

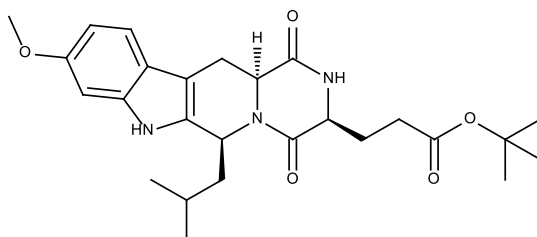
Catalog # 10-1074

Ko-143

CAS# 461054-93-3

(3S,6S,12aS)-1,2,3,4,6,7,12,12a-Octahydro-9-methoxy-6-(2-methylpropyl)-1,4-dioxypyrazino[1',2':1,6]pyrido
[3,4-b]indole-3-propanoic acid 1,1-dimethylethyl ester

Lot # X101074



A potent and selective inhibitor of the breast cancer resistance protein multidrug transporter (BCRP/ABCG2)¹. Reverses resistance of MTX and topotecan at 25 nM in human and mouse cell lines.¹ Also inhibits ABCB1 and ABCC1 at concentrations >1 μ M.² Restores the sensitivity of triple negative breast cancer cells to photodynamic therapy.³ Prevents the export of the FAAH inhibitor URB937 from the CNS.⁴ Forces prostate stem cells to undergo and AR-modulated differentiation to an androgen deprivation therapy-sensitive luminal phenotype.⁵ Active *in vivo*.

- 1) Allen et al. (2002), *Potent and specific inhibition of breast cancer resistance protein multidrug transporter in vitro and in mouse intestine by a novel analogue of fumitremorgin C*. Mol.Cancer Ther., **1** 417
- 2) Weidner et al. (2015), *The Inhibitor Ko143 Is Not Specific for ABCG2*; J. Pharmacol. Exp. Ther., **354** 384
- 3) Palasuberniam et al. (2015), *ABCG2 transporter inhibitor restores the sensitivity of triple negative breast cancer cells to aminolevulinic acid-mediated photodynamic therapy*; Sci. Rep., **5** 13298
- 4) Moreno-Sanz et al. (2011), *The ABC membrane transporter ABCG2 prevents access of FAAH inhibitor URB937 to the central nervous system*; Pharmacol. Res., **64** 359
- 5) Sabnis et al. (2017), *The Efflux Transporter ABCG2 Maintains Prostate Stem Cells*; Mol. Cancer Res., **15** 128

PHYSICAL DATA

Molecular Weight:	469.57
Molecular Formula:	C ₂₆ H ₃₅ N ₃ O ₅
Purity:	>98% by TLC
	NMR: Conforms
Solubility:	DMSO (up to 25 mg/ml); Ethanol (up to 50 mg/mL)
Physical Description:	White solid
Storage and Stability:	Store as supplied desiccated at -20°C for up to 2 years from the date of purchase. Protect from exposure to moisture. Solutions in DMSO may be stored at -20°C for up to 3 months.

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