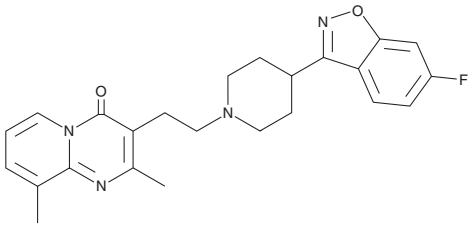
PRODUCT INFORMATION

Ocaperidone

Item No. 42946

CAS Registry No.:	129029-23-8
Formal Name:	3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-2,9-dimethyl-4H-pyrido[1,2-a]pyrimidin-4-one
Synonym:	R 79598
MF:	$C_{24}H_{25}FN_4O_2$
FW:	420.5
Purity:	≥95%
Supplied as:	A 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

Ocaperidone is supplied as a solid. A stock solution may be made by dissolving the ocaperidone in the solvent of choice, which should be purged with an inert gas. Ocaperidone is soluble (≥10 mg/ml) in chloroform. Ocaperidone is slightly soluble (0.1-1 mg/ml) in DMSO.

Description

Ocaperidone is an atypical antipsychotic that binds to dopamine D_2 receptors (K_i = 0.75 nM), α_1-adrenergic receptors (α_1-ARs; K_i = 0.46 nM), and the serotonin (5-HT) receptor subtype 5-HT_2 (K_i = 0.14 nM).[1] It is selective for these receptors over a panel of 26 additional receptors, transporters, channels, and enzymes but does bind to α_2-ARs, 5-HT_{1A}, 5-HT_{1D}, and the histamine H_1 receptor (K_is = 5.4, 6.8, 9.6, and 1.6 nM, respectively). Ocaperidone increases the levels of homovanillic acid (Item No. 27307) and 3,4-dihydroxyphenylacetic acid (DOPAC; Item No. 24912) in the striatum, nucleus accumbens, tuberculum olfactorium, and frontal cortex in rats in a dose-dependent manner. It inhibits apomorphine-induced stereotypy and cocaine- or amphetamine-induced agitation (ED_{50}s = 0.018, 0.042, and 0.014 mg/kg, respectively) and tryptamine-induced clonic seizures and mescaline-induced head twitches (ED_{50}s = 0.021 and 0.037 mg/kg, respectively) in rats.[1] Ocaperidone decreases locomotor activity and food intake (ED_{50}s = 0.024 and 0.074 mg/kg, respectively) and induces catalepsy, palpebral ptosis, and hypotonia (ED_{50}s = 0.39, 1.34, and 5.37 mg/kg, respectively) in rats. It also inhibits LSD-induced drug discrimination, ovalbumin and histamine-induced skin sensitization, acetic acid-induced writhing, and xylazine-induced loss of the righting reflex in rats (ED_{50}s = 0.021, 1.18, 1.34, and 5.37 mg/kg, respectively).

References

1. Leysen, J.E., Janssen, P.M., Gommeren, W., *et al. In vitro* and *in vivo* receptor binding and effects on monoamine turnover in rat brain regions of the novel antipsychotics risperidone and ocaperidone. *Mol. Pharmacol.* **41(3)**, 494-508 (1992).
2. Megens, A.A., Awouters, F.H., Meert, T.F., *et al*. Pharmacological profile of the new potent neuroleptic ocaperidone (R 79 598). *J. Pharmacol. Exp. Ther*. **260(1)**, 146-159 (1992).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM