

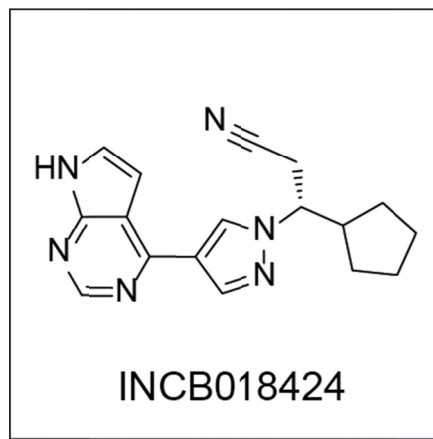


Product Specification Sheet

Product Name: INCB018424
Catalog Number: C4622-2 (powder)
C4622-2s (10mM in DMSO)
Package Size: 2 mg

Technical information:

Chemical Formula: C₁₇H₁₈N₆
CAS #: 941676-49-5
Molecular Weight: 306.37
Purity: >98%
Formulation: white solid
Solubility: Soluble in DMSO up to 100 mM
Chemical Name: (R)-3-(4-(7H-pyrrolo[2,3-d]pyrimidin-4-yl)-1H-pyrazol-1-yl)-3-cyclopentylpropanenitrile
Storage: Store solid powder at 4°C desiccated;
Store DMSO solution at -20°C.



- Handling:**
- For C4622-2 (powder), add 653 μ L of DMSO to make 10 mM solution..
 - For C4622-2s, before open the vial, centrifuge the vial at 500rpm x 1 min in a 50 mL conical tube to ensure full recovery of sample.

Biological Activity: INCB018424 is an orally bioavailable, potent and selective inhibitor of JAK 1 and JAK2, currently in clinical trials to treat myelofibrosis. In enzyme assays it inhibited JAK1 (IC₅₀=3.2 nM) and JAK2 (4.1 nM), and had selectivity >100 fold for a wide range of other kinases, including JAK3 (428 nM). It acts by blocking the JAK/STAT pathway, and has IC₅₀ of 280nM in cytokine-stimulated whole blood assays.

- Reference:**
1. A Quintas-Cardama et al. Preclinical characterization of the selective JAK1/2 inhibitor INCB018424: therapeutic implications for the treatment of myeloproliferative neoplasms. *Blood* 2010, 115(15), 3109-3117.
 2. S Verstovsek et al. Safety and efficacy of INCB018424, a JAK1 and JAK2 inhibitor, in myelofibrosis. *N. Engl. J. Med.* 2010, 363(12), 1117-1127.

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