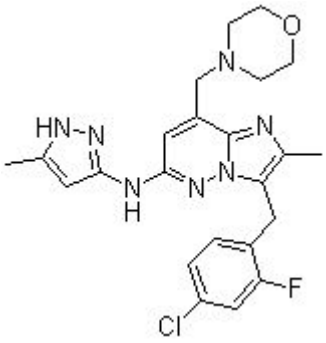
Product Introduction

LY2784544

LY2784544 is a potent **JAK2** inhibitor with **IC50** of 3 nM, effective in JAK2V617F, 8- and 20-fold selective versus JAK1 and JAK3. Phase 2.

Technical Data:

Molecular Weight (MW):	469.94	
Formula:	$C_{23}H_{25}ClFN_7O$	
Solubility (25°C) *** <1 mg/ml means slightly soluble or insoluble:**	DMSO 94 mg/mL	
	Water <1 mg/mL	
	Ethanol 9 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1229236-86-5	

Biological Activity

LY2784544 also inhibits IL-3-activated wild type JAK2 with IC50 of 2.26 μM. Similarly in the proliferation assay, LY2784544 shows antiproliferation activity in JAK2 V617F-driven cells with IC50 of 68 nM, compared to 1.36 μM in wild type JAK2-driven cells and 0.94 μM in JAK3-driven cells. [1] Though biochemical assays do not reveal selectivity of LY2784544 for mutant JAK2V617F, LY2784544 shows higher selectivity for inhibition of JAK2-mediated signaling and induction of apoptosis in Ba/F3 cells expressing JAK2V617F than wild-type cells. [2]

LY2784544 significantly inhibits STAT5 phosphorylation in Ba/F3-JAK2 V617F-GFP xenografts with a Threshold Effective Dose 50 (TED50) of 12.7 mg/kg. LY2784544 also reduces Ba/F3-JAK2 V617F-GFP tumor burden in the JAK2 V617F-induced MPN model with a TED50 of 13.7 mg/kg after oral treatment. LY2784544 has no effect on CD71/Ter119 positive erythroid progenitors in spleens of SCID mice after oral treatment. [1]

References

[1] Ma L, et al. 53rd ASH Annual Meeting and Exposition, 2011, Abstract 4087.
[2] Ma L, et al. Blood Cancer J. 2013, 3, e109.

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