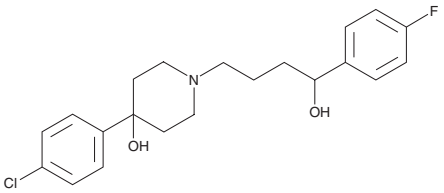
PRODUCT INFORMATION

Reduced Haloperidol

Item No. 28017

CAS Registry No.:	34104-67-1
Formal Name:	4-(4-chlorophenyl)-α-(4-fluorophenyl)-4-hydroxy-1-piperidinebutanol
Synonym:	Haloperidol Metabolite II
MF:	$C_{21}H_{25}ClFNO_2$
FW:	377.9
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

Reduced haloperidol is supplied as a solid. A stock solution may be made by dissolving the reduced haloperidol in the solvent of choice, which should be purged with an inert gas. Reduced haloperidol is slightly soluble in methanol and dichloromethane.

Description

Reduced haloperidol is an active metabolite of haloperidol (Item No. 12014).[1] It is formed *via* reduction of haloperidol by ketone reductase. Reduced haloperidol inhibits radioligand binding to sigma-1 and dopamine D_2 receptors (K_is = 1.4 and 31 nM, respectively) and stimulates brain-derived neurotrophic factor (BDNF) secretion from CCF-SSTG1 and U87MG astrocytic glial cells.[2] It also inhibits norepinephrine, dopamine, and serotonin (5-HT) reuptake (K_is = 21, 25, and 33 µM, respectively, in COS-7 cells expressing the human transporters).[1] Reduced haloperidol (0.5 mg/kg) increases latency to paw withdrawal in mouse models of capsaicin- but not force-induced mechanical hypersensitivity.[3]

References

1. Bryan-Lluka, L.J., Siebert, G.A., and Pond, S.M. Potencies of haloperidol metabolites as inhibitors of the human noradrenaline, dopamine and serotonin transporters in transfected COS-7 cells. *Naunyn Schmiedebergs Arch. Pharmacol.* **360(2),** 109-115 (1999).
2. Dalwadi, D.A., Kim, S., and Schetz, J.A. Activation of the sigma-1 receptor by haloperidol metabolites facilitates brain-derived neurotrophic factor secretion from human astroglia. *Neurochem Int.* **105(5),** 27-31 (2017).
3. Entrena, J.M., Cobos, E.J., Nieto, F.R., *et al.* Antagonism by haloperidol and its metabolites of mechanical hypersensitivity induced by intraplantar capsaicin in mice: Role of sigma-1 receptors. *Psychopharmacology (Berl).* **205(1),** 21-33 (2008).

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