

Explore secondary therapeutic activities or safety liabilities for your drug

Cortellis Drug Discovery Intelligence

With the new **Pharmacology** tab within the drug record, you can now explore and compare all indexed drug activities for different targets to identify potential secondary therapeutic activities or even safety liabilities.

1. Search for your drug of interest using Quick Search.

Drugs & Biologics ▾
"upadacitinib tartrate"

2. Go to the Pharmacology tab to explore all activities indexed for your drug of interest:

upadacitinib tartrate

Product

Development Status

Milestones

Pharmacology

Sales

D

See Results in Experimental Pharmacology

▼ Apply Filters

C

Showing 1-25 of 32 Mean/Median calculations

Target Action	Target Name	Experimental Activity	Pharmacological Activity	Parameter	Mean	Median
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	Protein-tyrosine kinase (JAK1), inhibition	IC 50	0.028 µM [0.005 - 0.043] (n=6)	0.037 µM [0.005 - 0.043] (n=6)
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	STAT 1 phosphorylation (interleukin 6-induced), inhibition	IC 50	0.087 µM (n=1)	0.087 µM (n=1)
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	STAT 3 phosphorylation (interleukin 6-induced), inhibition	IC 50	0.01 µM [0.008 - 0.017] (n=4)	0.008 µM [0.008 - 0.017] (n=4)
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	STAT 5 phosphorylation (erythropoietin-induced), inhibition	IC 50	0.628 µM (n=1)	0.628 µM (n=1)
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	STAT 5 phosphorylation (interleukin 15-induced), inhibition	IC 50	0.016 µM (n=1)	0.016 µM (n=1)
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	STAT 5 phosphorylation (interleukin 2-induced), inhibition	IC 50	0.021 µM (n=1)	0.021 µM (n=1)

A) **Target Actions** can be **Primary** when they are related to the primary target/s of the drug, or **Other** when they are related to non-primary target/s of the drug.

B) **Mean** and **Median** values are offered for experiments that measure the same experimental and pharmacological activity with the same parameter.

C) Use **Apply Filters** to focus on specific pharmacological activities. In the example below we have refined by inhibition of jak1, jak2 and jak3 targets.

upadacitinib tartrate

Product Development Status Milestones **Pharmacology** Sales

See Results in Experimental Pharmacology

Apply Filters

Pharmacological Activity 3

Showing 1-3 of 3 Mean, Median calculations

Target Action	Target Name	Experimental Activity	Pharmacological Activity	Parameter	Mean	Median
Primary	Janus kinase 1	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VITRO	Protein-tyrosine kinase (JAK1), inhibition	IC-50	0.028 µM [0.005 - 0.043] (n=6)	0.037 µM [0.005 - 0.043] (n=6)
Other	Janus kinase 2	Tyrosine-Protein Kinase JAK2 (JAK-2) inhibition, IN VITRO	Protein-tyrosine kinase (JAK2), inhibition	IC-50	0.187 µM [0.042 - 0.521] (n=4)	0.092 µM [0.042 - 0.521] (n=4)
Other	Janus kinase 3	Tyrosine-Protein Kinase JAK3 (JAK-3) inhibition, IN VITRO	Protein-tyrosine kinase (JAK3), inhibition	IC-50	1.94 µM [0.864 - 2.3] (n=4)	2.3 µM [0.864 - 2.3] (n=4)

Tip Easily compare drug activity against different targets to identify potential secondary activities or safety liabilities

D) See the experimental results within **Experimental Pharmacology** Knowledge Area to be able to make full use of the analytics within as well as explore data sources.

Experimental Pharmacology Mean / Median Overview

Apply Filters Filter by Value Range Unify - Convert Customize Columns Sort

Showing 1-25 of 120 Experimental Pharmacology records

Drug Name	Experimental Activity	Pharmacological Activity	Mechanism of Action	Material/Experimental Model	Method	Parameter	Value	Value (µmol)	Value (µg)	Source	View Record
upadacitinib tartrate	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VIVO	Interferon gamma production (concanavalin A-induced), inhibition	Signal Transduction Modulators Tyrosine-Protein Kinase JAK1 Inhibitors	Plasma, rat / JAK1 inhibition, concanavalin A-induced, in rat (Lewis)	ELISA assay	ED-80	5.8 mg/kg p.o.	9.63 µmol/kg p.o.	5.8x10 ³ µg/kg p.o.	WO 2015061665 (2015)	View Record
upadacitinib tartrate	Tyrosine-Protein Kinase JAK1 (JAK-1) inhibition, IN VIVO	Interferon gamma production (concanavalin A-induced), inhibition	Signal Transduction Modulators Tyrosine-Protein Kinase JAK1 Inhibitors	Plasma, rat / JAK1 inhibition, concanavalin A-induced, in rat (Lewis)	ELISA assay	ED-50	400 µg/kg p.o.	0.664 µmol/kg p.o.	400 µg/kg p.o.	WO 2015061665 (2015)	View Record
upadacitinib tartrate	Non-Receptor Tyrosine Kinase TYK2 inhibition, IN VITRO	Protein-tyrosine kinase (Tyk2), inhibition	Signal Transduction Modulators Tyrosine-Protein Kinase JAK1 Inhibitors		ATP assay	IC-50	4.7 µM	4.7 µM	2.83x10 ³ µg/l	WO 2015061665 (2015)	View Record
upadacitinib tartrate	Tyrosine-Protein Kinase JAK3 (JAK-3) inhibition, IN VITRO	Protein-tyrosine kinase (JAK3), inhibition	Signal Transduction Modulators Tyrosine-Protein Kinase JAK1 Inhibitors		ATP assay	IC-50	2.3 µM	2.3 µM	1.39x10 ³ µg/l	WO 2015061665 (2015)	View Record
upadacitinib tartrate	Tyrosine-Protein Kinase JAK3 (JAK-3) inhibition, IN VITRO	Protein-tyrosine kinase (JAK3), inhibition	Signal Transduction Modulators Tyrosine-Protein Kinase JAK1 Inhibitors			IC-50	2.3 µM	2.3 µM	1.39x10 ³ µg/l	Annu Sci Meet Am Coll Rheumatol (2014)	View Record

For more information contact Customer Service at **LS Product Support**