

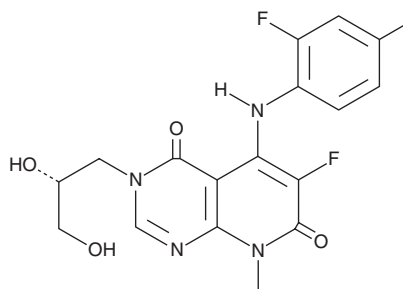
# PRODUCT INFORMATION



## TAK-733

Item No. 16998

**CAS Registry No.:** 1035555-63-5  
**Formal Name:** 3-[(2R)-2,3-dihydroxypropyl]-6-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione  
**MF:** C<sub>17</sub>H<sub>15</sub>F<sub>2</sub>IN<sub>4</sub>O<sub>4</sub>  
**FW:** 504.2  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 239, 293 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

TAK-733 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-733 in the solvent of choice. TAK-733 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of TAK-733 in ethanol is approximately 0.25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

TAK-733 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TAK-733 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TAK-733 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

TAK-733 is an inhibitor of MEK1 (IC<sub>50</sub> = 3.2 nM), a MAP kinase in the Raf/MEK/ERK pathway that plays a major role in the regulation of cellular growth, differentiation, and proliferation.<sup>1,2</sup> It is selective for MEK1 over a panel of 18 kinases, receptors, and ion channels up to a concentration of 10 μM. TAK-733 inhibits ERK phosphorylation *in vitro* (EC<sub>50</sub> = 1.9 nM). It also inhibits proliferation of A375 and COLO 205 cells (EC<sub>50</sub>s = 3.1 and 2.1 nM, respectively) and 14 cutaneous melanoma cell lines (IC<sub>50</sub>s = <1-10 nM), particularly those with B-RAF<sup>V600E</sup> mutations.<sup>1,3</sup> TAK-733 has antitumor activity in mouse xenograft models using a variety of cancer types.<sup>1</sup>

### References

1. Dong, Q., Dougan, D.R., Gong, X., *et al.* Discovery of TAK-733, a potent and selective MEK allosteric site inhibitor for the treatment of cancer. *Bioorg. Med. Chem. Lett.* **21(5)**, 1315-1319 (2011).
2. Cowan, K.J. and Storey, K.B. Mitogen-activated protein kinases: New signaling pathways functioning in cellular responses to environmental stress. *J. Exp. Biol.* **206(Pt 7)**, 1107-1115 (2003).
3. von Euw, E., Atefi, M., Attar, N., *et al.* Antitumor effects of the investigational selective MEK inhibitor TAK733 against cutaneous and uveal melanoma cell lines. *Mol. Cancer* **11**, 22 (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.

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