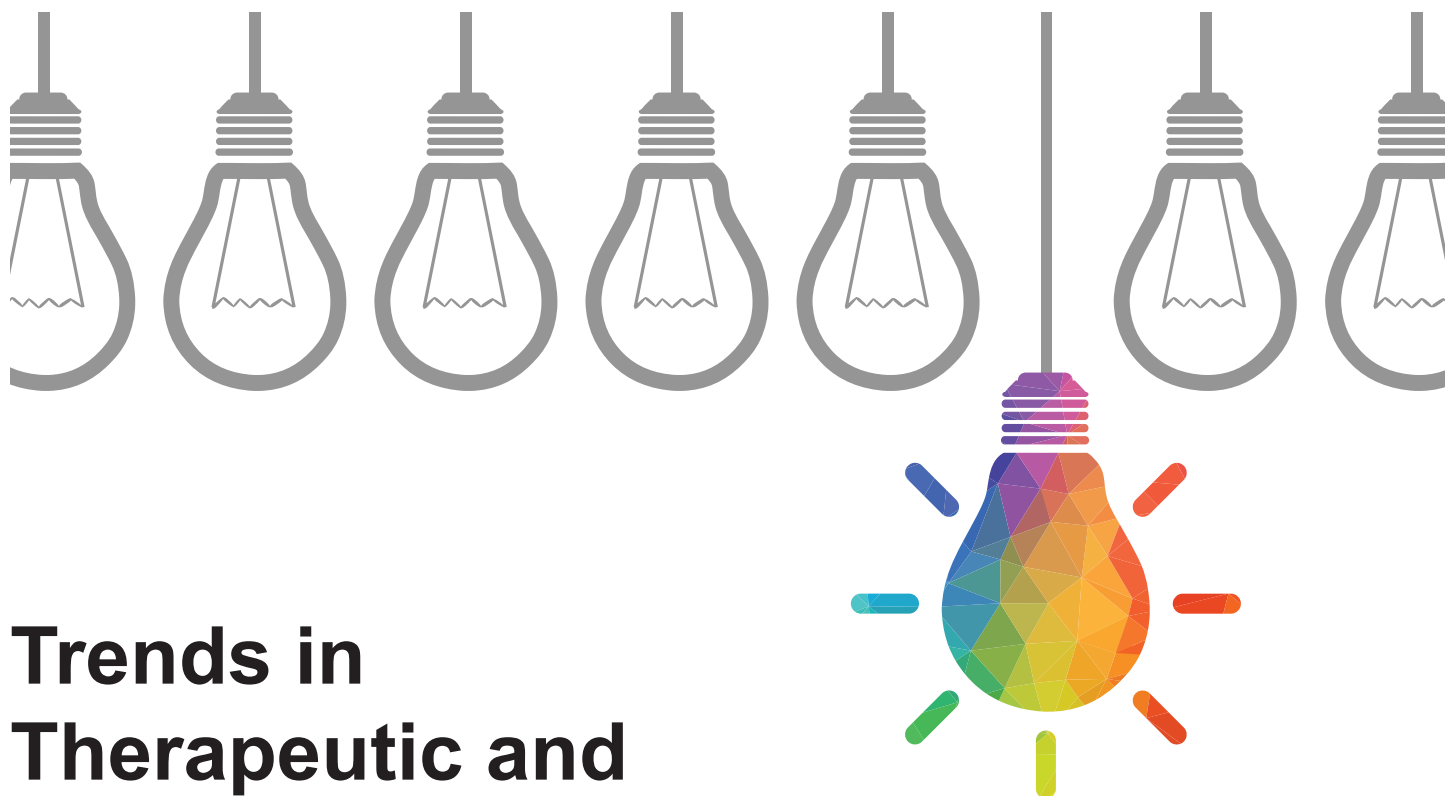




Trends in Therapeutic and Diagnostic Molecules

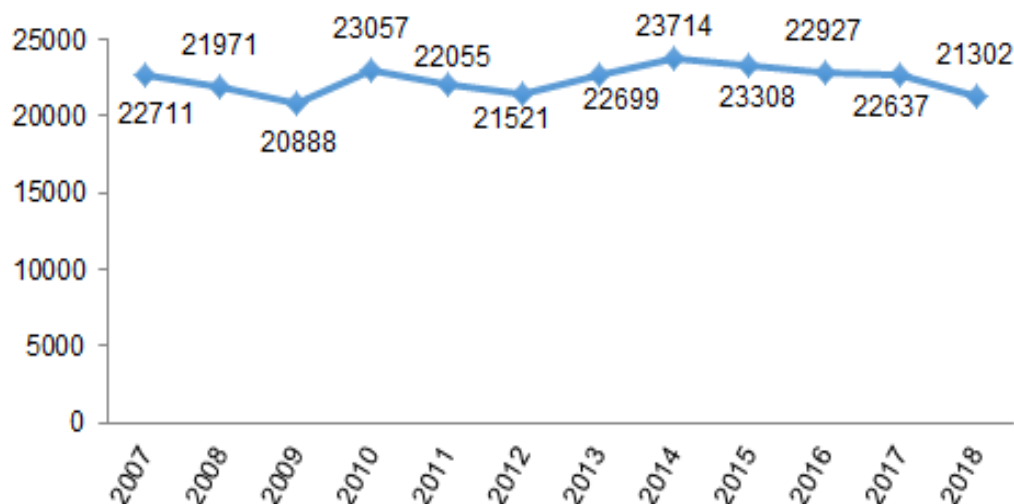
Although large molecules (e.g., antibody-drug conjugates, recombinant DNA, and fusion proteins) hold a great deal of promise and are capturing increasing attention, the research into small molecules is not drying up.

The Therapeutic and Diagnostic Molecules industry generates a consistent stream of breakthroughs and innovations that hold the promise of eradicating disease and improving health outcomes. Patent filings in this industry can provide a glimpse into the future of medicine. To delve deeper into the trends of patenting innovation in therapeutic and diagnostic molecules innovation, we have defined the following technology clusters within the general Therapeutic and Diagnostic Molecules industry:

Large Molecules	Used As	
Diagnostic Agent	-	
	Used As	
Therapeutic Agent	Biological Sources Of Large Molecule	
		Fermentation Technique For Manufacturing Large Molecule
		Large Molecule Delivery System
		Molecular Structure/Sequence Of Large Molecule
		Purification Process Of Large Molecule
		Therapeutic Indications Of Large Molecule
Small Molecules	Synthesis Of	
Small molecule	Semi-Synthetic/Biologically Synthesized	
	Used As	
Diagnostic Agent	Synthetic	
-		
	Used As	
Therapeutic Agent	Chemical Structure Of Small Molecule	
		Combination With Other Small/Large Molecules
Composition Containing Small Molecule		
		Dosage Regimen
Drug Delivery System		
		Formulation/Dosage Form
		Production Process
Route Of Administration		
		Therapeutic Indications Of Small Molecule

Taxonomies and expanded definitions of these clusters are included in appendix A.

Patent filings are steady



Patent filings have been steady over the past decade despite rising R&D spending in the Therapeutic and Diagnostic Molecules industry.⁸⁶ This trend is notable both because there is a high and consistent need for new products in this industry and because patent filings in other industries are on the rise. One possible explanation is that R&D budgets have increased to keep up with rising expenses rather than to expand R&D programs.

This flat number of patent filings when looking across the board may be masking increasing filings in certain areas (e.g., compositions) and decreasing filings in others. In particular, in view of the uncertainty in patent law with respect to patent eligibility for diagnostic methods, there may be fewer filings to protect therapeutic drugs tied to diagnostic methods.

An additional possible explanation for this trend may be the climate of political uncertainty surrounding U.S. pharmaceutical patents in the last few years. Pharmaceutical patents have come under fire from some lawmakers, activists, and pundits as being responsible for the high prices of medications in the United States.⁸⁷

