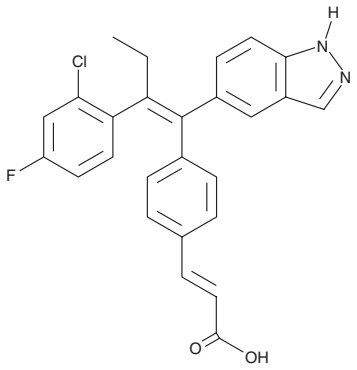
PRODUCT INFORMATION

ARN810

Item No. 29595



CAS Registry No.: 1365888-06-7
Formal Name: (2E)-3-[4-[(1E)-2-(2-chloro-4-fluorophenyl)-1-(1H-indazol-5-yl)-1-buten-1-yl]phenyl]-2-propenoic acid
Synonyms: GDC-0810, RG-6046
MF: $C_{26}H_{20}ClFN_2O_2$
FW: 446.9
Purity: ≥98%
Supplied as: A 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

ARN810 is supplied as a solid. A stock solution may be made by dissolving the ARN810 in the solvent of choice, which should be purged with an inert gas. ARN810 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of ARN810 in these solvents is approximately 20, 15, and 10 mg/ml, respectively.

ARN810 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ARN810 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. ARN810 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ARN810 is a selective estrogen receptor degrader (SERD) that binds to ERα and ERβ (IC_{50}s = 6.1 and 8.8 nM, respectively) and induces degradation of ERα in MCF-7 cells (EC_{50} = 0.7 nM).[1] It inhibits ERα transcriptional activity induced by 17β-estradiol (Item No. 10006315) in a reporter assay and reduces MCF-7 breast cancer cell viability (IC_{50} = 2 nM). ARN810 (1 mg/kg, p.o.) inhibits 17β-estradiol-induced uterine weight gain in rats. It reduces tumor volume in tamoxifen-sensitive and -resistant MCF-7 mouse xenograft models in a dose-dependent manner.

Reference

1. Lai, A., Kahraman, M., Govek, S., *et al.* Identification of GDC-0810 (ARN-810), an orally bioavailable selective estrogen receptor degrader (SERD) that demonstrates robust activity in tamoxifen-resistant breast cancer xenografts. *J. Med. Chem.* **58(12)**, 4888-4904 (2015).

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