Dyclonine hydrochloride

Cat. No.: HY-B0364A

CAS No.: 536-43-6

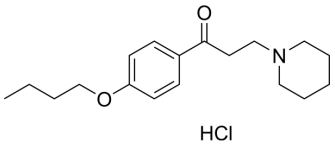
Molecular Formula: $C_{18}H_{28}ClNO_2$

Molecular Weight: 325.87

Target: Bacterial; Fungal; Aldehyde Dehydrogenase (ALDH)

Pathway: Anti-infection; Metabolic Enzyme/Protease

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

H_2O : 50 mg/mL (153.44 mM; Need ultrasonic)
DMSO : ≥ 25 mg/mL (76.72 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0687 mL	15.3435 mL	30.6871 mL
	5 mM	0.6137 mL	3.0687 mL	6.1374 mL
	10 mM	0.3069 mL	1.5344 mL	3.0687 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 (7.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.67 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dyclonine (Dyclocaine) hydrochloride is an orally effective ALDH covalent inhibitor (crosses blood-brain barrier), with an IC_{50} of 35 μM for ALDH2 and 76 μM for ALDH3A1. Dyclonine hydrochloride has sensitizing activities for targeted cancer cells and antibacterial. Dyclonine hydrochloride is also a local anesthetic that blocks the transmission of various nerve impulses or stimuli and inhibits the sensation of touch and pain[1][2][3].

IC_{50} & Target		
	ALDH2	ALDH3
	35 μM (IC_{50})	76 μM (IC_{50})

In Vitro

Dyclonine hydrochloride (50 μM; 24 h) sensitizes cancer cells to deficiency of cysteine and GSH[1].
Dyclonine hydrochloride (0-2048 μg/mL; 24 or 48 h) shows significant bactericidal and fungicidal activity[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HSC-4 cells
Concentration:	50 μM
Incubation Time:	24 h
Result:	Inhibited ALDH activity and induced 4-HNE accumulation in GSH-depleted cancer cells.

Cell Viability Assay[2]

Cell Line:	S. aureus, B. subtilis, E. coli, T. mentagrophyte
Concentration:	0-2048 μg/mL
Incubation Time:	24 h (for bacterial), 48 h (for fungi)
Result:	Showed good antibacterial and antifungal activity, with minimum microbicidal concentration of 0.006%, 0.025%, 0.012% and 0.025% for S. aureus, B. subtilis, E. coli, T. mentagrophyte, separately.

In Vivo

Dyclonine hydrochloride (5 mg/kg; i.p.; single daily for 24 days) sensitizes the involucrin$^+$ differentiated tumor cells to sulfasalazine treatment in vivo[1].
Dyclonine hydrochloride (5 mg/kg; i.p.; single daily for 21 days) suppress the growth of tumors formed by ALDH3A1-expressing gastric cancer stemlike cells when combines with sulfasalazine[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic nude mice (HSC-2 cells implanted model)[1].
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; single daily for 24 days (combine with sulfasalazine).
Result:	Attenuated the formation of tumors by HSC-2 cells implanted in nude mice when combined with sulfasalazine.

Animal Model:	C57BL6 mice (K19-Wnt1/C2mE-KP cells implanted model)[1].
Dosage:	5 mg/kg
Administration:	Intraperitoneal injection; single daily for 21 days (combine with sulfasalazine).
Result:	Enhanced the antitumor effect of sulfasalazine on HNSCC tumors consisting of CD44vhigh stemlike tumor cells and involucrin$^+$ differentiated tumor cells.

CUSTOMER VALIDATION

- Elife. 2021 Apr 20;10:e68128.

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REFERENCES

[1]. Okazaki S, et al. Synthetic lethality of the ALDH3A1 inhibitor dyclonine and xCT inhibitors in glutathione deficiency-resistant cancer cells. Oncotarget. 2018 Sep 18;9(73):33832-33843.

[2]. FLORESTANO HJ, et al. Antimicrobial properties of dyclonine hydrochloride, a new topical anesthetic. J Am Pharm Assoc Am Pharm Assoc. 1956 May;45(5):320-5.

[3]. Khanna M, et al. Discovery of a novel class of covalent inhibitor for aldehyde dehydrogenases. J Biol Chem. 2011 Dec 16;286(50):43486-94.

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