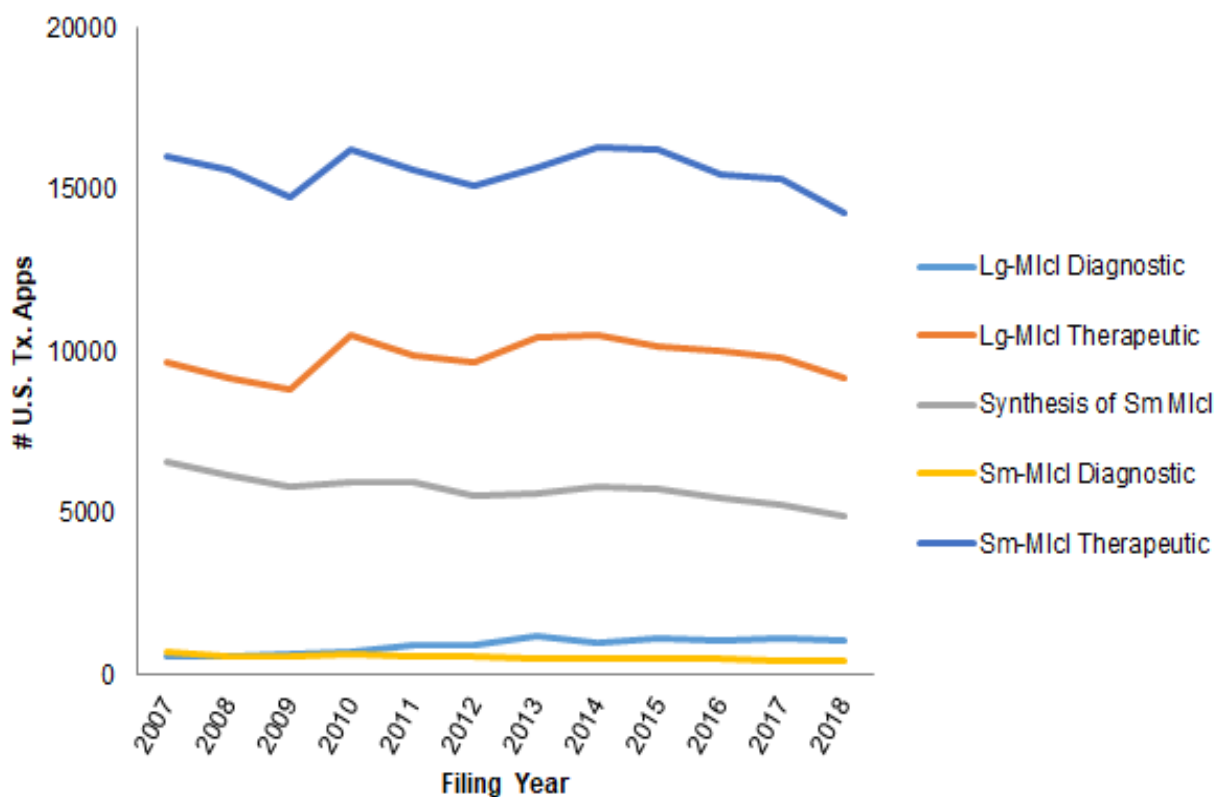
Another factor that may play a role in keeping the number of patent filings from rising is the availability of the *inter partes* review (IPR) proceeding in the USPTO since 2011, which has made challenging patents easier and cheaper. While generic pharmaceutical companies have a limited interest in challenging patents through the IPR proceeding because it provides no opportunity to gain market exclusivity, this proceeding became a vehicle for patent challenges from outside the pharmaceutical industry, including as an arbitrage strategy by hedge funds. In view of the risk posed by IPRs, it is possible that pharmaceutical companies have become more conservative in their patenting strategies.

Finally, this trend may also be due in part to the difficult economic conditions in Europe, which has traditionally been a major contributor of innovation and patent activity in this industry.

⁸⁶ <https://www.abpi.org.uk/facts-and-figures/science-and-innovation/pharma-members-rd-expenditure-in-total-and-in-the-us-only/>

⁸⁷ <https://khn.org/news/in-the-battle-to-control-drug-costs-old-patent-laws-get-new-life/>

The majority of patent filings are for small molecules used as a therapeutic agent



The number of patent filings for small molecules used as a therapeutic agent is significantly higher than for any other technology cluster in the Therapeutic and Diagnostic Molecules industry. This trend is reflective of the traditional emphasis in the pharmaceutical industry on small molecule research. Although large molecules (e.g., antibody-drug conjugates, recombinant DNA, and fusion proteins) hold a great deal of promise and are capturing increasing attention, the research into small molecules is not drying up. For example, the majority of startups looking to harness A.I. for drug discovery are focused on small molecules.⁸⁸ Moreover, small molecules are continuing to be the significant majority of new molecular entities approved by the FDA. The percentage of FDA approvals for NMEs that were small molecule has held steady at approximately 76% between 2010 and 2018.⁸⁹

Another possible reason the disparity between the number of patent filings on large molecules versus small molecules may be due to the difference between how these classes of molecules can be claimed. While small-molecule patent claims can encompass large groups of chemical compounds and identify the claimed compounds by chemical and functional features, it is practically impossible to define and claim large molecules with similar breadth. Therefore, applicants may be more selective about which large molecules they choose to patent.

⁸⁸ <https://www.biopharmatrend.com/post/67-will-small-molecules-sustain-pharmaceutical-race-with-biologics/>

⁸⁹ <https://www.biopharmatrend.com/post/67-will-small-molecules-sustain-pharmaceutical-race-with-biologics/>; <https://www.dcatvci.org/5828-new-drug-approvals-which-drugs-made-the-mark-in-2018>

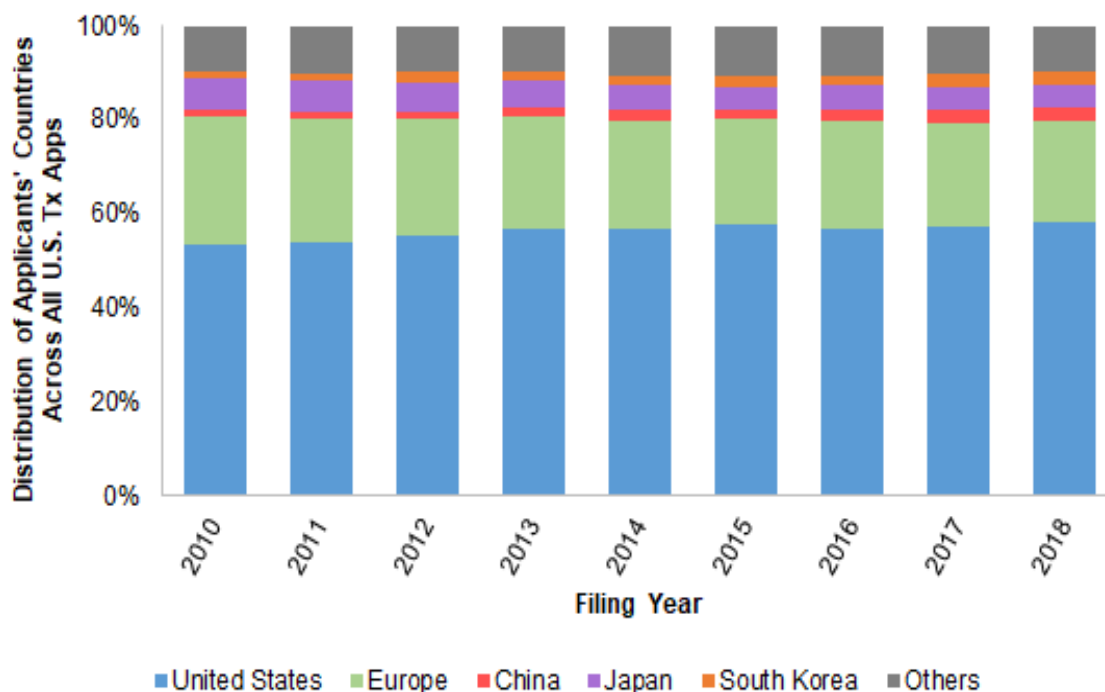
The leaders in patent filings are well-known pharmaceutical companies and academic institutions

USING 2016 DATA:		
		More Families Filed by
		(Applicants in alphabetical order)
Small-Large Molecules		Gilead Sciences, Johnson & Johnson, Regeneron Pharmaceuticals, University of California, University of Texas, Wisconsin Alumni Research Foundation
Level I		
Large Molecules		Invades Biotechnologies, Johnson & Johnson, Regeneron Pharmaceuticals, Stanford University, University of California
Small Molecules		Gilead Sciences, Johnson & Johnson, King Saud University, Merck, Wisconsin Alumni Research Foundation
Level I	Level II	
Large Molecules	Used As Diagnostic Agent	Abbott Laboratories, Euroimmun Medizinische Labordiagnostika, Insight Instruments, Johnson & Johnson, Kite Pharma, Korea Institute Of Science And Technology, Northwestern University, Parsagen Diagnostics, Roche, Sysmex Corp., United Arab Emirates University
	Used As Therapeutic Agent	Immatics Biotechnologies, Johnson & Johnson, Regeneron Pharmaceuticals, Stanford University, University Of California
Small Molecules	Synthesis Of Small Molecule	Gilead Sciences, Hong Kong Baptist University, Johnson & Johnson, King Saud University, Korea Institute Of Science And Technology, Macau University Of Science And Technology, Massachusetts Institute Of Technology, Merck, Northwestern University
	Used As Diagnostic Agent	Academia Sinica, Massachusetts Institute Of Technology, Samsung, Stanford University, University of California
	Used As Therapeutic Agent	Ceigene Corp., Gilead Sciences, Incyte, Johnson & Johnson, King Saud University, Merck, University of Texas, Wisconsin Alumni Research Foundation

Despite the large number of startups in the Therapeutic and Diagnostic Molecules industry, the leaders in patenting activity are established pharmaceutical companies and academic institutions.

The Therapeutic and Diagnostic Molecules industry favors established players because there is a high barrier to entry into the industry. Pharmaceutical research is extraordinarily costly because (1) a very small percentage of molecules are found to be safe and effective; and (2) clinical trials and the FDA-approval process are protracted and expensive. Thus, startups are often not in a position to take a drug to market.

U.S.-based patent filings are continuing to account for approximately 50% of the overall patent filings



The percentage of U.S.-based patent filings in the Therapeutic and Diagnostic Molecules industry has been slightly increasing, but Europe's percentage of patent filings has been slightly decreasing. Europe's decreasing contribution is possibly due to the effects of the austerity measures implemented by many European governments since 2010.⁹⁰

Our study also sees an increasing percentage of patent filings from both China and Korea, although both are still minor contributors to the overall number of filings. These increased percentages may be the result of some pharmaceutical R&D resources shifting away from the United States and Europe due to cost and regulatory considerations.⁹¹

⁹⁰ <https://www.ihealthcareanalyst.com/european-pharmaceutical-industry-recent-trends-statistics/>

⁹¹ <https://www.sciencedirect.com/science/article/pii/S1359644615003797>



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