

# PRODUCT INFORMATION



## R1487 (hydrochloride)

Item No. 31478

**CAS Registry No.:** 449808-64-4  
**Formal Name:** 6-(2,4-difluorophenoxy)-8-methyl-

2-[(tetrahydro-2H-pyran-4-yl)amino]-pyrido[2,3-d]pyrimidin-7(8H)-one, monohydrochloride

**MF:** C<sub>19</sub>H<sub>18</sub>F<sub>2</sub>N<sub>4</sub>O<sub>3</sub> • HCl

**FW:** 424.8

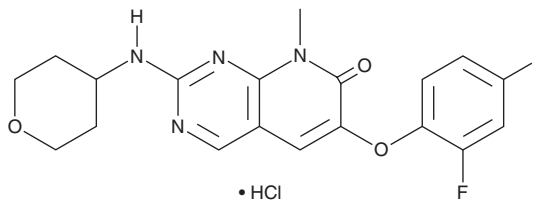
**Purity:** ≥98%

**UV/Vis.:** λ<sub>max</sub>: 299, 349 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

R1487 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the R1487 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. R1487 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of R1487 (hydrochloride) in these solvents is approximately 1 mg/ml.

R1487 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, R1487 (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. R1487 (hydrochloride) has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

R1487 is an inhibitor of p38α MAPK (IC<sub>50</sub> = 10 nM).<sup>1</sup> It is selective for p38α over p38β MAPK (K<sub>d</sub>s = 0.2 and 29 nM, respectively), as well as a panel of 306 additional kinases at 10 μM, but does not inhibit 11 kinases by greater than 85% at 10 μM. R1487 inhibits LPS-induced IL-1β production in isolated human whole blood (IC<sub>50</sub> = 170 nM). It also inhibits LPS-induced production of TNF-α and IL-6 in rats (ED<sub>50</sub>s = 0.8 and 0.4 mg/kg). R1487 reduces yeast-induced hyperalgesia in rats (ED<sub>50</sub> = 5.5 mg/kg).

### Reference

1. Goldstein, D.M., Soth, M., Gabriel, T., *et al.* Discovery of 6-(2,4-difluorophenoxy)-2-[3-hydroxy-1-(2-hydroxyethyl)propylamino]-8-methyl-8H-pyrido[2,3-d]pyrimidin-7-one (pamapimod) and 6-(2,4-difluorophenoxy)-8-methyl-2-(tetrahydro-2H-pyran-4-ylamino)pyrido[2,3-d]pyrimidin-7(8H)-one (R1487) as orally bioavailable and highly selective inhibitors of p38α mitogen-activated protein kinase. *J. Med. Chem.* **54**(7), 2255-2265 (2011).

#### 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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