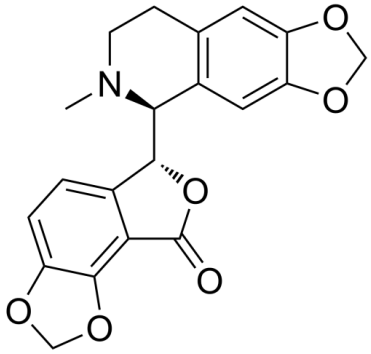
Data Sheet

Product Name:	Bicuculline
Cat. No.:	CS-5493
CAS No.:	485-49-4
Molecular Formula:	$C_{20}H_{17}NO_6$
Molecular Weight:	367.35
Target:	GABA Receptor
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 50 mg/mL (136.11 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Bicuculline ((+)-Bicuculline; d-Bicuculline), as a convulsant alkaloid, is a competitive neurotransmitter **$GABA_A$** receptor antagonist (**IC_{50}**=2 μM). Bicuculline also blocks Ca^{2+}-activated potassium (SK) channels and subsequently blocks the slow afterhyperpolarization (slow AHP) [1][2][3]. IC50 & Target: IC50: 2 μM ($GABA_A$)[3] ***In Vitro:*** Bicuculline ((+)-Bicuculline; d-Bicuculline) (1 and 3 μM) attains the maximal response of GABA. Bicuculline appears to shift the dose–response curves of GABA in parallel to the right without decreasing GABA maximal response, suggesting that it is a competitive antagonist at $\alpha_1\beta_2\gamma_{2L}$ $GABA_A$ receptors[3].

References:

[1]. Johnston GA. Advantages of an antagonist: bicuculline and other GABA antagonists. Br J Pharmacol. 2013;169(2):328-336.

[2]. Khawaled R, et al. Bicuculline block of small-conductance calcium-activated potassium channels. Pflugers Arch. 1999;438(3):314-321.

[3]. Huang SH, et al. Bilobalide, a sesquiterpene trilactone from Ginkgo biloba, is an antagonist at recombinant alpha1beta2gamma2L GABA(A) receptors. Eur J Pharmacol. 2003;464(1):1-8.

CAIndexNames:

Furo[3,4-e]-1,3-benzodioxol-8(6H)-one, 6-[(5S)-5,6,7,8-tetrahydro-6-methyl-1,3-dioxolo[4,5-g]isoquinolin-5-yl]-, (6R)-

SMILES:

O=C1O[C@@H]([C@H]2N(C)CCC3=C2C=C(OCO4)C4=C3)C5=CC=C(OCO6)C6=C51

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA