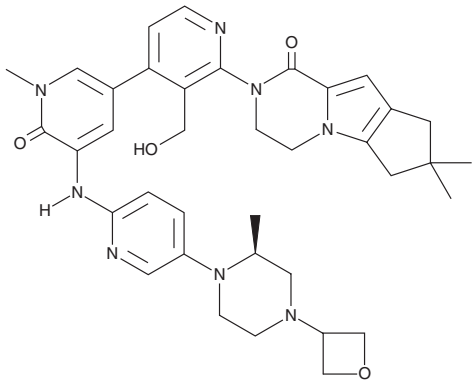
PRODUCT INFORMATION

Fenebrutinib

Item No. 21346

CAS Registry No.: 1434048-34-6
Formal Name: 2-[1,6-dihydro-3'-(hydroxymethyl)-1-methyl-5-[[5-[(2S)-2-methyl-4-(3-oxetanyl)-1-piperazinyl]-2-pyridinyl]amino]-6-oxo[3,4'-bipyridin]-2'-yl]-3,4,7,8-tetrahydro-7,7-dimethyl-2H-cyclopenta[4,5]pyrrolo[1,2-a]pyrazin-1(6H)-one
Synonyms: GDC-0853, RG-7845
MF: $C_{37}H_{44}N_8O_4$
FW: 664.8
Purity: ≥98%
UV/Vis.: λ_{max}: 245, 315 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

Fenebrutinib is supplied as a crystalline solid. A stock solution may be made by dissolving the Fenebrutinib in the solvent of choice, which should be purged with an inert gas. Fenebrutinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of Fenebrutinib in ethanol is approximately 5 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Fenebrutinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, Fenebrutinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Fenebrutinib has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Fenebrutinib is an inhibitor of Bruton's tyrosine kinase (BTK; K_is = 0.91, 1.6, 1.3, 12.6, and 3.4 nM for wild-type BTK, BTK^{C481S}, BTK^{C481R}, BTK^{T474I}, and BTK^{T474M}, respectively).[1] It is selective for BTK over a panel of 287 additional kinases at 1 µM. Fenebrutinib inhibits anti-IgM antibody-induced BTK phosphorylation in B cells (IC_{50} = 3.1 nM), as well as anti-IgM- or CD40L-induced proliferation of B cells (IC_{50}s = 1.2 and 1.4 nM, respectively). *In vivo*, Fenebrutinib (0.06-16 mg/kg) reduces ankle thickness and cartilage damage in a rat model of collagen-induced arthritis.

Reference

1. Crawford, J.J., Johnson, A.R., Misner, D.L., *et al*. Discovery of GDC-0853: A potent, selective, and noncovalent Bruton's tyrosine kinase inhibitor in early clinical development. *J. Med. Chem.* **61(6)**, 2227-2245 (2018).

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