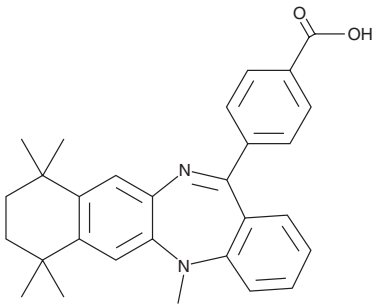
PRODUCT INFORMATION

LE 135

Item No. 14415

CAS Registry No.:	155877-83-1
Formal Name:	4-(7,8,9,10-tetrahydro-5,7,7,10,10-pentamethyl-5H-benzo[e]naphtho[2,3-b][1,4]diazepin-13-yl)-benzoic acid
MF:	$C_{29}H_{30}N_2O_2$
FW:	438.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 267, 399 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

LE 135 is supplied as a crystalline solid. A stock solution may be made by dissolving the LE 135 in the solvent of choice, which should be purged with an inert gas. LE 135 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of LE 135 in these solvents is approximately 1.1, 12.5, and 5 mg/ml, respectively.

LE 135 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, LE 135 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. LE 135 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

LE 135 is a retinoic acid receptor (RAR) antagonist that displays moderate selectivity for RARβ over RARα (K_is = 0.22 and 1.4 µM, respectively).[1,2] LE 135 inhibits retinoic acid-induced transcriptional activation of RARβ (>70% inhibition at 10 µM), but not RARα, RARγ or retinoid X receptor α.[1] It has been shown to inhibit retinoid Am80-induced differentiation of human promyelocytic leukemia cells, HL-60, with an IC_{50} value of 0.2 µM.[3]

References

1. Li, Y., Hashimoto, Y., Agadir, A., *et al.* Identification of a novel class of retinoic acid receptor b-selective retinoid antagonists and their inhibitory effects on AP-1 activity and retinoic acid-induced apoptosis in human breast cancer cells. *J. Bio. Chem.* **274 (22)**, 15360-15366 (1999).
2. Eyrolles, L., Kagechika, H., Kawachi, E., *et al.* Retinobenzoic Acids. 6. Retinoid antagonists with a heterocyclic ring. *J. Med. Chem.* **37(10)**, 1508-1517 (1994).
3. Umemiya, H., Fukasawa, H., Ebisawa, M., *et al.* Regulation of retinoidal actions by diazepinylbenzoic acids. Retinoid synergists which activate the RXR-RAR heterodimers. *J. Med. Chem.* **40(26)**, 4222-4234 (1997).

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