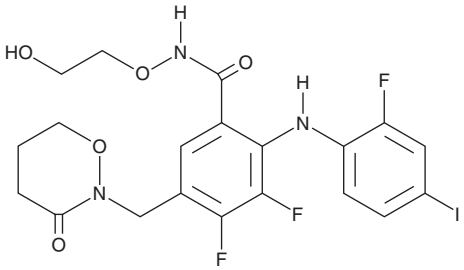
PRODUCT INFORMATION

RO4987655

Item No. 19488

CAS Registry No.:	874101-00-5
Formal Name:	3,4-difluoro-2-[(2-fluoro-4-iodophenyl) amino]-N-(2-hydroxyethoxy)-5-[(tetrahydro-3-oxo-2H-1,2-oxazin-2-yl) methyl]-benzamide
Synonym:	CH4987655
MF:	$C_{20}H_{19}F_3IN_3O_5$
FW:	565.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 280 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

RO4987655 is supplied as a crystalline solid. A stock solution may be made by dissolving the RO4987655 in the solvent of choice, which should be purged with an inert gas. RO4987655 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of RO4987655 in these solvents is approximately 10 and 15 mg/ml, respectively.

RO4987655 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, RO4987655 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. RO4987655 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

RO4987655 is a MEK inhibitor (IC_{50} = 5 nM).[1] It is selective for MEK over 400 kinases at 10 µM. It inhibits proliferation of COLO 205, HT-29, QG56, MIA PaCa-2, and C32 cells with IC_{50} values of 0.86, 1.7, 9.5, 3.3, and 8.4 nM, respectively. RO4987655 reduces tumor growth in a variety of mouse xenograft models and inhibits the phosphorylation of ERK in tumor tissue in an HT-29 mouse xenograft model when administered at a dose of 6.25 mg/kg per day. It acts synergistically with the mTOR inhibitor everolimus (Item No. 11597) to reduce tumor volume in an HCT116 mouse xenograft model.

Reference

1. Isshiki, Y., Kohchi, Y., Iikura, H., *et al.* Design and synthesis of novel allosteric MEK inhibitor CH4987655 as an orally available anticancer agent. *Bioorg. Med. Chem. Lett.* **21(6)**, 1795-1801 (2011).

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