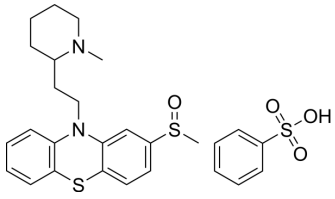
Mesoridazine benzenesulfonate

Cat. No.:	HY-B1482
CAS No.:	32672-69-8
Molecular Formula:	$C_{27}H_{32}N_2O_4S_3$
Molecular Weight:	544.75
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Mesoridazine (TPS-23) benzenesulfonate, a metabolite of Thioridazine (HY-B0965A), acts as an orally active phenothiazine antipsychotic agent. Mesoridazine benzenesulfonate is a potent and rapid open-channel blocker of human ether-a-go-go related gene (hERG) channels and blocks hERG currents with an IC_{50} of 550 nM (at 0 mV) in human embryonic kidney 293 cells[1].Mesoridazine benzenesulfonate can be used for the research of schizophrenia, as well as certain other psychiatric disorders[1][2].

In Vitro

Mesoridazine blocks human ether-a-go-go-related gene (HERG) currents in a concentration-dependent manner (IC_{50} = 550 nM at 0 mV), block increased significantly over the voltage range where HERG activates and saturates at voltages eliciting maximal HERG channel activation[1].

Mesoridazine (15 mM; 24 h) shows total absorption of 15.94 ± 4.04% and 39.24 ± 5.11% in nude mouse and pig skin, respectively[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Mesoridazine (15 mM; topical administration; once or daily for 7 consecutive days) displays potent activity and a long period of analgesia at blocking cutaneous pain[3].

Mesoridazine (15 mM) shows intradermal concentration of 0.34 0.74 nmol/mg after topical application on nude mouse back for 6 h[3].

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Animal Model:	Eight-week-old female nude mice[3]
Dosage:	15 mM
Administration:	Topical administration, once (analgesia test) or daily for 7 consecutive days (irritation test)
Result:	Showed analgesic effect. A slight transepidermal water loss (TEWL) increased from 7.8 to 9.9 g/m^2/h was observed.

REFERENCES

[1]. Zhi Su, et al. Mesoridazine: an open-channel blocker of human ether-a-go-go-related gene K+ channel. J Mol Cell Cardiol. 2004 Jan;36(1):151-60.

[2]. I S M Salih, et al. Comparison of the effects of thioridazine and mesoridazine on the QT interval in healthy adults after single oral doses. Clin Pharmacol Ther. 2007 Nov;82(5):548-54.

[3]. Liu KS, et al. Topically applied mesoridazine exhibits the strongest cutaneous analgesia and minimized skin disruption among tricyclic antidepressants: The skin absorption assessment. Eur J Pharm Biopharm. 2016 Aug;105:59-68.

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