

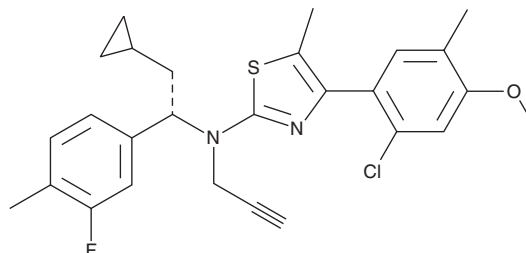
PRODUCT INFORMATION



Crinecerfont

Item No. 45039

CAS Registry No.: 752253-39-7
Formal Name: 4-(2-chloro-4-methoxy-5-methylphenyl)-N-[(1S)-2-cyclopropyl-1-(3-fluoro-4-methylphenyl)ethyl]-5-methyl-N-2-propyn-1-yl-2-thiazolamine
Synonyms: NBI 74788, SSR 125543
MF: C₂₇H₂₈ClFN₂OS
FW: 483.0
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Special Conditions: Protect from light

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

Laboratory Procedures

Crinecerfont is supplied as a solid. A stock solution may be made by dissolving the crinecerfont in the solvent of choice, which should be purged with an inert gas. Crinecerfont is sparingly soluble (1-10 mg/ml) in ethanol and DMSO.

Description

Crinecerfont is an antagonist of corticotropin-releasing factor receptor 1 (CRF₁; K_i = 1.9 nM).¹ It is selective for CRF₁ over CRF_{2α} and a panel of 125 receptors, transporters, ion channels, and enzymes at 10 μM. Crinecerfont inhibits CRF-induced cAMP production in Y79 retinoblastoma cells (IC₅₀ = 3 nM) and CRF-induced adrenocorticotrophic hormone (ACTH) secretion in AtT-20 mouse pituitary tumor cells when used at concentrations of 3, 30, and 100 nM. *In vivo*, crinecerfont (10 mg/kg, p.o.) prevents restraint stress-induced increases in plasma ACTH levels in rats. It increases punished responding in the Vogel punished drinking task, indicating anxiolytic-like activity, in mice and decreases immobility time in the forced swim test in rats.² Crinecerfont (30 mg/kg) decreases cumulative weight gain and increases protein gain in *fa/fa* obese but not lean rats.³ Formulations containing crinecerfont have been used as an adjunct to glucocorticoids in the treatment of classic congenital adrenal hyperplasia (CAH), an inborn error of metabolism characterized by a deficiency in steroid 21-hydroxylase, also known as cytochrome P450 isoform 21 (CYP21).

References

- Gully, D., Geslin, M., Serva, L., *et al.* 4-(2-Chloro-4-methoxy-5-methylphenyl)-N-[(1S)-2-cyclopropyl-1-(3-fluoro-4-methylphenyl)ethyl]-5-methyl-N-(2-propynyl)-1,3-thiazol-2-amine hydrochloride (SSR125543A): A potent and selective corticotrophin-releasing factor₁ receptor antagonist. I. Biochemical and pharmacological characterization. *J. Pharmacol. Exp. Ther.* **301**(1), 322-332 (2002).
- Griebel, G., Simiand, J., Steinberg, R., *et al.* 4-(2-Chloro-4-methoxy-5-methylphenyl)-N-[(1S)-2-cyclopropyl-1-(3-fluoro-4-methylphenyl)ethyl]-5-methyl-N-(2-propynyl)-1,3-thiazol-2-amine hydrochloride (SSR125543A), a potent and selective corticotrophin-releasing factor₁ receptor antagonist. II. Characterization in rodent models of stress-related disorders. *J. Pharmacol. Exp. Ther.* **301**(1), 333-345 (2002).
- Doyon, C., Samson, P., Lalonde, J., *et al.* Effects of the CRF₁ receptor antagonist SSR125543 on energy balance and food deprivation-induced neuronal activation in obese Zucker rats. *J. Endocrinol.* **193**(1), 11-19 (2007).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY 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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