PRODUCT INFORMATION

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NBI 35965 (mesylate)

Item No. 18524

CAS Registry No.: Formal Name:	603151-83-3 (7S)-6-(cyclopropylmethyl)-2- (2,4-dichlorophenyl)-7-ethyl- 7,8-dihydro-4-methyl-6H- 1,3,6,8a-tetraazaacenaphthylene, monomethanesulfonate	N	N N
MF:	$C_{21}H_{22}CI_2N_4 \bullet CH_3SO_3H$	\ _N =	
FW:	497.4		
Purity:	≥95%	• CH ₃ SO ₃ H	
UV/Vis.:	λ _{max} : 237, 329 nm		\langle / \rangle
Stability:	≥2 years at -20°C		$\setminus -$
Supplied as: Storage: Stability:	A crystalline solid -20°C ≥4 years		CI

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

Laboratory Procedures

NBI 35965 (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the NBI 35965 (mesylate) in the solvent of choice, which should be purged with an inert gas. NBI 35965 (mesylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of NBI 35965 (mesylate) in ethanol is approximately 10 mg/ml and approximately 25 mg/ml in DMSO and DMF.

NBI 35965 (mesylate) is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Corticotropin-releasing hormone, also known as corticotropin-releasing factor (CRF) or corticoliberin, is a peptide hormone and neurotransmitter involved in the stress response. It is secreted from the hypothalamus and is the major regulator of pituitary corticotropin-release and consequent glucocorticoid secretion. NBI 35965 is a selective CRF receptor 1 (CRF₁) antagonist with a K_i value of 4 nM.^{1,2} It does not inhibit CRF₂ (K_i = >10,000 nM).² NBI 35965 inhibits cAMP accumulation and adrenocorticotropic hormone (ACTH) production in vitro (pIC₅₀s = 7.1 and 6.9, respectively) and reduces CRF- and stress-induced ACTH production in vivo.³ In animal models of stress, NBI 35965 administration produces anxiolytic effects.²

References

- 1. Hoare, S.R.J., Sullivan, S.K., Ling, N., et al. Mechanism of corticotropin-releasing factor type I receptor regulation by nonpeptide antagonists. Mol. Pharm. 63(3), 751-765 (2003).
- 2. Million, M., Grigoriadis, D.E., Sullivan, S., et al. A novel water-soluble selective CRF1 receptor antagonist, NBI 35965, blunts stress-induced visceral hyperalgesia and colonic motor function in rats. Brain Res. 985, 32-42 (2003).
- 3. Gross, R.S., Guo, Z., Dyck, B., et al. Design and synthesis of tricyclic corticotropin-releasing factor-1 antagonists. J. Med. Chem. 48, 5780-5793 (2005).

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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