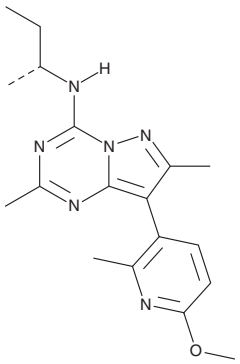
PRODUCT INFORMATION

Pexacerfont

Item No. 33221

CAS Registry No.:	459856-18-9
Formal Name:	8-(6-methoxy-2-methyl-3-pyridinyl)-2,7-dimethyl-N-[(1R)-1-methylpropyl]-pyrazolo[1,5-a]-1,3,5-triazin-4-amine
Synonyms:	BMS 562086, DPC-A69448
MF:	$C_{18}H_{24}N_6O$
FW:	340.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 283 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

Pexacerfont is supplied as a crystalline solid. A stock solution may be made by dissolving the pexacerfont in the solvent of choice, which should be purged with an inert gas. Pexacerfont is soluble in organic solvents such as ethanol and DMSO. The solubility of pexacerfont in these solvents is approximately 30 mg/ml.

Description

Pexacerfont is an antagonist of corticotropin-releasing factor receptor 1 (CRF_1; IC_{50} = 6.1 nM for the human receptor).[1] It is selective for CRF_1 in IMR-32 cells (mean IC_{50} = 10.6 nM) over $CFR_{2\beta}$ receptors endogenously expressed in pig choroid plexus membranes (IC_{50} = >1,000 nM) in radioligand binding assays and does not bind to the human CRF binding protein (IC_{50} = >1,000 nM). Pexacerfont inhibits adrenocorticotropic hormone (ACTH) release induced by CRF in primary rat pituitary cells with an IC_{50} value of 129 nM. It increases the time spent in the open arms of the elevated plus maze (EPM) in rats, indicating anxiolytic-like activity, when administered at doses ranging from 10 to 30 mg/kg.

Reference

1. Gilligan, P.J., Clarke, T., He, L., *et al.* Synthesis and structure-activity relationships of 8-(pyrid-3-yl) pyrazolo[1,5-a]-1,3,5-triazines: Potent, orally bioavailable corticotropin releasing factor receptor-1 (CRF_1) antagonists. *J. Med. Chem.* **52(9)**, 3084-3092 (2009).

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