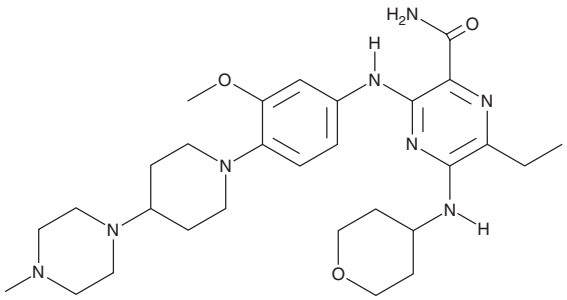
PRODUCT INFORMATION

Gilteritinib

Item No. 21503

CAS Registry No.:	1254053-43-4
Formal Name:	6-ethyl-3-[[3-methoxy-4-[4-(4-methyl-1-piperazinyl)-1-piperidinyl]phenyl]amino]-5-[(tetrahydro-2H-pyran-4-yl)amino]-2-pyrazinecarboxamide
Synonym:	ASP2215
MF:	$C_{29}H_{44}N_8O_3$
FW:	552.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 213, 314, 366 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

Gilteritinib is supplied as a crystalline solid. A stock solution may be made by dissolving the gilteritinib in the solvent of choice, which should be purged with an inert gas. Gilteritinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of gilteritinib in ethanol and DMF is approximately 20 mg/ml and approximately 30 mg/ml in DMSO.

Gilteritinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gilteritinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Gilteritinib has a solubility of approximately 0.125 mg/ml in a 1:7 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Gilteritinib is an inhibitor of FMS-related tyrosine kinase 3 (FLT3; IC_{50} = 5 nM for the wild-type enzyme).[1] It inhibits mutant forms of FLT3, including FLT3 with the internal tandem duplication mutation (FLT3-ITD), FLT3-ITD expressing the F691L mutation, and FLT3 with various tyrosine kinase domain mutations (FLT3-TKD; IC_{50}s =1.4-1.8, 12.2, and 0.7-2 nM, respectively). Gilteritinib also inhibits Axl and c-Kit with IC_{50} values of 41 and 102 nM, respectively. It decreases the viability of blast cells isolated from patients with relapsed acute myeloid leukemia (AML) in a concentration-dependent manner. Formulations containing gilteritinib have been used in the treatment of AML.

Reference

1. Lee, L.Y., Hernandez, D., Rajkhowa, T., *et al*. Preclinical studies of gilteritinib, a next-generation FLT3 inhibitor. *Blood* **129(2)**, 257-260 (2017).

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