

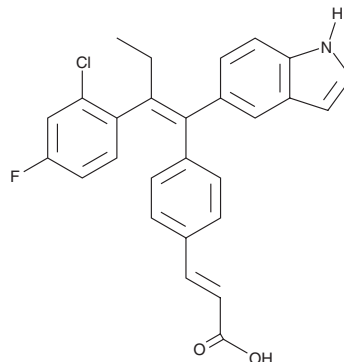
# PRODUCT INFORMATION



## 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<sub>26</sub>H<sub>20</sub>ClFN<sub>2</sub>O<sub>2</sub>  
**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 ER $\alpha$  and ER $\beta$  (IC<sub>50</sub>s = 6.1 and 8.8 nM, respectively) and induces degradation of ER $\alpha$  in MCF-7 cells (EC<sub>50</sub> = 0.7 nM).<sup>1</sup> It inhibits ER $\alpha$  transcriptional activity induced by 17 $\beta$ -estradiol (Item No. 10006315) in a reporter assay and reduces MCF-7 breast cancer cell viability (IC<sub>50</sub> = 2 nM). ARN810 (1 mg/kg, p.o.) inhibits 17 $\beta$ -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).

#### 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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