion neuronal-satellite glial cell signaling. Headache 49(1), 5-20 (2009).
- 3. Kim, Y., Griffin, J.M., Nor, M.N.M., et al. Tonabersat prevents inflammatory damage in the central nervous system by blocking connexin43 hemichannels. Neurotherapeutics (2017).
- Durham, P.L. and Garrett, F.G. Neurological mechanisms of migraine: potential of the gap-junction 4 modulator tonabersat in prevention of migraine. Cephlalalgia 29(Suppl 2) (2009).
- 5. Chan, W.N., Evans, J.M., Hadley, M.S., et al. Identification of (-)-cis-6-acetyl-4S-(3-chloro-4-fluorobenzoylamino)-3,4-dihydro-2,2-dimethyl-2H-benzo[b]pyran-3S-ol as a potential antimigraine agent. Bioorg. Med. Chem. Lett. 9(2), 285-290 (1999).

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

SAFFTY 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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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