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SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

mail@szabo-scandic.com

www.szabo-scandic.com

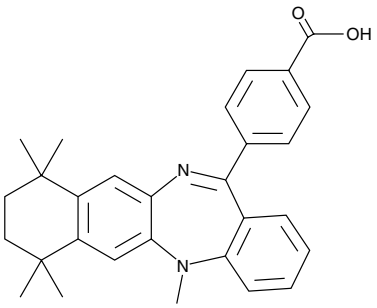
linkedin.com/company/szaboscandic

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%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 267, 399 nm



Laboratory Procedures

For long term storage, we suggest that LE 135 be stored as supplied at -20°C. It should be stable for at least two years.

LE 135 is supplied as a crystalline solid. A stock solution may be made by dissolving the LE 135 in the solvent of choice. LE 135 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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.

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 β-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).

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Cayman Chemical

Mailing address
1180 E. Ellsworth Road
Ann Arbor, MI
48108 USA

Phone
(800) 364-9897
(734) 971-3335

Fax
(734) 971-3640

E-Mail
custserv@caymanchem.com

Web
www.caymanchem.com