

Product Name: Ko 143

Catalog No.: 3241

Batch No.: 5

CAS Number: 461054-93-3

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

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₆H₃₅N₃O₅·¼H₂O

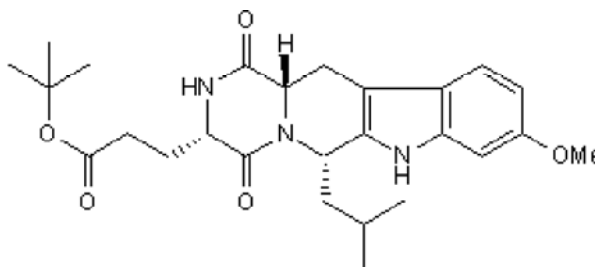
Batch Molecular Weight: 474.07

Physical Appearance: Off White solid

Solubility: DMSO to 50 mM
ethanol to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.6 (Dichloromethane:Ethyl acetate [3:2])

HPLC: Shows 99% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

| | Carbon | Hydrogen | Nitrogen |
|-------------|--------|----------|----------|
| Theoretical | 65.87 | 7.55 | 8.86 |
| Found | 66.01 | 7.57 | 8.87 |

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Description:

Potent and selective breast cancer resistance protein multidrug transporter (BCRP) inhibitor ($EC_{90} = 26$ nM). Displays > 200-fold selectivity over P-gp and MRP-1 transporters. Increases intracellular drug accumulation and reverses BCRP-mediated multidrug resistance. Inhibits ABCB1 and ABCC1 at higher concentrations. Rapidly metabolized in rat plasma.

Physical and Chemical Properties:

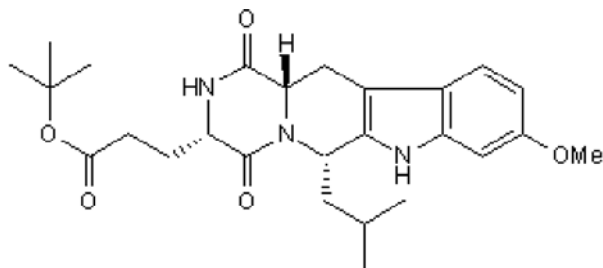
Batch Molecular Formula: $C_{26}H_{35}N_3O_5 \cdot \frac{1}{4}H_2O$

Batch Molecular Weight: 474.07

Physical Appearance: Off White solid

Minimum Purity: >99%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 50 mM
ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Weidner et al (2015) The inhibitor Ko143 is not specific for ABCG2. *J.Pharmacol.Exp.Ther.* **354** 384. PMID: 26148857.

Allen et al (2003) Mouse breast cancer resistance protein (Bcrp1/Abcg2) mediates etoposide resistance and transport, but etoposide oral availability is limited primarily by P-glycoprotein. *Cancer Res.* **63** 1339. PMID: 12649196.

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. PMID: 12477054.

Loezijn et al (2001) Inhibition of BCRP-mediated drug efflux by fumitremorgin-type indolyl diketopiperazines. *Bioorg.Med.Chem.Letts.* **11** 29.

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bio-techne.com

info@bio-techne.com

techsupport@bio-techne.com

North America

Tel: (800) 343 7475

China

info.cn@bio-techne.com

Tel: +86 (21) 52380373

Europe Middle East Africa

Tel: +44 (0)1235 529449

Rest of World

www.tocris.com/distributors

Tel:+1 612 379 2956