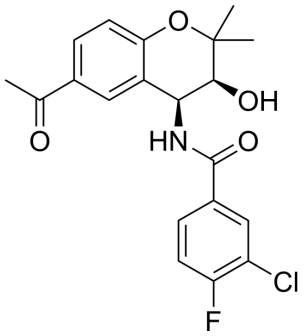
Tonabersat



Cat. No.:	HY-15204
CAS No.:	175013-84-0
Molecular Formula:	$C_{20}H_{19}ClFNO_4$
Molecular Weight:	391.82
Target:	Gap Junction Protein
Pathway:	Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (255.22 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	2.5522 mL	12.7610 mL	25.5219 mL
	5 mM	0.5104 mL	2.5522 mL	5.1044 mL
	10 mM	0.2552 mL	1.2761 mL	2.5522 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: ≥ 2.5 mg/mL (6.38 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.38 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.38 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (6.38 mM); Suspended solution

BIOLOGICAL ACTIVITY

Description

Tonabersat (SB-220453) is a gap-junction modulator. Tonabersat prevents inflammatory damage in the central nervous system[1][2][3].

IC_{50} & Target

Gap-junction[1]

In Vitro	Tonabersat, a novel benzopyran derivative, inhibits cortical spreading depression (CSD) and therefore might be able to inhibit the early migraine mechanisms[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Tonabersat (10 mg/kg) significantly inhibits progression of metastatic lesions. Addition of NSC 241240 to either agent profoundly inhibits brain metastasis[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Mice[2] Athymic NCR nu/nu mice, Cr:NIH bg-nu-xid mice, B6129SF1/J, C57BL/6J-Tmem173gt/J 'golden ticket', and C57/Bl/6J mice are used at 5-6 weeks of age. For inducible knockdown experiments, mice are given WC2031 in the drinking water (2 mg/mL) and the diet 14 days after injection of cancer cells. For drug treatment experiments, mice are intraperitoneally injected with NSC 241240 (5 mg/kg per 5 days), Tonabersat (MedChem Express) (10 mg/kg per day), or meclofenamic acid sodium salt (20 mg/kg per day). Vehicle (10% DMSO in polyethylene glycol 400) is used in control mice. Quantification of tumour burden is by Bio-luminescent imaging (BLI), performed using an IVIS Spectrum Xenogen instrument and analysed using Living Image software v.2.50. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2016 May 18;533(7604):493-8.
- Neurotherapeutics. 2017 Oct;14(4):1148-1165.
- Int J Mol Sci. 2023, 24(4), 3876.
- Int J Mol Sci. 2021, 22(1), 298.
- Exp Neurol. 2023 Nov 8:371:114611.

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REFERENCES

[1]. Silberstein SD, et al. Tonabersat, a gap-junction modulator: efficacy and safety in two randomized, placebo-controlled, dose-ranging studies of acute migraine. Cephalalgia. 2009 Nov;29 Suppl 2:17-27.

[2]. Chen Q, et al. Carcinoma-astrocyte gap junctions promote brain metastasis by cGAMP transfer. Nature. 2016 May 18;533(7604):493-8.

[3]. Kim Y, et al. Tonabersat Prevents Inflammatory Damage in the Central Nervous System by Blocking Connexin43 Hemichannels. Neurotherapeutics. 2017 May 30.

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