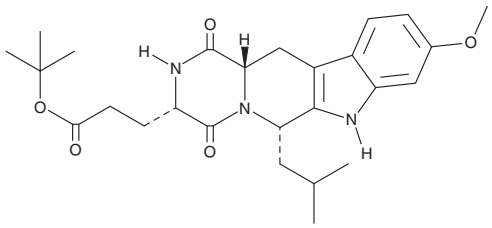
PRODUCT INFORMATION

Ko 143

Item No. 15215

CAS Registry No.:	461054-93-3
Formal Name:	(3S,6S,12aS)-1,2,3,4,6,7,12,12a-octahydro-9-methoxy-6-(2-methylpropyl)-1,4-dioxo-pyrazino[1',2':1,6]pyrido[3,4-b]indole-3-propanoic acid 1,1-dimethylethyl ester
MF:	$C_{26}H_{35}N_3O_5$
FW:	469.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 224, 266, 297 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

Ko 143 is supplied as a crystalline solid. A stock solution may be made by dissolving the Ko 143 in the solvent of choice, which should be purged with an inert gas. Ko 143 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of Ko 143 in these solvents is approximately 30, 20, and 25 mg/ml, respectively.

Ko 143 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Ko 143 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Ko 143 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Breast cancer resistance protein (BCRP) is an ATP-binding cassette protein known also as ABCG2. While it normally functions as a high-capacity urate exporter in the renal system, it also acts as a xenobiotic transporter and contributes to multidrug resistance (*e.g.*, to mitoxantrone) in certain types of cancer.[1] BCRP is abundant at the intestinal epithelium and blood-brain barrier, potentially restricting the distribution of certain drugs.[2,3] Ko 143 is a potent and selective inhibitor of BCRP, preventing the export of mitoxantrone and topotecan in breast cancer cell lines (EC_{50}s = 23 and 26 nM, respectively).[2] It is much less effective at the transporters P-glycoprotein and multidrug resistance-associated protein 1, MRP1.[2] Ko 143 is effective *in vivo* in mice.[2,3]

References

1. Matsuo, H., Takada, T., Ichida, K., *et al.* Common defects of ABCG2, a high-capacity urate exporter, cause gout: A function-based genetic analysis in a Japanese population. *Sci. Transl. Med.* **1(5)**, 1-9 (2009).
2. Allen, J.D., van Loevezijn, A., Lakhai, J.M. , *et al.* Potent and specific inhibition of the breast cancer resistance protein multidrug transporter *in vitro* and in mouse intestine by a novel analogue of fumitremorgin C. *Mol. Cancer Ther.* **1(6)**, 417-425 (2002).
3. Wanek, T., Kuntner, C., Bankstahl, J.P., *et al.* A novel PET protocol for visualization of breast cancer resistance protein function at the blood–brain barrier. *J. Cereb. Blood Flow Metab.* **32(11)**, 2002-2011 (2012).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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