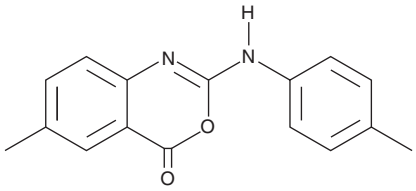
PRODUCT INFORMATION

URB754

Item No. 10007691

CAS Registry No.:	86672-58-4
Formal Name:	6-methyl-2-[(4-methylphenyl) amino]-1-benzoxazin-4-one
MF:	$C_{16}H_{14}N_2O_2$
FW:	266.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 216, 247, 283, 348 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

URB754 is supplied as a crystalline solid. A stock solution may be made by dissolving the URB754 in the solvent of choice, which should be purged with an inert gas. URB754 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of URB754 in these solvents is approximately 10 and 20 mg/ml, respectively.

Description

URB754 is a potent and noncompetitive inhibitor of monoacylglycerol lipase (MAGL), exhibiting an IC_{50} value of 200 nM for the recombinant rat brain enzyme.[1] However, it does not inhibit human recombinant, rat brain, or mouse brain MAGL at concentrations up to 100 µM.[2,3] There is evidence that the MAGL inhibitory activity of URB754 may be attributed to the impurity *bis*(methylthio)mercurane (IC_{50} = 11.9 nM for rat recombinant MAGL) that is found in commercial preparations.[4] URB754 inhibits rat brain fatty acyl amide hydrolase (FAAH) with an IC_{50} value of 32 µM and binds weakly to the rat central cannabinoid (CB_1) receptor with an IC_{50} value of 3.8 µM.[1] It does not inhibit COX-1 or COX-2 at concentrations up to 100 µM.[1] Inhibition of MAGL hydrolysis of 2-arachidonoyl glycerol (2-AG) is associated with enhanced stress-induced analgesia and may represent a novel drug target in pain and stress management.[5]

References

1. Makara, J.K., Mor, M., Fegley, D., *et al*. Selective inhibition of 2-AG hydrolysis enhances endocannabinoid signaling in hippocampus. *Nat. Neurosci.* **8(9)**, 1139-1141 (2005).
2. Saario, S.M., Palomäki, V., Lehtonen, M., *et al*. URB754 has no effect on the hydrolysis or signaling capacity of 2-AG in the rat brain. *Chem. Biol.* **13(8)**, 811-814 (2006).
3. Vandevoorde, S., Jonsson, K.O., Labar, G., *et al*. Lack of selectivity of URB602 for 2-oleoylglycerol compared to anandamide hydrolysis *in vitro*. *Br. J. Pharmacol.* **150(2)**, 186-191 (2007).
4. Tarzia, G., Antonietti, F., Duranti, A., *et al*. Identification of a bioactive impurity in a commercial sample of 6-methyl-2-p-tolylaminobenzo[d][1,3]oxazin-4-one (URB754). *Ann. Chim.* **97(9)**, 887-894 (2007).
5. Hohmann, A.G., Suplita, R.L., Bolton, N.M., *et al*. An endocannabinoid mechanism for stress-induced analgesia. *Nature* **435(7045)**, 1108-1112 (2005).

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